Supporting Information

Construction of Axially Chiral Styrene-Type Allylamines via Chiral Phosphoric Acid-Catalyzed Asymmetric Reductive Amination

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General Information

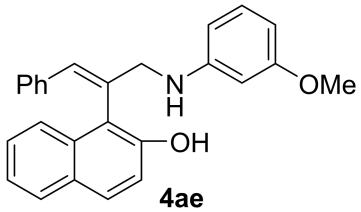
^{1}H and ^{13}C NMR spectra were recorded on a Bruker AC-400 FT (400 MHz for ^{1}H NMR and 100 MHz for ^{13}C NMR, respectively) using tetramethylsilane as an internal reference. Chemical shifts (δ) and coupling constants (*J*) were expressed in ppm and Hz, respectively. High resolution mass spectra (HRMS) were recorded on a LC-TOF spectrometer (Micromass). ESI-HRMS data were acquired using a Thermo LTQ Orbitrap XL Instrument equipped with an ESI source and controlled by Xcalibur software. High pressure liquid chromatography (HPLC) analyses were performed on a Thermo Scientific UltiMate 3000 instrument equipped with an isostatic pump, using a chiral stationary phase column (Daicel Co. CHIRALPAK). The chiral HPLC methods were calibrated with the corresponding racemic mixtures. Optical Rotation was measured on an Anton Paar MCP 100/150 polarimeter.

Chemicals were purchased from the Sinopharm Chemical Reagent Co., Adamas, Acros, Alfa Aesar, and TCI, and used as received. Catalysts **C1**, **C4** and **C5** were prepared according to the literatures.[1] Catalysts **C2-C3**, **C6-C12** were purchased from Daicel Chiral Technologies (China) CO., LTD. and used directly. The procedure for preparing 1-enal substituted 2-naphthols **1** is in accordance with a modified method.[2] Hantzsch esters **3** were prepared in accordance with the previously described procedure.[3]

The Absolute Stereochemistry Discussion

In order to confirm the absolute configuration (AC) of compounds **4**, the ECD spectra were calculated by the TD-DFT method, which has been proven to be useful in predicting ECD spectra and assigning the AC of organic molecules.[S1] Gaussian 09 package of programs is applied for theoretical calculation.[S2] Geometries optimization and the Gibbs energy calculations were performed at the level of b3lyp/6-31g//6-311+g(2d,p). Calculations of ECD were performed at TDDFT/6-311+g(2d,p) level based on the optimized conformations, and then the ECD spectra were obtained by Multiwfn.[S3] As shown in Figure S1, the simulated spectra are in good agreement with the experimental spectral data, and the *Sa* configuration could be reliably assigned to compound **4ae**.

Compound (**4ae**) to be determined：



4ae

Chemical Formula: $C_{26}H_{23}NO_2$

Exact Mass: 381.1729

Sample concentration：1.05×10^{-4} mol/L (in *i*-PrOH)

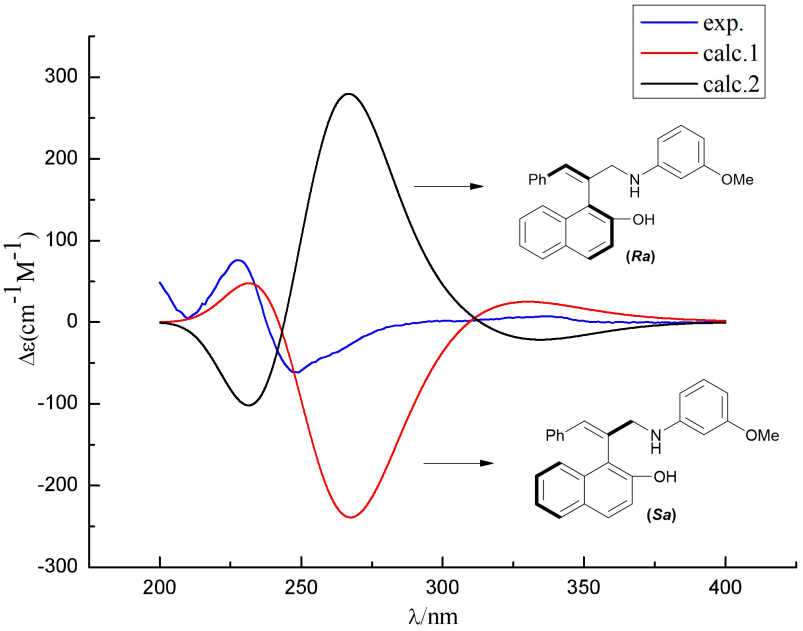


Figure S1. Experimental ECD spectra (blue line) and simulated spectra (red line) proving S_a-conformer $C_{26}H_{23}NO_2$ **4ae** absolute configuration.

S1. N. Berova, L. D. Bari, G. Pescitelli, Application of electronic circular dichroism in configurational and conformational analysis of organic compounds. *Chem. Soc. Rev.,* 2007, **36**, 914-931.

S2. M. Frisch, G. Trucks, H. Schlegel, G. Scuseria, M. Robb, J. Cheeseman, G. Scalmani, V. Barone, B. Mennucci, G. Petersson, *Gaussian, 09, Revision A.02*, Gaussian, Inc., Wallingford CT, 2009 (2015).

S3. T. Lu, F. Chen, Multiwfn: a multifunctional wavefunction analyzer. *J. Comput. Chem.,* 2012, **33**, 580-592.

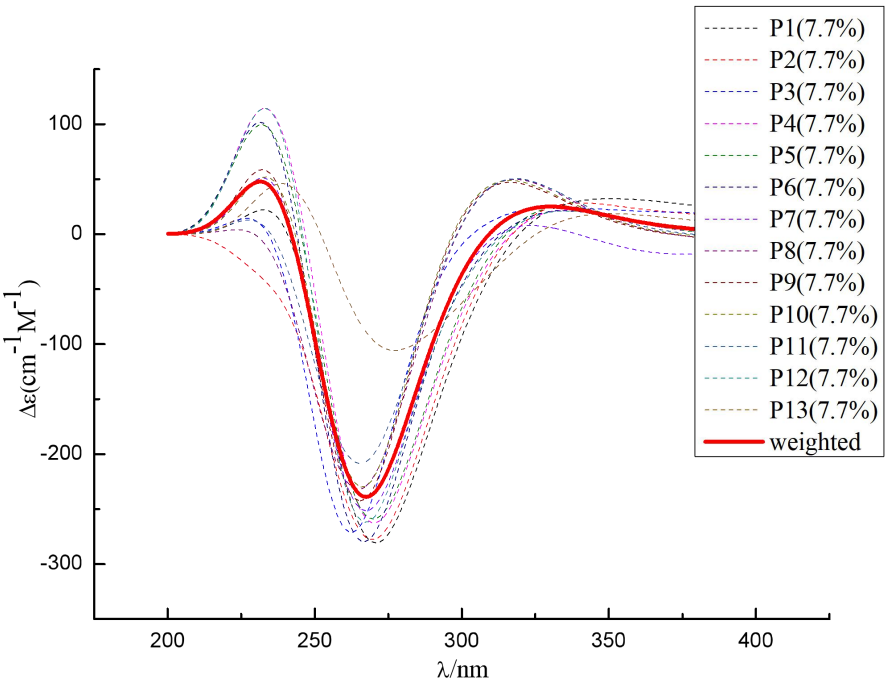
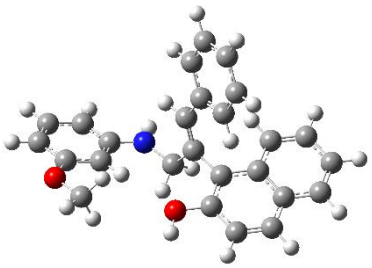


Figure S2. Simulated ECD spectra for 13 conformations of (*Sa*)-atropoisomer of **4ae** (dash lines) and their average spectra (coarse red line).

Table S1. Optimized geometries labeled with Gibbs free energies (Hartree) and cartesian coordinates for the 13 conformations of *Sa*-atropoisomer of 4ae (named as P1~P13) and one conformation (named as M1) of the mirror-symmetric configuration of P6, the conformation of *Sa*-atropoisomer of 4ae with the lowest energy.

conformations	cartesian coordinates			
P1	C	1.10983100	-1.71494700	1.22489000
	C	1.35042700	-0.95824800	0.08496100
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	C	3.73461700	-1.66166300	0.22070500
	C	3.42337200	-2.40144200	1.39405100
	C	2.13814300	-2.42906600	1.88740400
	H	2.24371600	0.36011700	-2.12488500
	C	3.02224400	-0.20169600	-1.62265600
	C	5.05492400	-1.63010000	-0.31112100
-1209.8248	H	4.21398600	-2.94894000	1.89803500
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	H	5.83473000	-2.18518000	0.20298200
	H	6.35235300	-0.89576700	-1.85085800
	H	4.54495800	0.37083900	-3.01042800
	O	-0.20067900	-1.74576600	1.71846200
	H	-0.26677800	-2.30949600	2.51230300
	C	0.21735800	-0.28448200	-0.61868300
	C	-0.05873200	1.03642100	-0.62966400
	H	-0.91515600	1.31758000	-1.24030100
	C	0.58728300	2.17679900	0.04121500
	C	0.18758200	3.47313600	-0.35491300
	C	1.55670500	2.07350600	1.06293000
	C	0.74067200	4.61606800	0.22546200
	H	-0.56858500	3.57528400	-1.12843500
	C	2.10751600	3.21737200	1.64547000
	H	1.87238300	1.09848300	1.40932300
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	H	0.41504300	5.59879500	-0.10159300
	H	2.85022500	3.11151100	2.43053200
	H	2.13960000	5.37870900	1.68602900
	N	-1.81927200	-0.73395300	-2.08090000
	H	-1.76096400	-0.58106600	-3.07486700
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	H	-6.55795900	0.03973600	0.16794900
	O	-4.74056900	-0.56309400	1.84783400
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	H	-4.07056700	-0.88933000	3.73455800
	H	-3.21707100	-1.86905400	2.50329000
	C	-0.65301800	-1.27638900	-1.41115700
	H	-0.01920000	-1.75758600	-2.16936700
	H	-0.96294000	-2.07691000	-0.72505900
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	C	1.41093400	-0.98401000	0.09222300
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	C	3.76094300	-1.76679900	0.32575800
	C	3.36956400	-2.52152900	1.46518800
	C	2.06108100	-2.52044200	1.89497100
	H	2.45052300	0.35240300	-2.04184200
	C	3.18595800	-0.24456100	-1.51566400
	C	5.10611000	-1.76599000	-0.14088500
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	C	5.47191100	-1.03408800	-1.25136400
	C	4.49864000	-0.26770700	-1.94268500
	H	5.84208100	-2.35713200	0.39722700
	H	6.50007400	-1.04051000	-1.59838300
	H	4.79202600	0.30855300	-2.81454800
	O	-0.24394200	-1.75556200	1.61493000
	H	-0.38366800	-2.29490700	2.41566400
	C	0.32924700	-0.25411800	-0.63607100
	C	0.10713500	1.07683800	-0.63299900
	H	-0.72076400	1.40309000	-1.26055600
	C	0.78156600	2.17809900	0.07431700
	C	0.47900500	3.49612700	-0.33572900
	C	1.68770000	2.01675800	1.14519400
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	H	2.96507300	2.97503600	2.58420700
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	H	-5.64179400	-0.84572600	3.44704800
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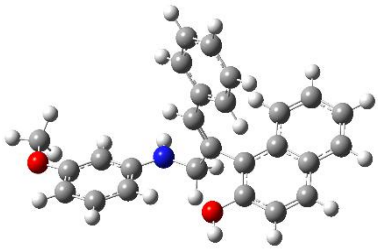
P3  **-1209.8223**	C	-1.72228700	-1.65345900	-1.45941700
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	H	-5.88260700	-2.44192500	2.16994700
	H	-7.22390900	-0.83861800	0.78226000
	O	-6.29814300	0.99883900	-0.71529000
	C	-5.69193000	2.01541200	-1.55036400
	H	-5.05058000	1.57082700	-2.32126700
	H	-6.52678000	2.53339800	-2.02194900
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P5	C	-1.35476000	1.67451400	1.62878600
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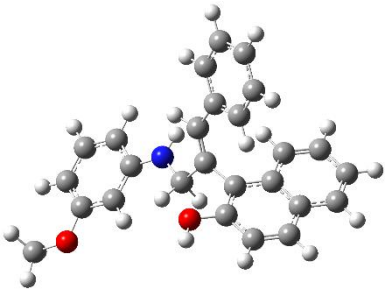

-1209.8246

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H	-2.16484700	3.27382600	2.85084000
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C	-3.35559000	1.15252000	-2.78245600
H	-4.82378000	3.72256300	-1.08476100
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H	-3.41095900	0.64433700	-3.74000200
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H	-0.45809300	1.81105200	3.38578900
C	-0.41502100	-0.12985100	0.18450800
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H	0.17230000	-2.10281500	-0.00617700
C	-1.92474100	-2.20148600	0.44956700
C	-1.93498400	-3.57540500	0.11827600
C	-3.09530900	-1.65673700	1.02124700
C	-3.06524500	-4.36787700	0.32641100
H	-1.03934400	-4.01934200	-0.30807800
C	-4.22523500	-2.45068500	1.23173800
H	-3.11751100	-0.61549300	1.31289800
C	-4.22034300	-3.80688700	0.88302800
H	-3.04367500	-5.41988500	0.05889000
H	-5.11250400	-2.00857400	1.67475800
H	-5.10153900	-4.41852400	1.04927000
N	1.85280400	-0.55914300	-0.77317400
H	1.41469200	-1.07032600	-1.52537100
C	3.24397800	-0.55871400	-0.74701300
C	3.98280600	0.31944000	0.06340700
C	3.94595100	-1.48206200	-1.56343600
C	5.38243600	0.26006300	0.07194500
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C	5.33488300	-1.51662200	-1.54464000
H	3.38851100	-2.16774100	-2.19533000
C	6.08031200	-0.65197900	-0.72746600
H	5.85720300	-2.23089400	-2.17420800
H	7.16129800	-0.69927700	-0.72897100
O	5.99670500	1.18058400	0.92280300
C	7.44398400	1.20154600	0.99187200
H	7.88756600	1.44007200	0.01758100
H	7.68552600	1.98730400	1.70738600
H	7.84121700	0.24323900	1.34775100

	C	1.00616700	0.38464700	-0.06066600
	H	0.94063000	1.35127900	-0.58906100
	H	1.45331400	0.59750500	0.91778600
P6	C	-1.99818400	1.59949200	1.67038500
	C	-1.71762600	0.94199100	0.47906700
	C	-2.56932300	1.18369300	-0.65277800
	C	-3.69789500	2.06785100	-0.52005100
	C	-3.94363400	2.69141500	0.73370900
	C	-3.11139600	2.46553700	1.80701100
	H	-1.49806300	-0.09658800	-2.03220000
	C	-2.34012500	0.57602000	-1.92213600
	C	-4.53905700	2.30145400	-1.64462500
-1209.8270	H	-4.79707000	3.35511900	0.83424800
	H	-3.29959800	2.94935600	2.76216700
	C	-4.28633100	1.69619900	-2.85804100
	C	-3.17409600	0.82636600	-2.99350000
	H	-5.38720200	2.97047700	-1.52780100
	H	-4.93339900	1.88161400	-3.70914500
	H	-2.97943200	0.35185000	-3.95010900
	O	-1.13521200	1.37502300	2.74536200
	H	-1.42940100	1.84645700	3.54751600
	C	-0.49697000	0.08219700	0.37754700
	C	-0.47377500	-1.26926800	0.35146900
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	C	-2.17677900	-4.62219000	0.14167800
	H	-0.20060300	-3.83666900	-0.18372500
	C	-3.83992200	-3.01762000	0.84895600
	H	-3.17364900	-0.99216600	1.08272000
	C	-3.49438200	-4.33276300	0.51441800
	H	-1.89207000	-5.63856300	-0.11256200
	H	-4.85682300	-2.78471000	1.15006000
	H	-4.23971300	-5.12107900	0.55030000
	N	1.92529700	0.16398200	-0.23196000
	H	1.72075900	-0.39860300	-1.04493000
	C	3.26837000	0.42989300	0.01905600
	C	4.24764600	-0.29855900	-0.68404000
	C	3.69161700	1.40040200	0.95787600
	C	5.60566500	-0.07558200	-0.45172300
	H	3.96619800	-1.05259200	-1.41155900
	C	5.05413600	1.60078200	1.17835000
	H	2.96917600	1.99563300	1.50167900

	C	6.03209900	0.87627200	0.48636400
	H	5.36531200	2.34740000	1.90314100
	H	7.08171500	1.05847800	0.67613300
	O	6.47103700	-0.86335400	-1.21283300
	C	7.89937000	-0.70128400	-1.02812700
	H	8.19901600	-0.93571300	0.00047000
	H	8.35926100	-1.41143700	-1.71504800
	H	8.22246500	0.31641600	-1.27853600
	C	0.80359000	0.89055400	0.34119500
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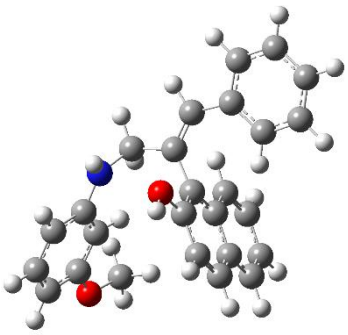
	H	1.04564200	1.16867500	1.37374700
P7  **-1209.8262**	C	-0.44609900	-0.53319500	1.58033000
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	C	0.21050300	2.17229300	1.16001900
	C	0.35461500	1.58267700	2.44568100
	C	0.03012300	0.26126600	2.65345900
	H	-0.84695700	1.39061000	-2.01759800
	C	-0.44081800	1.97929900	-1.20223500
	C	0.54835700	3.53381800	0.91830600
	H	0.73104000	2.18785500	3.26465000
	H	0.15063500	-0.18558700	3.63697600
	C	0.40133900	4.09101300	-0.33592300
	C	-0.10314400	3.30346600	-1.40313900
	H	0.92616100	4.12923800	1.74483200
	H	0.66171600	5.13021400	-0.50836500
	H	-0.23152300	3.75048600	-2.38404100
	O	-0.75470800	-1.87979000	1.77961100
	H	-0.60825100	-2.14253700	2.70828300
	C	-1.02931700	-0.90005600	-0.82419500
	C	-2.29581400	-1.20731100	-1.18527400
	H	-2.38787900	-1.90597800	-2.01828500
	C	-3.60197900	-0.78390600	-0.65518200
	C	-4.75077800	-1.41006400	-1.18939800
	C	-3.79468000	0.20502200	0.33450100
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	H	-4.62623200	-2.17012400	-1.95610100
	C	-5.07891300	0.53966200	0.77002700
	H	-2.94207600	0.71688100	0.75919700
	C	-6.20455300	-0.09795000	0.23356500
	H	-6.89699500	-1.57751200	-1.17947100
	H	-5.20113700	1.30519300	1.53028300
	H	-7.19974200	0.16712500	0.57637100
	N	1.05352500	-2.28755600	-0.77351600

	H	0.63207000	-3.04527200	-0.25639500
	C	2.33457000	-1.91575900	-0.37070700
	C	2.94661500	-0.70859300	-0.77637700
	C	3.05503900	-2.79067900	0.47337600
	C	4.24368400	-0.40770800	-0.34757000
	H	2.40589800	-0.00478800	-1.39238000
	C	4.34789700	-2.46535000	0.88706800
	H	2.59870500	-3.72601700	0.78462700
	C	4.96096700	-1.27819000	0.48446100
	H	4.88705200	-3.15291100	1.53204000
	H	5.96253900	-1.00819600	0.79419700
	O	4.91113100	0.76643700	-0.69813900
	C	4.23269900	1.73990900	-1.53144600
	H	3.32495400	2.12008500	-1.04798100
	H	4.94804100	2.55204100	-1.66033400
	H	3.97550100	1.31807400	-2.51090400
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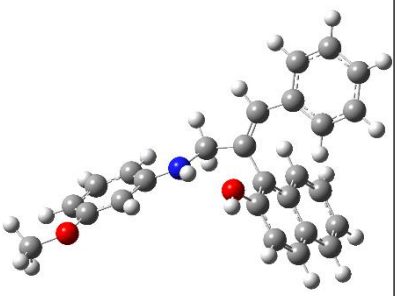
P8  **-1209.8233**	C	-0.65421100	0.52331300	1.62880100
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	C	-1.45759000	2.78014100	1.96177100
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	C	-2.10174900	1.76639100	-1.59597200
	C	-2.48551700	4.00342300	0.05987500
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	H	-3.35260300	4.93673600	-1.66348500
	H	-3.01728100	2.94635700	-3.12653100
	O	-0.02390700	-0.62430200	2.13019400
	H	0.14788700	-0.55224500	3.08801200
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	C	-2.96798200	-2.00901900	-0.30562900
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	C	-3.72453800	-1.18559100	0.55720700
	C	-4.83909200	-3.59295900	-0.33757200

	H	-2.99239100	-3.86751600	-1.40504200
	C	-5.00828400	-1.56101500	0.95979300
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	C	-5.57345300	-2.76346500	0.51736900
	H	-5.26363100	-4.52836300	-0.68866800
	H	-5.57052100	-0.90982400	1.62214400
	H	-6.57155300	-3.04892400	0.83429900
	N	1.66941600	-0.78628700	-0.27376100
	H	1.39618100	-1.01874800	0.67159100
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	C	3.95588400	-0.66336100	0.48190600
	C	3.46268700	-0.29824700	-1.86924000
	C	5.31162800	-0.46218600	0.22387300
	H	3.64781600	-0.88004500	1.49894900
	C	4.82311700	-0.09769500	-2.10083100
	H	2.76307500	-0.24304100	-2.69434000
	C	5.76933600	-0.17405400	-1.07133200
	H	5.15938500	0.12007700	-3.11052500
	H	6.81870900	-0.01578700	-1.28282000
	O	6.14705500	-0.56717700	1.33983400
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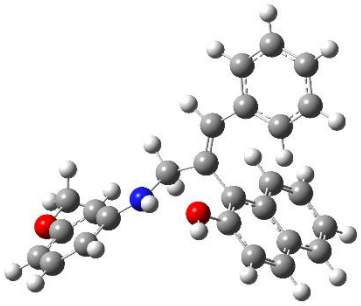
P9  **-1209.8256**	C	-0.94715800	0.59001000	1.85650800
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	C	-2.29517400	4.01893700	-1.62847600
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	O	-0.49405200	-0.54593300	2.54248300

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	C	-1.35959100	-1.73800600	-0.63069400
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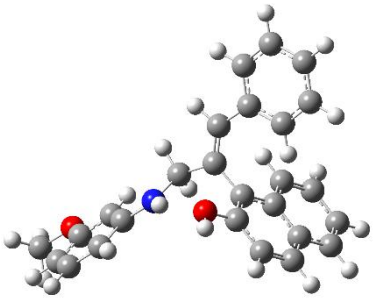
P10 	C	-1.01582600	0.59165600	1.82092200
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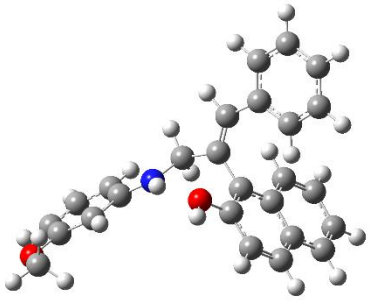

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C	4.05991800	-0.58658000	0.29574400
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C	5.40461800	-0.36952500	0.00116800
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C	4.85080500	0.10808500	-2.28851100
H	2.76801000	-0.01385000	-2.82397100
C	5.81810100	-0.01704600	-1.29442300
H	5.15505700	0.37604100	-3.29605200
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O	6.43027200	-0.47888500	0.94363600

	C	6.09308300	-0.85042900	2.30125400
	H	5.61882200	-1.83903800	2.34046500
	H	7.04229600	-0.87802300	2.83618900
	H	5.42961100	-0.11060900	2.76667000
	C	0.65203200	-0.54190700	-1.35164000
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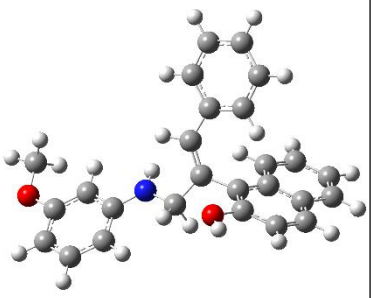
P12  **-1209.8244**	C	-2.02247500	-1.66779800	-1.61047300
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	C	-3.93112700	-2.69664300	-0.53660800
	C	-3.14962700	-2.52536500	-1.65711600
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	H	-4.79478200	-3.35394900	-0.56817000
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	H	-1.54201600	-2.00337900	-3.49863400
	C	-0.44427000	-0.11869300	-0.45538400
	C	-0.40269400	1.23231300	-0.49330400
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	C	-1.47118600	2.24428100	-0.55866600
	C	-2.82897400	1.97716400	-0.83989300
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	H	-4.80422500	2.78036700	-1.10948500
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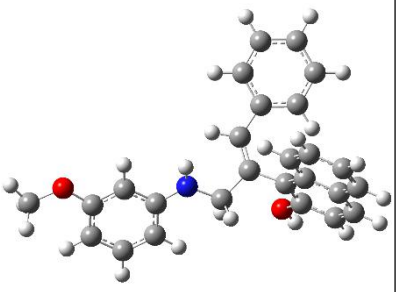
M1 	C	-1.99818400	1.59949200	-1.67038500
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	H	2.96917600	1.99563300	-1.50167900
	C	6.03209900	0.87627200	-0.48636400
	H	5.36531200	2.34740000	-1.90314100
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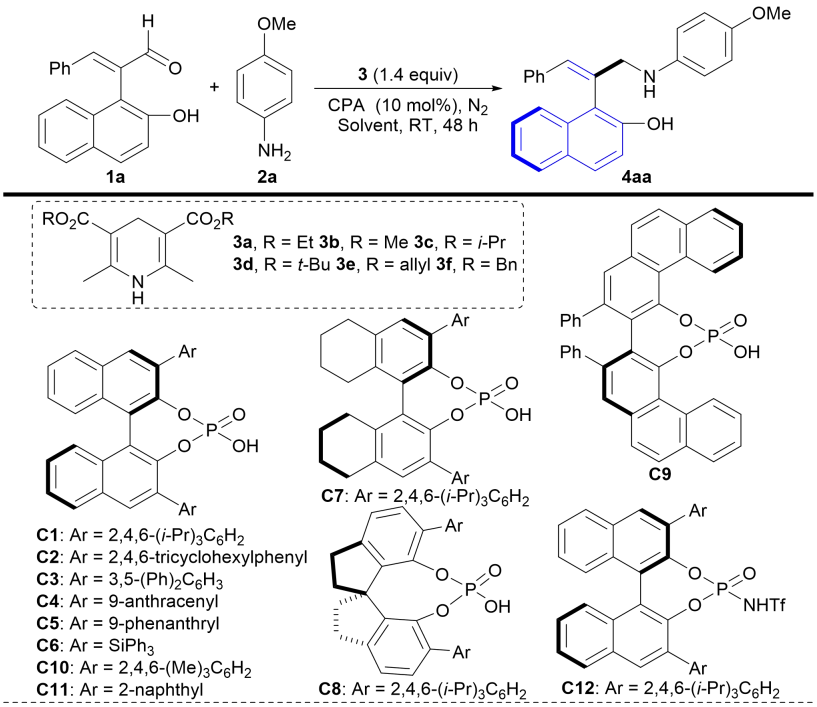
	H	8.19901600	-0.93571300	-0.00047000
	H	8.35926100	-1.41143700	1.71504800
	H	8.22246500	0.31641600	1.27853600
	C	0.80359000	0.89055400	-0.34119500
	H	0.61097000	1.83398900	0.19808500
	H	1.04564200	1.16867500	-1.37374700

Screening of Catalysts and Condition Optimization

Table S2. Screening of solvents, Hantzsch esters 3 and catalysts.[a]

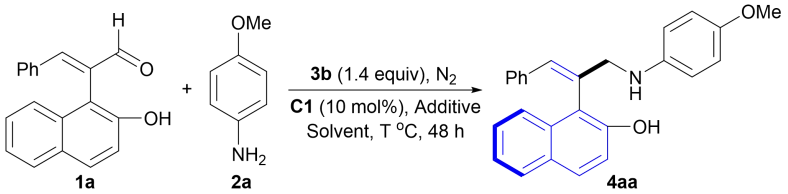


Entry	**3**	CPA	Solvent	Yield[b] [%]	ee[c] [%]
1	**3a**	**C1**	DCM	54	40
2	**3a**	**C1**	Toluene	68	35
3	**3a**	**C1**	EtOAc	50	58
4	**3a**	**C1**	THF	53	60
5	**3a**	**C1**	*n*-hexane	42	16
6	**3a**	**C1**	MeOH	35	-14
7	**3a**	**C1**	CH_3CN	57	46
8	**3a**	**C1**	MTBE	74	71
9	**3a**	**C1**	**1,4-dioxane**	**72**	**74**
10	**3a**	**C1**	**2-MeTHF**	**71**	**74**
11	**3a**	**C1**	CH_3NO_2	59	56
12	**3a**	**C1**	$PhCF_3$	52	35
13	**3a**	**C1**	DCE	53	31
14	**3a**	**C1**	PhOMe	49	34
15	**3a**	**C1**	CCl_4	52	32
16	**3a**	**C1**	*n*-Bu_2O	40	51
17	**3a**	**C2**	1,4-dioxane	73	70
18	**3a**	**C3**	1,4-dioxane	46	9
19	**3a**	**C4**	1,4-dioxane	38	5
20	**3a**	**C5**	1,4-dioxane	49	26

21	**3a**	**C6**	1,4-dioxane	52	48
22	**3a**	**C7**	1,4-dioxane	50	56
23	**3a**	**C8**	1,4-dioxane	59	55
24	**3a**	**C9**	1,4-dioxane	37	10
25	**3a**	**C10**	1,4-dioxane	49	-34
26	**3a**	**C11**	1,4-dioxane	42	-24
27	**3a**	**C12**	1,4-dioxane	26	60
28	**3b**	**C1**	1,4-dioxane	84	77
29	**3c**	**C1**	1,4-dioxane	62	65
30	**3d**	**C1**	1,4-dioxane	42	51
31	**3e**	**C1**	1,4-dioxane	74	70
32	**3f**	**C1**	1,4-dioxane	63	66

[a] All reactions were carried out with (*E*)-2-(2-hydroxynaphthalen-1-yl)-3-phenylacrylaldehyde **1a** (27.4 mg, 0.10 mmol), 4-methoxyaniline **2a** (13.5 mg, 0.11 mmol), Hantzsch ester **3** (0.14 mmol), catalyst CPA (10 mol%) and solvent (2.0 mL) at room temperature in a sealed tube under nitrogen atmosphere for 48 h. [b] Isolated yield. [c] Determined by chiral stationary phase HPLC analysis.

Table S3. Screening of additive, temperatures *et al*.[a]

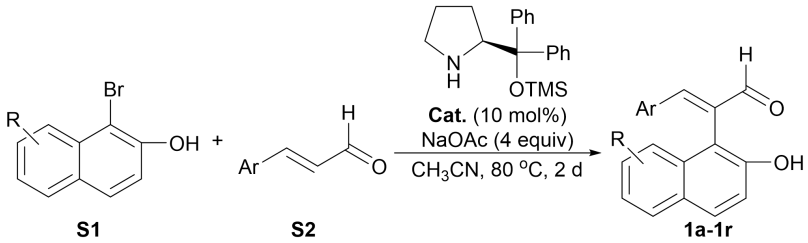


Entry	Solvent	Additive	T [°C]	Yield[b] [%]	ee[c] [%]
1	1,4-dioxane	5Å MS (100 mg)	RT	80	73
2	1,4-dioxane	$MgSO_4$ (100 mg)	RT	78	75
3[d]	1,4-dioxane	$PhCO_2H$ (10 mol%)	0	87	78
4[d]	1,4-dioxane	$Mg(OTf)_2$ (10 mol%)	0	trace	-
5[e]	1,4-dioxane/ 2-MeTHF=1/1	none	10	**80**	**81**
6[d]	**1,4-dioxane/ 2-MeTHF=1/1**	none	5	**77**	**83**

[a] Unless otherwise stated, all reactions were carried out with (*E*)-2-(2-hydroxynaphthalen-1-yl)-3-phenylacrylaldehyde **1a** (27.4 mg, 0.10 mmol), 4-methoxyaniline **2a** (13.5 mg, 0.11 mmol), Hantzsch ester **3b** (31.5 mg, 0.14 mmol), **C1** (7.5 mg, 10 mol%) and solvent (2.0 mL) at room temperature in a sealed tube under nitrogen atmosphere for 48 h. [b] Isolated yield. [c] Determined by chiral stationary phase HPLC analysis. [d] The reaction was run for 4 d. [e] The reaction was run for 3 d.

Preparation and Analytic Data of 1

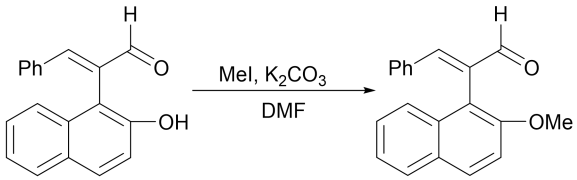
1-Enal substituted 2-naphthols **1a-1r** were prepared in accordance with a modified method[2] via the following procedure.



S1 **S2** **1a-1r**

To a solution of **S1** (2.0 mmol), enal **S2** (4.0 mmol) and NaOAc (656.3 mg, 8.0 mmol) in CH_3CN (15 mL) was added diphenylprolinol TMS ether **Cat.** (65.1 mg, 0.20 mmol) under N_2 atmosphere. Then the reaction mixture was stirred at 80 °C for 2 d. After the reaction was completed, the mixture was filtered and the filtrate was removed by vacuum distillation. The crude product was added with EtOAc (30 mL) and washed with brine (15 mL). The organic layer was dried with anhydrous Na_2SO_4 and concentrated in vacuo. The crude product was then purified by chromatography on silica gel (petroleum ether/ethyl acetate) to give **1a-1r**.

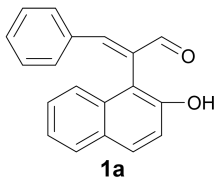
Preparation of **1s**:



1a **1s**

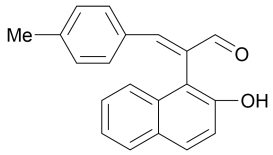
To a solution of **1a** (54.9 mg, 0.20 mmol) and methyl iodide (42.6 mg, 19.2 uL, 0.30 mmol) in *N*,*N*-dimethylformamide (2.0 mL) was added K_2CO_3 (55.3 mg, 0.40 mmol) and the mixture was stirred at room temperature for 6 h, after which the mixture was quenched with water (5 mL) and extracted with EtOAc (2 × 10 mL). The combined organic layers were washed with brine and dried over anhydrous Na_2SO_4. After filtered and concentrated under vacuum, the crude product was purified with flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v), to afford the product **1s** (48.4 mg, 84%).

The compounds **1a-1s** were characterized in this report.



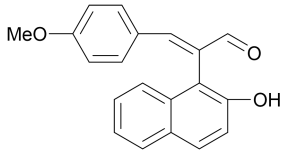
1a

(*E*)-2-(2-Hydroxynaphthalen-1-yl)-3-phenylacrylaldehyde **1a** was obtained as a brown solid in 71% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 158-159 °C; ^{1}H NMR (400 MHz, DMSO-*d*$_6$): δ 9.88 (s, 1H), 9.62 (s, 1H), 7.99 (s, 1H), 7.90-7.79 (m, 2H), 7.35-7.31 (m, 1H), 7.30-7.22 (m, 4H), 7.22-7.13 (m, 4H); ^{13}C NMR (100 MHz, DMSO-*d*$_6$): δ 195.1, 152.9, 152.4, 137.4, 135.0, 132.7, 130.8, 130.4, 130.1, 129.1, 128.7, 128.5, 127.0, 123.7, 123.2, 118.9, 113.8; HRMS (ESI) calcd for $C_{19}H_{15}O_2$ $(M+H)^+$ 275.1067, found 275.1077.



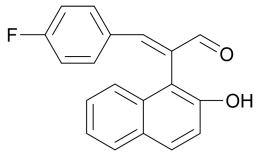
1b

(*E*)-2-(2-Hydroxynaphthalen-1-yl)-3-(*p*-tolyl)acrylaldehyde **1b** was obtained as a yellow solid in 73% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 152-153 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.88 (s, 1H), 7.87 (s, 1H), 7.84-7.80 (m, 2H), 7.46-7.41 (m, 1H), 7.36-7.30 (m, 2H), 7.18 (d, *J* = 8.8 Hz, 1H), 7.07 (d, *J* = 8.4 Hz, 2H), 6.96 (d, *J* = 8.0 Hz, 2H), 5.28 (br., s, 1H), 2.24 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.1, 153.5, 150.6, 142.1, 133.8, 132.1, 130.8, 130.8, 130.7, 129.7, 129.3, 128.5, 127.1, 123.9, 123.8, 118.1, 113.4, 21.5; HRMS (ESI) calcd for $C_{20}H_{17}O_2$ $(M+H)^+$ 289.1223, found 289.1225.



1c

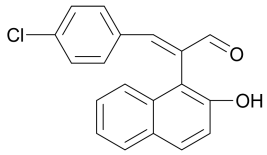
(*E*)-2-(2-Hydroxynaphthalen-1-yl)-3-(4-methoxyphenyl)acrylaldehyde **1c** was obtained as a yellow solid in 69% yield. R_f = 0.15 (petroleum ether/ethyl acetate = 4:1); m.p. 183-184 °C; ^{1}H NMR (400 MHz, DMSO-*d*$_6$): δ 9.81 (s, 1H), 9.55 (s, 1H), 7.91 (s, 1H), 7.89-7.79 (m, 2H), 7.35-7.22 (m, 4H), 7.14 (d, *J* = 8.8 Hz, 2H), 6.75 (d, *J* = 8.8 Hz, 2H), 3.66 (s, 3H); ^{13}C NMR (100 MHz, DMSO-*d*$_6$): δ 194.8, 161.5, 152.9, 152.3, 135.0, 132.8, 132.5, 130.0, 128.7, 128.5, 127.7, 127.0, 123.8, 123.2, 118.9, 114.7, 114.1, 55.7; HRMS (ESI) calcd for $C_{20}H_{17}O_3$ $(M+H)^+$ 305.1172, found 305.1180.



1d

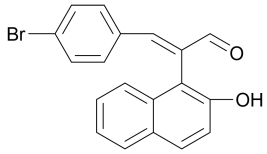
(*E*)-3-(4-Fluorophenyl)-2-(2-hydroxynaphthalen-1-yl)acrylaldehyde **1d** was obtained as a yellow solid in 65% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 169-170 °C; ^{1}H NMR (400 MHz, DMSO-*d*$_6$): δ 10.09 (s, 1H), 9.87 (s, 1H), 8.22 (s, 1H), 8.12-8.03 (m, 2H),

7.57-7.43 (m, 6H), 7.31-7.23 (m, 2H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.9, 163.4 (d, $^{1}J_{C\text{-}F}$ = 248.4 Hz), 152.9, 151.0, 137.1, 137.1, 132.7 (d, $^{3}J_{C\text{-}F}$ = 9.1 Hz), 131.7 (d, $^{4}J_{C\text{-}F}$ = 3.1 Hz), 130.2, 128.8, 128.5, 127.1, 123.6, 123.3, 118.9, 116.2 (d, $^{2}J_{C\text{-}F}$ = 21.6 Hz), 113.5; ^{19}F NMR (376 MHz, DMSO-d_6) δ -109.24; HRMS (ESI) calcd for $C_{19}H_{14}FO_2$ $(M+H)^+$ 293.0972, found 293.0989.



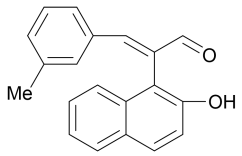
1e

(*E*)-3-(4-Chlorophenyl)-2-(2-hydroxynaphthalen-1-yl)acrylaldehyde **1e** was obtained as a yellow solid in 70% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 188-189 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.88 (s, 1H), 9.67 (s, 1H), 8.00 (s, 1H), 7.90-7.81 (m, 2H), 7.33-7.23 (m, 6H), 7.22-7.17 (m, 2H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.9, 152.9, 150.7, 137.9, 135.4, 133.9, 132.6, 131.9, 130.3, 129.2, 128.8, 128.5, 127.1, 123.6, 123.3, 118.8, 113.3; HRMS (ESI) calcd for $C_{19}H_{14}ClO_2$ $(M+H)^+$ 309.0677, found 309.0661.



1f

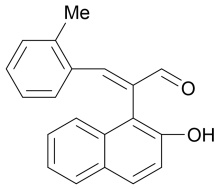
(*E*)-3-(4-Bromophenyl)-2-(2-hydroxynaphthalen-1-yl)acrylaldehyde **1f** was obtained as a yellow solid in 67% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 183-184 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.88 (s, 1H), 9.69 (s, 1H), 7.98 (s, 1H), 7.92-7.79 (m, 2H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.34-7.22 (m, 4H), 7.13 (d, *J* = 8.4 Hz, 2H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.9, 152.9, 150.8, 138.0, 134.3, 132.6, 132.1, 132.0, 130.3, 128.8, 128.5, 127.1, 124.3, 123.6, 123.3, 118.8, 113.3; HRMS (ESI) calcd for $C_{19}H_{14}BrO_2$ $(M+H)^+$ 353.0172, found 353.0164.



1g

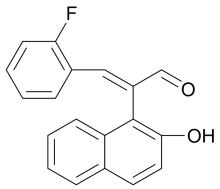
(*E*)-2-(2-Hydroxynaphthalen-1-yl)-3-(*m*-tolyl)acrylaldehyde **1g** was obtained as a yellow solid in 72% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 141-142 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.76 (s, 1H), 7.82-7.68 (m, 3H), 7.43-7.36 (m, 1H), 7.33-7.26 (m, 2H), 7.09 (d, *J* = 8.8 Hz, 1H), 7.04 (d, *J* = 7.2 Hz, 1H), 6.98-6.91 (m, 2H), 6.89-6.81 (m, 1H), 6.06 (br., s, 1H), 2.10 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.9, 154.1, 150.9, 138.3, 135.0,

133.6, 132.2, 132.0, 131.9, 130.6, 129.2, 128.7, 128.5, 127.4, 127.0, 123.8, 123.7, 118.2, 113.3, 21.2; HRMS (ESI) calcd for $C_{20}H_{17}O_2$ $(M+H)^+$ 289.1223, found 289.1232.



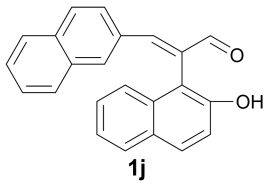
1h

(*E*)-2-(2-Hydroxynaphthalen-1-yl)-3-(*o*-tolyl)acrylaldehyde **1h** was obtained as a yellow solid in 61% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 52-53 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.90 (s, 1H), 8.14 (s, 1H), 7.79-7.72 (m, 2H), 7.42-7.38 (m, 1H), 7.35-7.26 (m, 2H), 7.16 (d, *J* = 7.6 Hz, 1H), 7.12-7.06 (m, 2H), 6.85 (d, *J* = 7.6 Hz, 1H), 6.74-6.67 (m, 1H), 5.61 (br., s, 1H), 2.53 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.5, 150.9, 150.9, 138.3, 135.8, 132.5, 132.3, 130.7, 130.6, 130.6, 129.2, 128.5, 128.5, 127.0, 126.2, 123.8, 123.7, 118.1, 113.4, 20.2; HRMS (ESI) calcd for $C_{20}H_{17}O_2$ $(M+H)^+$ 289.1223, found 289.1216.



1i

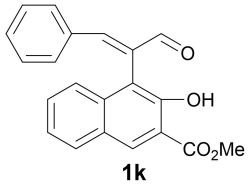
(*E*)-3-(2-Fluorophenyl)-2-(2-hydroxynaphthalen-1-yl)acrylaldehyde **1i** was obtained as a yellow solid in 64% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 57-58 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.92 (s, 1H), 8.19 (s, 1H), 7.82-7.73 (m, 2H), 7.43-7.38 (m, 1H), 7.36-7.29 (m, 2H), 7.24-7.19 (m, 1H), 7.12 (d, *J* = 8.8 Hz, 1H), 7.09-7.03 (m, 1H), 6.84-6.76 (m, 1H), 6.66 (t, *J* = 7.6 Hz, 1H), 5.62 (br., s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.3, 161.3 (d, $^1J_{C\text{-}F}$ = 252.6 Hz), 150.8, 144.0 (d, $^3J_{C\text{-}F}$ = 6.8 Hz), 136.6, 132.9 (d, $^3J_{C\text{-}F}$ = 9.0 Hz), 131.9, 130.8, 129.3, 129.2, 128.5, 127.2, 124.4 (d, $^4J_{C\text{-}F}$ = 3.6 Hz), 123.8, 123.6, 121.9 (d, $^2J_{C\text{-}F}$ = 10.4 Hz), 118.1, 115.7 (d, $^2J_{C\text{-}F}$ = 21.9 Hz), 112.9; ^{19}F NMR (376 MHz, DMSO-d_6) δ -114.70; HRMS (ESI) calcd for $C_{19}H_{14}FO_2$ $(M+H)^+$ 293.0972, found 293.0976.



1j

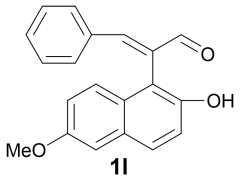
(*E*)-2-(2-Hydroxynaphthalen-1-yl)-3-(naphthalen-2-yl)acrylaldehyde **1j** was obtained as a yellow solid in 73% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 55-56 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 10.12 (s, 1H), 8.21 (s, 1H), 8.06-7.99 (m, 3H), 7.90-7.82 (m, 2H),

7.70-7.60 (m, 4H), 7.56-7.50 (m, 2H), 7.39 (d, J = 9.2 Hz, 1H), 7.27 (dd, J = 8.8, 2.0 Hz, 1H), 6.03 (br., s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.4, 153.4, 151.0, 135.1, 134.3, 132.9, 132.8, 132.3, 131.4, 130.8, 129.3, 129.0, 128.5, 128.5, 128.0, 127.6, 127.2, 126.6, 125.8, 123.9, 123.8, 118.2, 113.4; HRMS (ESI) calcd for $C_{23}H_{17}O_2$ $(M+H)^+$ 325.1223, found 325.1220.



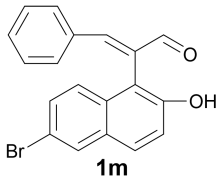
1k

Methyl (*E*)-3-hydroxy-4-(3-oxo-1-phenylprop-1-en-2-yl)-2-naphthoate **1k** was obtained as a yellow solid in 58% yield. R_f = 0.15 (petroleum ether/ethyl acetate = 4:1); m.p. 210-211 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 10.41 (s, 1H), 9.91 (s, 1H), 8.70 (s, 1H), 8.19-8.00 (m, 2H), 7.52-7.34 (m, 3H), 7.33-7.06 (m, 5H), 4.00 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.7, 169.9, 153.0, 152.9, 136.3, 135.3, 134.7, 133.3, 131.0, 130.6, 130.4, 130.4, 129.3, 127.2, 124.7, 123.7, 116.3, 115.1, 53.5; HRMS (ESI) calcd for $C_{21}H_{15}O_4$ $(M-H)^-$ 331.0976, found 331.0975.



1l

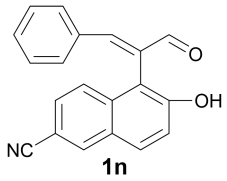
(*E*)-2-(2-Hydroxy-6-methoxynaphthalen-1-yl)-3-phenylacrylaldehyde **1l** was obtained as a yellow solid in 66% yield. R_f = 0.15 (petroleum ether/ethyl acetate = 4:1); m.p. 133-134 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.87 (s, 1H), 9.56 (s, 1H), 7.98 (s, 1H), 7.79-7.72 (m, 2H), 7.28-7.21 (m, 3H), 7.21-7.16 (m, 2H), 7.08 (d, J = 8.8 Hz, 1H), 6.92 (dd, J = 8.8, 2.4 Hz, 1H), 6.62 (d, J = 2.4 Hz, 1H), 3.59 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.0, 158.4, 153.6, 152.0, 137.6, 135.1, 134.0, 130.7, 130.4, 130.3, 129.9, 129.0, 123.8, 116.2, 115.0, 113.0, 103.0, 55.3; HRMS (ESI) calcd for $C_{20}H_{17}O_3$ $(M+H)^+$ 305.1172, found 305.1174.



1m

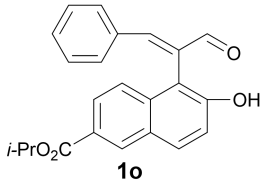
(*E*)-2-(6-Bromo-2-hydroxynaphthalen-1-yl)-3-phenylacrylaldehyde **1m** was obtained as a yellow solid in 70% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 187-188 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.88 (s, 1H), 9.83 (s, 1H), 8.12 (d, J = 2.4 Hz, 1H), 8.01 (s, 1H), 7.87 (d, J = 9.2 Hz, 1H), 7.40 (dd, J = 8.8, 2.4 Hz, 1H), 7.34-7.23 (m, 3H), 7.22-7.13 (m,

4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.9, 153.5, 152.6, 136.8, 134.9, 131.4, 130.9, 130.5, 130.4, 129.9, 129.7, 129.4, 129.1, 126.1, 120.1, 116.1, 114.2; HRMS (ESI) calcd for $C_{19}H_{12}BrO_2$ $(M-H)^-$ 351.0026, found 351.0025.



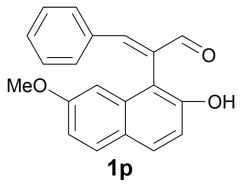
1n

(*E*)-6-Hydroxy-5-(3-oxo-1-phenylprop-1-en-2-yl)-2-naphthonitrile **1n** was obtained as a yellow solid in 62% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 3:1); m.p. 219-220 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 10.57 (br., s, 1H), 9.90 (s, 1H), 8.48 (s, 1H), 8.12-7.93 (m, 2H), 7.60-7.50 (m, 1H), 7.49-7.40 (m, 2H), 7.32-7.24 (m, 1H), 7.24-7.08 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.8, 156.4, 152.8, 136.5, 135.1, 134.8, 134.6, 131.1, 131.0, 130.4, 129.2, 127.5, 127.2, 125.0, 120.8, 120.0, 114.4, 105.2; HRMS (ESI) calcd for $C_{20}H_{12}NO_2$ $(M-H)^-$ 298.0874, found 298.0873.



1o

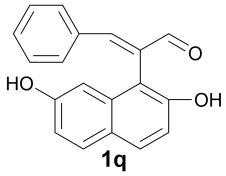
Isopropyl (*E*)-6-hydroxy-5-(3-oxo-1-phenylprop-1-en-2-yl)-2-naphthoate **1o** was obtained as a brown solid in 60% yield. R_f = 0.15 (petroleum ether/ethyl acetate = 4:1); m.p. 82-83 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 10.11 (s, 1H), 9.91 (s, 1H), 8.56 (d, *J* = 1.6 Hz, 1H), 8.11 (d, *J* = 8.8 Hz, 1H), 8.04 (s, 1H), 7.78 (dd, *J* = 8.8, 1.6 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.8 Hz, 1H), 7.29-7.23 (m, 1H), 7.22-7.13 (m, 4H), 5.24-5.11 (m, 1H), 1.34 (d, *J* = 6.0 Hz, 6H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.8, 165.9, 155.3, 152.6, 136.9, 135.2, 134.9, 131.9, 131.4, 130.9, 130.4, 129.1, 127.4, 126.1, 125.0, 124.1, 119.8, 114.2, 68.3, 22.2; HRMS (ESI) calcd for $C_{23}H_{19}O_4$ $(M-H)^-$ 359.1289, found 359.1288.



1p

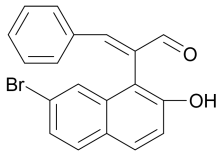
(*E*)-2-(2-Hydroxy-7-methoxynaphthalen-1-yl)-3-phenylacrylaldehyde **1p** was obtained as a yellow solid in 69% yield. R_f = 0.15 (petroleum ether/ethyl acetate = 4:1); m.p. 132-133 °C; ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.88 (s, 1H), 9.56 (s, 1H), 7.98 (s, 1H), 7.79-7.71 (m, 2H), 7.28-7.21 (m, 3H), 7.21-7.14 (m, 2H), 7.09 (d, *J* = 8.8 Hz, 1H), 6.93 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.63 (d, *J* = 2.4 Hz, 1H), 3.59 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.0, 158.4, 153.6,

152.0, 137.6, 135.2, 134.0, 130.7, 130.4, 130.3, 129.9, 129.0, 123.8, 116.2, 115.0, 113.0, 103.0, 55.3; HRMS (ESI) calcd for $C_{20}H_{17}O_3$ $(M+H)^+$ 305.1172, found 305.1173.



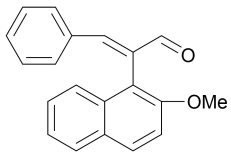
1q

(*E*)-2-(2,7-Dihydroxynaphthalen-1-yl)-3-phenylacrylaldehyde **1q** was obtained as a yellow solid in 51% yield. R_f = 0.15 (petroleum ether/ethyl acetate = 3:1); m.p. 110-111 °C; ^{1}H NMR (400 MHz, DMSO-*d*$_6$): δ 9.86 (s, 1H), 9.45 (s, 1H), 9.41 (s, 1H), 7.95 (s, 1H), 7.72-7.65 (m, 2H), 7.29-7.16 (m, 5H), 7.00 (d, *J* = 8.8 Hz, 1H), 6.83 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.59 (d, *J* = 2.4 Hz, 1H); ^{13}C NMR (100 MHz, DMSO-*d*$_6$): δ 195.2, 156.5, 153.1, 152.0, 137.9, 135.1, 134.5, 130.8, 130.5, 130.3, 129.9, 129.1, 123.1, 115.7, 115.4, 112.2, 105.4; HRMS (ESI) calcd for $C_{19}H_{15}O_3$ $(M+H)^+$ 291.1016, found 291.1012.



1r

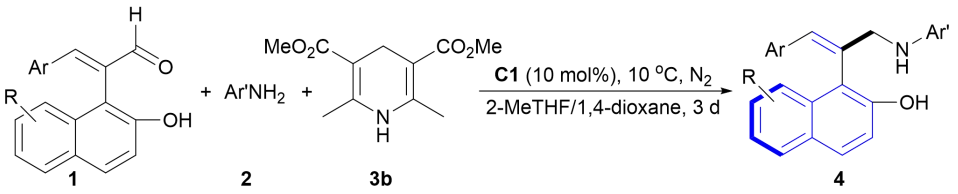
(*E*)-2-(7-Bromo-2-hydroxynaphthalen-1-yl)-3-phenylacrylaldehyd **1r** was obtained as a yellow solid in 70% yield. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1); m.p. 166-167 °C; ^{1}H NMR (400 MHz, DMSO-*d*$_6$): δ 9.90 (s, 1H), 9.88 (s, 1H), 8.02 (s, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.83 (d, *J* = 8.8 Hz, 1H), 7.48 (d, *J* = 2.0 Hz, 1H), 7.38 (d, *J* = 8.8, 2.0 Hz, 1H), 7.33-7.25 (m, 2H), 7.24-7.15 (m, 4H); ^{13}C NMR (100 MHz, DMSO-*d*$_6$): δ 195.0, 154.0, 152.9, 136.6, 134.8, 134.2, 131.1, 131.0, 130.4, 130.3, 129.2, 127.0, 126.2, 125.4, 120.9, 119.5, 113.2; HRMS (ESI) calcd for $C_{19}H_{12}BrO_2$ $(M-H)^-$ 351.0026, found 351.0025.



1s

(*E*)-2-(2-Methoxynaphthalen-1-yl)-3-phenylacrylaldehyde **1s** was obtained as a yellow solid in 84% yield. R_f = 0.50 (petroleum ether/ethyl acetate = 5:1); m.p. 129-130 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.89 (s, 1H), 7.93 (d, *J* = 9.2 Hz, 1H), 7.84-7.78 (m, 1H), 7.76 (s, 1H), 7.49-7.42 (m, 1H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.33-7.26 (m, 2H), 7.22-7.16 (m, 1H), 7.15-7.05 (m, 4H), 3.79 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.1, 154.4, 151.3, 136.8, 134.4, 132.0, 130.5, 130.4, 130.4, 129.3, 128.6, 128.4, 127.1, 123.9, 123.9, 116.8, 113.6, 56.7; HRMS (ESI) calcd for $C_{20}H_{16}NaO_2$ $(M+Na)^+$ 311.1043, found 311.1042.

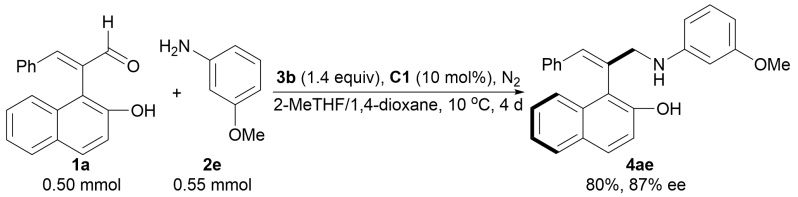
General Procedure for the Catalytic Asymmetric Synthesis of Axially Chiral Styrene-Type Allylamines



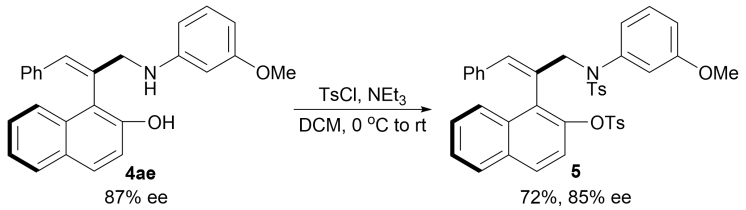
1 2 3b 4

To a flame dried sealed tube equipped with a magnetic stirring bar were added chiral phosphoric acid **C1** (7.5 mg, 0.010 mmol), 1-enal substituted 2-naphthols **1** (0.10 mmol), Hantzsch ester **3b** (31.5 mg, 0.14 mmol), 1,4-dioxane (1.0 mL), 2-methyltetrahydrofuran (1.0 mL) and aromatic amines **2** (0.11 mmol) successively. The resulting mixture was stirred at 10 °C under nitrogen atmosphere for 3 d, and directly charged onto silica gel. Products **4** were isolated using petroleum ether/ethyl acetate (10:1 to 3:1) as eluent.

Procedure for Scale-up Experiment and Tosylation of Product 4ae

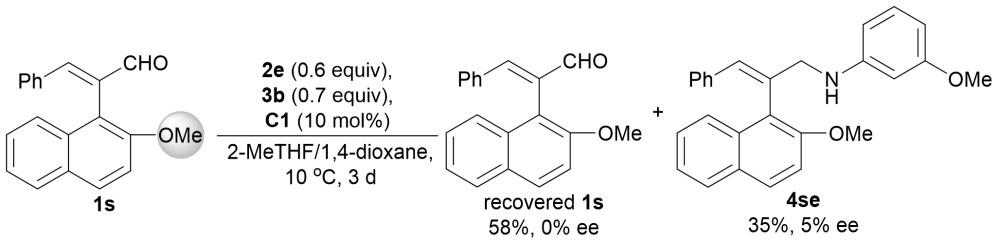


To a flame dried sealed tube equipped with a magnetic stirring bar were added (*E*)-2-(2-hydroxynaphthalen-1-yl)-3-phenylacrylaldehyde **1a** (137.1 mg, 0.50 mmol), Hantzsch ester **3b** (157.5 mg, 0.70 mmol), chiral phosphoric acid **C1** (37.5 mg, 0.050 mmol), 1,4-dioxane (3.0 mL), 2-methyltetrahydrofuran (3.0 mL) and 3-methoxyaniline **2e** (67.5 mg, 0.55 mmol) successively. The resulting mixture was stirred at 10 °C under nitrogen atmosphere for 4 d, and directly charged onto silica gel. Product **4ae** (152.5 mg, 80% yield, 87% ee) was isolated using petroleum ether/ethyl acetate (10:1 to 3:1) as eluent.



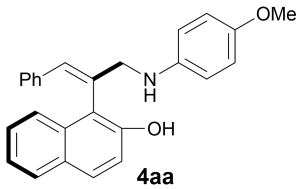
To a solution of **4ae** (38.1 mg, 0.10 mmol, 87% ee) and 4-toluene sulfonyl chloride (47.5 mg, 0.25 mmol) in DCM (2.0 mL) was added NEt_3 (30.5 mg, 42.0 uL, 0.30 mmol) and DMAP (1.2 mg, 0.010 mmol) at 0 °C, then the mixture was allowed to warm to room temperature and stirred for 12 h, after which the mixture was filtered and the solvent was removed in vacuo. The residue was purified with flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v), to afford the product **5** (49.6 mg, 72%, 85% ee).

Procedure for the Control Experiment

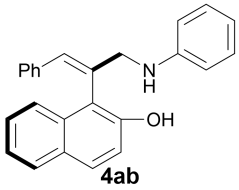


To a flame dried sealed tube equipped with a magnetic stirring bar were added chiral phosphoric acid **C1** (7.5 mg, 0.010 mmol), **1s** (28.8 mg, 0.10 mmol), Hantzsch ester **3b** (15.8 mg, 0.070 mmol), 1,4-dioxane (1.0 mL), 2-methyltetrahydrofuran (1.0 mL) and 3-methoxyaniline **2e** (7.4 mg, 0.060 mmol). The resulting mixture was stirred at 10 °C for 3 d, and directly charged onto silica gel. Product **4se** (13.8 mg, 35%, 5% ee) and recovered **1s** (16.7 mg, 58%, 0% ee) were isolated using petroleum ether/ethyl acetate (10:1 to 5:1) as eluent respectively.

Analytic Data for the Products

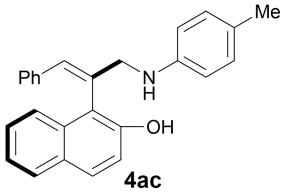


(*E*)-1-(3-((4-Methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4aa** was obtained as a yellowish oil in 80% yield (30.5 mg) and 81% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.94 min, t_r (minor) = 7.56 min]. $[\alpha]_D^{25}$ = −15.4 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78-7.70 (m, 2H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.33-7.24 (m, 2H), 7.20-7.15 (m, 1H), 7.07 (s, 1H), 7.01-6.94 (m, 3H), 6.93-6.88 (m, 2H), 6.78-6.73 (m, 2H), 6.72-6.67 (m, 2H), 4.16 (d, *J* = 14.4 Hz, 1H), 3.95 (d, *J* = 14.4 Hz, 1H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 153.6, 151.4, 141.0, 135.7, 133.7, 132.9, 131.7, 129.8, 129.3, 128.5, 128.5, 128.3, 127.7, 126.8, 124.0, 123.4, 118.8, 118.7, 116.7, 115.0, 55.7, 54.8; HRMS (ESI) calcd for $C_{26}H_{24}NO_2$ $(M+H)^+$ 382.1802, found 382.1806.



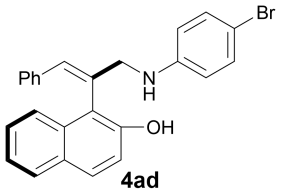
(*E*)-1-(1-Phenyl-3-(phenylamino)prop-1-en-2-yl)naphthalen-2-ol **4ab** was obtained as a yellowish oil in 78% yield (27.4 mg) and 87% ee. R_f = 0.30 (petroleum ether/ethyl acetate =

4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 11.35 min, t_r (minor) = 15.05 min]. $[\alpha]_D^{25}$ = −8.30 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88-7.66 (m, 3H), 7.45-7.29 (m, 2H), 7.28-7.11 (m, 5H), 7.08-6.89 (m, 4H), 6.85-6.65 (m, 3H), 4.25 (d, *J* = 15.6 Hz, 1H), 4.08 (d, *J* = 15.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.6, 147.2, 135.4, 133.3, 132.4, 131.9, 129.8, 129.5, 129.3, 128.5, 128.4, 128.3, 127.8, 126.9, 123.9, 123.5, 119.0, 118.2, 115.3, 114.2, 52.6; HRMS (ESI) calcd for $C_{25}H_{22}NO$ $(M+H)^+$ 352.1696, found 352.1690.



4ac

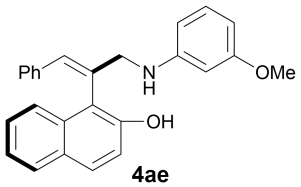
(*E*)-1-(1-Phenyl-3-(*p*-tolylamino)prop-1-en-2-yl)naphthalen-2-ol **4ac** was obtained as a yellowish oil in 71% yield (25.9 mg) and 86% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 11.64 min, t_r (minor) = 14.59 min]. $[\alpha]_D^{25}$ = −17.0 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.65 (m, 3H), 7.40-7.26 (m, 2H), 7.18 (d, *J* = 8.8 Hz, 1H), 7.14 (s, 1H), 7.06-6.88 (m, 7H), 6.68 (d, *J* = 7.6 Hz, 2H), 4.22 (d, *J* = 15.2 Hz, 1H), 4.03 (d, *J* = 14.8 Hz, 1H), 2.24 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.9, 144.8, 135.5, 133.5, 132.6, 131.7, 129.9, 129.8, 129.7, 129.2, 128.6, 128.4, 128.2, 127.7, 126.8, 123.9, 123.4, 118.4, 118.3, 115.4, 114.7, 53.4, 20.5; HRMS (ESI) calcd for $C_{26}H_{24}NO$ $(M+H)^+$ 366.1852, found 366.1855.



4ad

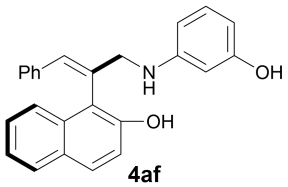
(*E*)-1-(3-((4-Bromophenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4ad** was obtained as a yellowish oil in 73% yield (31.3 mg) and 83% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 12.27 min, t_r (minor) = 14.86 min]. $[\alpha]_D^{25}$ = −12.0 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.72 (m, 2H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.45-7.30 (m, 2H), 7.29-7.19 (m, 3H), 7.18-7.10 (m, 2H), 7.08-6.98 (m, 2H), 6.97-6.88 (m, 2H), 6.62-6.49 (m, 2H), 4.18 (d, *J* = 15.6 Hz, 1H), 4.04 (d, *J* = 15.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.2, 146.5, 135.2, 133.1, 132.2, 132.1, 132.0, 131.9, 129.9, 129.4, 128.6, 128.4, 128.4, 128.0, 127.1, 123.8,

123.7, 118.0, 117.9, 116.8, 115.3, 110.2, 52.0; HRMS (ESI) calcd for $C_{25}H_{21}BrNO$ $(M+H)^+$ 430.0801, found 430.0779.



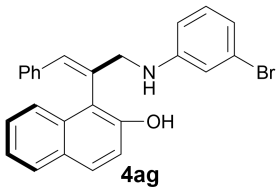
4ae

(*E*)-1-(3-((3-Methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4ae** was obtained as a yellowish oil in 84% yield (32.0 mg) and 87% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 10.86 min, t_r (minor) = 15.81 min]. $[\alpha]_D^{25}$ = −14.2 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82-7.66 (m, 3H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 7.6 Hz, 1H), 7.18-7.11 (m, 2H), 7.08 (t, *J* = 8.0 Hz, 1H), 7.04-6.96 (m, 3H), 6.96-6.89 (m, 2H), 6.35-6.23 (m, 3H), 4.19 (d, *J* = 15.6 Hz, 1H), 4.05 (d, *J* = 15.6 Hz, 1H), 3.71 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 150.5, 149.0, 135.5, 133.0, 132.5, 131.9, 130.3, 129.8, 129.3, 128.6, 128.4, 128.4, 127.8, 127.0, 123.9, 123.6, 118.2, 106.8, 104.0, 99.8, 55.1, 52.2; HRMS (ESI) calcd for $C_{26}H_{24}NO_2$ $(M+H)^+$ 382.1802, found 382.1775.



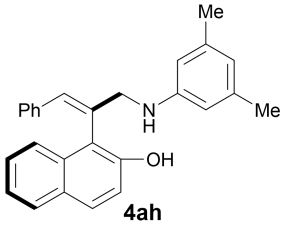
4af

(*E*)-1-(3-((3-Hydroxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4af** was obtained as a yellowish oil in 72% yield (26.4 mg) and 84% ee. R_f = 0.25 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 9.24 min, t_r (minor) = 14.44 min]. $[\alpha]_D^{25}$ = −13.0 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.81 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.43-7.30 (m, 2H), 7.25 (s, 1H), 7.20-7.10 (m, 2H), 7.07-6.90 (m, 5H), 6.35-6.15 (m, 3H), 4.20 (d, *J* = 15.6 Hz, 1H), 4.04 (d, *J* = 15.2 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 156.8, 150.3, 148.8, 135.3, 133.2, 132.1, 131.8, 130.5, 129.8, 129.3, 128.5, 128.4, 128.3, 127.8, 127.0, 123.8, 123.6, 118.1, 118.0, 106.7, 105.9, 100.9, 52.2; HRMS (ESI) calcd for $C_{25}H_{22}NO_2$ $(M+H)^+$ 368.1645, found 368.1629.



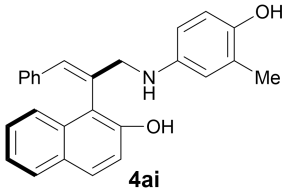
4ag

(*E*)-1-(3-((3-Bromophenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4ag** was obtained as a yellowish oil in 83% yield (35.6 mg) and 86% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 10.21 min, t_r (minor) = 12.76 min]. $[\alpha]_D^{25}$ = −11.4 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 (d, *J* = 8.0 Hz, 1H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.20-7.12 (m, 2H), 7.10-6.92 (m, 6H), 6.90-6.79 (m, 2H), 6.64-6.54 (m, 1H), 4.19 (d, *J* = 15.6 Hz, 1H), 4.07 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.1, 148.8. 135.2, 133.0, 131.9, 131.9, 130.7, 129.9, 129.4, 128.6, 128.4, 127.9, 127.1, 123.8, 123.7, 123.4, 121.2, 117.9, 117.8, 116.3, 112.2, 51.6; HRMS (ESI) calcd for $C_{25}H_{21}BrNO$ $(M+H)^+$ 430.0801, found 430.0769.



4ah

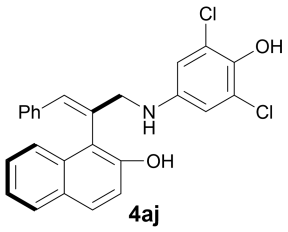
(*E*)-1-(3-((3,5-Dimethylphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4ah** was obtained as a yellowish oil in 76% yield (28.8 mg) and 85% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 8.33 min, t_r (minor) = 9.53 min]. $[\alpha]_D^{25}$ = −11.6 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88-7.67 (m, 3H), 7.42-7.28 (m, 2H), 7.21-7.12 (m, 2H), 7.10-6.86 (m, 5H), 6.45 (s, 1H), 6.38 (s, 2H), 4.20 (d, *J* = 14.8 Hz, 1H), 4.04 (d, *J* = 14.8 Hz, 1H), 2.23 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.7, 147.3, 139.1, 135.5, 133.1, 132.7, 131.8, 129.7, 129.3, 128.4, 128.4, 128.3, 127.7, 126.8, 123.9, 123.5, 121.1, 118.3, 118.3, 112.3, 52.7, 21.5; HRMS (ESI) calcd for $C_{27}H_{26}NO$ $(M+H)^+$ 380.2009, found 380.2010.



4ai

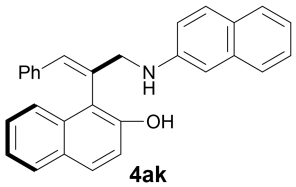
(*E*)-1-(3-((4-Hydroxy-3-methylphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4ai**

was obtained as a yellowish oil in 65% yield (24.8 mg) and 81% ee. R_f = 0.25 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AS-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (minor) = 8.28 min, t_r (major) = 15.21 min]. $[\alpha]_D^{25}$ = +20.1 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.74 (t, *J* = 8.8 Hz, 2H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.32-7.15 (m, 3H), 7.07 (s, 1H), 7.03-6.80 (m, 5H), 6.63-6.52 (m, 2H), 6.52-6.43 (m, 1H), 4.15 (d, *J* = 14.0 Hz, 1H), 3.94 (d, *J* = 15.6 Hz, 1H), 2.13 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 151.5, 148.2, 140.3, 135.7, 134.0, 132.8, 131.6, 129.7, 129.2, 128.5, 128.4, 128.2, 127.7, 126.7, 125.2, 124.0, 123.4, 119.1, 118.9, 118.8, 115.9, 114.5, 55.3, 16.1; HRMS (ESI) calcd for $C_{26}H_{23}NNaO_2$ $(M+Na)^+$ 404.1621, found 404.1626.



4aj

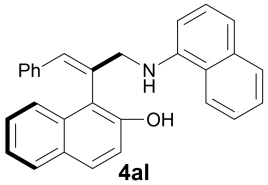
(*E*)-1-(3-((3,5-Dichloro-4-hydroxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4aj** was obtained as a yellowish oil in 62% yield (27.0 mg) and 83% ee. R_f = 0.25 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.13 min, t_r (minor) = 8.13 min]. $[\alpha]_D^{25}$ = +20.6 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84-7.72 (m, 2H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.19-7.09 (m, 2H), 7.08-6.98 (m, 3H), 6.98-6.91 (m, 2H), 6.60 (s, 2H), 4.12 (d, *J* = 15.2 Hz, 1H), 4.02 (d, *J* = 15.2 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.2, 141.6, 140.4, 135.2, 133.1, 131.9, 131.8, 129.9, 129.4, 128.6, 128.4, 128.0, 127.1, 123.8, 121.7, 118.0, 117.8, 115.2, 113.7, 52.4; HRMS (ESI) calcd for $C_{25}H_{19}Cl_2NNaO_2$ $(M+Na)^+$ 458.0685, found 458.0696.



4ak

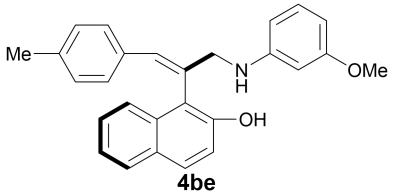
(*E*)-1-(3-(Naphthalen-2-ylamino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4ak** was obtained as a yellowish oil in 79% yield (31.7 mg) and 85% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (05:95), 1.0 mL/min, λ = 254 nm, t_r (major) = 9.31 min, t_r (minor) = 10.09 min]. $[\alpha]_D^{25}$ = −8.20 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ

7.86-7.72 (m, 3H), 7.71-7.54 (m, 4H), 7.46-7.31 (m, 3H), 7.22-7.12 (m, 2H), 7.07-6.83 (m, 7H), 4.32 (d, *J* = 15.6 Hz, 1H), 4.15 (d, *J* = 15.2 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.5, 145.1, 135.4, 135.0, 133.2, 132.1, 132.0, 129.8, 129.4, 129.3, 128.6, 128.4, 128.4, 128.0, 127.9, 127.7, 127.1, 126.5, 126.4, 126.2, 125.9, 123.9, 123.7, 122.6, 118.2, 118.1, 118.1, 106.0, 52.0; HRMS (ESI) calcd for $C_{29}H_{24}NO$ $(M+H)^+$ 402.1852, found 402.1830.



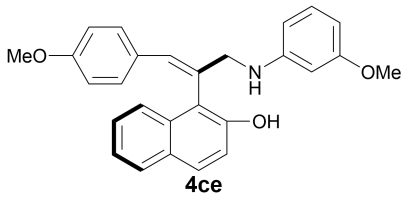
4al

(*E*)-1-(3-(Naphthalen-1-ylamino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4al** was obtained as a yellowish oil in 64% yield (25.7 mg) and 66% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (07:93), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.73 min, t_r (minor) = 6.22 min]. $[\alpha]_D^{25}$ = −9.63 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.89-7.68 (m, 6H), 7.47-7.39 (m, 3H), 7.38-7.25 (m, 4H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.08-6.94 (m, 4H), 6.82-6.75 (m, 1H), 4.40 (d, *J* = 14.8 Hz, 1H), 4.28 (d, *J* = 14.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.5, 142.5, 135.4, 134.4, 133.5, 131.9, 131.9, 129.9, 129.4, 128.8, 128.6, 128.5, 128.4, 127.9, 127.1, 126.5, 125.9, 125.2, 123.9, 123.9, 123.7, 119.9, 118.9, 118.1, 118.1, 105.9, 52.4; HRMS (ESI) calcd for $C_{29}H_{24}NO$ $(M+H)^+$ 402.1852, found 402.1848.



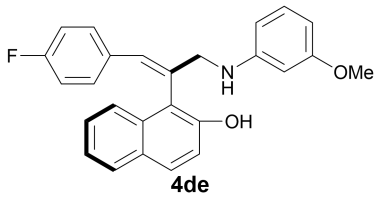
4be

(*E*)-1-(3-((3-Methoxyphenyl)amino)-1-(*p*-tolyl)prop-1-en-2-yl)naphthalen-2-ol **4be** was obtained as a yellowish oil in 73% yield (28.9 mg) and 89% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.40 min, t_r (minor) = 11.14 min]. $[\alpha]_D^{25}$ = −14.8 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.66 (m, 3H), 7.44-7.29 (m, 2H), 7.21-7.05 (m, 3H), 6.83 (s, 4H), 6.33 (d, *J* = 8.0 Hz, 2H), 6.28 (s, 1H), 4.22 (d, *J* = 15.6 Hz, 1H), 4.07 (d, *J* = 15.6 Hz, 1H), 3.73 (s, 3H), 2.16 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 150.5, 149.0, 137.7, 132.9, 131.3, 130.2, 129.7, 129.1, 128.5, 128.4, 127.0, 123.9, 123.6, 118.3, 118.1, 108.1, 106.8, 104.1, 104.0, 101.2, 99.7, 55.1, 52.2, 21.1; HRMS (ESI) calcd for $C_{27}H_{26}NO_2$ $(M+H)^+$ 396.1958, found 396.1929.



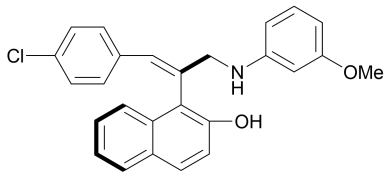
4ce

(*E*)-1-(1-(4-Methoxyphenyl)-3-((3-methoxyphenyl)amino)prop-1-en-2-yl)naphthalen-2-ol **4ce** was obtained as a yellowish oil in 84% yield (34.5 mg) and 86% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 9.45 min, t_r (minor) = 16.12 min]. $[\alpha]_D^{25}$ = −18.5 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80 (d, *J* = 8.0 Hz, 1H), 7.77-7.67 (m, 2H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.32 (t, *J* = 7.6 Hz, 1H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.12-7.03 (m, 2H), 6.86 (d, *J* = 8.4 Hz, 2H), 6.53 (d, *J* = 8.4 Hz, 2H), 6.31 (d, *J* = 8.4 Hz, 2H), 6.26 (s, 1H), 4.18 (d, *J* = 15.2 Hz, 1H), 4.04 (d, *J* = 15.2 Hz, 1H), 3.72 (s, 3H), 3.62 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 159.1, 150.6, 149.0, 132.6, 132.0, 130.2, 129.8, 129.8, 129.7, 129.4, 128.5, 128.2, 127.0, 123.9, 123.6, 118.3, 118.1, 113.8, 106.8, 104.0, 99.8, 55.1, 55.1, 52.3; HRMS (ESI) calcd for $C_{27}H_{26}NO_3$ $(M+H)^+$ 412.1907, found 412.1897.



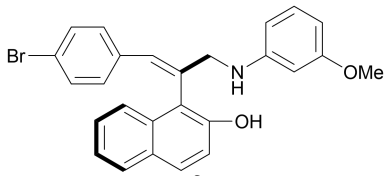
4de

(*E*)-1-(1-(4-Fluorophenyl)-3-((3-methoxyphenyl)amino)prop-1-en-2-yl)naphthalen-2-ol **4de** was obtained as a yellowish oil in 69% yield (27.5 mg) and 88% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.84 min, t_r (minor) = 8.74 min]. $[\alpha]_D^{25}$ = +8.50 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.72 (m, 2H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.43-7.29 (m, 2H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.14-7.02 (m, 2H), 6.95-6.82 (m, 2H), 6.68 (t, *J* = 8.8 Hz, 2H), 6.39-6.26 (m, 3H), 4.21 (d, *J* = 15.6 Hz, 1H), 4.07 (d, *J* = 15.6 Hz, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 162.0 (d, $^1J_{C\text{-}F}$ = 246.8 Hz), 160.9, 150.6, 148.6, 132.1, 132.0, 131.9, 131.7, 131.6 (d, $^4J_{C\text{-}F}$ = 3.3 Hz), 130.2, 130.1 (d, $^3J_{C\text{-}F}$ = 8.0 Hz), 129.9, 129.3, 128.6, 127.0, 123.7, 123.6, 118.1, 117.7, 115.2 (d, $^2J_{C\text{-}F}$ = 21.2 Hz), 107.0, 104.2, 100.0, 55.1, 52.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -113.36; HRMS (ESI) calcd for $C_{26}H_{23}FNO_2$ $(M+H)^+$ 400.1707, found 400.1705.



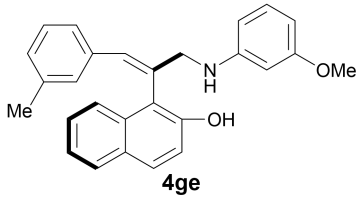
4ee

(*E*)-1-(1-(4-Chlorophenyl)-3-((3-methoxyphenyl)amino)prop-1-en-2-yl)naphthalen-2-ol **4ee** was obtained as a yellowish oil in 70% yield (29.1 mg) and 88% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.41 min, t_r (minor) = 9.13 min]. $[\alpha]_D^{25}$ = −13.8 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.72 (m, 2H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 8.8 Hz, 1H), 7.13-7.05 (m, 2H), 6.96 (d, *J* = 8.0 Hz, 2H), 6.85 (d, *J* = 8.0 Hz, 2H), 6.39-6.30 (m, 2H), 6.28 (s, 1H), 4.20 (d, *J* = 15.6 Hz, 1H), 4.07 (d, *J* = 15.6 Hz, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 150.6, 148.9, 134.1, 133.5, 131.4, 130.3, 129.9, 129.6, 128.6, 128.5, 127.1, 123.7, 123.7, 118.2, 117.8, 108.1, 106.9, 104.2, 104.1, 101.3, 99.8, 55.1, 52.1; HRMS (ESI) calcd for $C_{26}H_{23}ClNO_2$ $(M+H)^+$ 416.1412, found 416.1399.



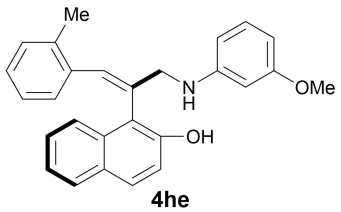
4fe

(*E*)-1-(1-(4-Bromophenyl)-3-((3-methoxyphenyl)amino)prop-1-en-2-yl)naphthalen-2-ol **4fe** was obtained as a yellowish oil in 78% yield (35.8 mg) and 88% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.83 min, t_r (minor) = 9.32 min]. $[\alpha]_D^{25}$ = −12.2 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84-7.71 (m, 2H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.43-7.27 (m, 2H), 7.19-7.00 (m, 5H), 6.77 (d, *J* = 8.0 Hz, 2H), 6.39-6.24 (m, 3H), 4.17 (d, *J* = 15.6 Hz, 1H), 4.04 (d, *J* = 15.6 Hz, 1H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 150.5, 148.9, 134.5, 133.7, 131.7, 131.4, 131.4, 130.3, 130.0, 129.9, 129.3, 128.6, 127.1, 123.7, 123.7, 121.7, 118.2, 117.8, 106.9, 104.1, 99.8, 55.1, 52.1; HRMS (ESI) calcd for $C_{26}H_{23}BrNO_2$ $(M+H)^+$ 460.0907, found 460.0881.



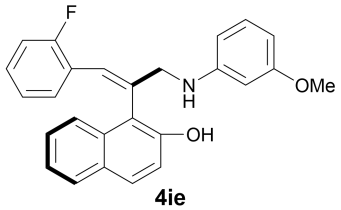
4ge

(*E*)-1-(3-((3-Methoxyphenyl)amino)-1-(*m*-tolyl)prop-1-en-2-yl)naphthalen-2-ol **4ge** was obtained as a yellowish oil in 65% yield (25.7 mg) and 87% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.84 min, t_r (minor) = 8.05 min]. $[\alpha]_D^{25}$ = −7.50 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.81 (d, *J* = 7.6 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.43-7.37 (m, 1H), 7.36-7.30 (m, 1H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.14 (s, 1H), 7.10 (t, *J* = 8.4 Hz, 1H), 6.90-6.83 (m, 2H), 6.81 (s, 1H), 6.73-6.64 (m, 1H), 6.37-6.31 (m, 2H), 6.30-6.24 (m, 1H), 4.23 (d, *J* = 16.8 Hz, 1H), 4.08 (d, *J* = 16.8 Hz, 1H), 3.74 (s, 3H), 2.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 150.5, 148.9, 137.7, 135.3, 133.3, 132.1, 131.9, 130.2, 129.7, 129.3, 128.6, 128.5, 128.2, 126.9, 125.0, 123.9, 123.5, 118.2, 118.1, 106.8, 104.0, 99.8, 55.1, 52.2, 21.3; HRMS (ESI) calcd for $C_{27}H_{26}NO_2$ $(M+H)^+$ 396.1958, found 396.1960.



4he

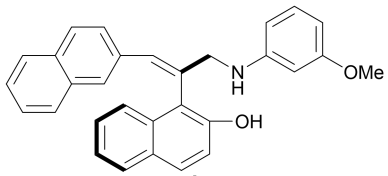
(*E*)-1-(3-((3-Methoxyphenyl)amino)-1-(*o*-tolyl)prop-1-en-2-yl)naphthalen-2-ol **4he** was obtained as a yellowish oil in 80% yield (31.6 mg) and 84% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.94 min, t_r (minor) = 11.69 min]. $[\alpha]_D^{25}$ = +20.1 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.74 (t, *J* = 9.6 Hz, 2H), 7.67 (d, *J* = 8.8 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.35-7.27 (m, 2H), 7.15-7.00 (m, 3H), 6.92 (t, *J* = 7.6 Hz, 1H), 6.71 (d, *J* = 7.6 Hz, 1H), 6.62 (t, *J* = 7.6 Hz, 1H), 6.40-6.25 (m, 3H), 4.29 (d, *J* = 15.6 Hz, 1H), 4.11 (d, *J* = 15.6 Hz, 1H), 3.74 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 161.0, 150.8, 148.8, 136.0, 134.5, 132.8, 132.4, 132.2, 130.2, 129.8, 129.5, 129.1, 128.5, 127.7, 127.6, 126.8, 125.6, 123.9, 123.3, 117.9, 117.8, 107.1, 104.1, 100.1, 55.1, 51.8, 20.0; HRMS (ESI) calcd for $C_{27}H_{26}NO_2$ $(M+H)^+$ 396.1958, found 396.1936.



4ie

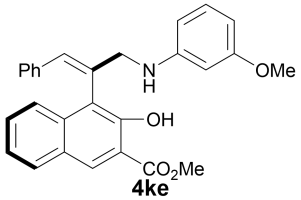
(*E*)-1-(1-(2-Fluorophenyl)-3-((3-methoxyphenyl)amino)prop-1-en-2-yl)naphthalen-2-ol **4ie**

was obtained as a yellowish oil in 88% yield (35.1 mg) and 89% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.08 min, t_r (minor) = 9.32 min]. $[\alpha]_D^{25}$ = +36.6 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80-7.75 (m, 1H), 7.75-7.66 (m, 2H), 7.43-7.35 (m, 2H), 7.34-7.27 (m, 1H), 7.14 (d, *J* = 8.8 Hz, 1H), 7.10 (t, *J* = 8.0 Hz, 1H), 7.04-6.96 (m, 1H), 6.95-6.87 (m, 1H), 6.70-6.62 (m, 1H), 6.59-6.50 (m, 1H), 6.38-6.32 (m, 2H), 6.31-6.28 (m, 1H), 4.27 (dd, *J* = 15.2, 1.2 Hz, 1H), 4.11 (dd, *J* = 15.2, 1.6 Hz, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 160.3 (d, $^1J_{C\text{-}F}$ = 246.7 Hz), 150.9, 148.7, 134.8, 131.8, 130.3, 129.9, 129.3 (d, $^3J_{C\text{-}F}$ = 8.4 Hz), 129.2, 128.5, 128.5, 127.0, 125.3 (d, $^3J_{C\text{-}F}$ = 5.8 Hz), 123.8 (d, $^4J_{C\text{-}F}$ = 3.5 Hz), 123.6 (d, $^2J_{C\text{-}F}$ = 16.9 Hz), 123.5, 123.4, 118.2, 117.7, 115.1 (d, $^2J_{C\text{-}F}$ = 22.1 Hz), 107.1, 104.6, 100.0, 55.1, 52.5; ^{19}F NMR (376 MHz, $CDCl_3$) δ -116.74; HRMS (ESI) calcd for $C_{26}H_{23}FNO_2$ $(M+H)^+$ 400.1707, found 400.1710.



4je

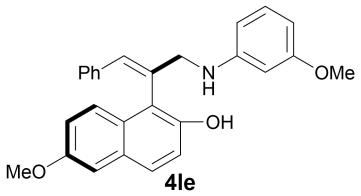
(*E*)-1-(3-((3-Methoxyphenyl)amino)-1-(naphthalen-2-yl)prop-1-en-2-yl)naphthalen-2-ol **4je** was obtained as a yellowish oil in 91% yield (39.2 mg) and 90% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.40 min, t_r (minor) = 5.80 min]. $[\alpha]_D^{25}$ = −17.7 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84-7.71 (m, 3H), 7.62-7.55 (m, 1H), 7.54-7.46 (m, 2H), 7.40-7.27 (m, 6H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.10 (t, *J* = 8.0 Hz, 1H), 6.95 (d, *J* = 8.4 Hz, 1H), 6.39-6.29 (m, 3H), 4.25 (d, *J* = 15.6 Hz, 1H), 4.11 (d, *J* = 15.2 Hz, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 150.7, 149.0, 133.2, 133.0, 132.9, 130.3, 129.8, 128.6, 128.1, 127.9, 127.4, 127.1, 126.1, 126.0, 125.5, 123.9, 123.6, 118.3, 118.2, 108.1, 106.9, 104.1, 104.1, 101.2, 99.8, 55.1, 52.3; HRMS (ESI) calcd for $C_{30}H_{26}NO_2$ $(M+H)^+$ 432.1958, found 432.1938.



4ke

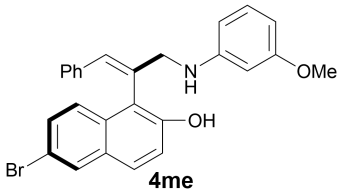
Methyl (*E*)-3-hydroxy-4-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)-2-naphthoate **4ke** was obtained as a yellowish oil in 48% yield (21.1 mg) and 30% ee. R_f = 0.15 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC

analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 11.54 min, t_r (minor) = 13.21 min]. $[\alpha]_D^{25}$ = −2.67 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.51 (s, 1H), 7.80 (d, *J* = 8.4 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.12-6.85 (m, 7H), 6.40-6.30 (m, 2H), 6.29-6.19 (m, 1H), 4.21 (d, *J* = 16.0 Hz, 1H), 4.08 (d, *J* = 16.0 Hz, 1H), 4.04 (s, 3H), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 169.5, 159.8, 152.1, 148.5, 135.4, 134.3, 131.9, 131.3, 128.8, 128.7, 128.5, 127.2, 127.0, 126.1, 125.8, 123.1, 123.0, 120.1, 112.8, 105.0, 101.9, 97.5, 54.0, 51.7, 49.9; HRMS (ESI) calcd for $C_{28}H_{24}NO_4$ $(M-H)^-$ 438.1711, found 438.1710.



4le

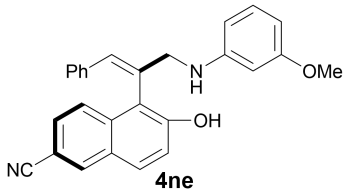
(*E*)-6-Methoxy-1-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4le** was obtained as a yellowish oil in 83% yield (34.1 mg) and 87% ee. R_f = 0.25 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.96 min, t_r (minor) = 9.64 min]. $[\alpha]_D^{25}$ = −17.8 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.74-7.61 (m, 2H), 7.16 (s, 1H), 7.13-6.93 (m, 9H), 6.41-6.29 (m, 3H), 4.21 (d, *J* = 16.0 Hz, 1H), 4.09 (dd, *J* = 15.6, 1.6 Hz, 1H), 3.74 (s, 3H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 158.7, 151.0, 148.7, 135.5, 133.3, 133.0, 132.5, 130.2, 130.0, 129.5, 128.3, 128.3, 127.8, 124.6, 117.3, 115.8, 115.4, 107.0, 103.9, 102.9, 100.0, 55.2, 55.1, 51.9; HRMS (ESI) calcd for $C_{27}H_{24}NO_3$ $(M-H)^-$ 410.1762, found 410.1761.



4me

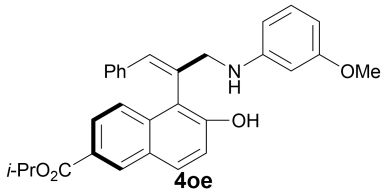
(*E*)-6-Bromo-1-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4me** was obtained as a yellowish oil in 69% yield (31.7 mg) and 80% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.74 min, t_r (minor) = 10.26 min]. $[\alpha]_D^{25}$ = −15.3 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.93 (s, 1H), 7.65 (d, *J* = 8.8 Hz, 1H), 7.56 (d, *J* = 9.2 Hz, 1H), 7.41 (d, *J* = 9.2 Hz, 1H), 7.22-7.14 (m, 2H), 7.13-6.96 (m, 4H), 6.94-6.85 (m, 2H), 6.43-6.25 (m, 3H), 4.21 (d, *J* = 15.2 Hz, 1H), 4.05 (d, *J* = 15.2 Hz, 1H), 3.74 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 151.2, 148.3, 135.2, 133.9, 131.6, 130.4, 130.3, 130.3, 130.1, 128.8, 128.4,

128.4, 128.0, 125.7, 119.4, 118.5, 117.3, 107.3, 104.6, 100.4, 55.2, 52.8; HRMS (ESI) calcd for $C_{26}H_{21}BrNO_2$ $(M-H)^-$ 458.0761, found 458.0760.



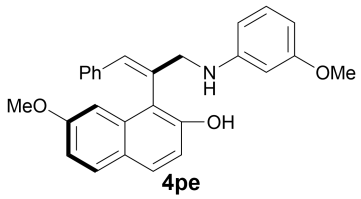
4ne

(*E*)-6-Hydroxy-5-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)-2-naphthonitrile **4ne** was obtained as a yellowish oil in 80% yield (32.5 mg) and 85% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.33 min, t_r (minor) = 10.31 min]. $[\alpha]_D^{25}$ = −12.6 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, DMSO-*d*$_6$): δ 10.58 (s, 1H), 8.43 (s, 1H), 7.96 (d, *J* = 9.2 Hz, 1H), 7.68 (d, *J* = 8.8 Hz, 1H), 7.60-7.36 (m, 2H), 7.06-6.76 (m, 6H), 6.50-6.33 (m, 2H), 6.32-6.20 (m, 1H), 6.14 (d, *J* = 8.0 Hz, 1H), 4.04 (dd, *J* = 18.0, 6.0 Hz, 1H), 3.86 (dd, *J* = 17.6, 6.0 Hz, 1H), 3.69 (s, 3H); ^{13}C NMR (100 MHz, DMSO-*d*$_6$): δ 160.9, 155.8, 150.7, 137.0, 135.1, 135.0, 134.1, 130.4, 129.9, 128.5, 128.2, 127.4, 127.2, 127.1, 126.8, 125.1, 120.4, 120.0, 119.7, 106.1, 105.2, 102.0, 98.1, 55.1, 49.4; HRMS (ESI) calcd for $C_{27}H_{23}N_2O_2$ $(M+H)^+$ 407.1754, found 407.1763.



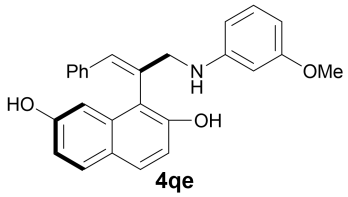
4oe

Isopropyl(*E*)-6-hydroxy-5-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)-2-naphthate **4oe** was obtained as a yellowish oil in 78% yield (36.4 mg) and 83% ee. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.52 min, t_r (minor) = 8.07 min]. $[\alpha]_D^{25}$ = −10.4 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.53 (s, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.8 Hz, 1H), 7.72 (d, *J* = 8.8 Hz, 1H), 7.23 (d, *J* = 8.8 Hz, 1H), 7.16 (s, 1H), 7.10 (t, *J* = 8.0 Hz, 1H), 7.06-6.95 (m, 3H), 6.94-6.84 (m, 2H), 6.40-6.23 (m, 3H), 5.34-5.23 (m, 1H), 4.21 (d, *J* = 15.6 Hz, 1H), 4.07 (d, *J* = 15.2 Hz, 1H), 3.73 (s, 3H), 1.39 (d, *J* = 6.0 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.4, 160.9, 153.0, 148.7, 135.3, 134.3, 133.5, 131.9, 131.4, 131.2, 130.2, 128.3, 128.3, 128.2, 127.8, 126.4, 125.8, 123.9, 119.1, 118.5, 107.0, 104.3, 100.1, 68.4, 55.1, 52.4, 22.0; HRMS (ESI) calcd for $C_{30}H_{28}NO_4$ $(M-H)^-$ 466.2024, found 466.2023.



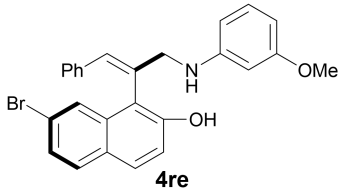
4pe

(*E*)-7-Methoxy-1-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4pe** was obtained as a yellowish oil in 90% yield (37.0 mg) and 86% ee. R_f = 0.25 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.11 min, t_r (minor) = 7.05 min]. $[\alpha]_D^{25}$ = −61.6 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72-7.61 (m, 2H), 7.14 (s, 1H), 7.08 (t, *J* = 8.0 Hz, 1H), 7.05-6.92 (m, 8H), 6.37-6.26 (m, 3H), 4.19 (d, *J* = 16.0 Hz, 1H), 4.07 (d, *J* = 16.0 Hz, 1H), 3.73 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 158.6, 151.0, 148.9, 135.5, 133.3, 132.7, 132.6, 130.2, 130.0, 129.4, 128.3, 128.3, 127.7, 124.5, 117.3, 115.8, 115.4, 106.8, 103.6, 102.8, 99.8, 55.2, 55.1, 51.7; HRMS (ESI) calcd for $C_{27}H_{26}NO_3$ $(M+H)^+$ 412.1907, found 412.1901.



4qe

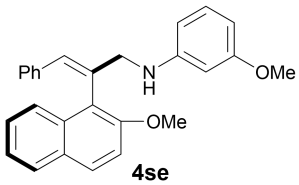
(*E*)-1-(3-((3-Methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalene-2,7-diol **4qe** was obtained as a yellowish oil in 88% yield (35.0 mg) and 82% ee. R_f = 0.20 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (15:85), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.45 min, t_r (minor) = 7.58 min]. $[\alpha]_D^{25}$ = −11.5 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70-7.57 (m, 2H), 7.09 (t, *J* = 8.0 Hz, 1H), 7.06-6.95 (m, 6H), 6.95-6.88 (m, 3H), 6.37-6.24 (m, 3H), 4.08 (d, *J* = 15.6 Hz, 1H), 3.98 (d, *J* = 15.6 Hz, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.7, 154.8, 150.7, 148.8, 135.3, 133.4, 132.6, 132.2, 130.4, 130.2, 129.6, 128.3, 127.7, 124.5, 116.7, 115.4, 107.3, 106.2, 103.5, 99.9, 55.2, 51.5; HRMS (ESI) calcd for $C_{26}H_{24}NO_3$ $(M+H)^+$ 398.1751, found 398.1737.



4re

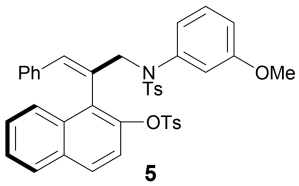
(*E*)-7-Bromo-1-(3-((3-methoxyphenyl)amino)-1-phenylprop-1-en-2-yl)naphthalen-2-ol **4re** was obtained as a yellowish oil in 80% yield (36.7 mg) and 86% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 4:1). The ee value was determined by chiral stationary phase HPLC

analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.92 min, t_r (minor) = 8.11 min]. $[\alpha]_D^{25}$ = −16.8 (c = 1.00, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.83 (s, 1H), 7.73-7.55 (m, 2H), 7.37 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.20-6.97 (m, 6H), 6.96-6.83 (m, 2H), 6.39-6.24 (m, 3H), 4.19 (d, *J* = 15.2 Hz, 1H), 4.03 (d, *J* = 15.2 Hz, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 151.7, 148.7, 135.2, 133.8, 133.2, 131.7, 130.3, 130.1, 129.7, 128.4, 128.4, 128.0, 127.7, 126.9, 126.0, 121.5, 118.7, 117.6, 107.0, 104.3, 100.1, 55.2, 52.4; HRMS (ESI) calcd for $C_{26}H_{23}BrNO_2$ $(M+H)^+$ 460.0907, found 460.0906.



4se

(*E*)-3-Methoxy-*N*-(2-(2-methoxynaphthalen-1-yl)-3-phenylallyl)aniline **4se** was obtained as a yellowish oil in 35% yield (13.8 mg) and 5% ee. R_f = 0.70 (petroleum ether/ethyl acetate = 7:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (minor) = 11.60 min, t_r (major) = 12.78 min]. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 9.2 Hz, 1H), 7.82-7.72 (m, 2H), 7.37-7.27 (m, 3H), 7.07 (t, *J* = 8.0 Hz, 1H), 6.99 (s, 1H), 6.97-6.91 (m, 3H), 6.90-6.82 (m, 2H), 6.37-6.30 (m, 2H), 6.29-6.21 (m, 1H), 4.12 (d, *J* = 16.0 Hz, 1H), 4.05 (d, *J* = 16.0 Hz, 1H), 3.90 (s, 3H), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 160.9, 153.9, 149.7, 136.7, 133.9, 131.9, 129.8, 129.4, 129.3, 128.6, 128.3, 128.2, 128.0, 126.9, 126.7, 124.3, 123.9, 122.4, 113.6, 106.2, 102.5, 98.9, 56.6, 55.1, 51.3; HRMS (ESI) calcd for $C_{27}H_{26}NO_2$ $(M+H)^+$ 396.1958, found 396.1958.



5

(*E*)-1-(3-((*N*-(3-methoxyphenyl)-4-methylphenyl)sulfonamido)-1-phenylprop-1-en-2-yl)naphthalen-2-yl 4-methylbenzenesulfonate **5** was obtained as a white solid in 72% yield (49.6 mg) and 85% ee. R_f = 0.50 (petroleum ether/ethyl acetate = 5:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (30:70), 1.0 mL/min, λ = 254 nm, t_r (minor) = 16.25 min, t_r (major) = 23.11 min]. $[\alpha]_D^{25}$ = −20.1 (c = 1.00, EtOAc); m.p. 58-59 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.83-7.76 (m, 2H), 7.58 (d, *J* = 8.8 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.49-7.41 (m, 3H), 7.41-7.35 (m, 1H), 7.23-7.14 (m, 4H), 7.04 (s, 1H), 6.99 (d, *J* = 7.6 Hz, 2H), 6.95-6.89 (m, 1H), 6.86-6.78 (m, 3H), 6.70-6.65

(m, 1H), 6.61 (t, J = 2.4 Hz, 1H), 6.56-6.49 (m, 2H), 4.73 (dd, J = 17.2, 1.6 Hz, 1H), 4.42 (dd, J = 17.2, 1.6 Hz, 1H), 3.65 (s, 3H), 2.38 (s, 3H), 2.25 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 159.8, 145.1, 144.6, 143.6, 141.1, 135.4, 135.2, 132.9, 132.0, 131.7, 131.4, 129.9, 129.5, 129.5, 129.4, 129.1, 128.6, 128.2, 128.1, 127.9, 127.8, 127.3, 127.1, 126.3, 125.9, 121.0, 120.5, 114.4, 114.1, 56.4, 55.3, 21.7, 21.6; HRMS (ESI) calcd for $C_{40}H_{35}NNaO_6S_2$ $(M+Na)^+$ 712.1798, found 712.1796.

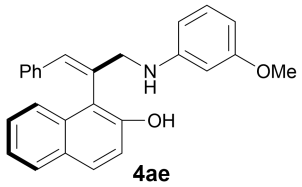
Investigation on the Enantiomerization Barrier of 4ae

The reaction was conducted at 1 mg/mL concentration in a sealed tube and heated at the specified temperature. The change in enantiomeric excess over time was determined by HPLC. The barrier to rotation for **4ae** was obtained by kinetic of racemization of an enantiomer.

This data was plotted as ($\ln[ee_0/ee_t]$) versus time (seconds). The gradient of this graph gives the racemization constant ($k_{racemization}$ = 2 × $k_{enantiomerization}$) at the specified temperature. The barrier to rotation, $\Delta G^{\neq}_{enantiomerization}$, was calculated using the following Eyring equation, R = Gas constant = 8.3145 J·K^{-1}·mol^{-1}, h = Planck constant = 6.62608 x 10^{-34} J·s, k_B = Boltzmann constant = 1.38066 x 10^{-23} J·K^{-1}, and T_1 = temperature racemization study was conducted at, in Kelvin.

$$\Delta G^{\neq}_{enantiomerization} = RT_1 \ln \frac{k_B T_1}{h k_{enantiomerization}}$$

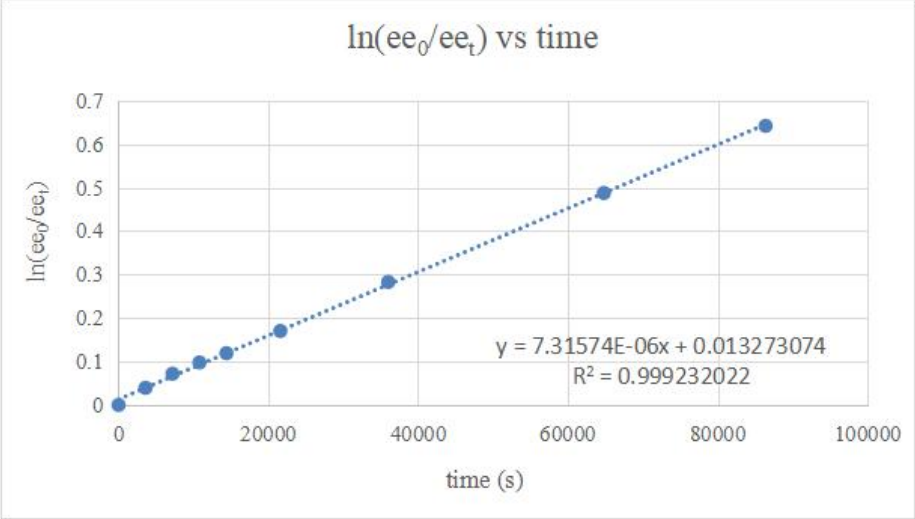
Racemization of **4ae** in *i*-PrOH at 60 °C



4ae

Table S4. Investigation on the enantiomerization barrier of 4ae

Time (seconds)	Enantiomeric Excess (ee)	First Order Racemization ($\ln[ee_0/ee_t]$)
0	86.78	0.00000
3600	83.42	0.03949
7200	80.76	0.07189
10800	78.70	0.09773
14400	77.04	0.11905
21600	73.20	0.17018
36000	65.40	0.28285
64800	53.30	0.48744
86400	45.68	0.64172



$k_{racemization}$ (60 °C) = 7.31574 × 10^{-6} s^{-1}

$k_{enantiomerization}$ (60 °C) = 3.65787 × 10^{-6} s^{-1}

$\Delta G^{\neq}_{enantiomerization}$ = 116.6 KJ/mol = 27.9 kcal/mol

References

1 (a) P. Wipf and J.-K. Jung, Formal Total Synthesis of (+)-Diepoxin σ, *J. Org. Chem.*, 2000, **65**, 6319; (b) H.-B. Yu, Q.-S. Hu and L. Pu, The First Optically Active BINOL−BINAP Copolymer Catalyst: Highly Stereoselective Tandem Asymmetric Reactions, *J. Am. Chem. Soc.*, 2000, **122**, 6500; (c) D. Uraguchi and M. Terada, Chiral Brønsted Acid-Catalyzed Direct Mannich Reactions via Electrophilic Activation, *J. Am. Chem. Soc.*, 2004, **126**, 5356.

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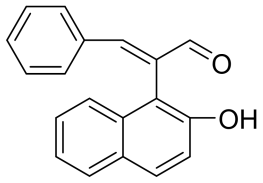
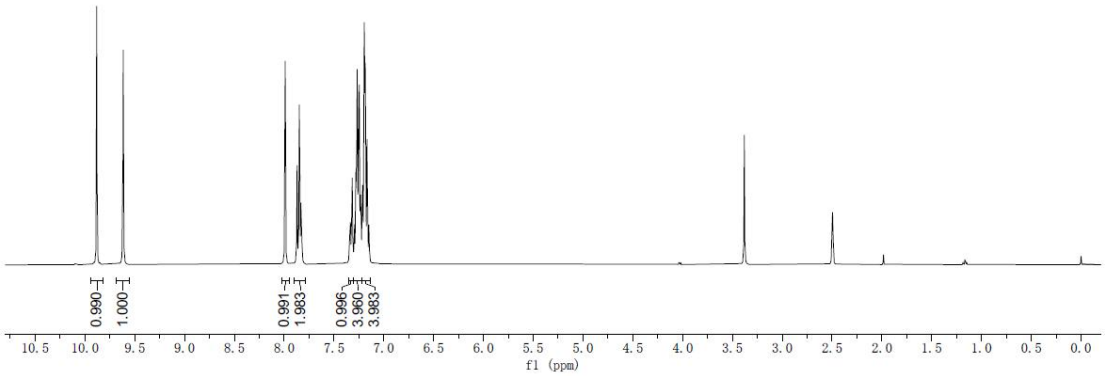
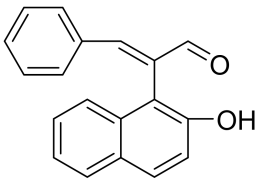
NMR Spectra of 1



1a

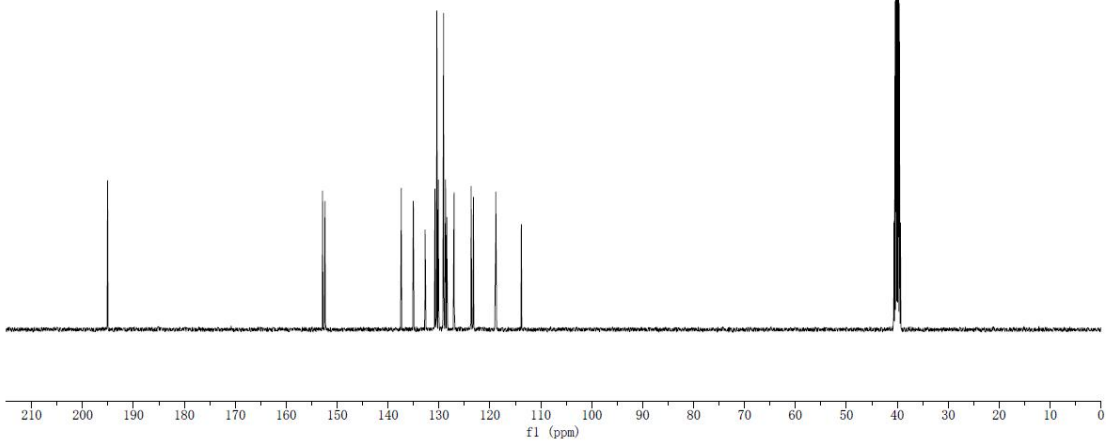
^{1}H NMR (400 MHz, DMSO-d_6)

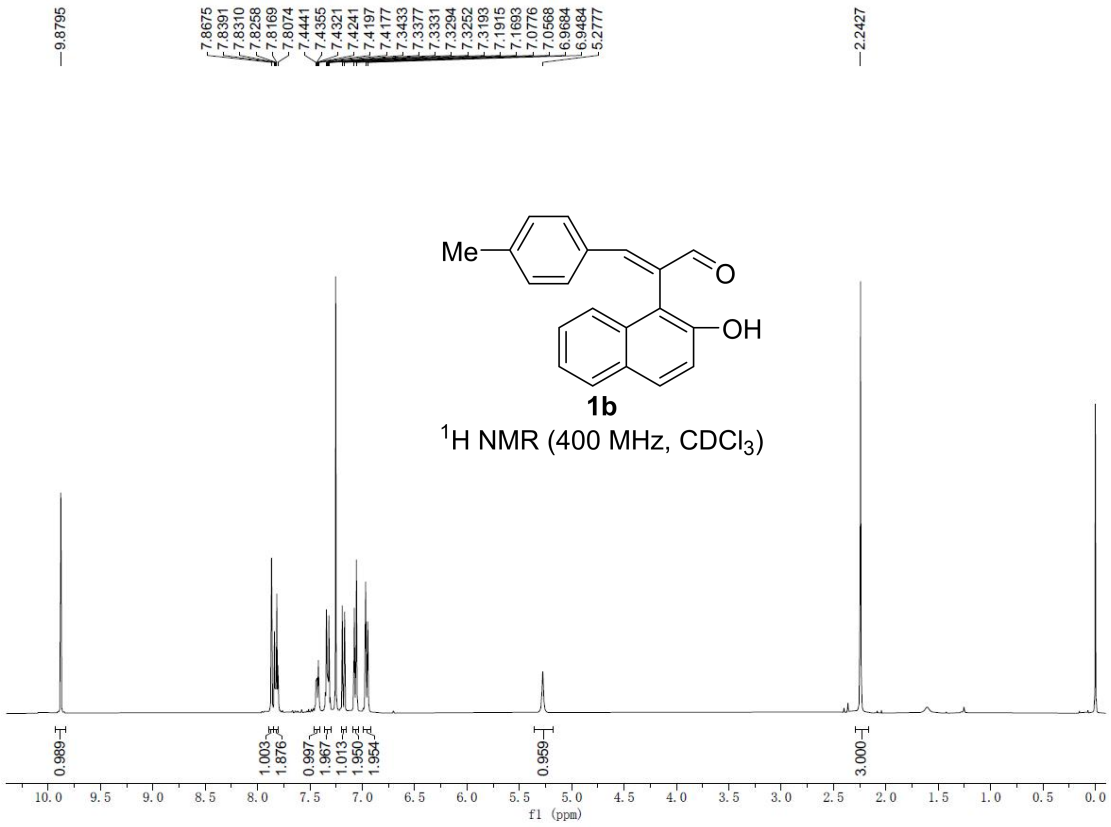




1a

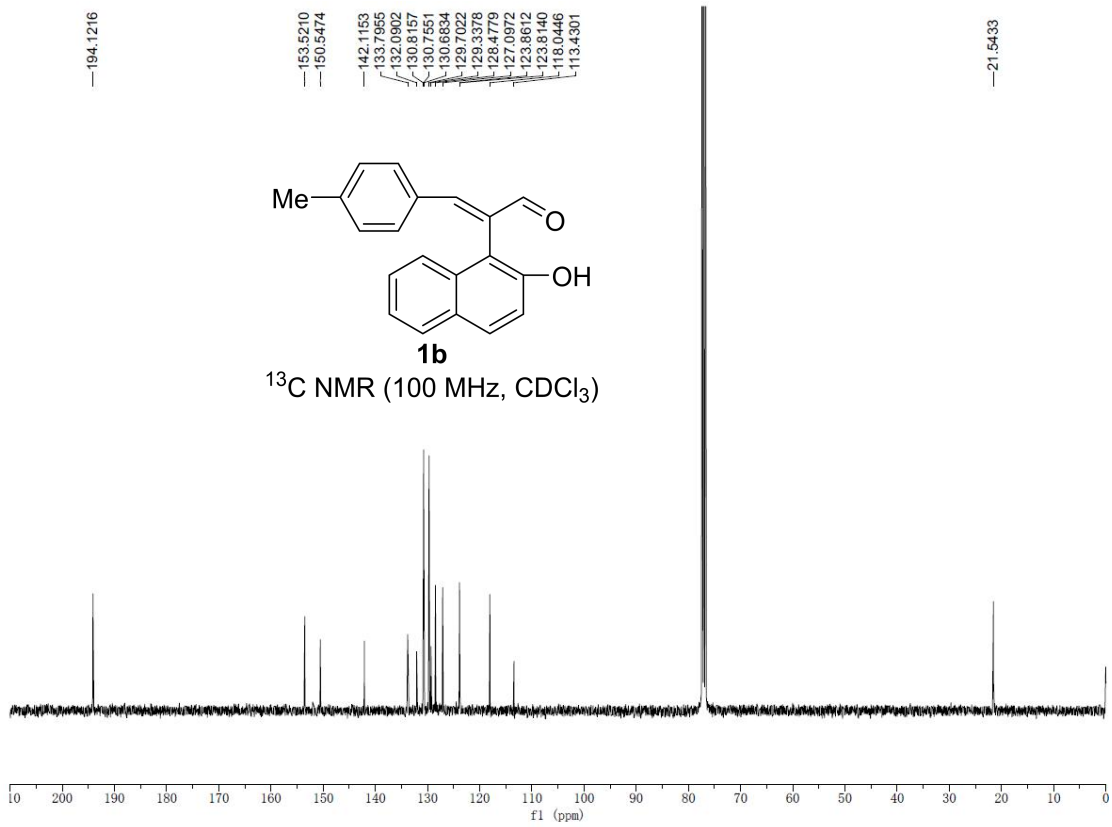
^{13}C NMR (100 MHz, DMSO-d_6)





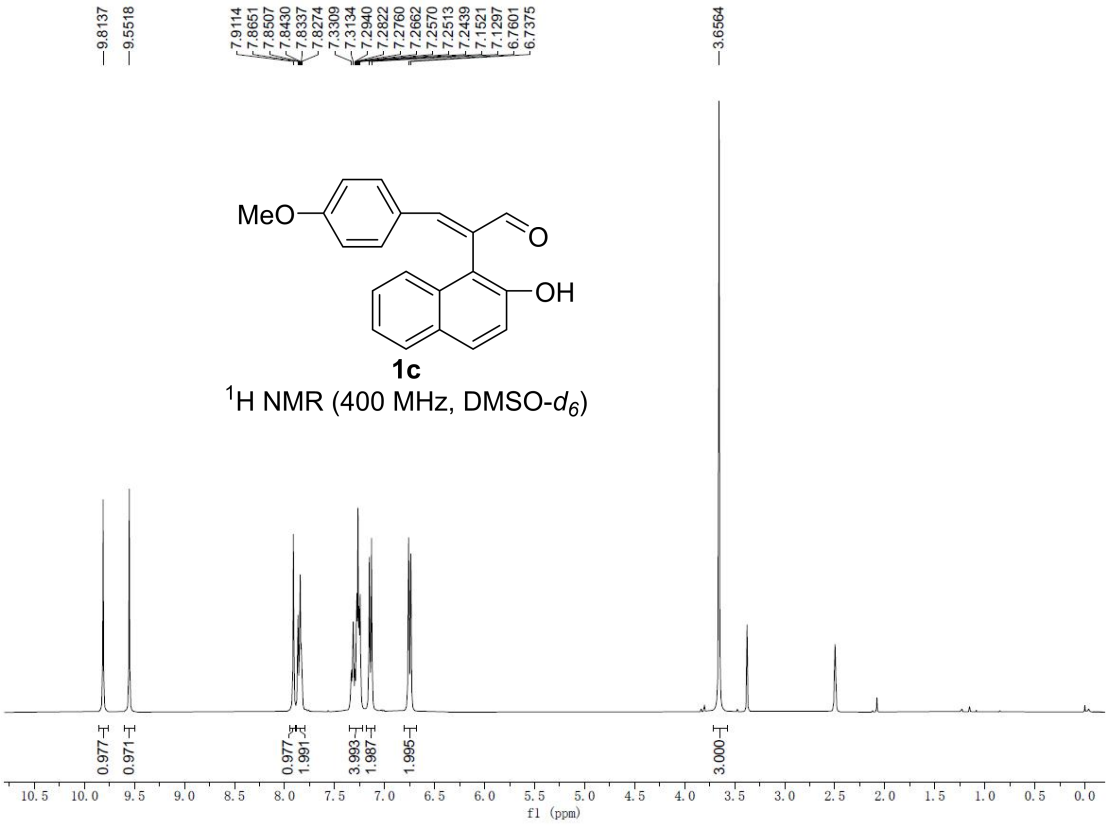
1b

^{1}H NMR (400 MHz, $CDCl_3$)



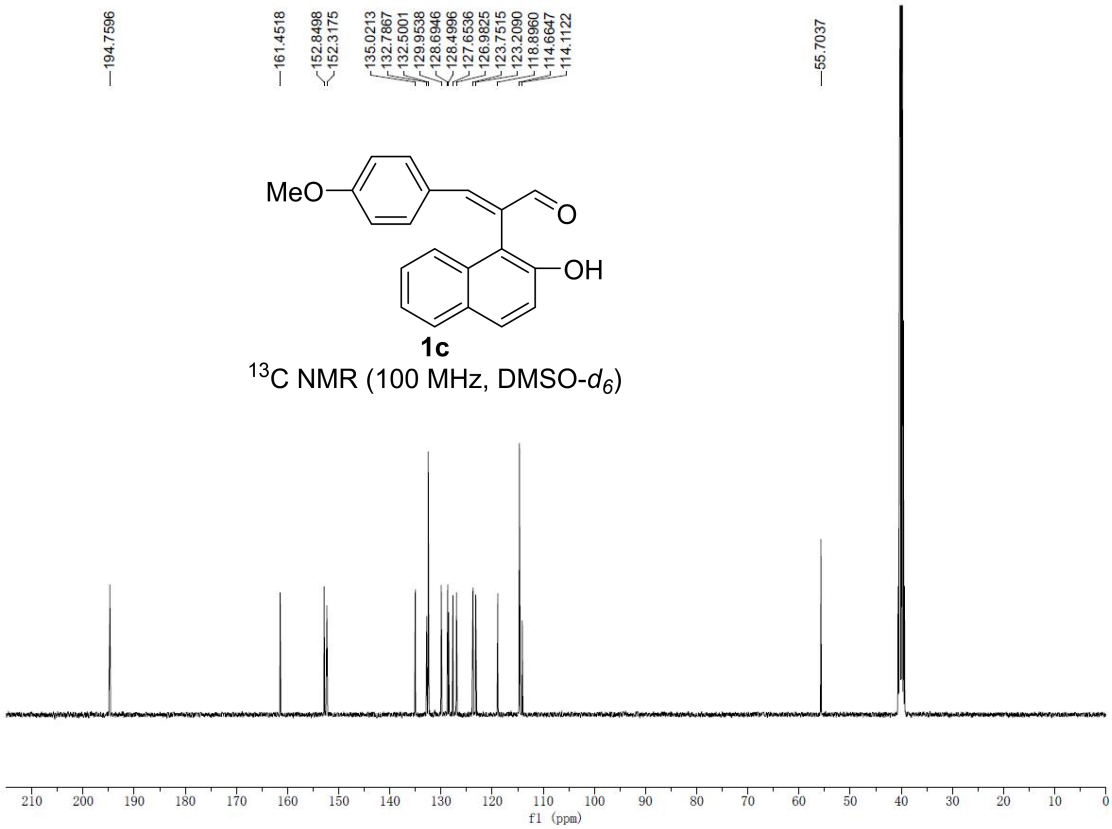
1b

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



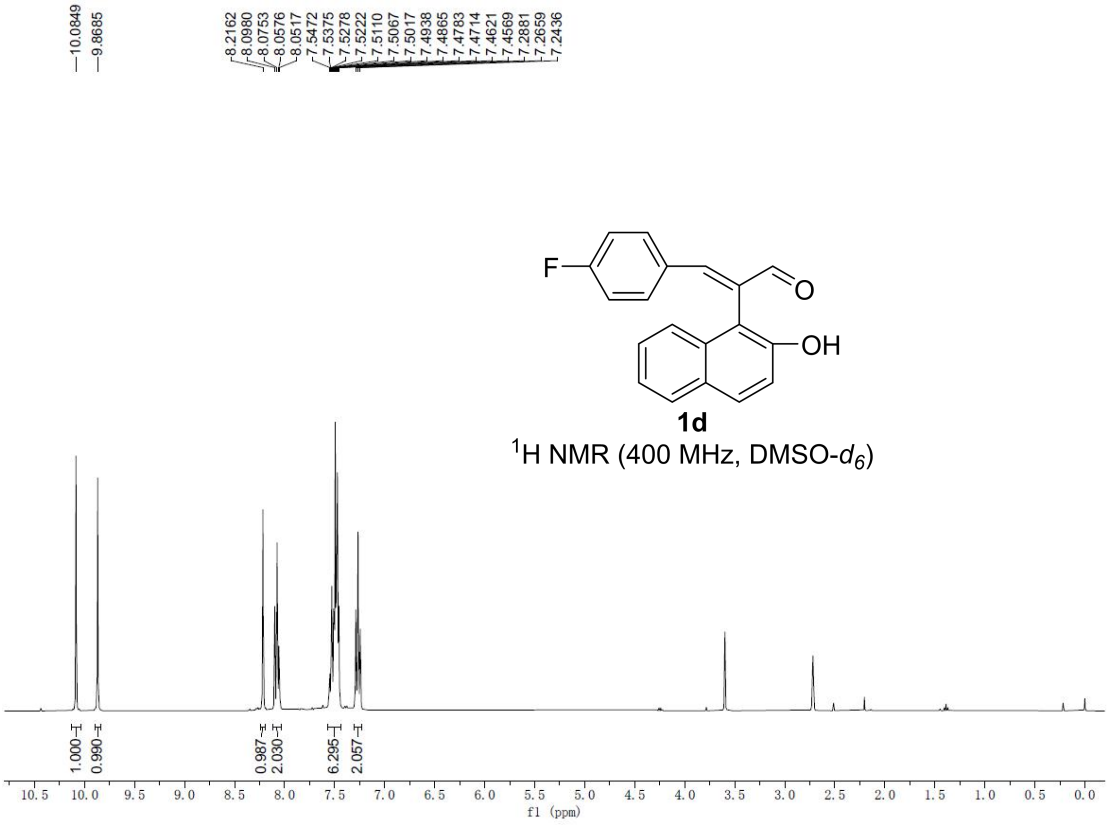
1c

^{1}H NMR (400 MHz, DMSO-d_6)



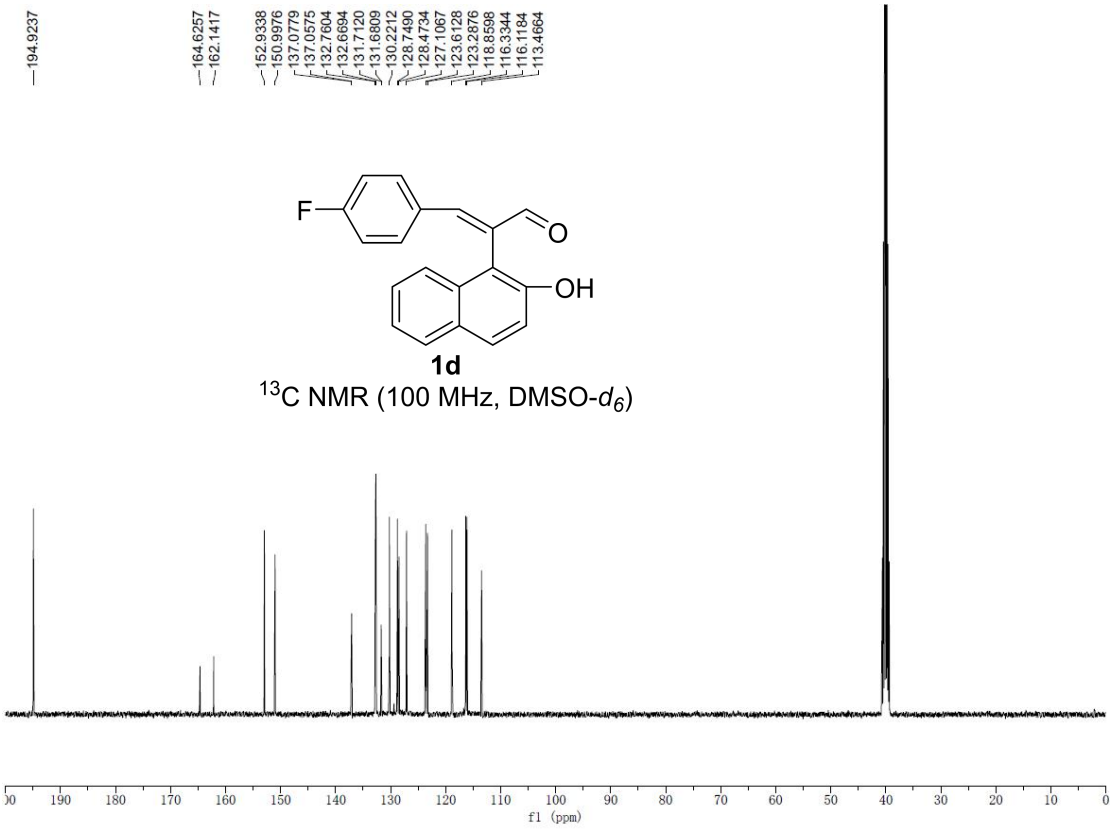
1c

^{13}C NMR (100 MHz, DMSO-d_6)



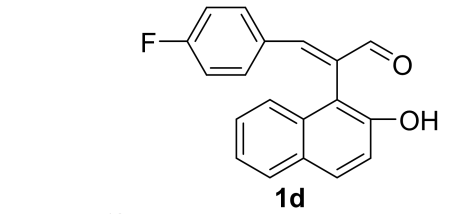
1d

^{1}H NMR (400 MHz, DMSO-d_6)



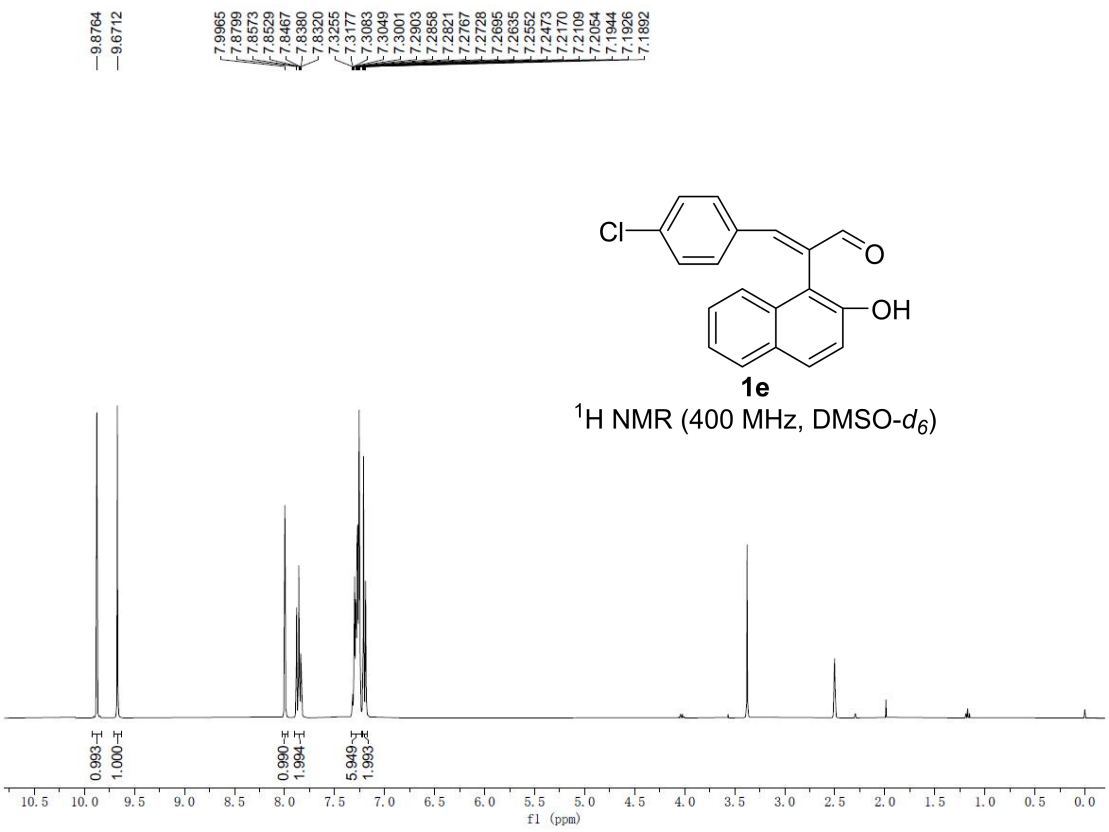
1d

^{13}C NMR (100 MHz, DMSO-d_6)



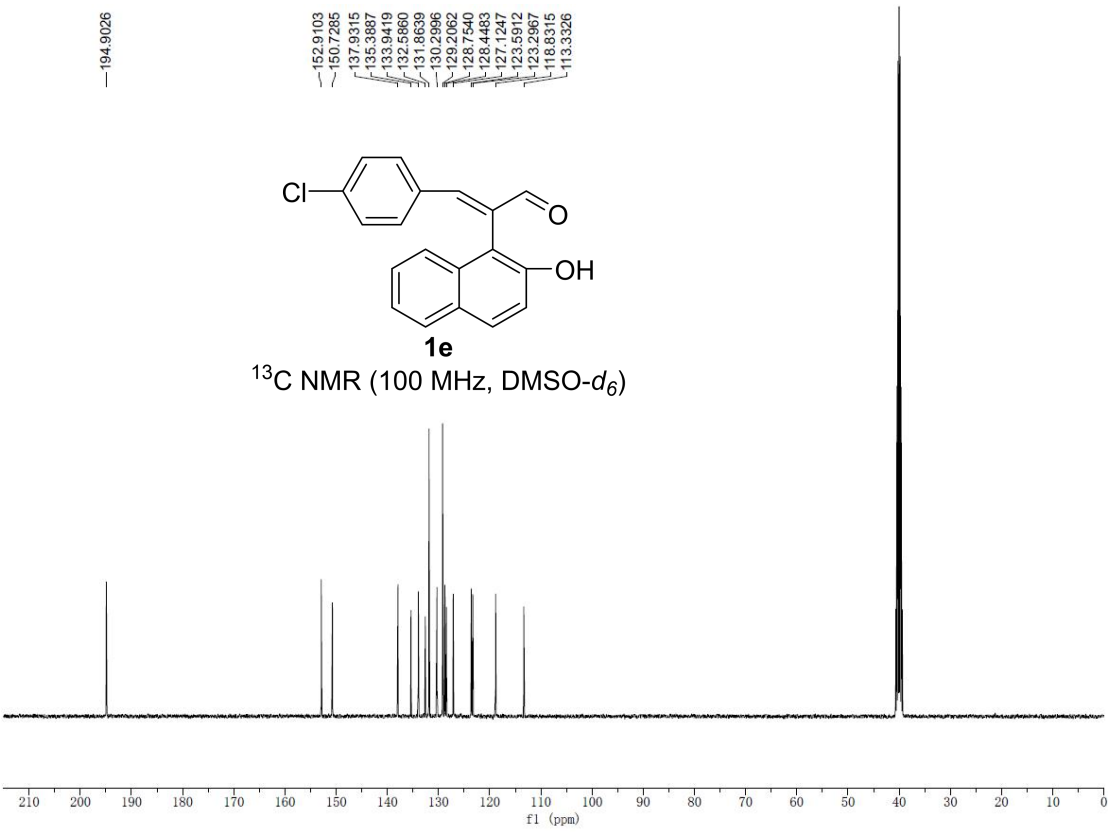
1d

^{19}F NMR (376 MHz, DMSO-d_6)



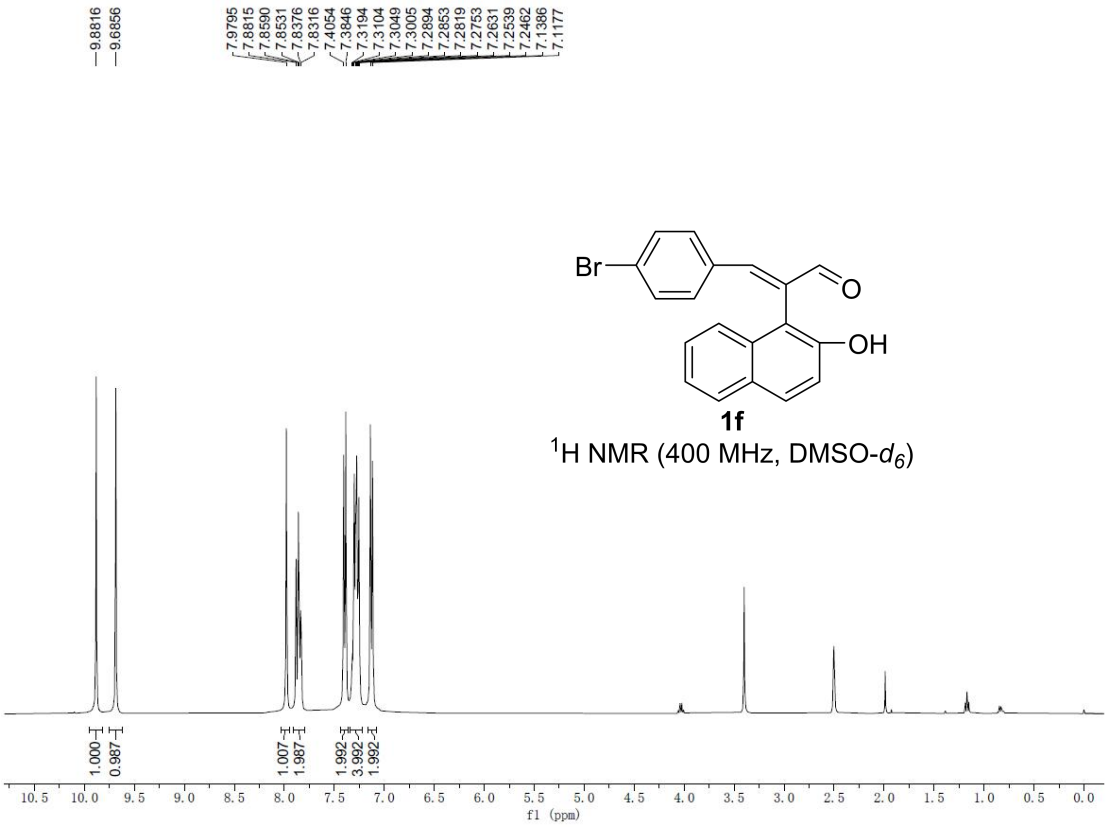
1e

^{1}H NMR (400 MHz, DMSO-d_6)



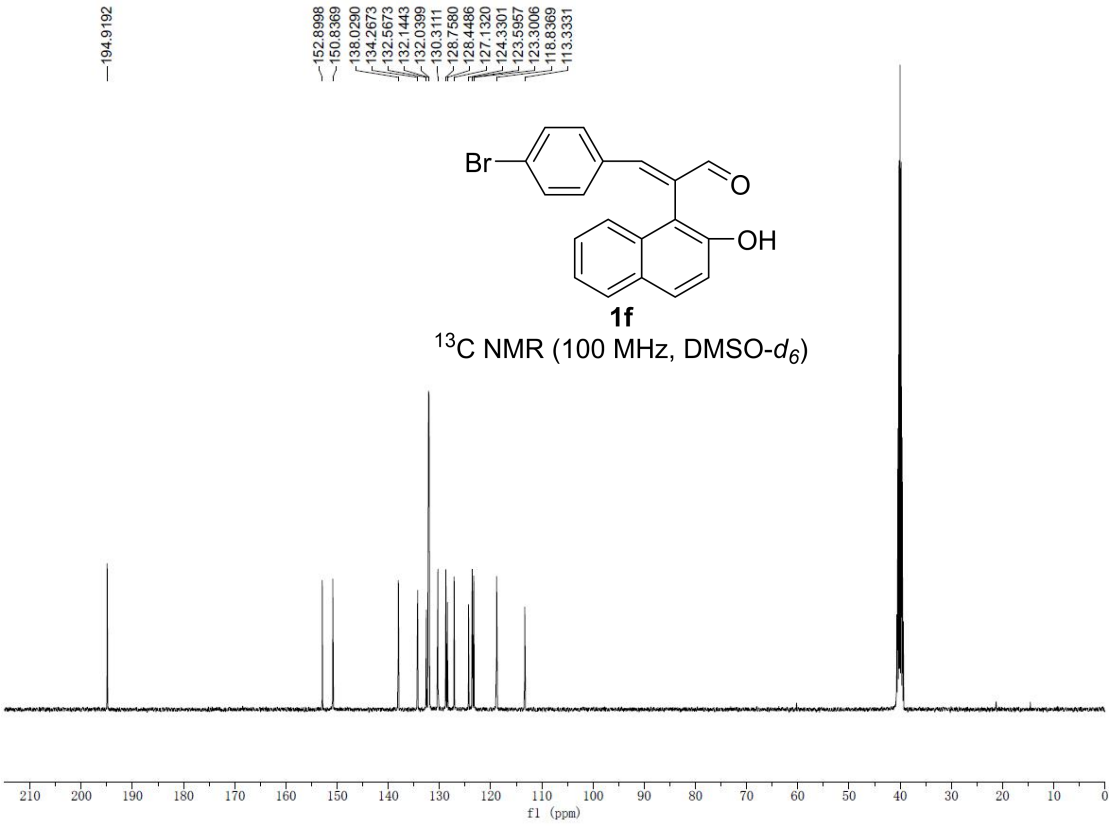
1e

^{13}C NMR (100 MHz, DMSO-d_6)



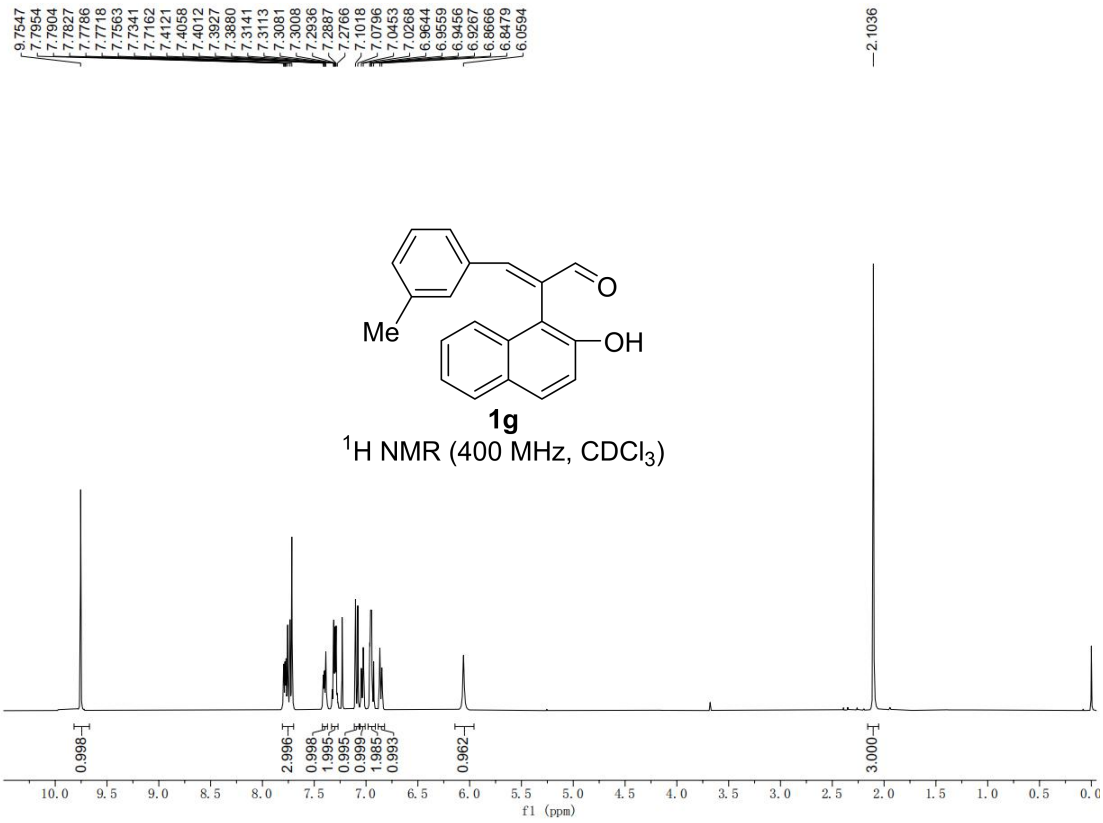
1f

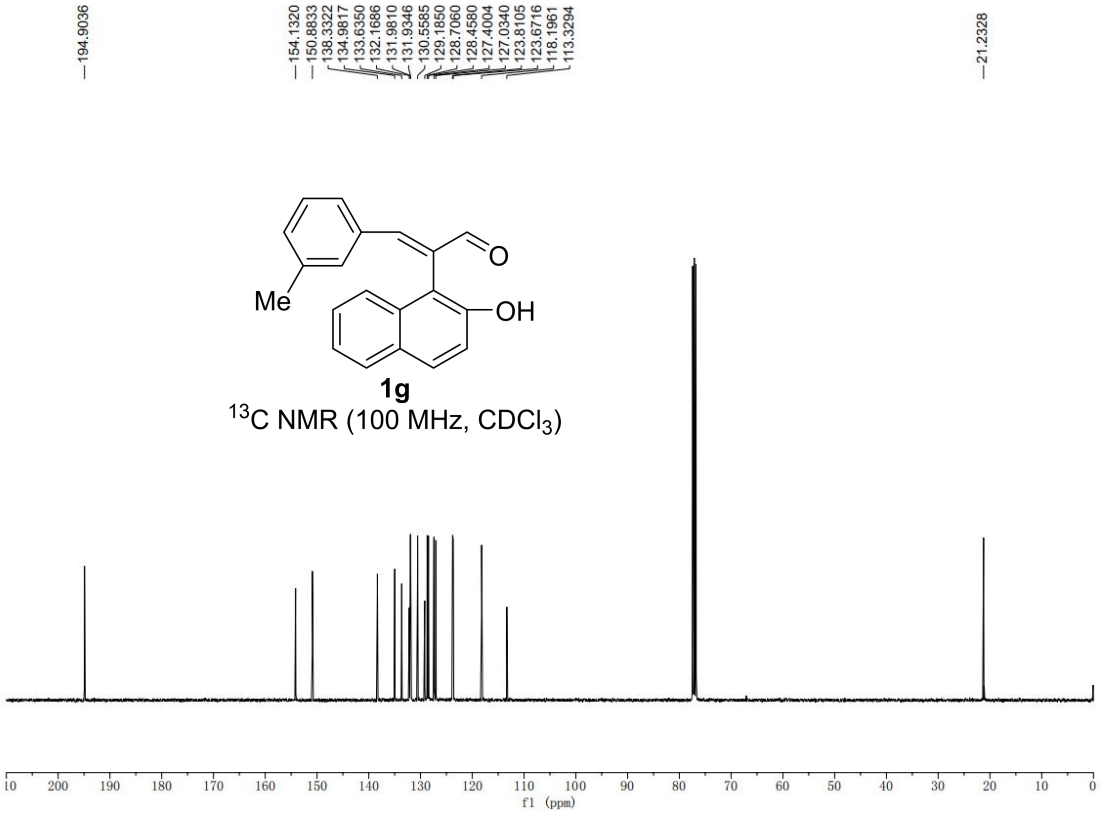
^{1}H NMR (400 MHz, DMSO-d_6)

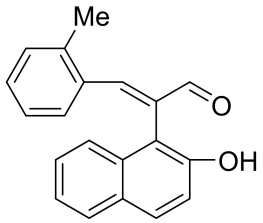


1f

^{13}C NMR (100 MHz, DMSO-d_6)

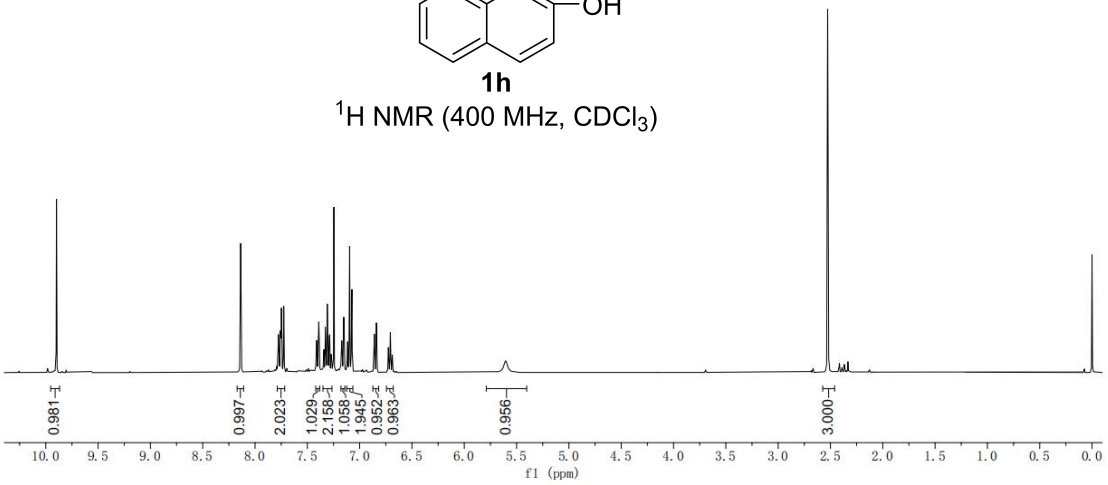

9.7547
7.7954
7.7904
7.7827
7.7786
7.7718
7.7563
7.7341
7.7162
7.4121
7.4058
7.4012
7.3927
7.3880
7.3141
7.3113
7.3081
7.3008
7.2936
7.2887
7.2766
7.1018
7.0796
7.0453
7.0268
6.9644
6.9559
6.9456
6.9267
6.8666
6.8479
6.0594
2.1036
Me
OH
O
1g
^{1}H NMR (400 MHz, CDCl$_3$)
0.998
2.996
0.998
1.995
0.995
0.999
1.985
0.993
0.962
3.000
f1 (ppm)

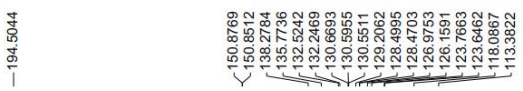

194.9036
154.1320
150.8833
138.3322
134.9817
133.6350
132.1686
131.9810
131.9346
130.5585
129.1850
128.7060
128.4580
127.4004
127.0340
123.8105
123.6716
118.1961
113.3294
21.2328
Me
OH
O
1g
^{13}C NMR (100 MHz, CDCl$_3$)
f1 (ppm)



1h

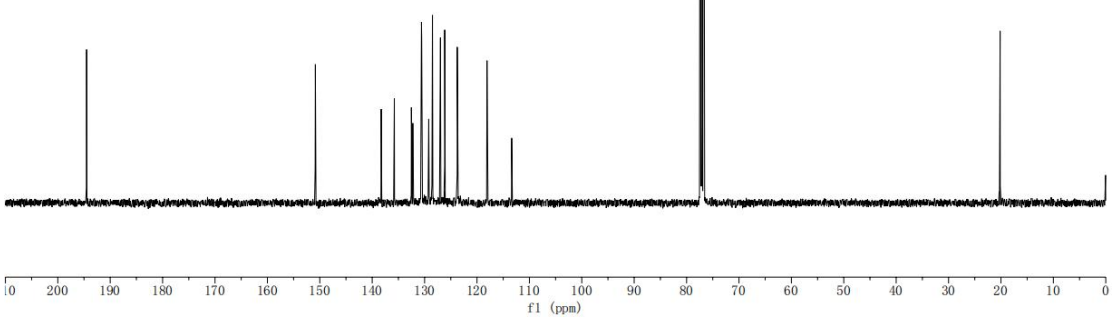
^{1}H NMR (400 MHz, $CDCl_3$)

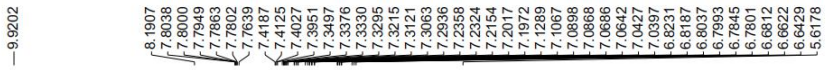


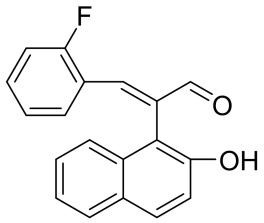


1h

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

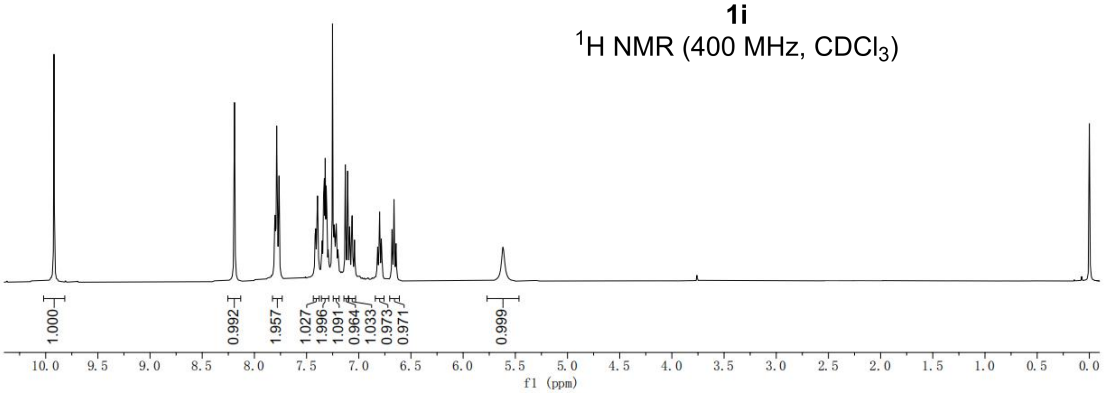


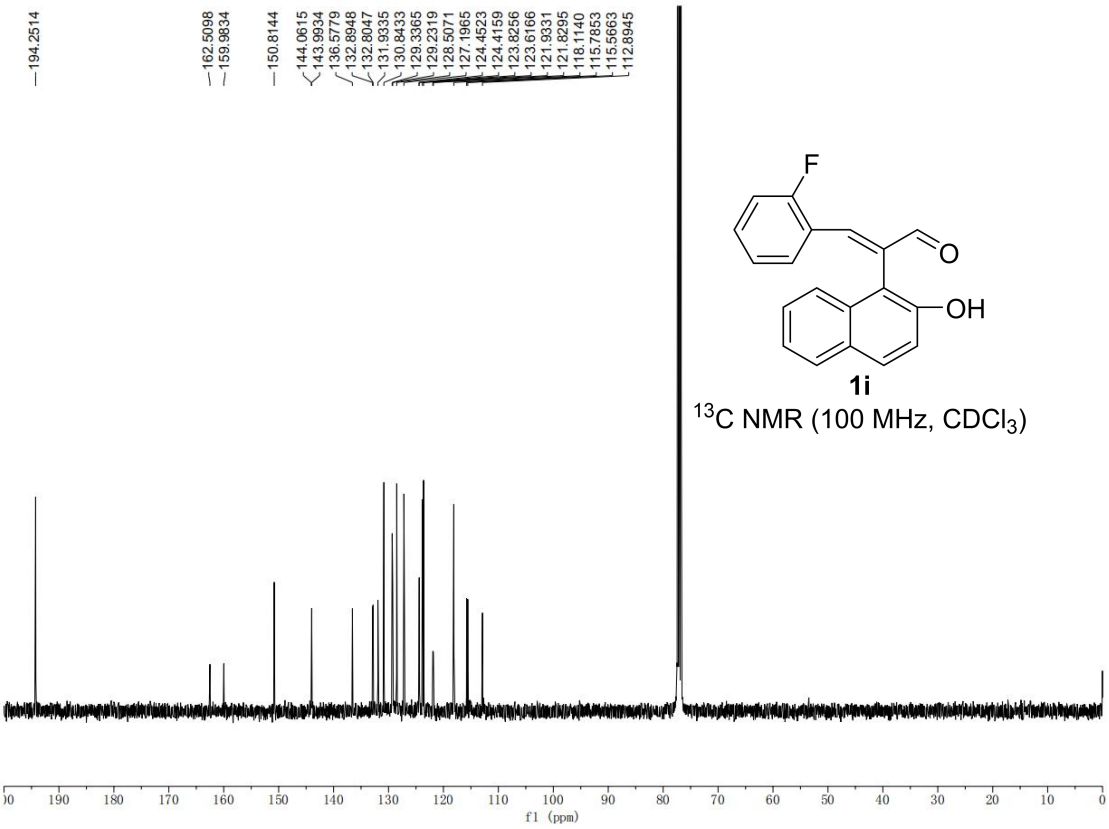




1i

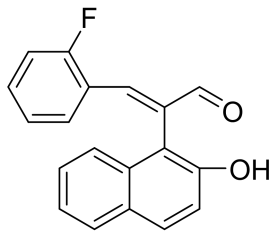
^{1}H NMR (400 MHz, $CDCl_3$)





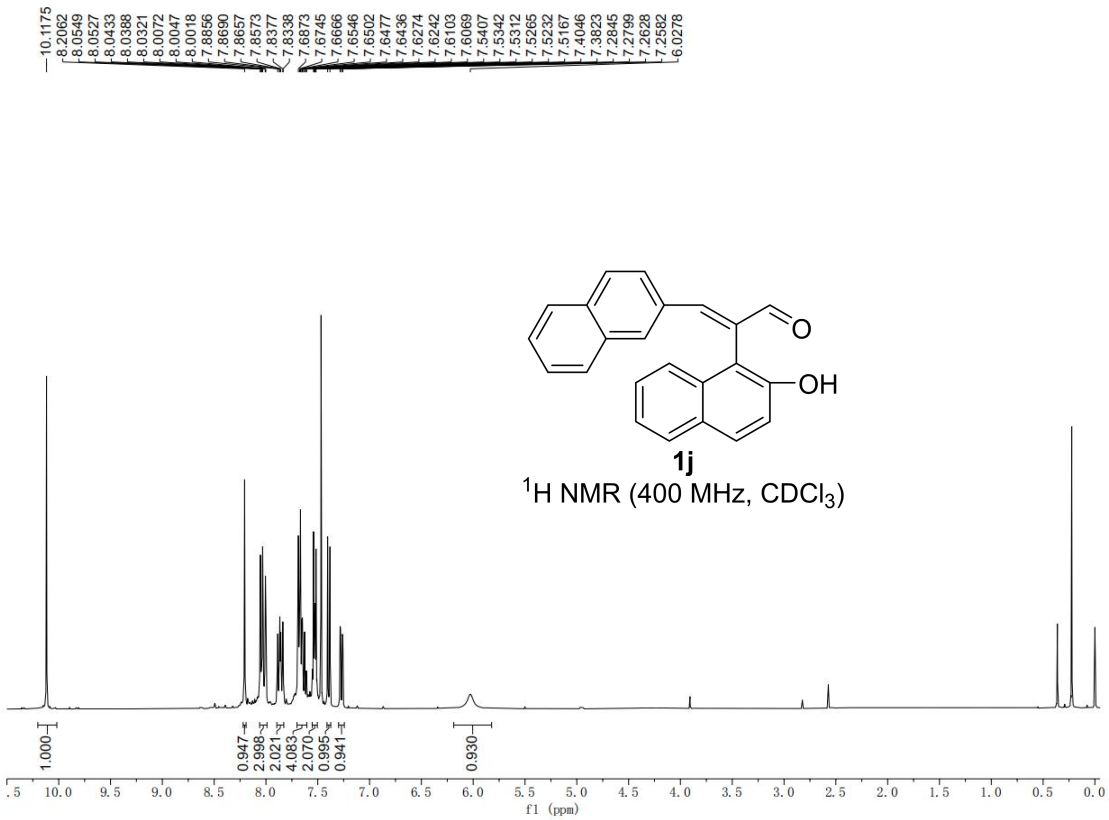
1i

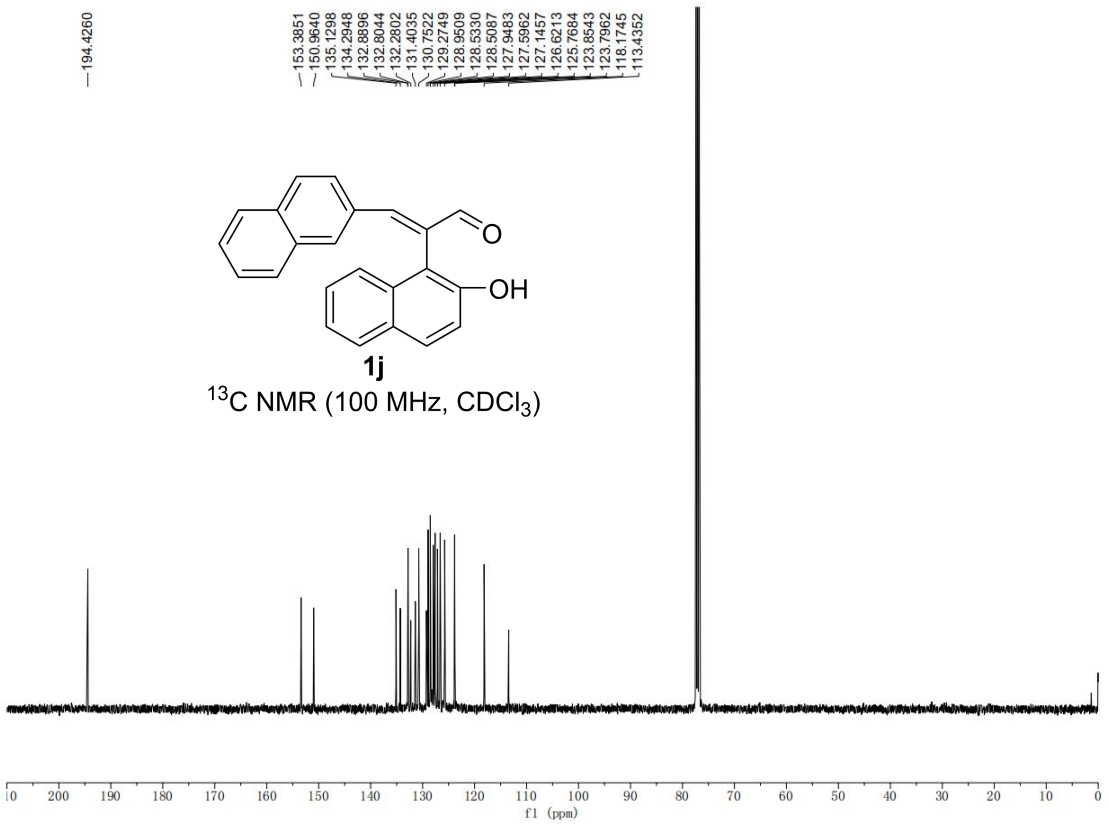
^{13}C NMR (100 MHz, $CDCl_3$)

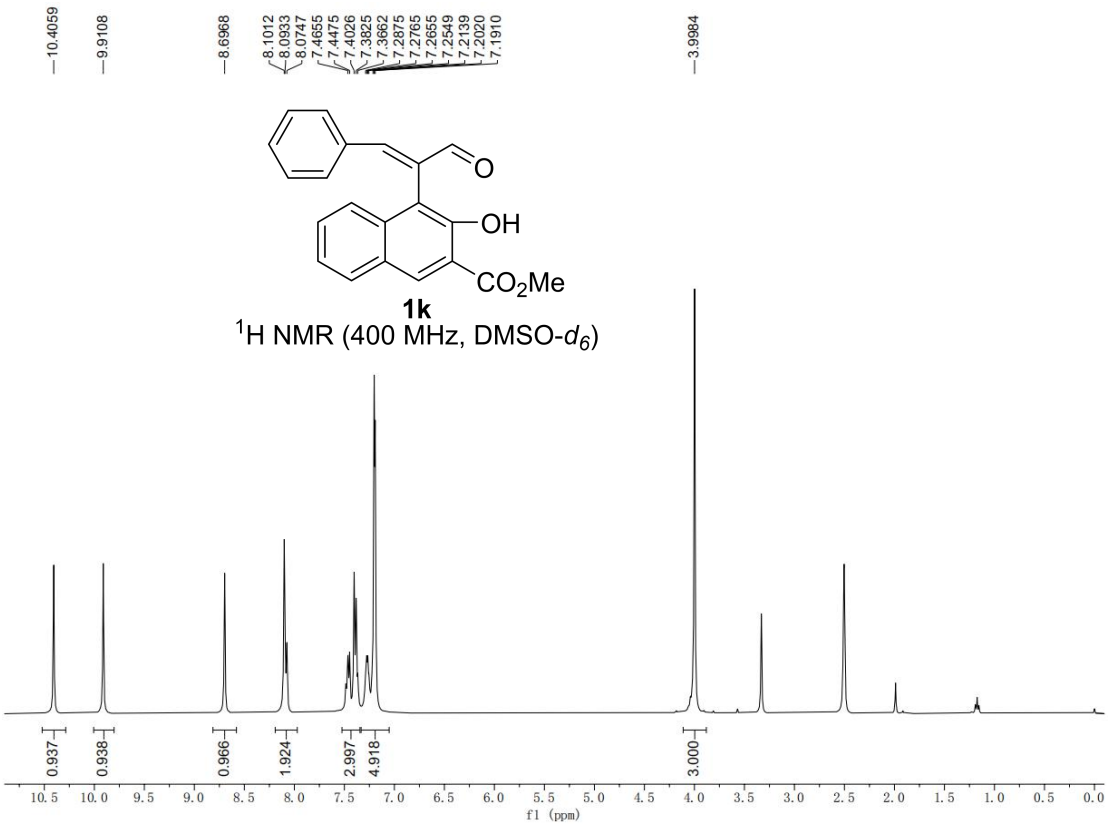


1i

^{19}F NMR (376 MHz, DMSO-d_6)

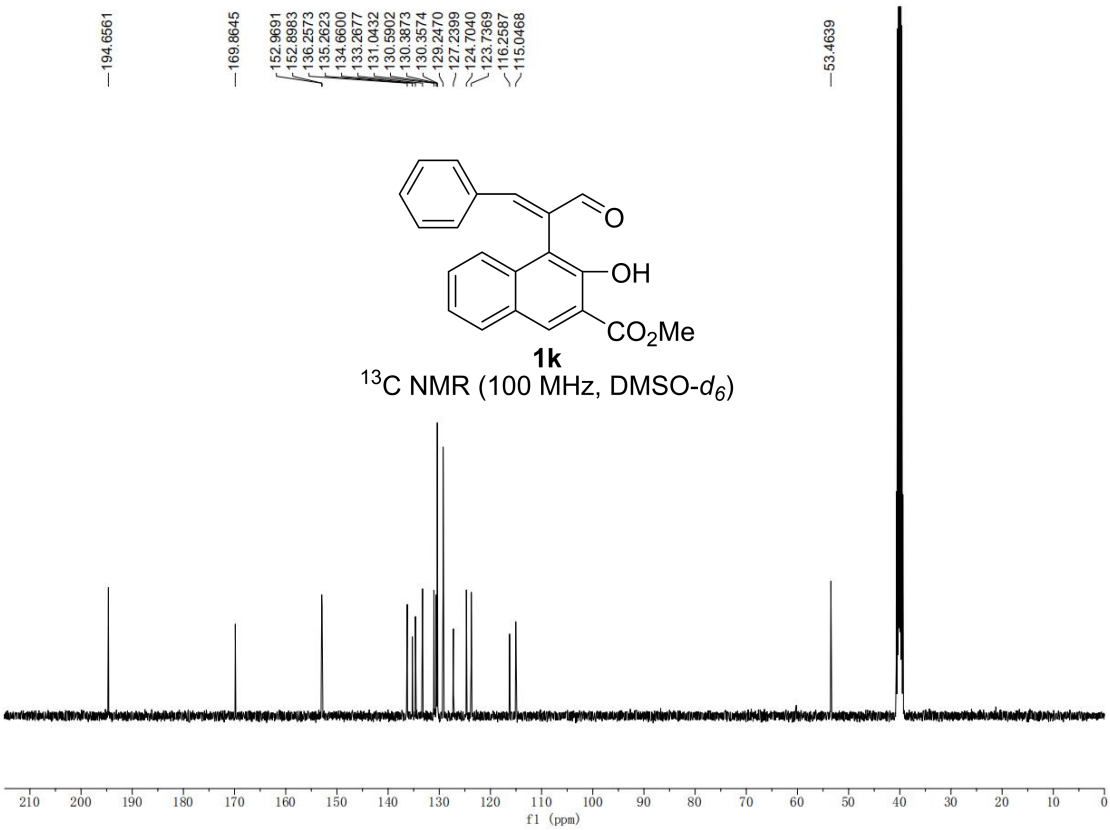

10.1175
8.2062
8.0549
8.0527
8.0433
8.0388
8.0321
8.0072
8.0047
8.0018
7.8856
7.8690
7.8657
7.8573
7.8377
7.8338
7.6873
7.6745
7.6666
7.6546
7.6502
7.6477
7.6436
7.6274
7.6242
7.6103
7.6069
7.5407
7.5342
7.5312
7.5265
7.5232
7.5167
7.4046
7.3823
7.2845
7.2799
7.2628
7.2582
6.0278
O
OH
1j
1H NMR (400 MHz, CDCl3)
1.000
0.947
2.998
2.021
4.083
2.070
0.995
0.941
0.930
f1 (ppm)


194.4260
153.3851
150.9640
135.1298
134.2948
132.8896
132.8044
132.2802
131.4035
130.7522
129.2749
128.9509
128.5330
128.5087
127.9483
127.5962
127.1457
126.6213
125.7684
123.8543
123.7962
118.1745
113.4352
O
OH
1j
13C NMR (100 MHz, CDCl3)
f1 (ppm)



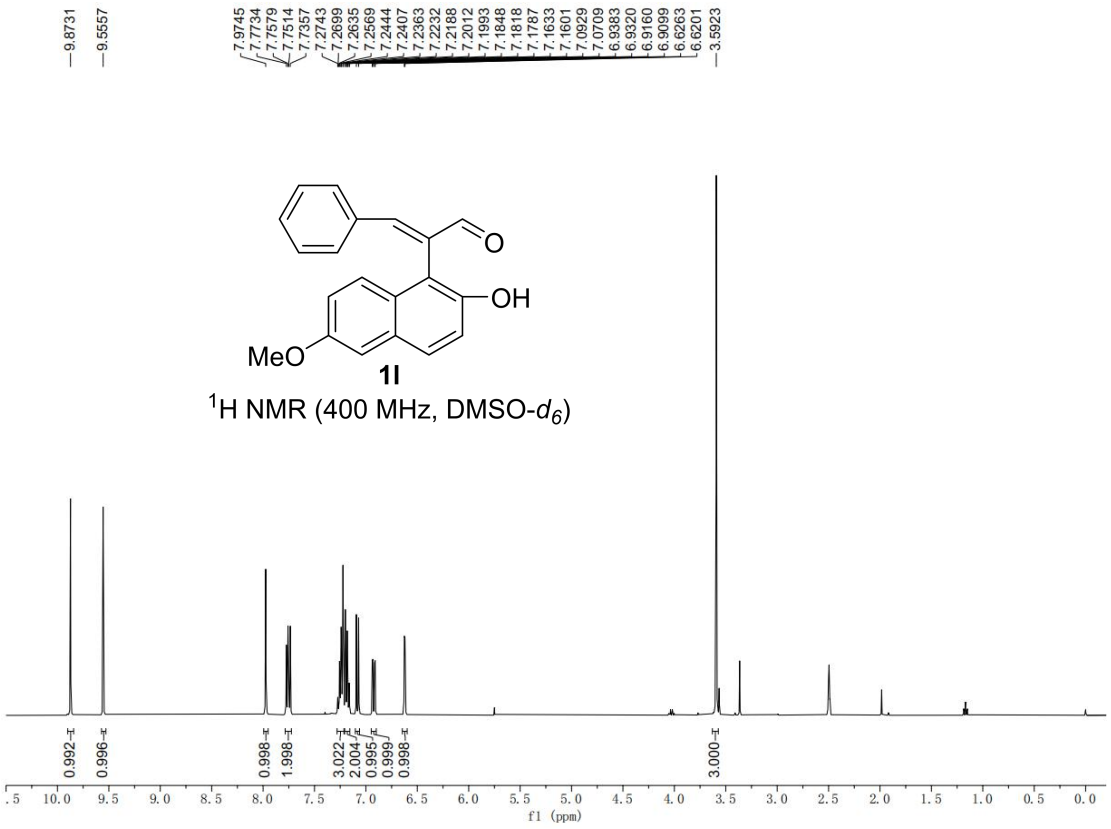
1k

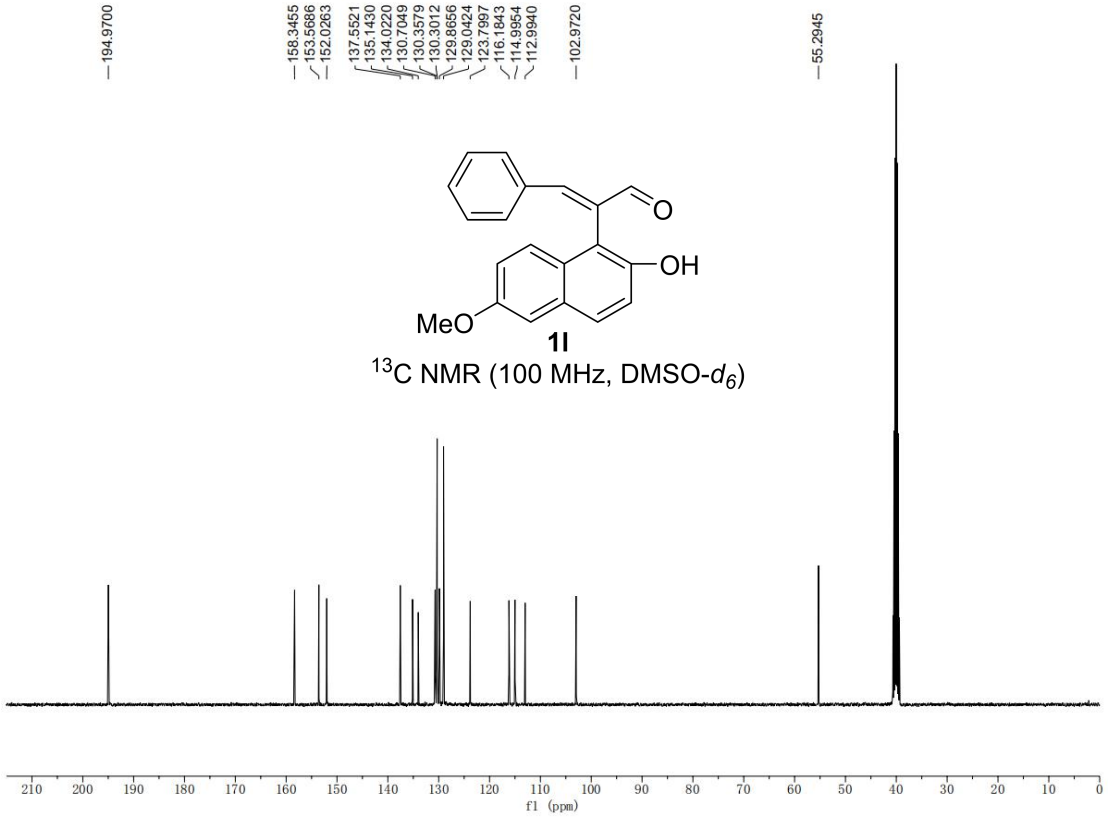
^{1}H NMR (400 MHz, DMSO-d_6)

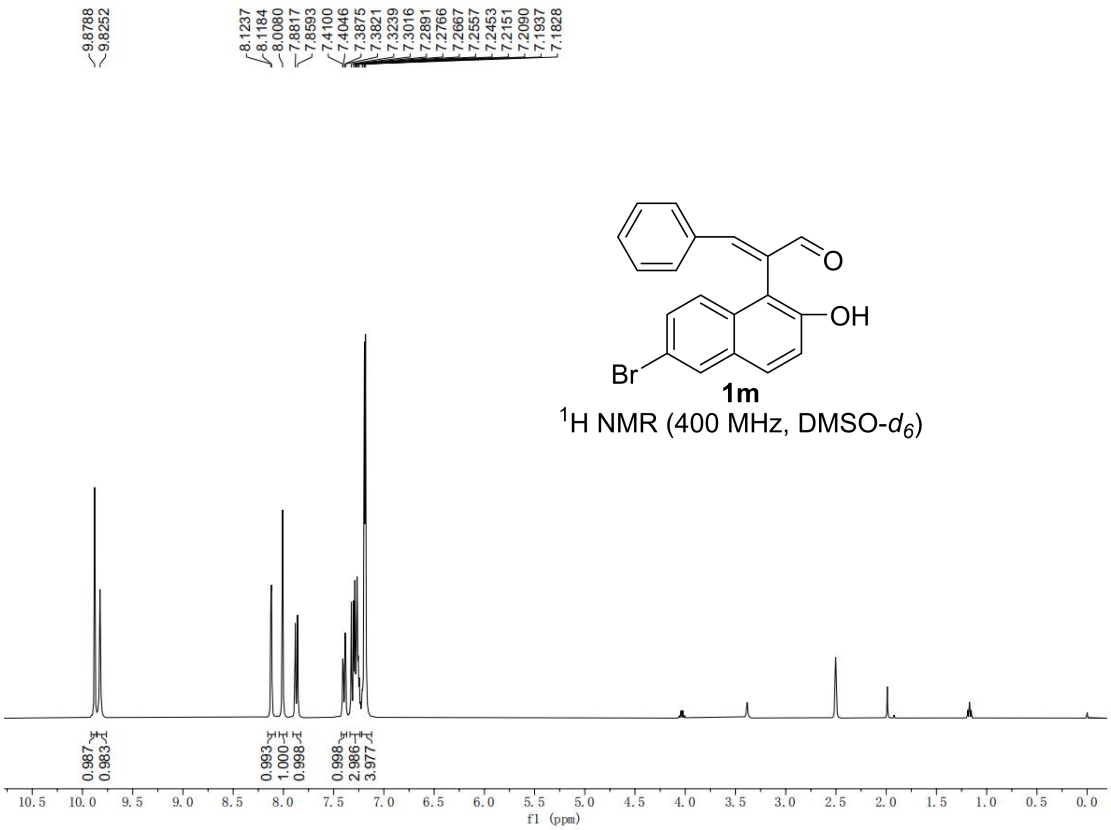


1k

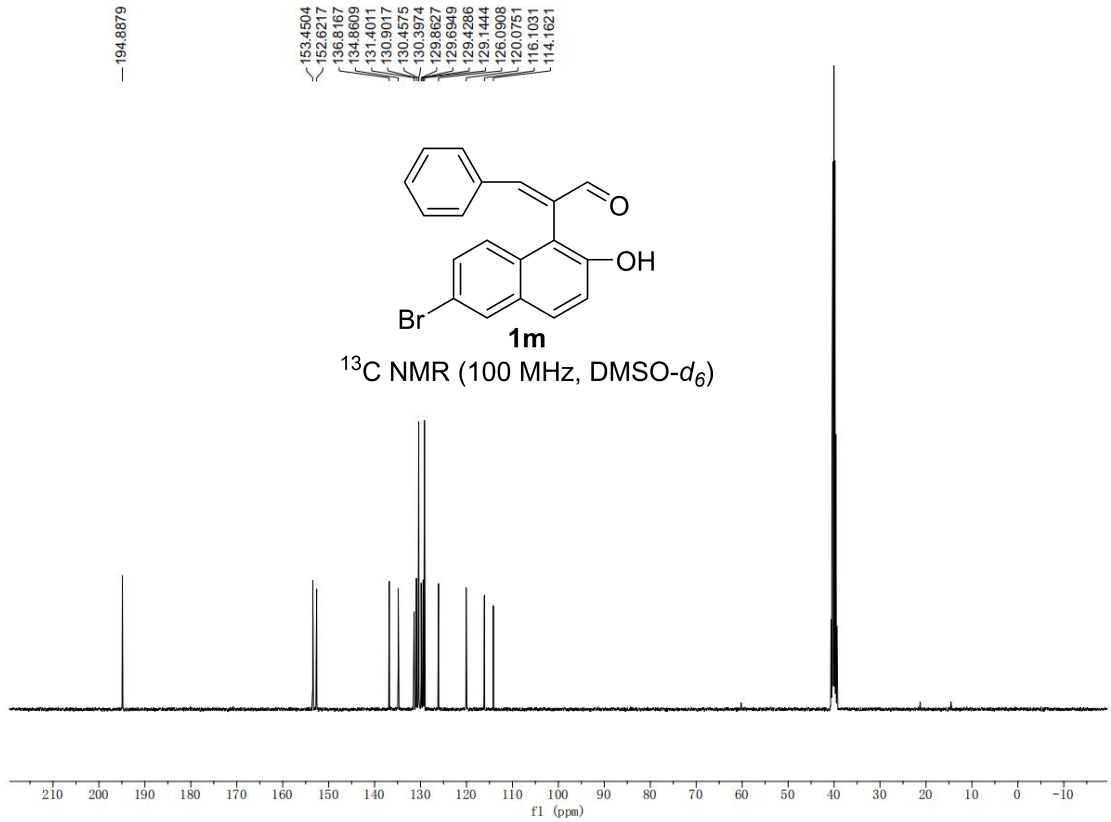
^{13}C NMR (100 MHz, DMSO-d_6)


9.8731
9.5557
7.9745
7.7734
7.7579
7.7514
7.7357
7.2743
7.2699
7.2635
7.2569
7.2444
7.2407
7.2363
7.2232
7.2188
7.2012
7.1993
7.1848
7.1818
7.1787
7.1633
7.1601
7.0929
7.0709
6.9383
6.9320
6.9160
6.9099
6.6263
6.6201
3.5923
O
OH
MeO
1l
1H NMR (400 MHz, DMSO-d6)
0.992
0.996
0.998
1.998
3.022
2.004
0.995
0.999
0.998
3.000
f1 (ppm)

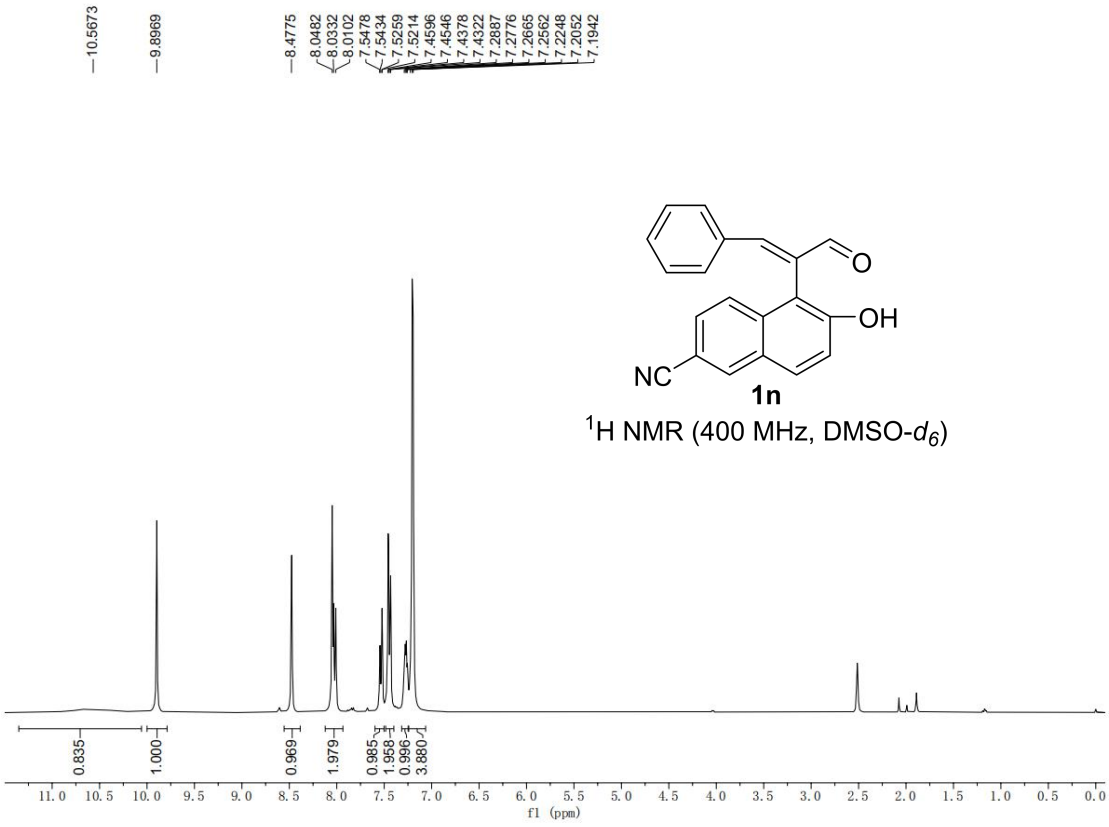

194.9700
158.3455
153.5686
152.0263
137.5521
135.1430
134.0220
130.7049
130.3579
130.3012
129.8656
129.0424
123.7997
116.1843
114.9954
112.9940
102.9720
55.2945
O
OH
MeO
1l
13C NMR (100 MHz, DMSO-d6)
f1 (ppm)



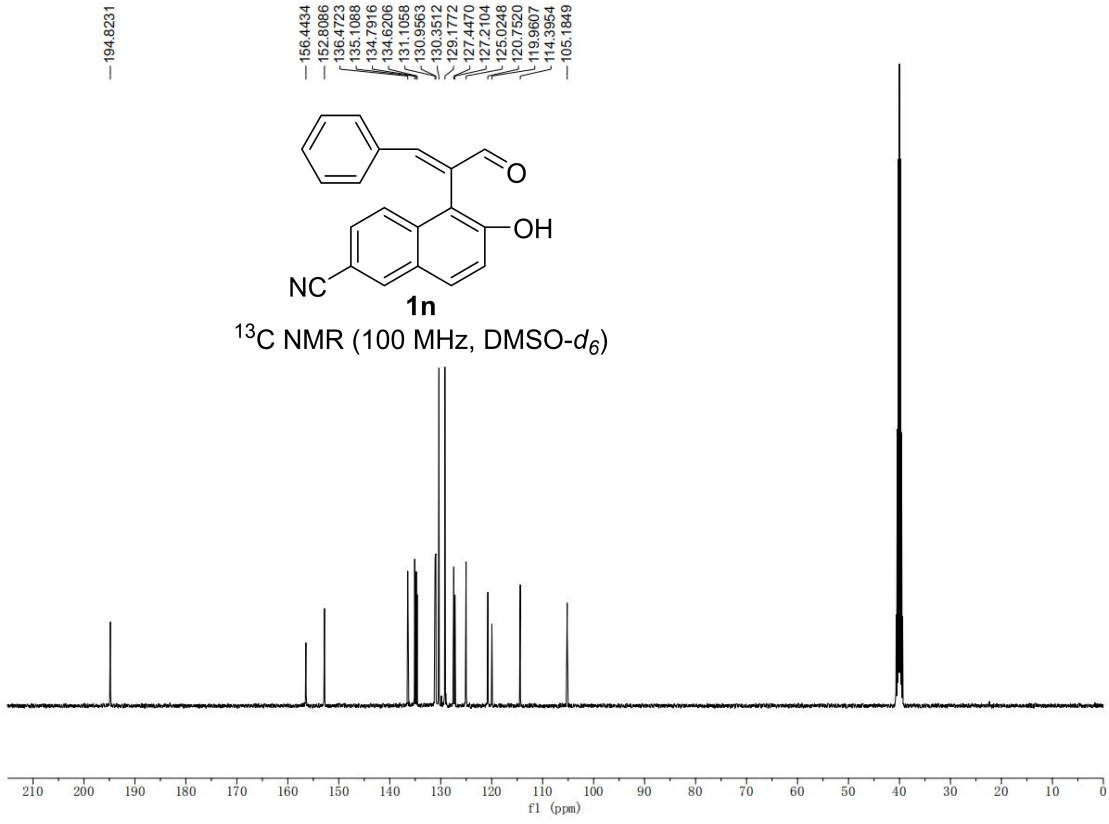
^{1}H NMR (400 MHz, DMSO-d_6)



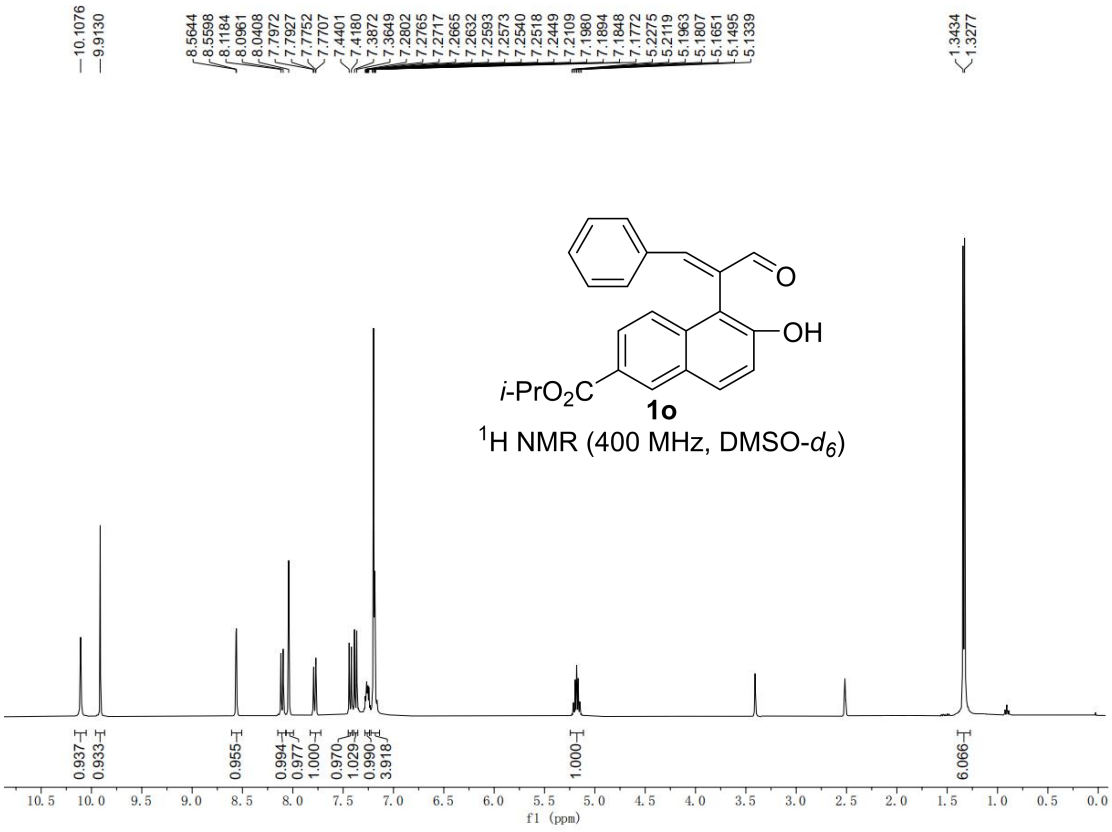
^{13}C NMR (100 MHz, DMSO-d_6)

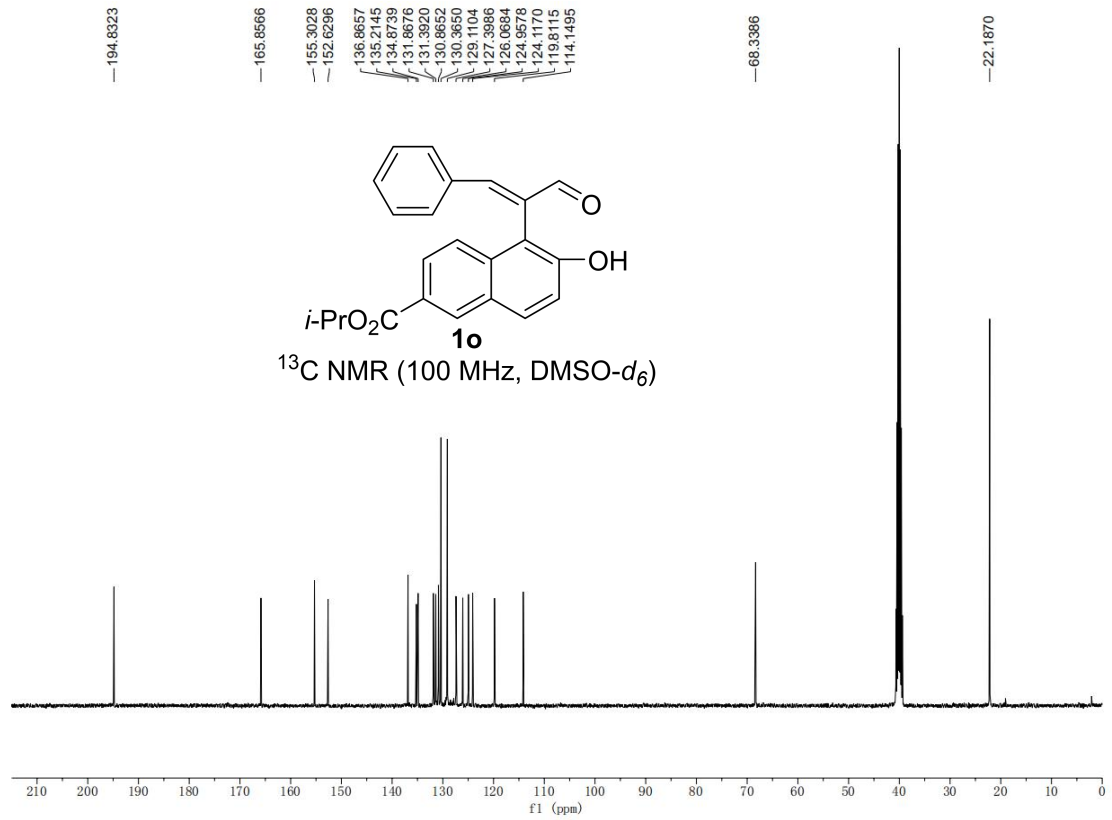


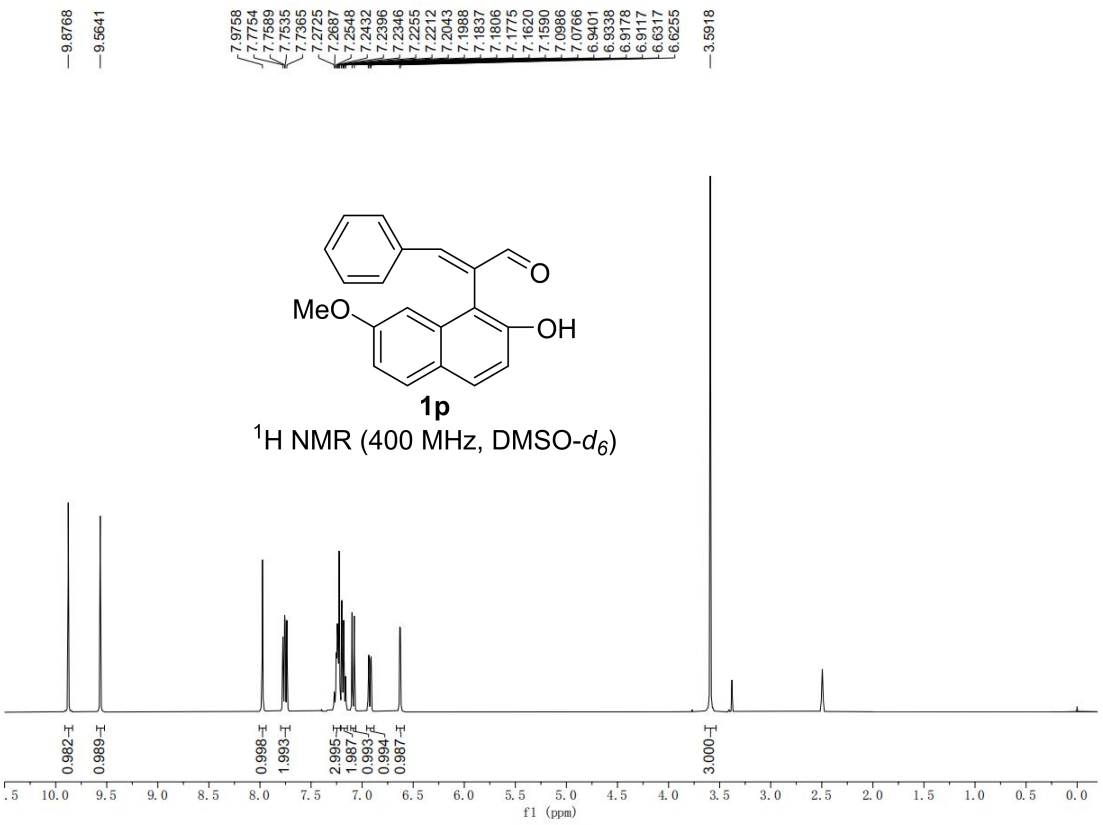
^{1}H NMR (400 MHz, DMSO-d_6)



^{13}C NMR (100 MHz, DMSO-d_6)

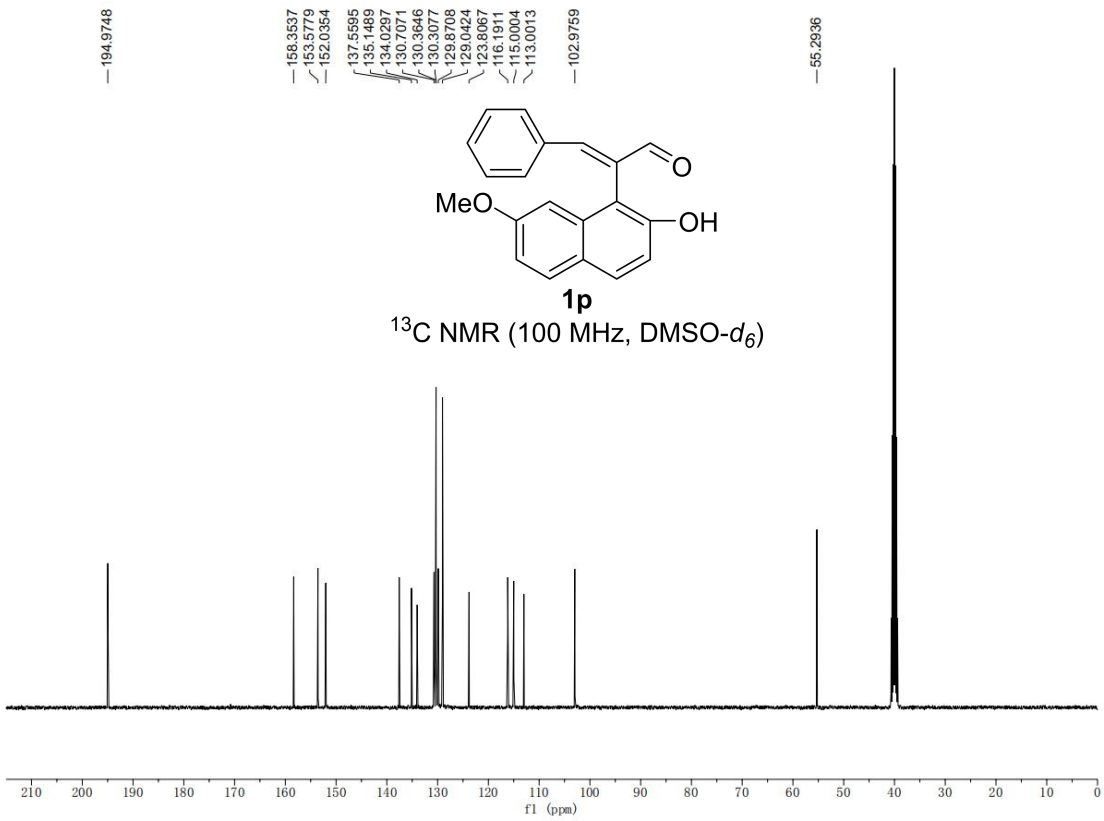

10.1076
9.9130
8.5644
8.5598
8.1184
8.0961
8.0408
7.7972
7.7927
7.7752
7.7707
7.4401
7.4180
7.3872
7.3649
7.2802
7.2765
7.2717
7.2665
7.2632
7.2593
7.2573
7.2540
7.2518
7.2449
7.2109
7.1980
7.1894
7.1648
7.1772
5.2275
5.2119
5.1963
5.1807
5.1651
5.1495
5.1339
1.3434
1.3277
O
OH
i-PrO2C
1o
1H NMR (400 MHz, DMSO-d6)
0.937
0.933
0.955
0.994
0.977
1.000
0.970
1.029
0.990
3.918
1.000
6.066
f1 (ppm)


194.8323
165.8566
155.3028
152.6296
136.8657
135.2145
134.8739
131.8676
131.3920
130.8652
130.3650
129.1104
127.3986
126.0684
124.9578
124.1170
119.8115
114.1495
68.3386
22.1870
O
OH
i-PrO2C
1o
13C NMR (100 MHz, DMSO-d6)
f1 (ppm)



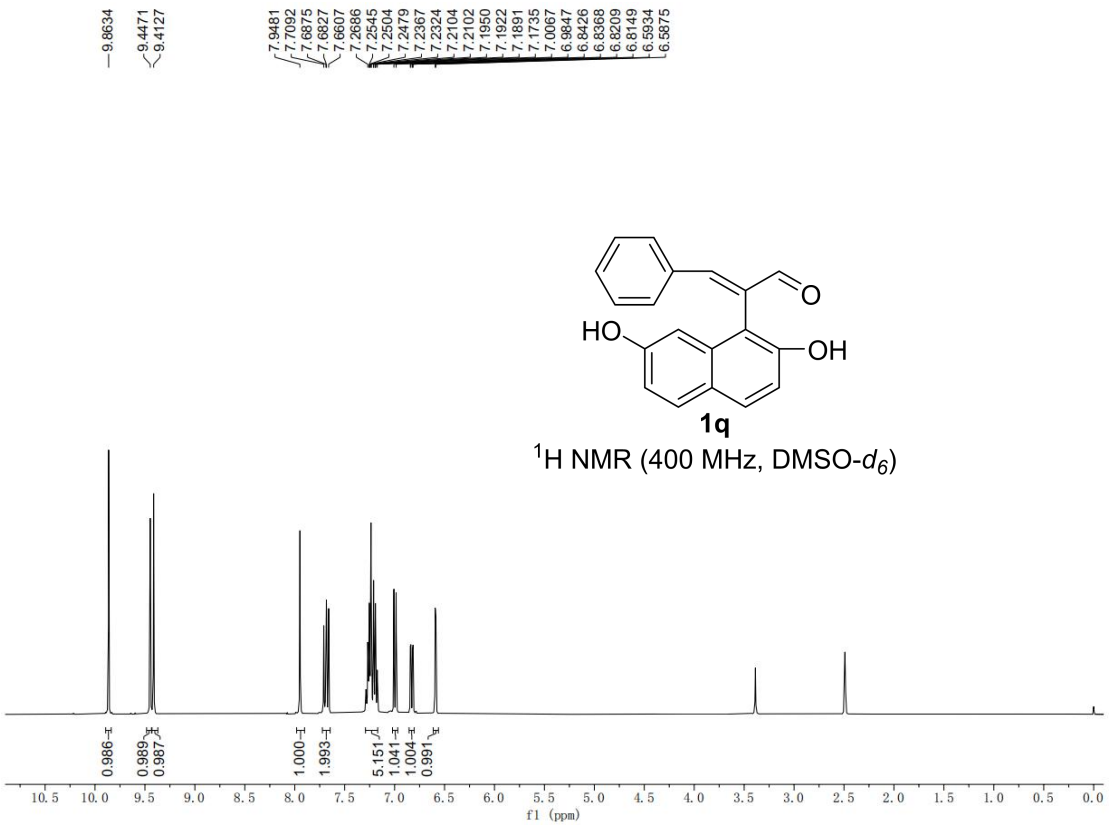
1p

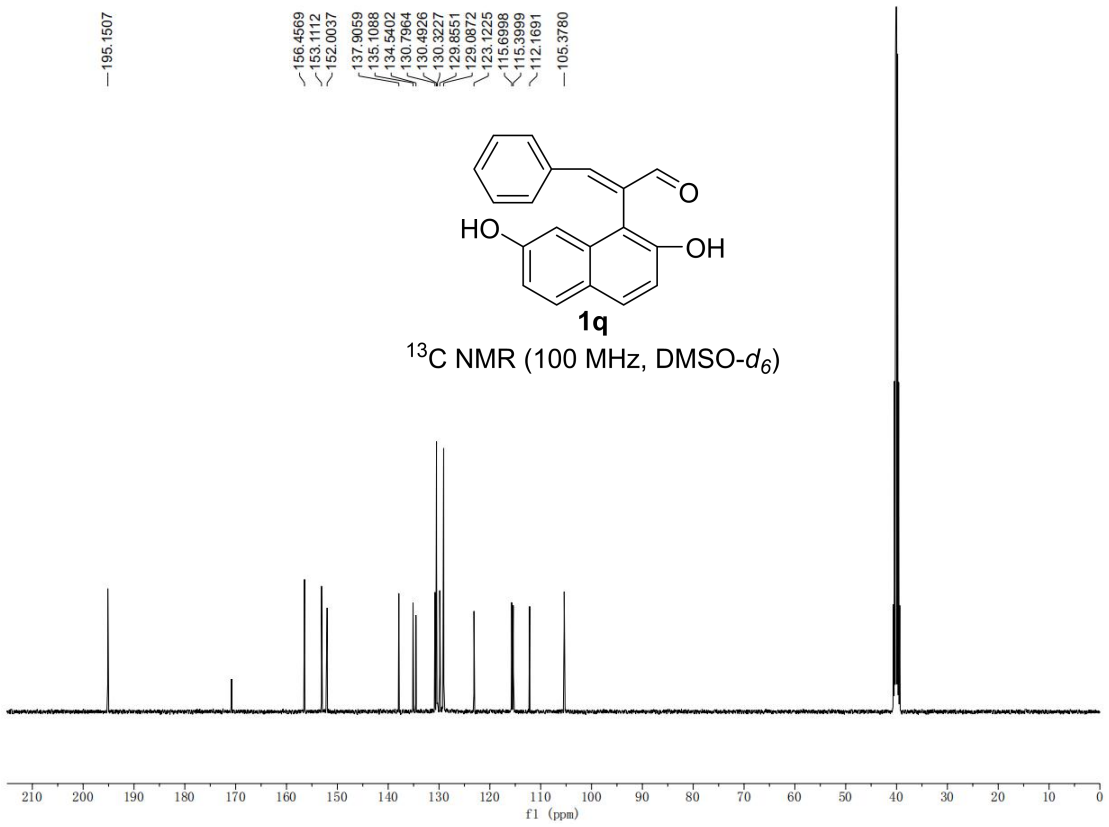
^{1}H NMR (400 MHz, DMSO-d_6)

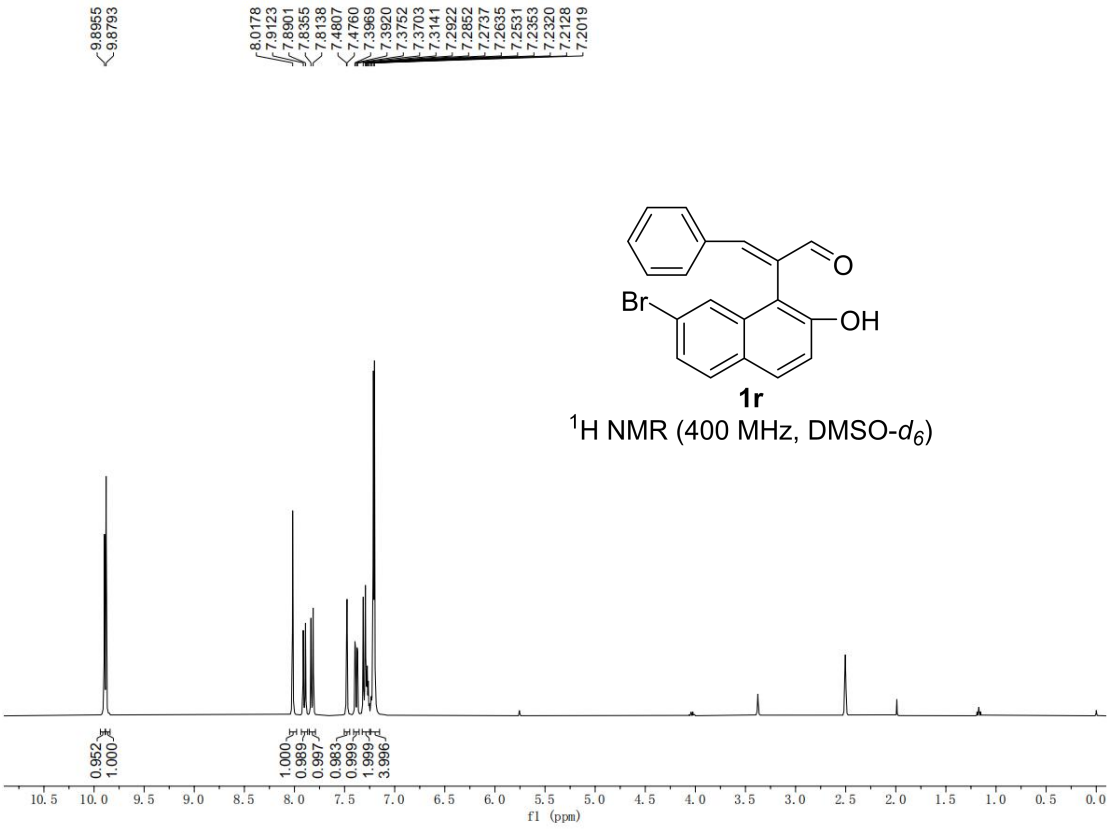


1p

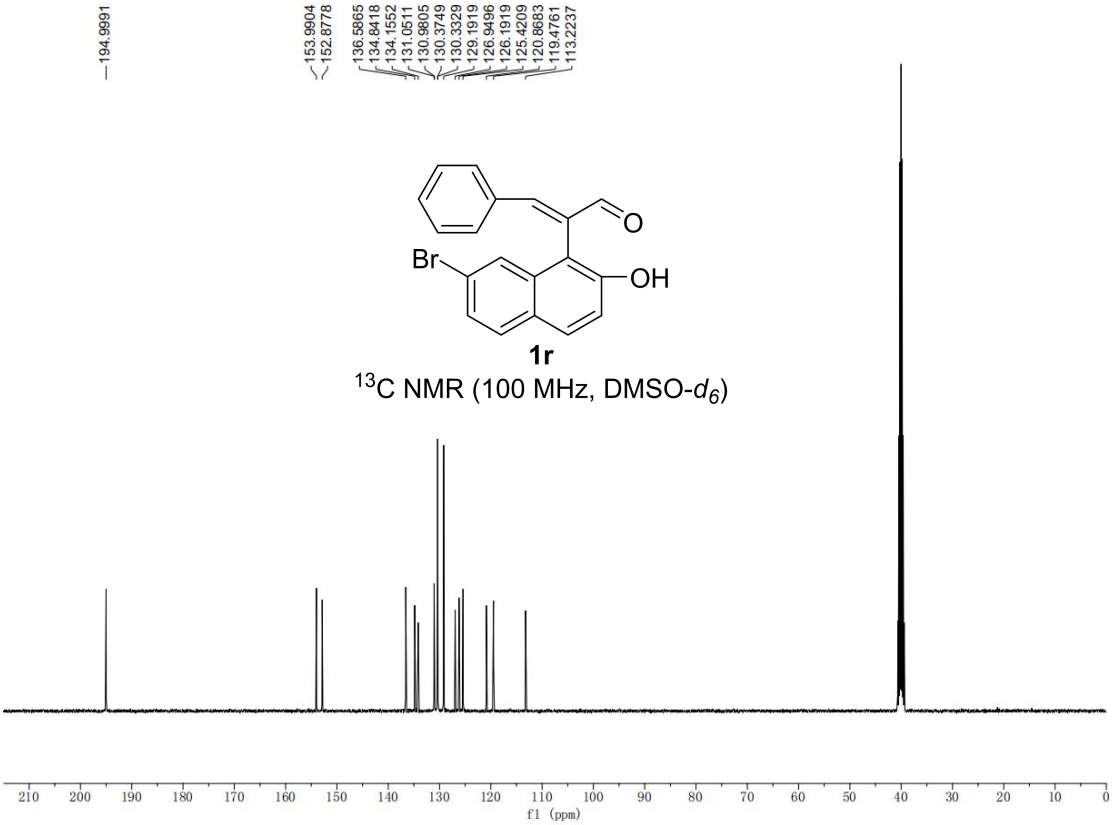
^{13}C NMR (100 MHz, DMSO-d_6)


9.8634
9.4471
9.4127
7.9481
7.7092
7.6875
7.6827
7.6607
7.2686
7.2545
7.2504
7.2479
7.2367
7.2324
7.2104
7.2102
7.1950
7.1922
7.1891
7.1735
7.0067
6.9847
6.8426
6.8368
6.8209
6.8149
6.5934
6.5875
O
HO
OH
1q
1H NMR (400 MHz, DMSO-d6)
0.986
0.989
0.987
1.000
1.993
5.151
1.041
1.004
0.991
f1 (ppm)

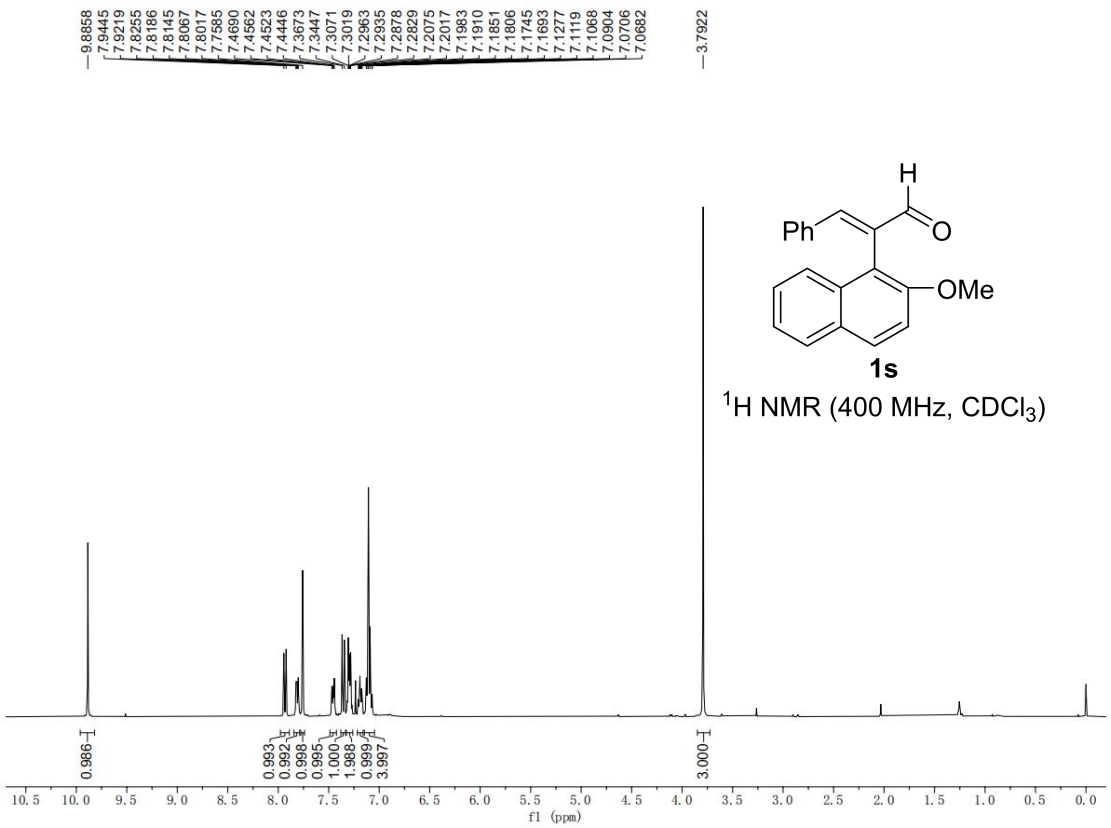

195.1507
156.4569
153.1112
152.0037
137.9059
135.1088
134.5402
130.7964
130.4926
130.3227
129.8551
129.0872
123.1225
115.6998
115.3999
112.1691
105.3780
O
HO
OH
1q
13C NMR (100 MHz, DMSO-d6)
f1 (ppm)

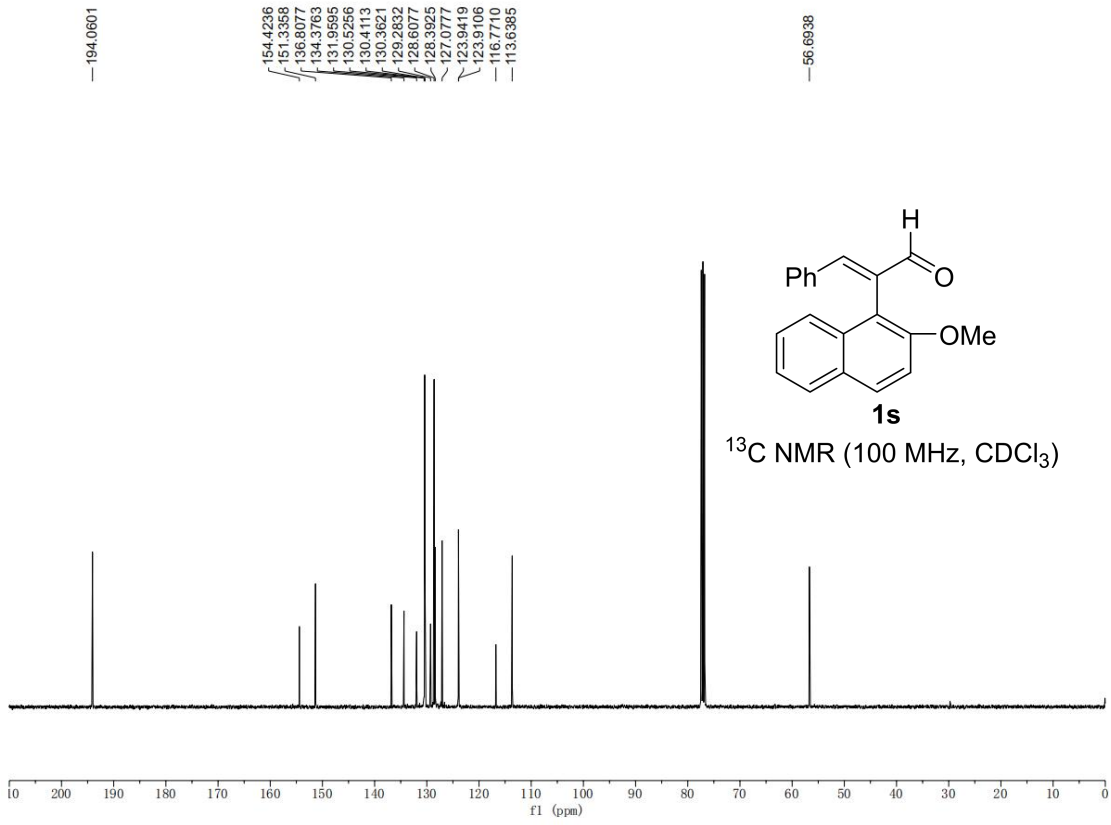


^{1}H NMR (400 MHz, DMSO-d_6)

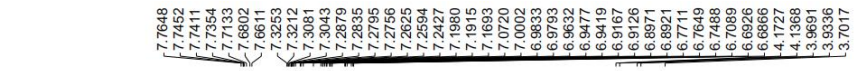


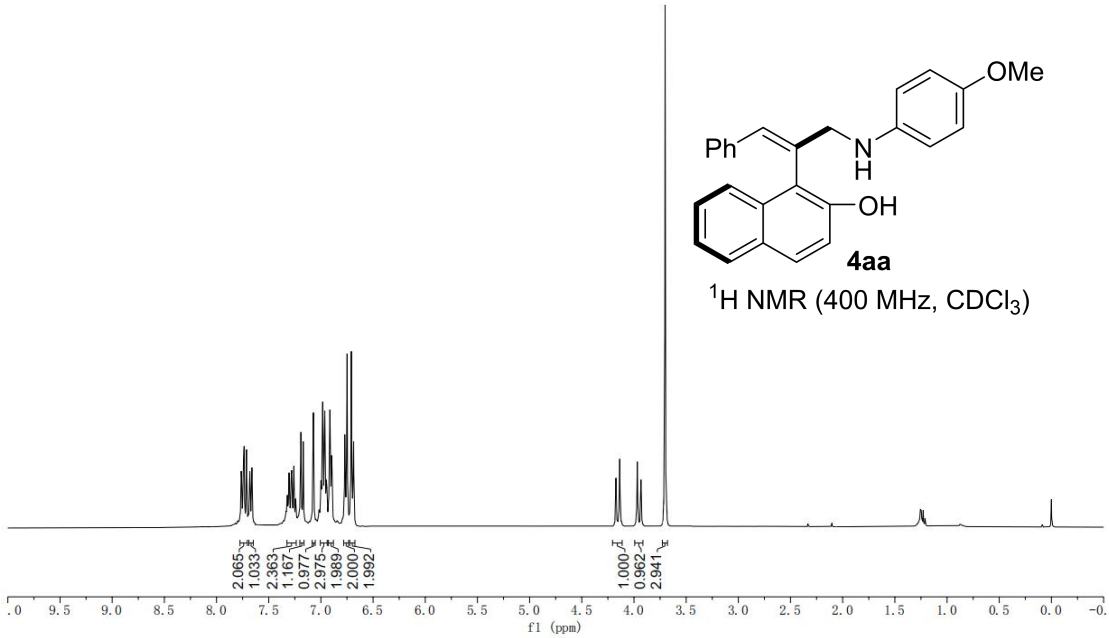
^{13}C NMR (100 MHz, DMSO-d_6)

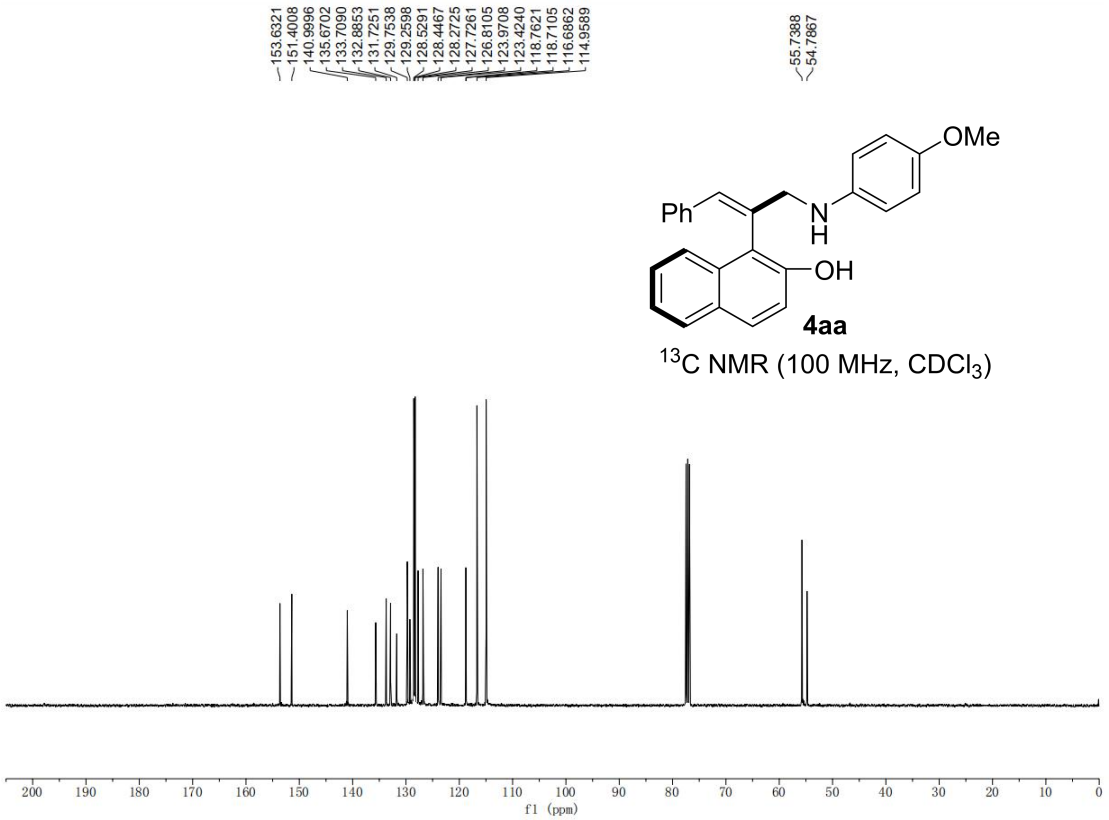

9.8858
7.9445
7.9219
7.8255
7.8186
7.8145
7.8067
7.8017
7.7585
7.4690
7.4562
7.4523
7.4446
7.3673
7.3447
7.3071
7.3019
7.2963
7.2935
7.2878
7.2829
7.2075
7.2017
7.1983
7.1910
7.1851
7.1806
7.1745
7.1693
7.1277
7.1119
7.1068
7.0904
7.0706
7.0682
3.7922
H
Ph
O
OMe
1s
^{1}H NMR (400 MHz, CDCl$_3$)
0.986
0.993
0.992
0.998
0.995
1.000
1.988
0.999
3.997
3.000
f1 (ppm)

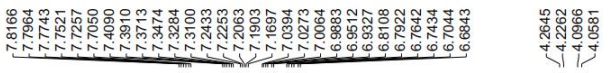

194.0601
154.4236
151.3358
136.8077
134.3763
131.9595
130.5256
130.4113
130.3621
129.2832
128.6077
128.3925
127.0777
123.9419
123.9106
116.7710
113.6385
56.6938
H
Ph
O
OMe
1s
^{13}C NMR (100 MHz, CDCl$_3$)
f1 (ppm)

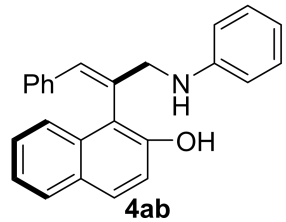
NMR Spectra of Products





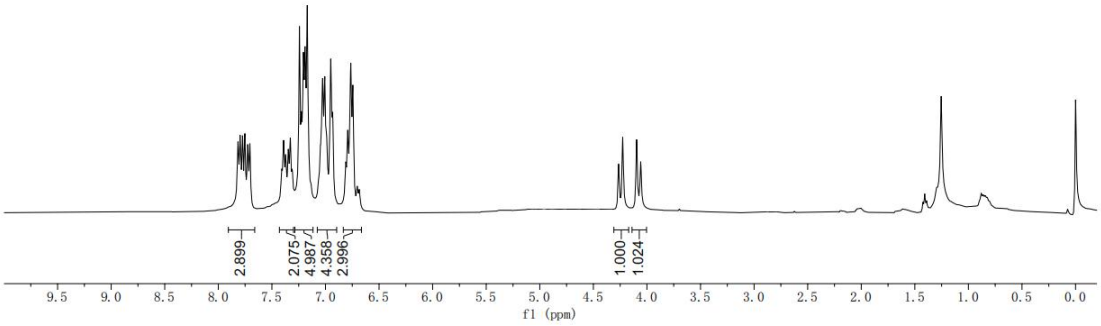


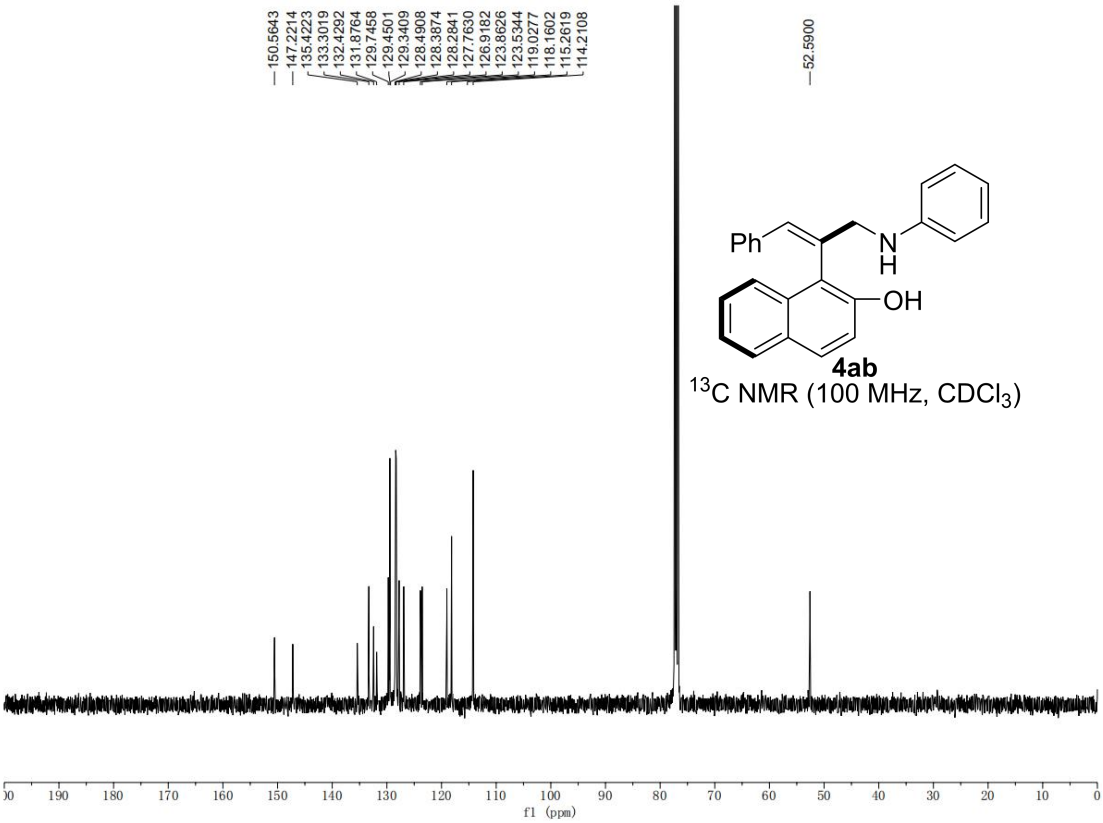




4ab

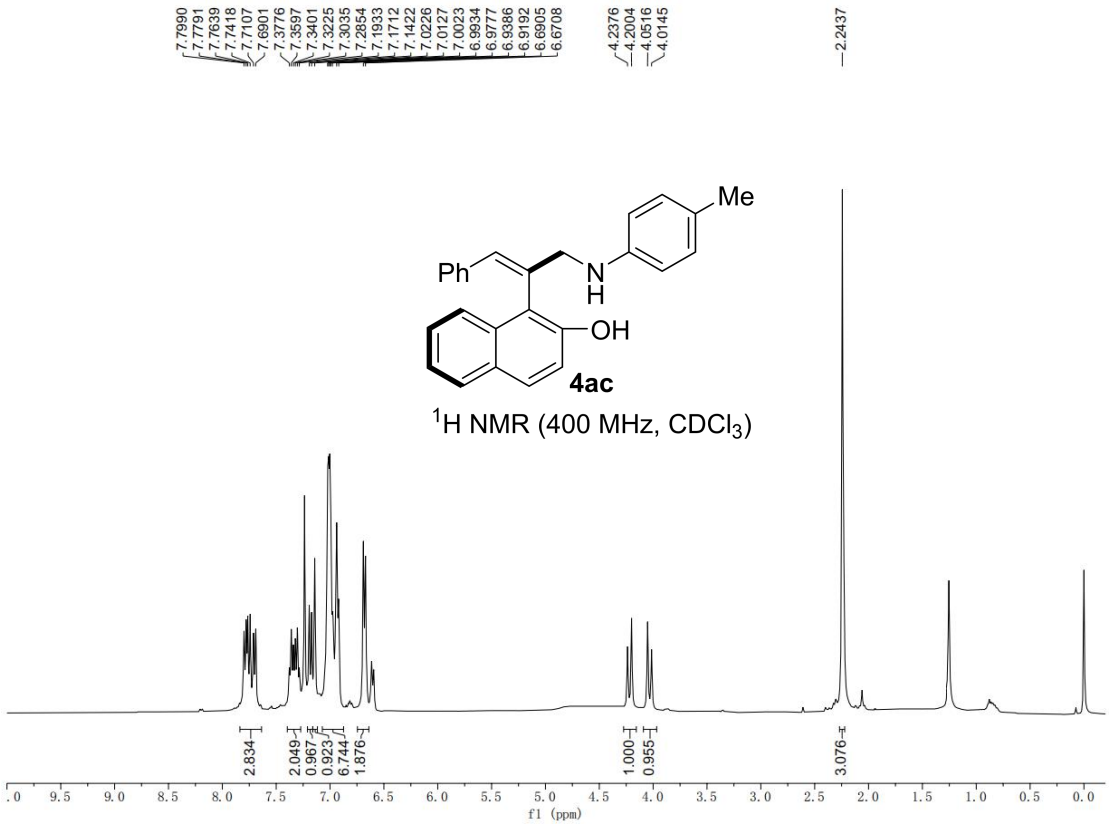
^{1}H NMR (400 MHz, $CDCl_3$)

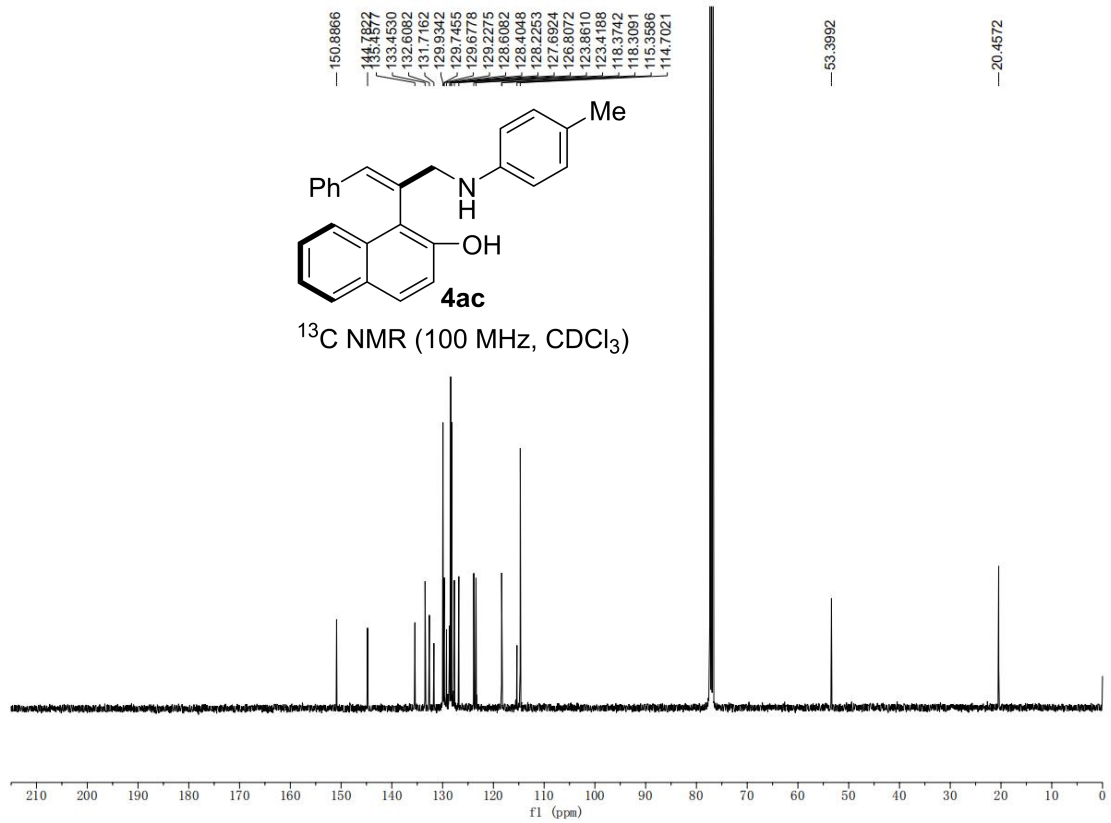


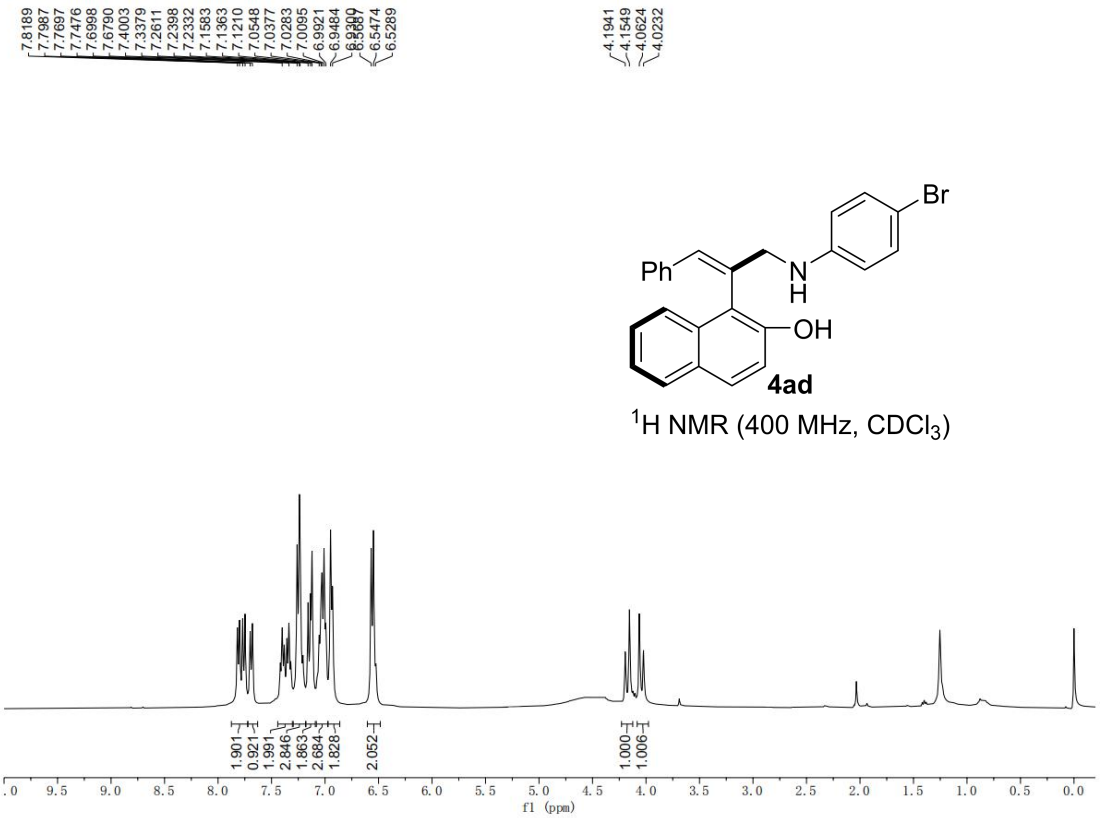


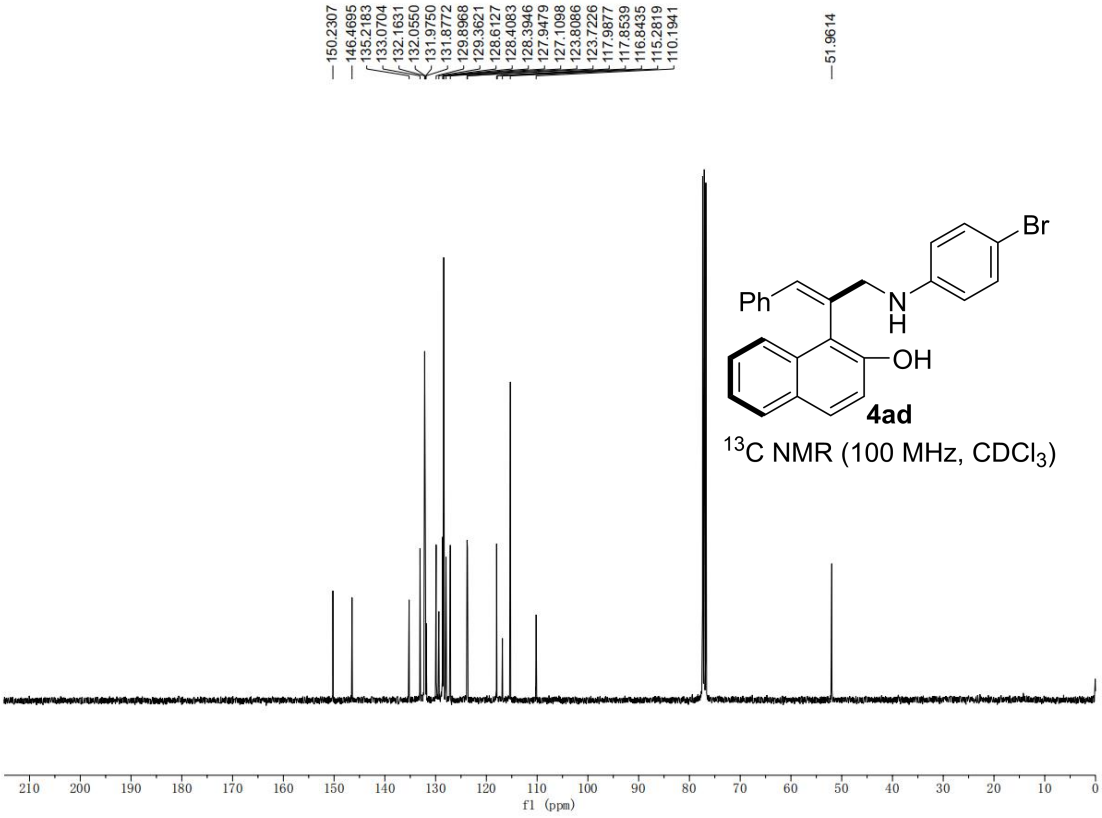
4ab

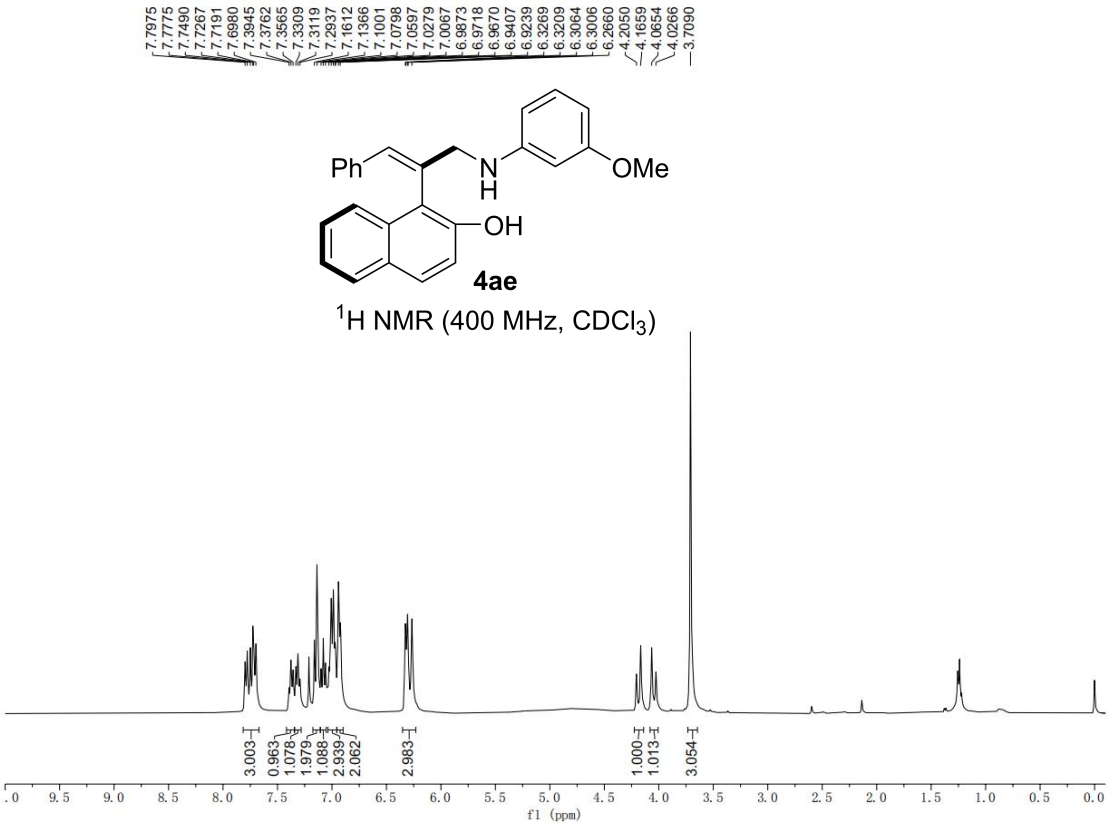
^{13}C NMR (100 MHz, $CDCl_3$)


7.7990
7.7791
7.7639
7.7418
7.7107
7.6901
7.3776
7.3597
7.3401
7.3225
7.3035
7.2854
7.1933
7.1712
7.1422
7.0226
7.0127
7.0023
6.9934
6.9777
6.9386
6.9192
6.6905
6.6708
4.2376
4.2004
4.0516
4.0145
2.2437
Me
Ph
N
H
OH
4ac
1H NMR (400 MHz, CDCl3)
2.834
2.049
0.967
0.923
6.744
1.876
1.000
0.955
3.076
f1 (ppm)

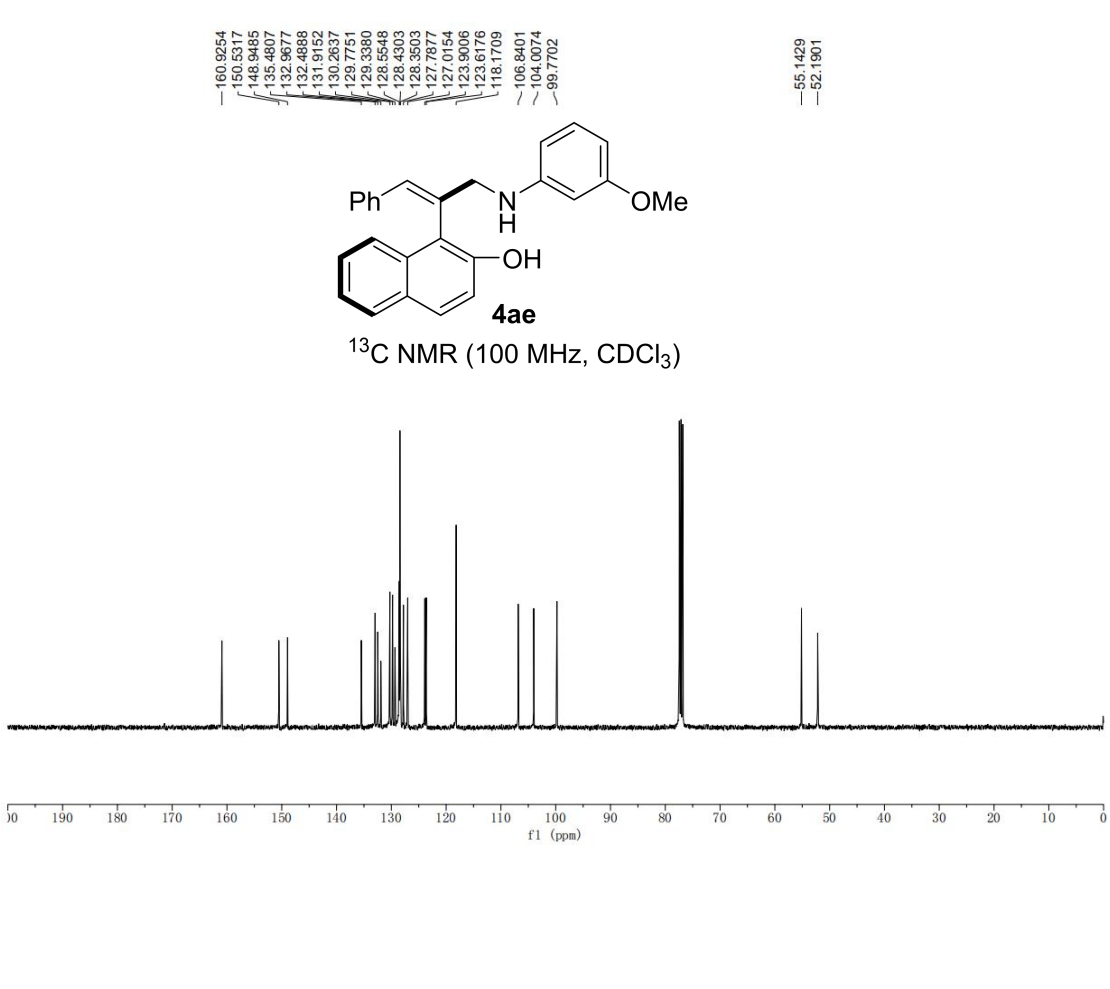

150.8866
144.7827
144.4677
133.4530
132.6082
131.7162
129.9342
129.7455
129.6778
129.2275
128.6082
128.4048
128.2253
127.6924
126.8072
123.8610
123.4188
118.3742
118.3091
115.3586
114.7021
53.3992
20.4572
Me
Ph
N
H
OH
4ac
13C NMR (100 MHz, CDCl3)
f1 (ppm)


7.8189
7.7987
7.7697
7.7476
7.6998
7.6790
7.4003
7.3379
7.2611
7.2398
7.2332
7.1583
7.1363
7.1210
7.0548
7.0377
7.0283
7.0095
6.9921
6.9484
6.5474
6.5289
4.1941
4.1549
4.0624
4.0232
Br
Ph
N
H
OH
4ad
1H NMR (400 MHz, CDCl3)
1.901
0.921
1.991
2.846
1.863
2.684
1.828
2.052
1.000
1.006
f1 (ppm)

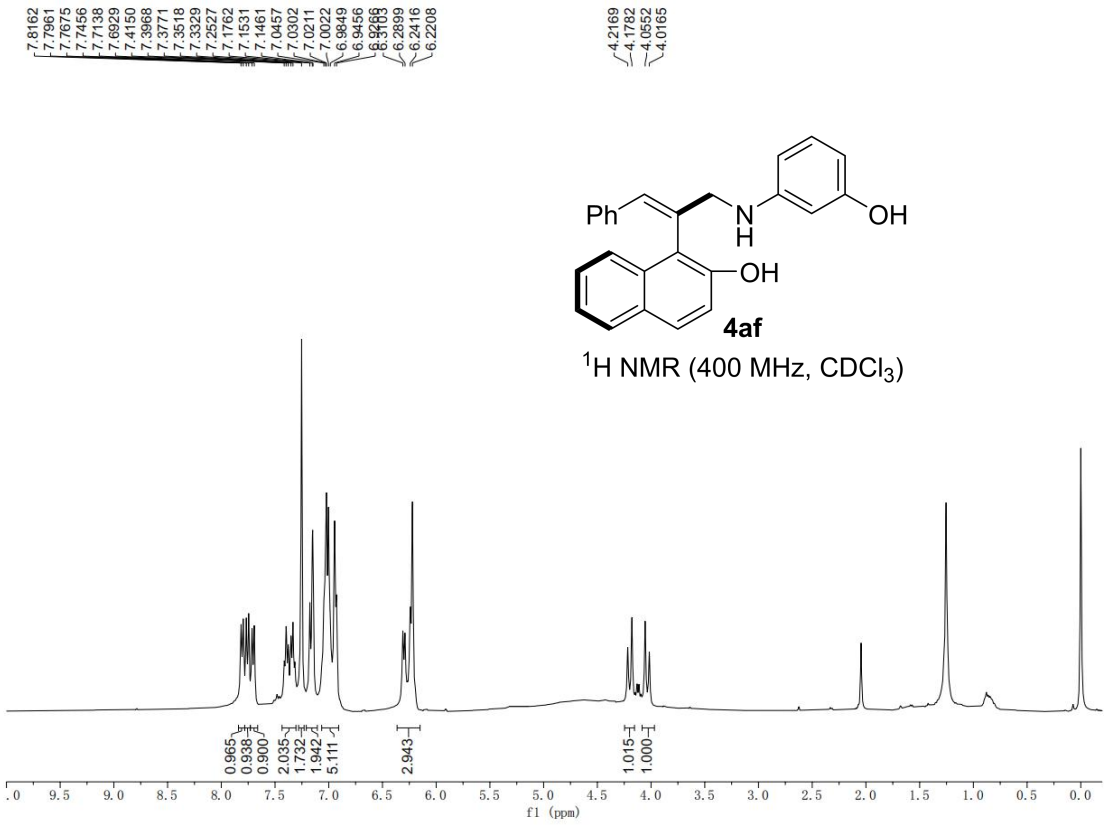

150.2307
146.4695
135.2183
133.0704
132.1631
132.0550
131.9750
131.8772
129.8968
129.3621
128.6127
128.4083
128.3946
127.9479
127.1098
123.8086
123.7226
117.9677
117.8539
116.8435
115.2819
110.1941
51.9614
Br
Ph
N
H
OH
4ad
13C NMR (100 MHz, CDCl3)
f1 (ppm)



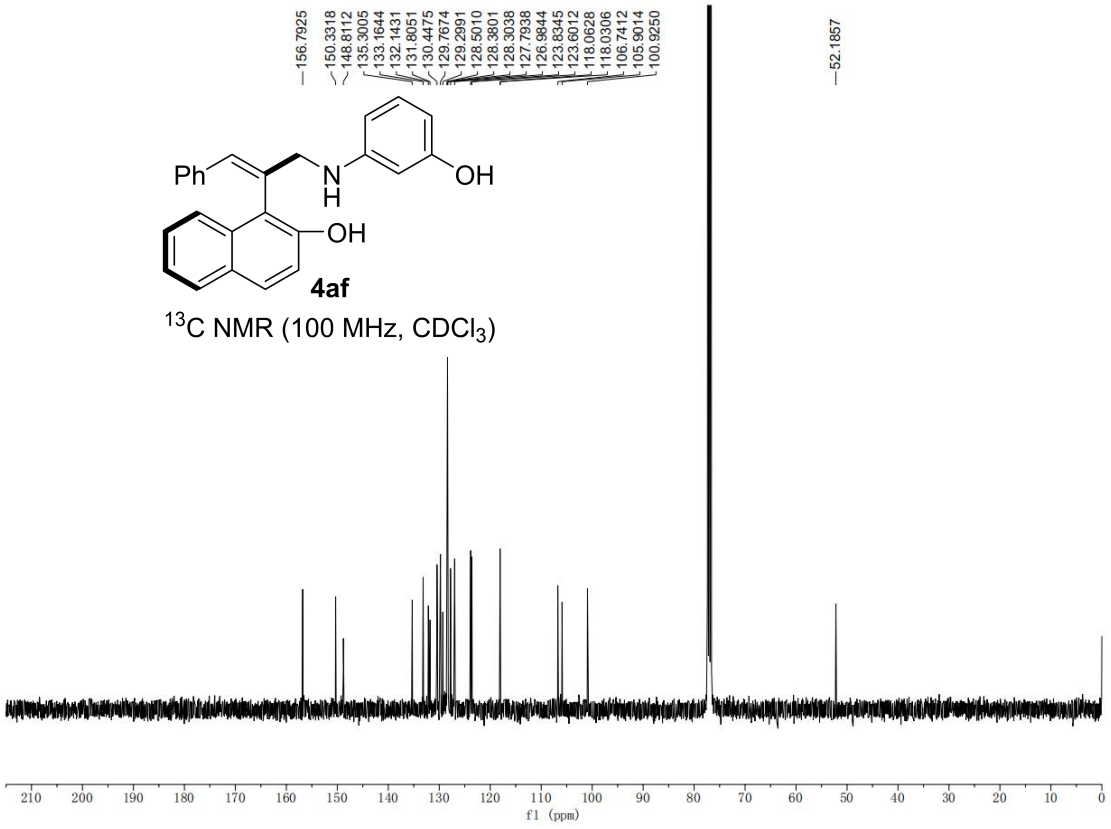
^{1}H NMR (400 MHz, $CDCl_3$)



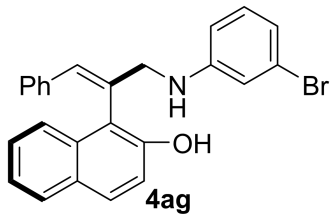
^{13}C NMR (100 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

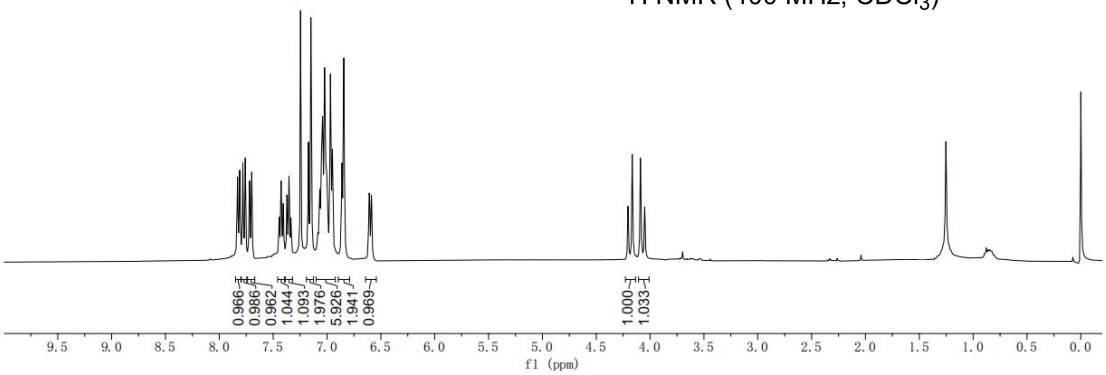


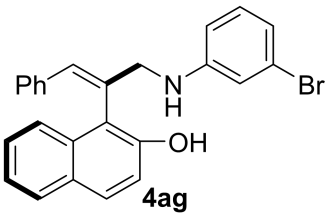
^{13}C NMR (100 MHz, $CDCl_3$)



4ag

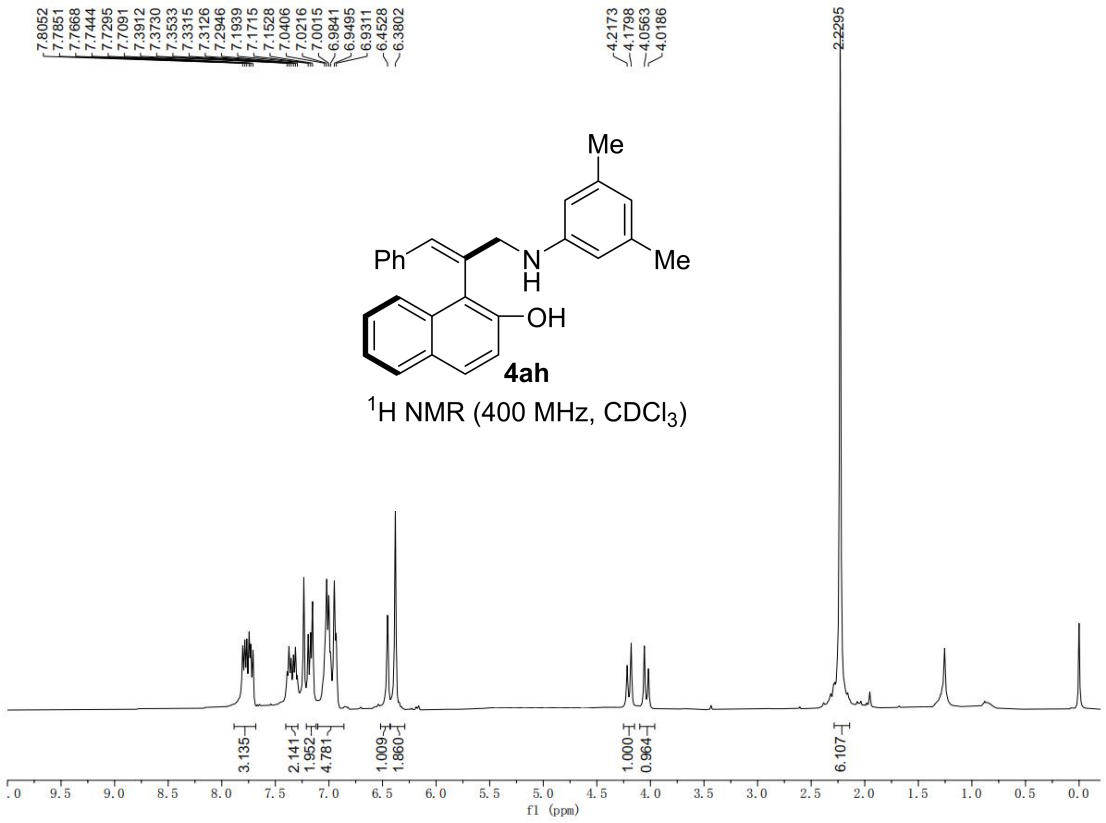
^{1}H NMR (400 MHz, $CDCl_3$)

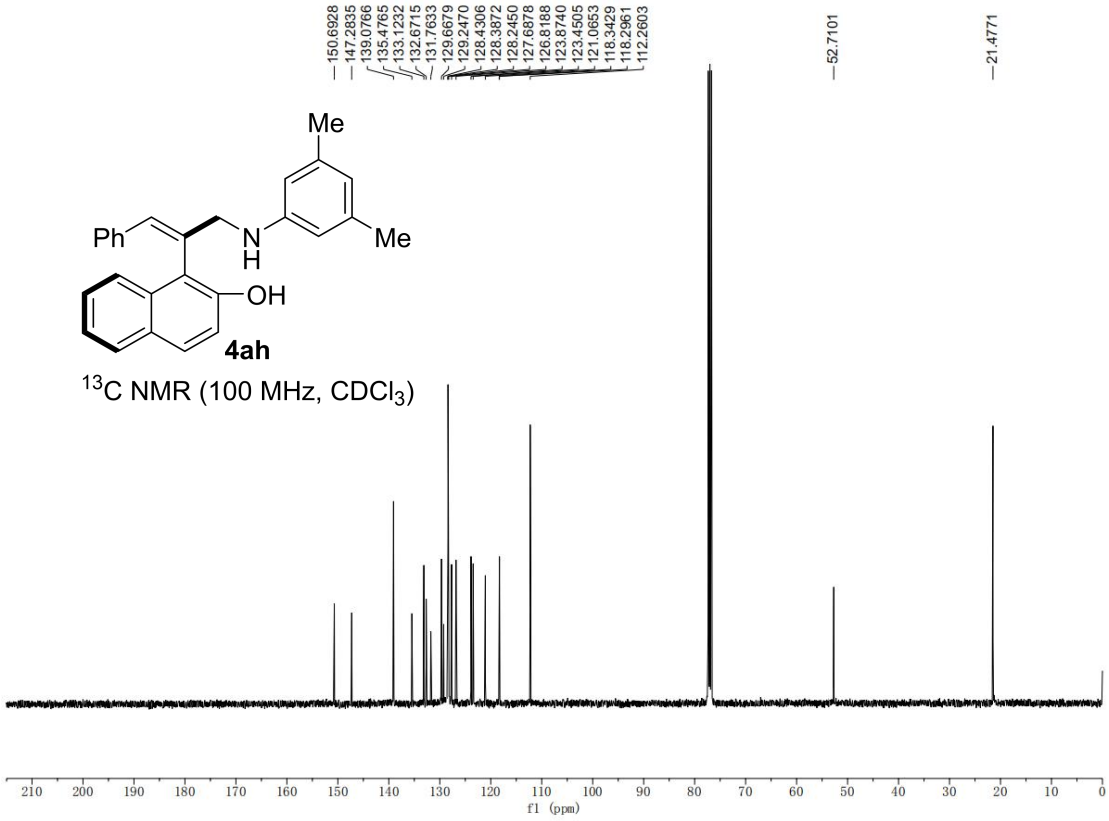


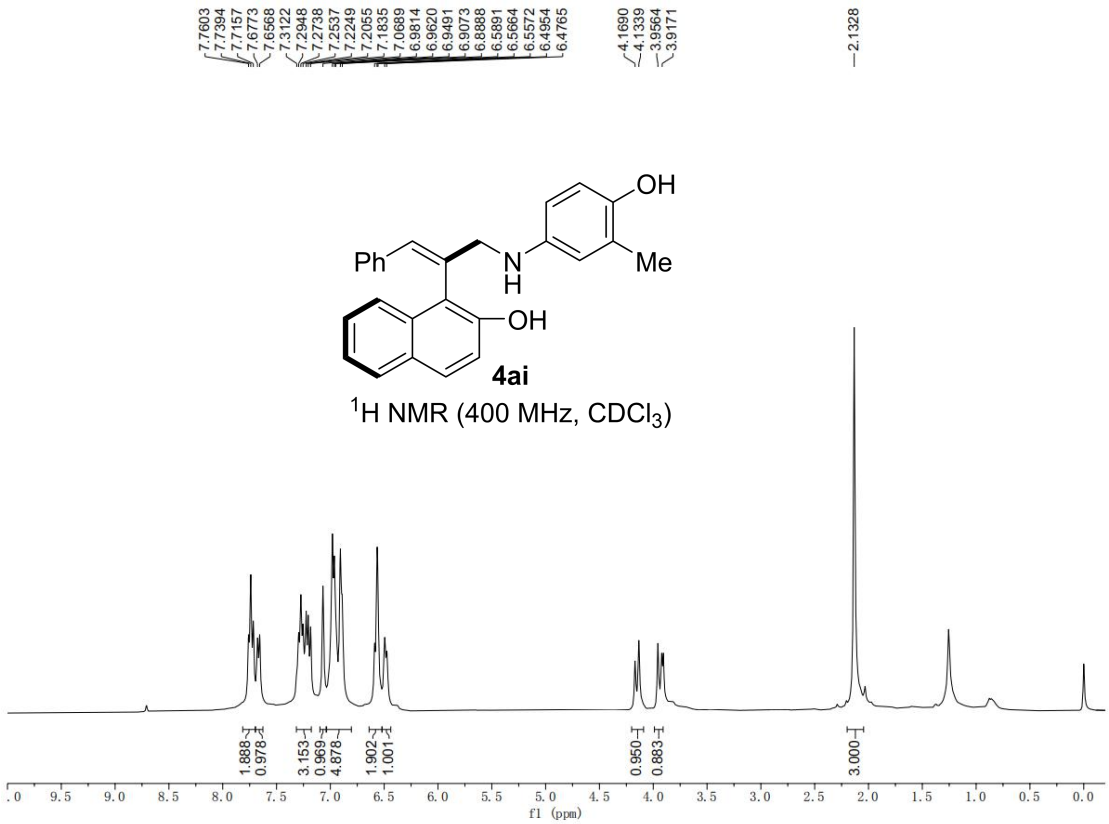


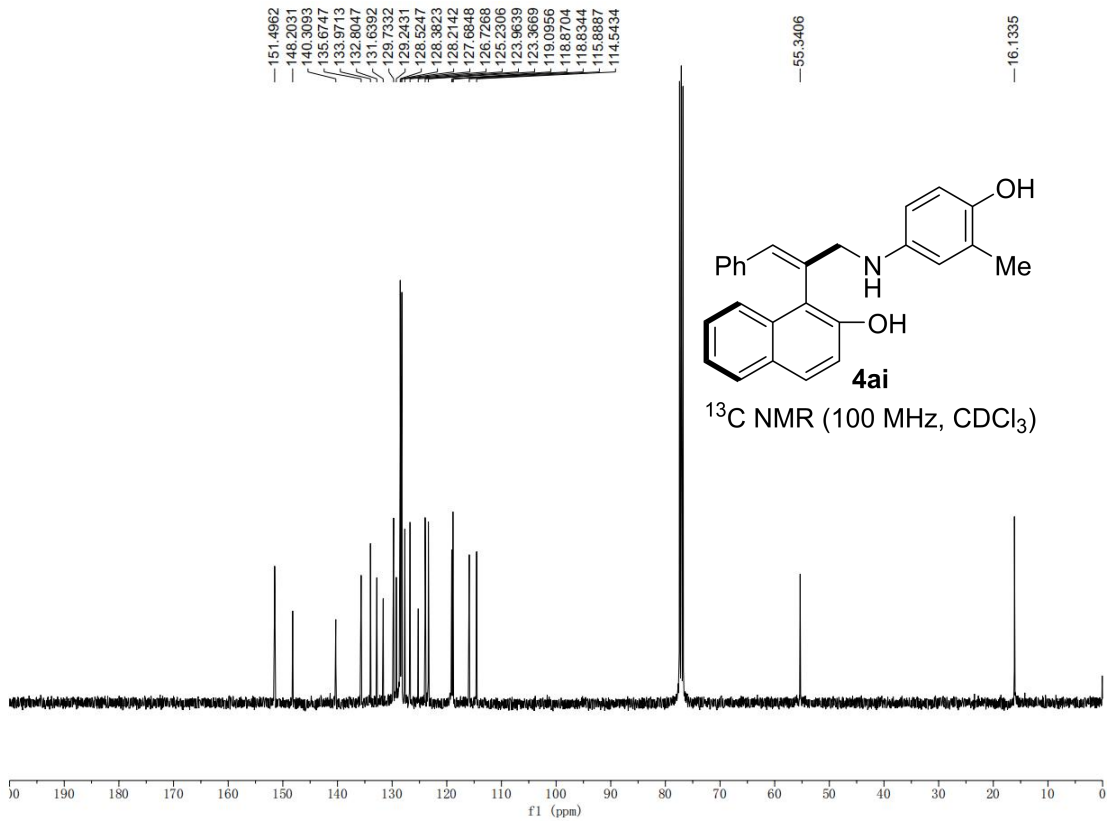
4ag

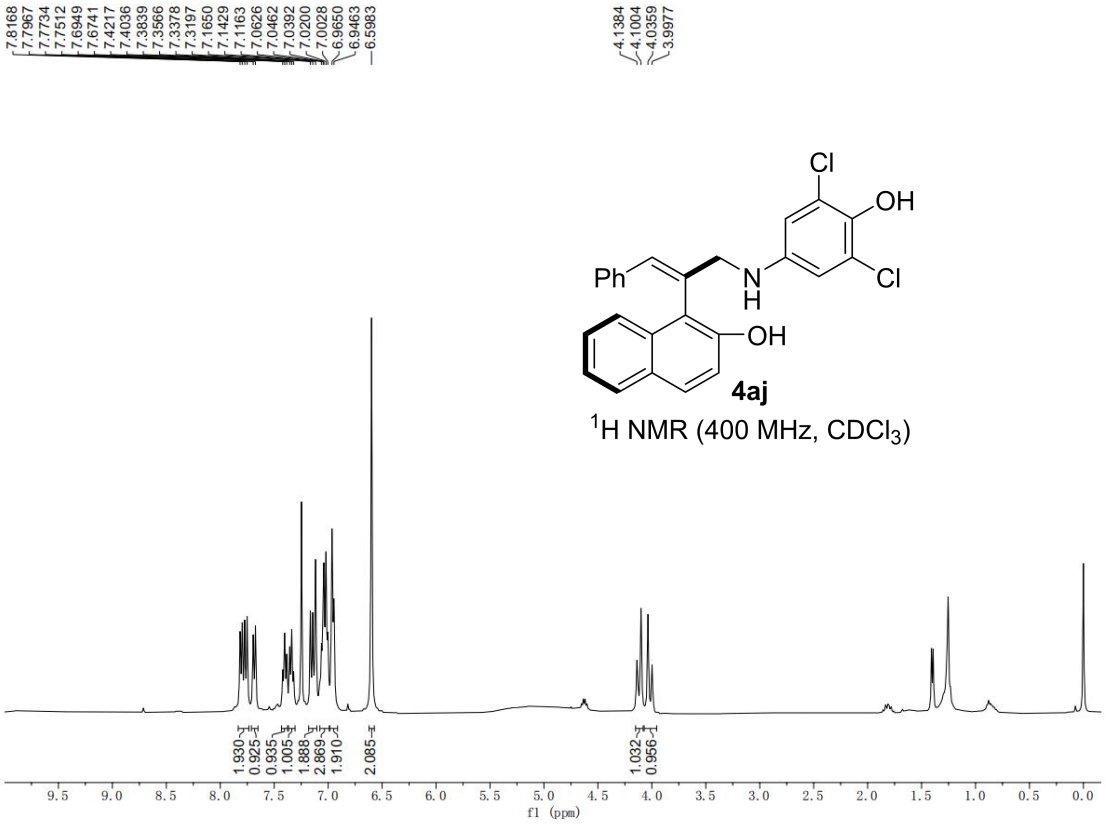
^{13}C NMR (100 MHz, $CDCl_3$)

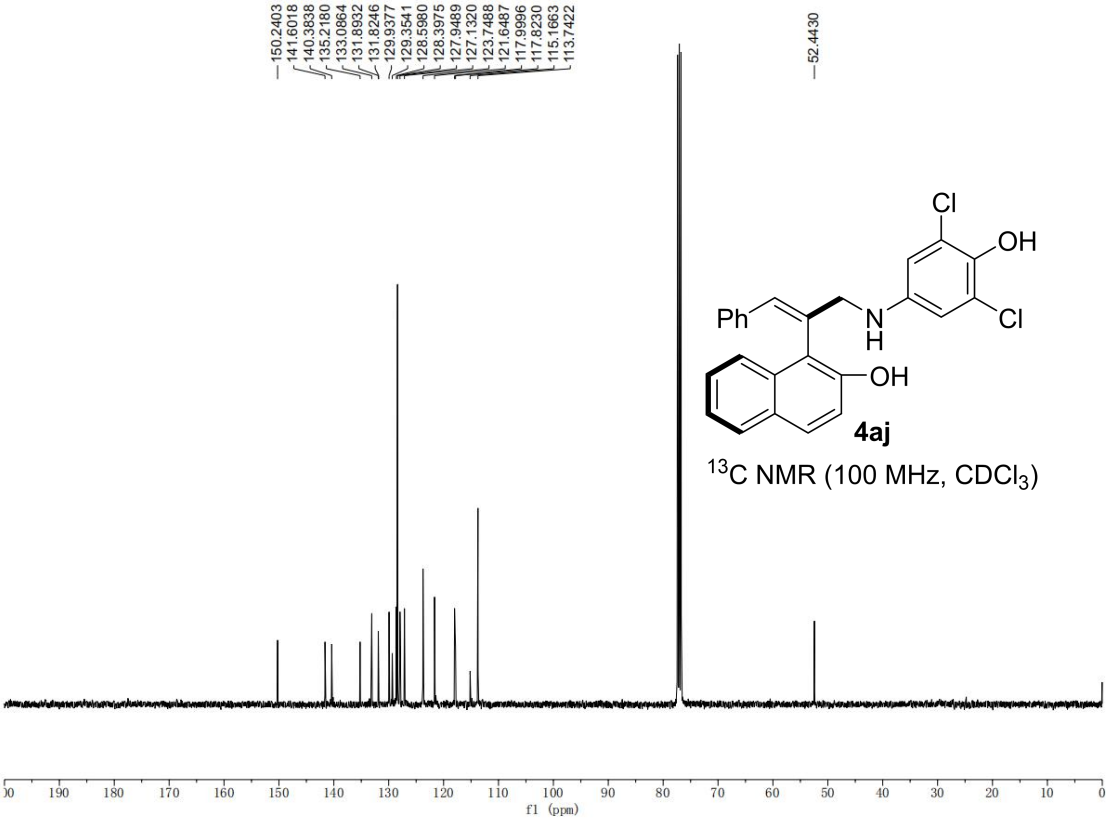

Me
Ph
N
H
Me
OH
4ah
1H NMR (400 MHz, CDCl3)
f1 (ppm)

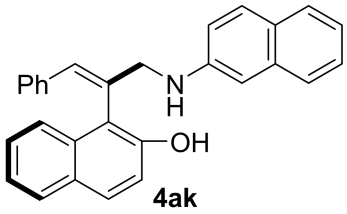

Me
Ph
N
H
Me
OH
4ah
13C NMR (100 MHz, CDCl3)
f1 (ppm)


7.7603
7.7394
7.7157
7.6773
7.6568
7.3122
7.2948
7.2738
7.2537
7.2249
7.2055
7.1835
7.0689
6.9814
6.9620
6.9491
6.9073
6.8888
6.5891
6.5664
6.5572
6.4954
6.4765
4.1690
4.1339
3.9564
3.9171
2.1328
OH
Ph
N
H
Me
OH
4ai
1H NMR (400 MHz, CDCl3)
1.888
0.978
3.153
0.969
4.878
1.902
1.001
0.950
0.883
3.000
f1 (ppm)


151.4962
148.2031
140.3093
135.6747
133.9713
132.8047
131.6392
129.7332
129.2431
128.5247
128.3823
128.2142
127.6848
126.7268
125.2306
123.9639
123.3669
119.0956
118.8704
118.8344
115.8887
114.5434
55.3406
16.1335
OH
Ph
N
H
Me
OH
4ai
13C NMR (100 MHz, CDCl3)
f1 (ppm)

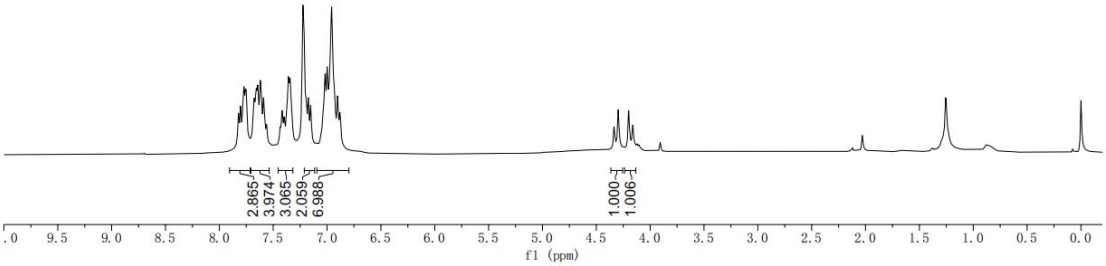

7.8168
7.7967
7.7734
7.7512
7.6949
7.6741
7.4217
7.4036
7.3839
7.3566
7.3378
7.3197
7.1650
7.1429
7.1163
7.0626
7.0462
7.0392
7.0200
7.0028
6.9650
6.9463
6.5983
4.1384
4.1004
4.0359
3.9977
Cl
OH
Ph
N
H
Cl
OH
4aj
1H NMR (400 MHz, CDCl3)
1.930
0.925
0.935
1.005
1.888
2.869
1.910
2.085
1.032
0.956
f1 (ppm)

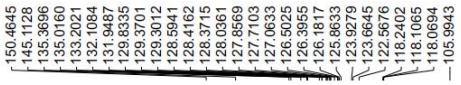

150.2403
141.6018
140.3838
135.2180
133.0864
131.8932
131.8246
129.9377
129.3541
128.5980
128.3975
127.9489
127.1320
123.7488
121.6487
117.9996
117.8230
115.1663
113.7422
52.4430
Cl
OH
Ph
N
H
Cl
OH
4aj
13C NMR (100 MHz, CDCl3)
f1 (ppm)

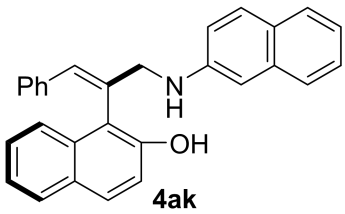


4ak

^{1}H NMR (400 MHz, $CDCl_3$)

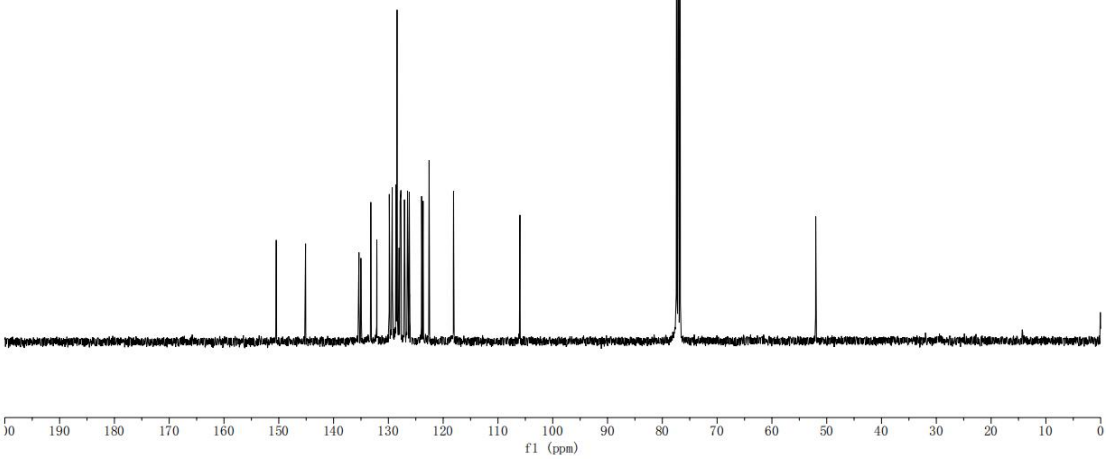


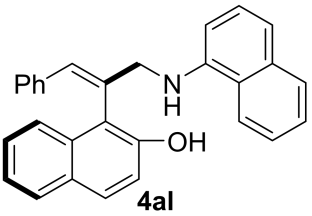




4ak

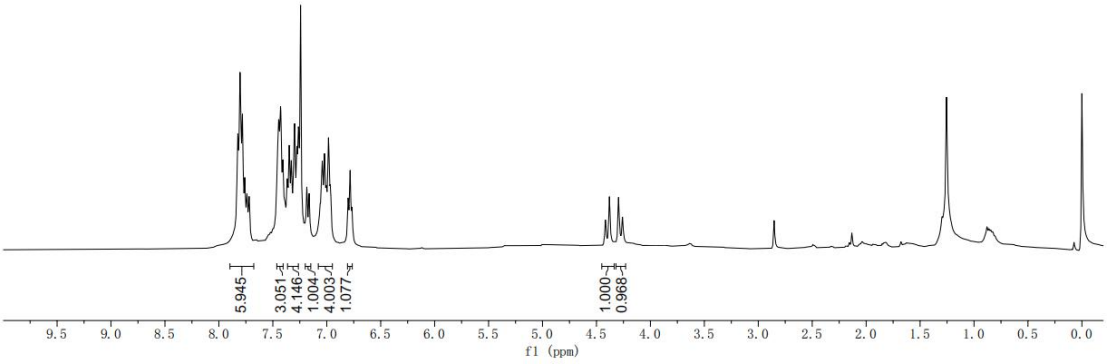
^{13}C NMR (100 MHz, $CDCl_3$)

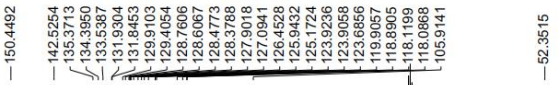


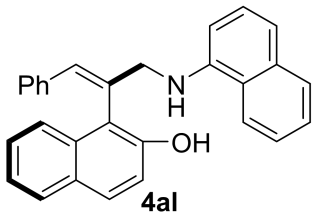


4al

^{1}H NMR (400 MHz, $CDCl_3$)

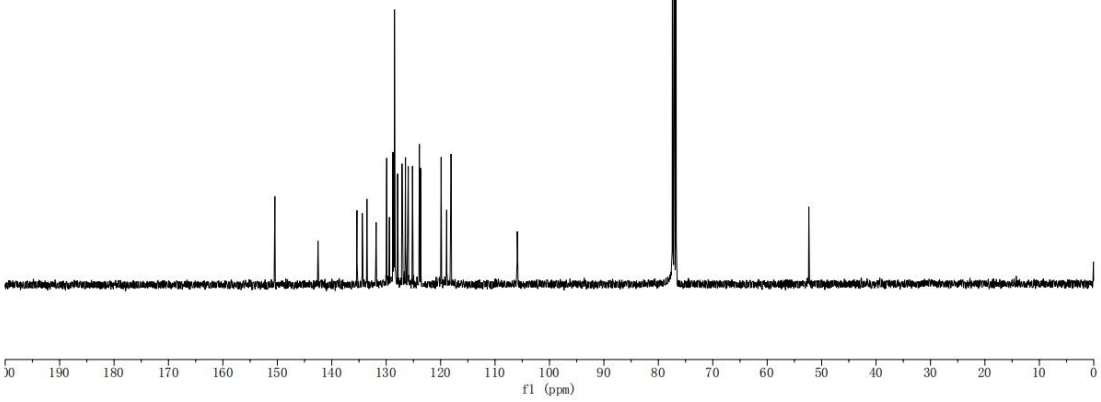


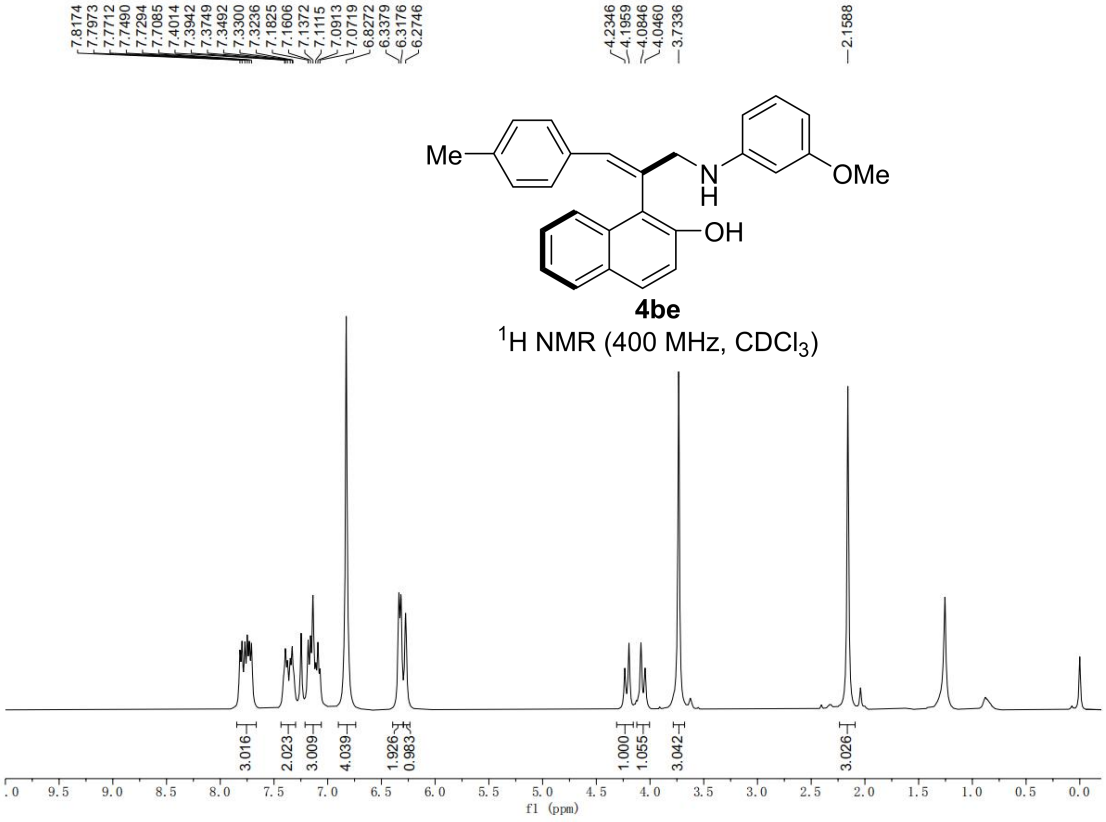




4al

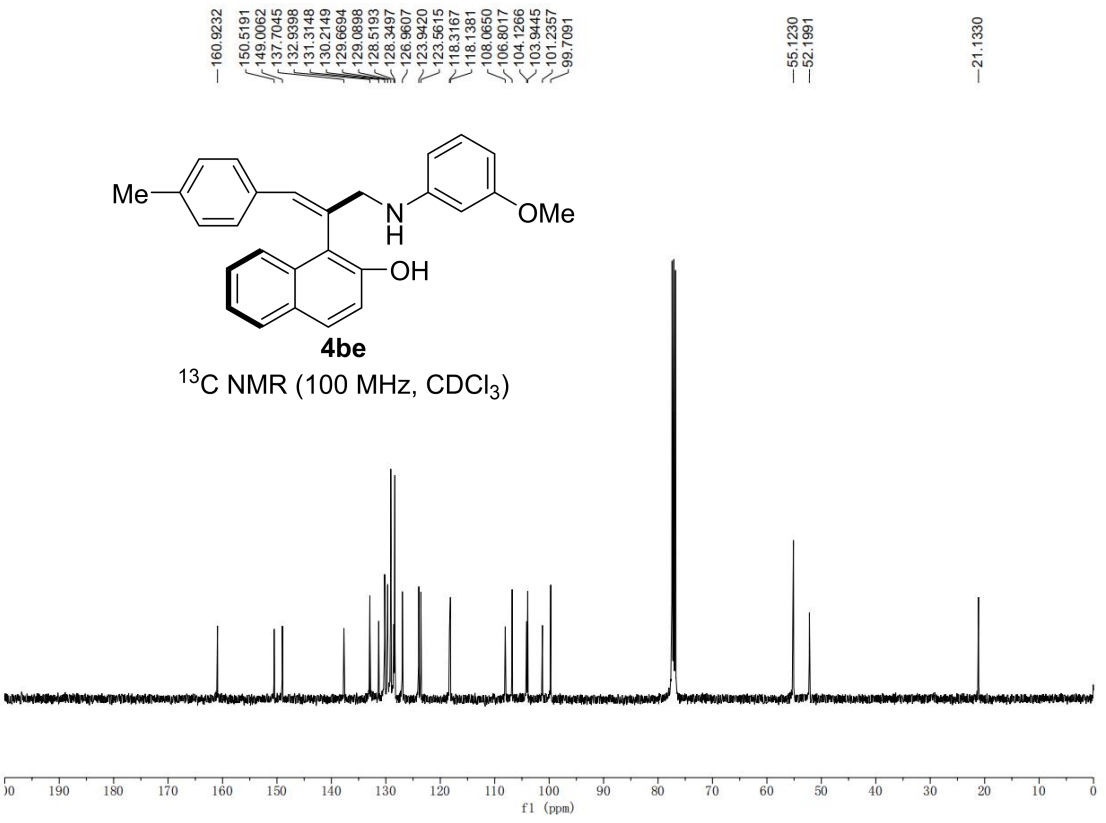
^{13}C NMR (100 MHz, $CDCl_3$)





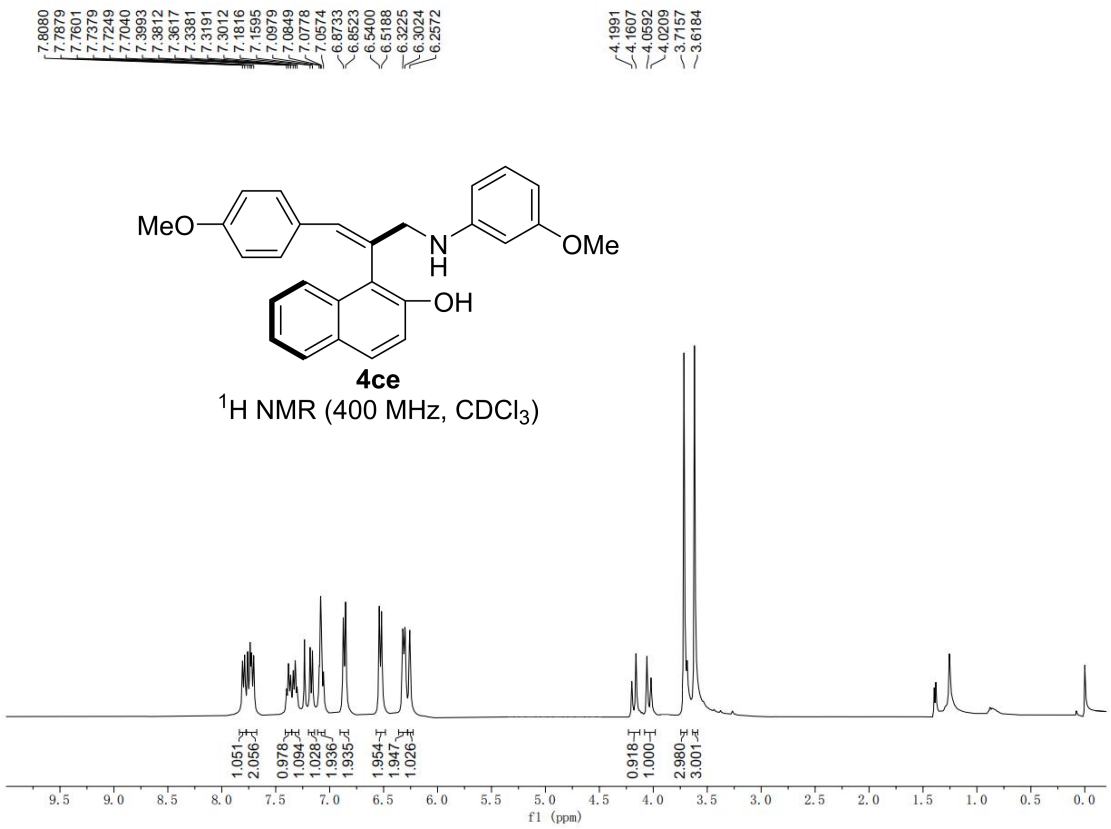
4be

^{1}H NMR (400 MHz, $CDCl_3$)



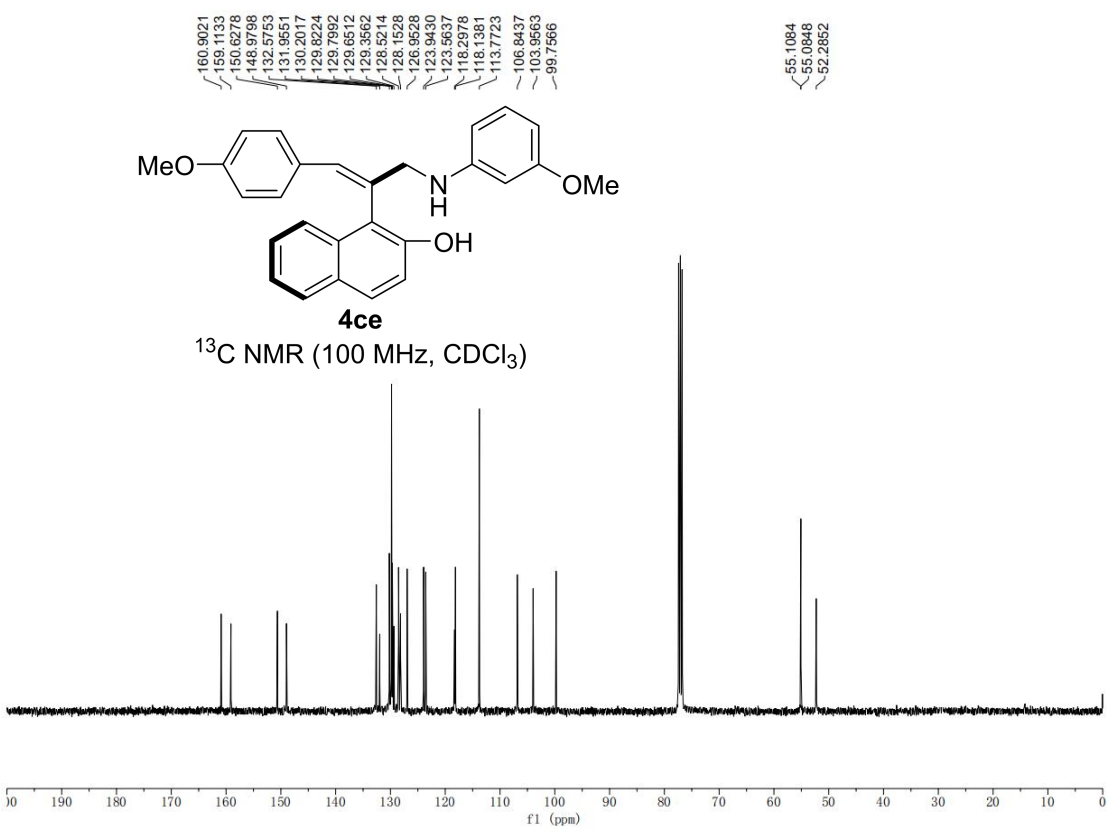
4be

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



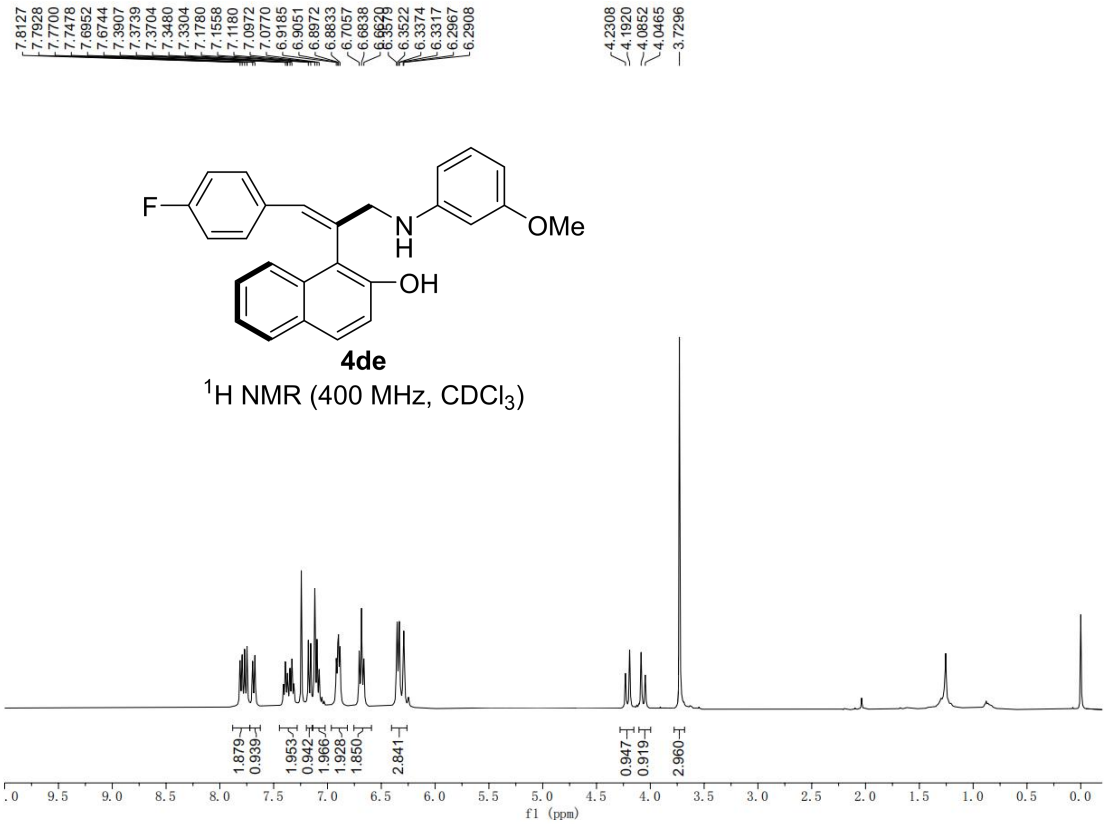
4ce

^{1}H NMR (400 MHz, $CDCl_3$)



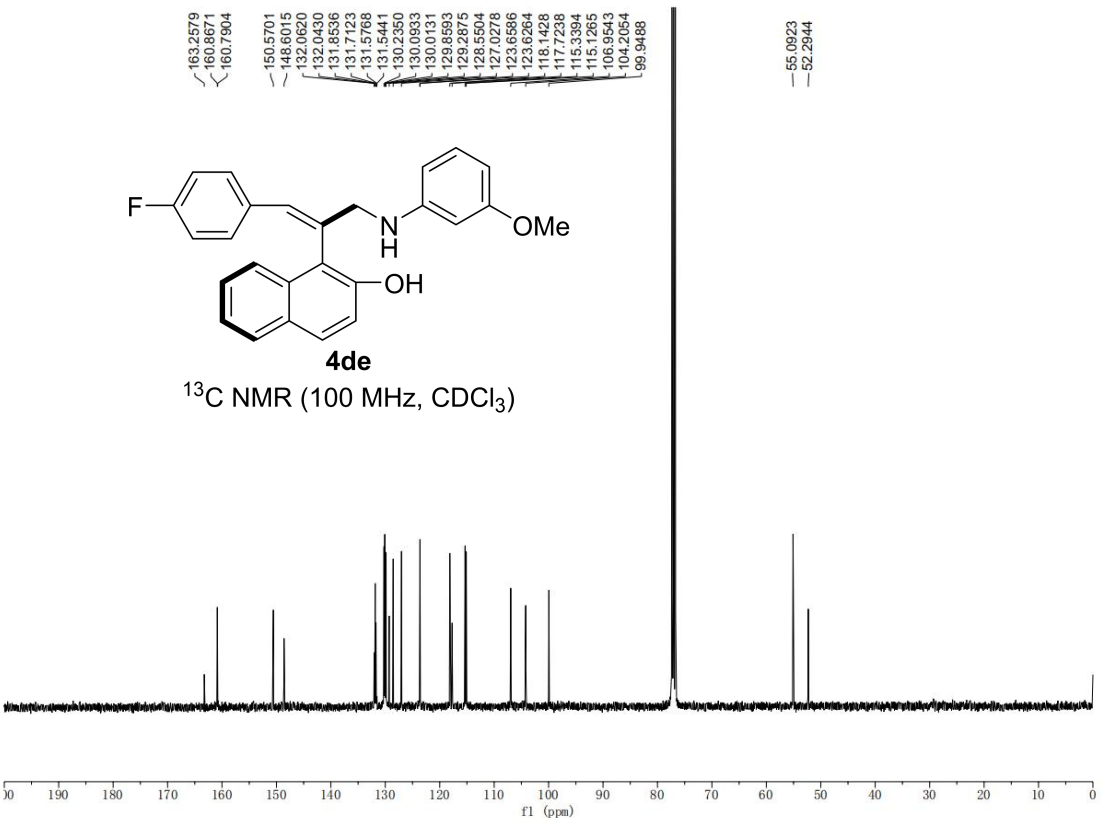
4ce

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



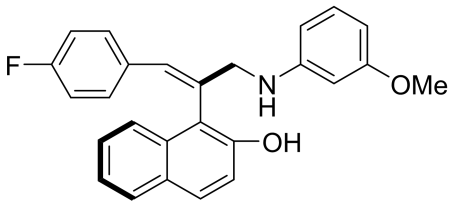
4de

^{1}H NMR (400 MHz, $CDCl_3$)



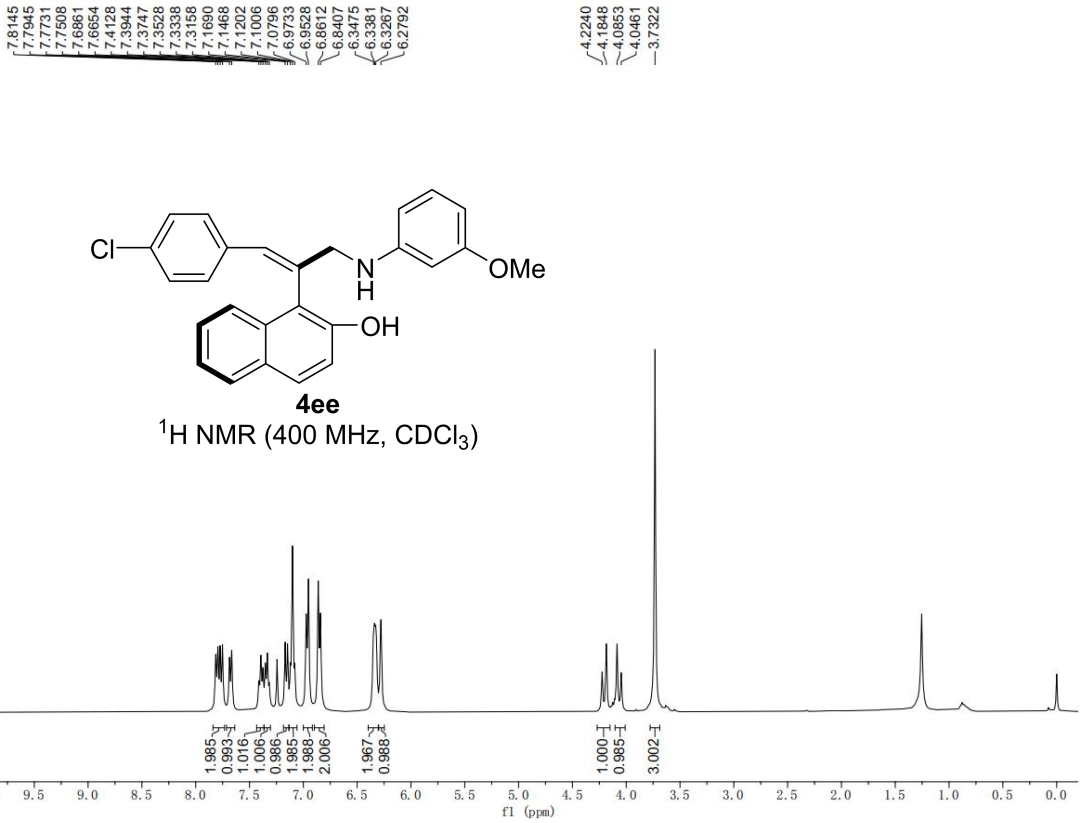
4de

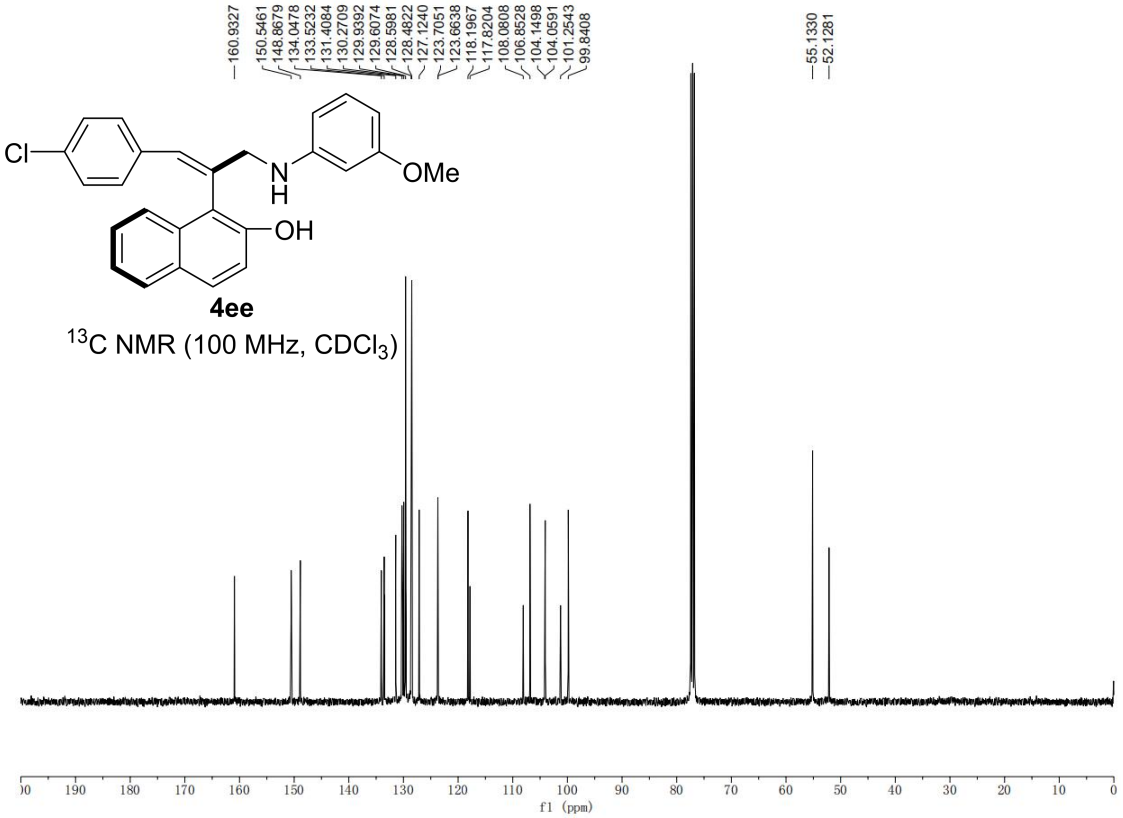
^{13}C NMR (100 MHz, $CDCl_3$)

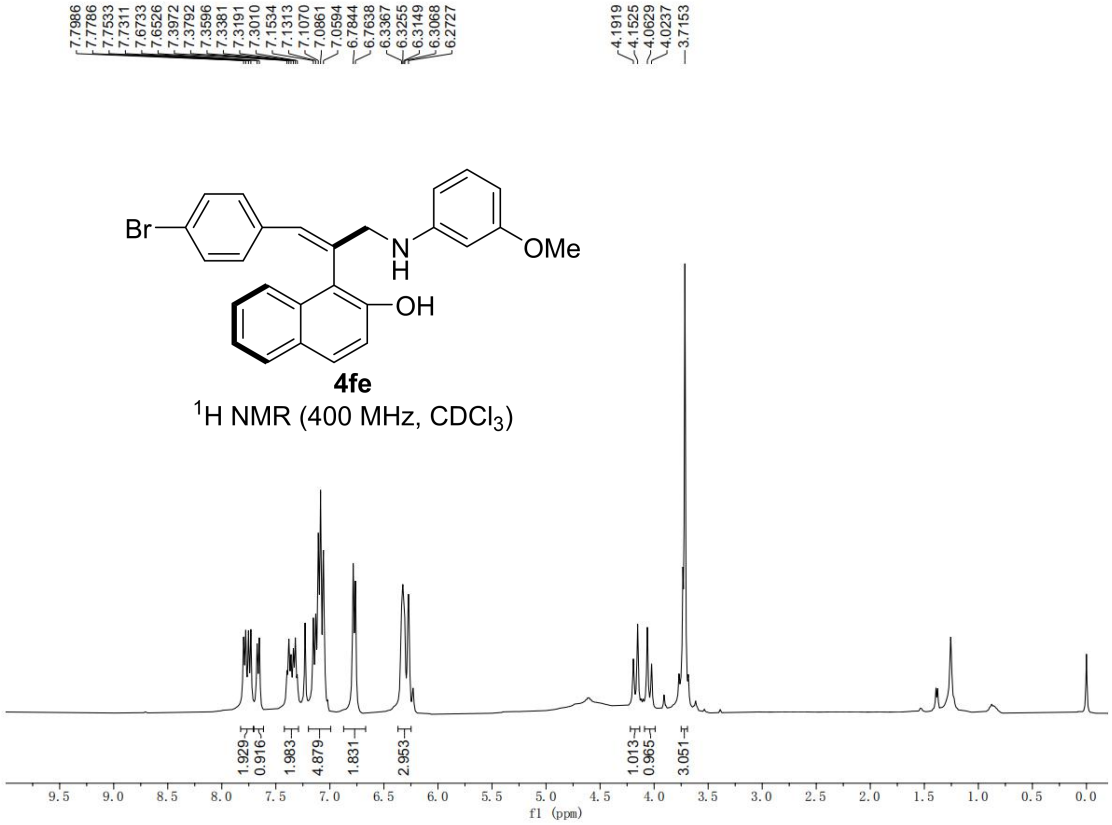


4de

^{19}F NMR (376 MHz, $CDCl_3$)

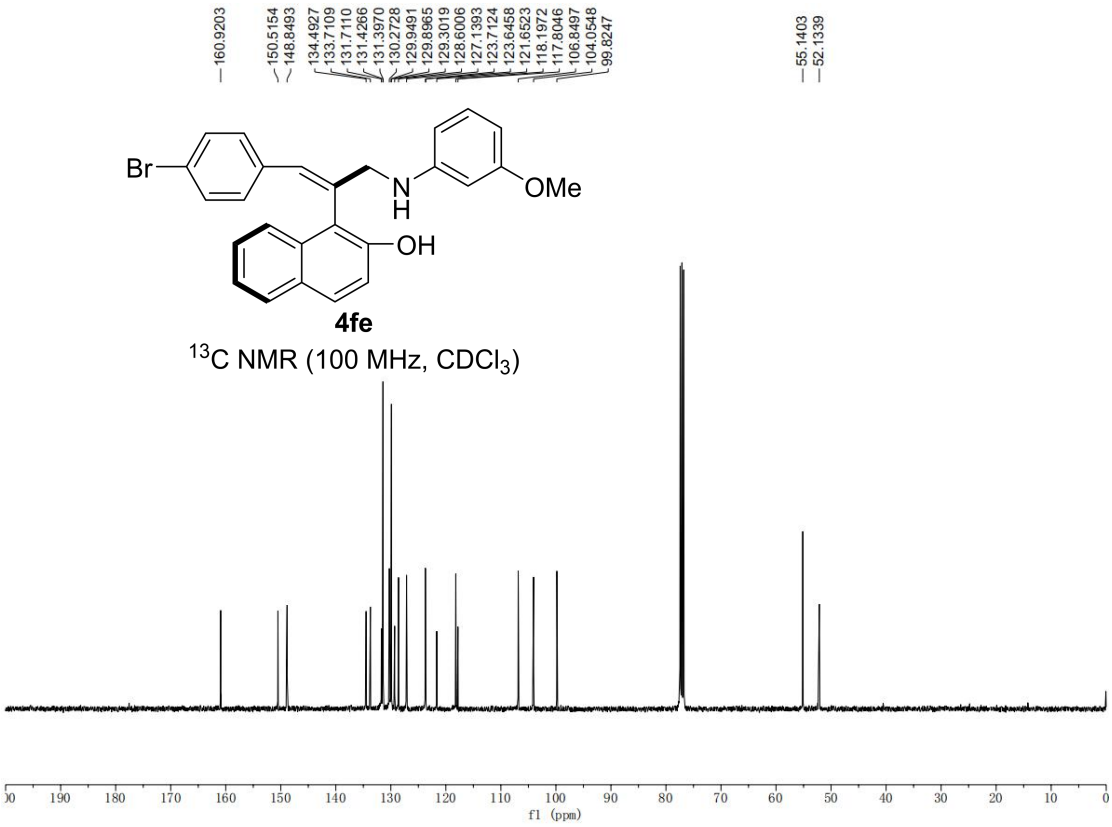

7.8145
7.7945
7.7731
7.7508
7.6861
7.6654
7.4128
7.3944
7.3747
7.3528
7.3338
7.3158
7.1690
7.1468
7.1202
7.1006
7.0796
6.9733
6.9528
6.8612
6.8407
6.3475
6.3381
6.3267
6.2792
4.2240
4.1848
4.0853
4.0461
3.7322
Cl
N
H
OMe
OH
4ee
1H NMR (400 MHz, CDCl3)
1.985
0.993
1.016
1.006
0.986
1.985
1.988
2.006
1.967
0.988
1.000
0.985
3.002
f1 (ppm)


160.9327
150.5461
148.8679
134.0478
133.5232
131.4084
130.2709
129.9392
129.6074
128.5981
128.4822
127.1240
123.7051
123.6638
118.1967
117.8204
108.0808
106.8528
104.1498
104.0591
101.2543
99.8408
55.1330
52.1281
Cl
N
H
OMe
OH
4ee
13C NMR (100 MHz, CDCl3)
f1 (ppm)



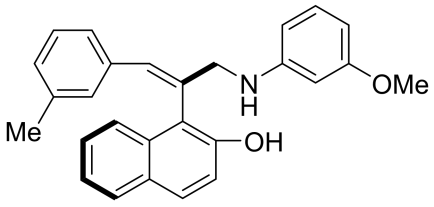
4fe

^{1}H NMR (400 MHz, $CDCl_3$)



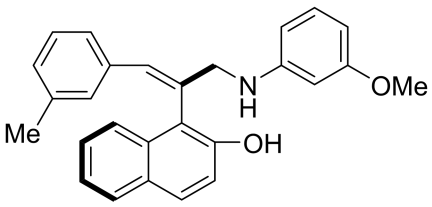
4fe

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



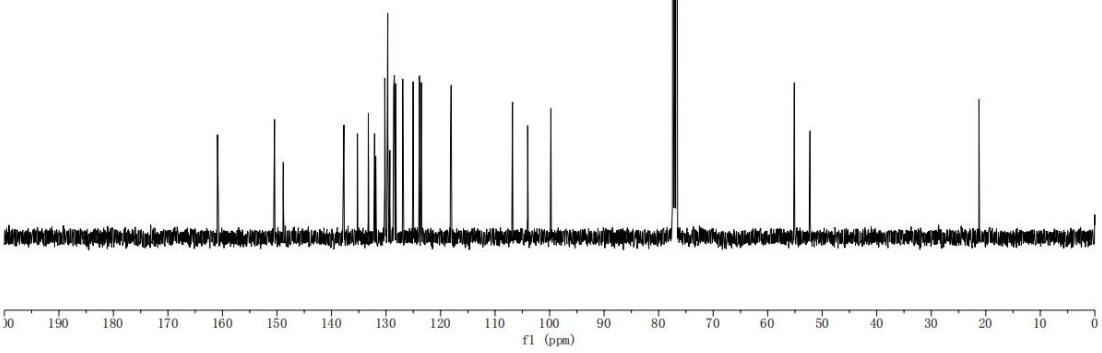
4ge

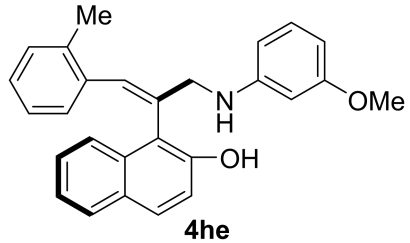
^{1}H NMR (400 MHz, $CDCl_3$)



4ge

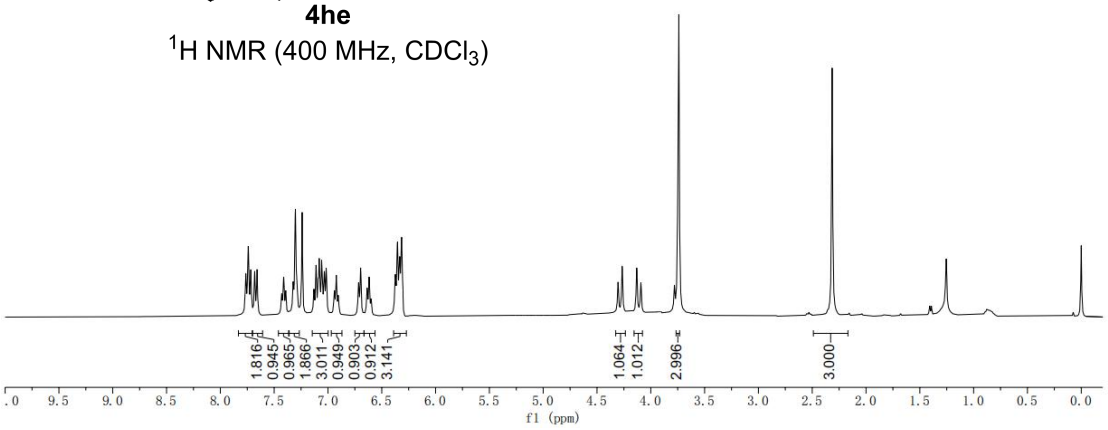
^{13}C NMR (100 MHz, $CDCl_3$)

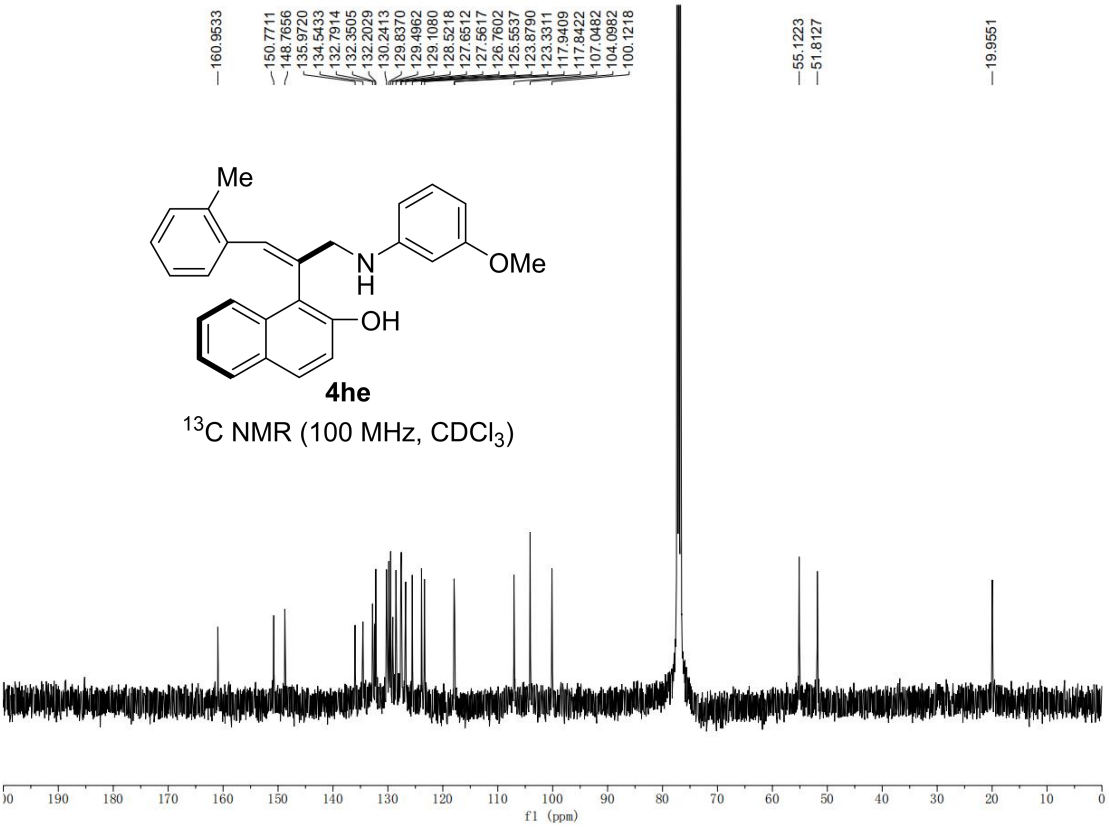




4he

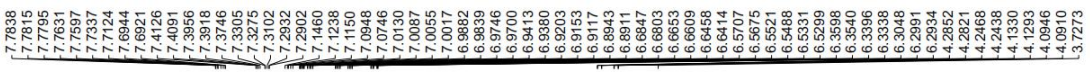
^{1}H NMR (400 MHz, $CDCl_3$)

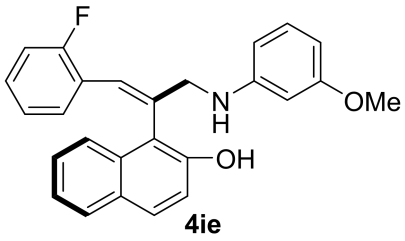




4he

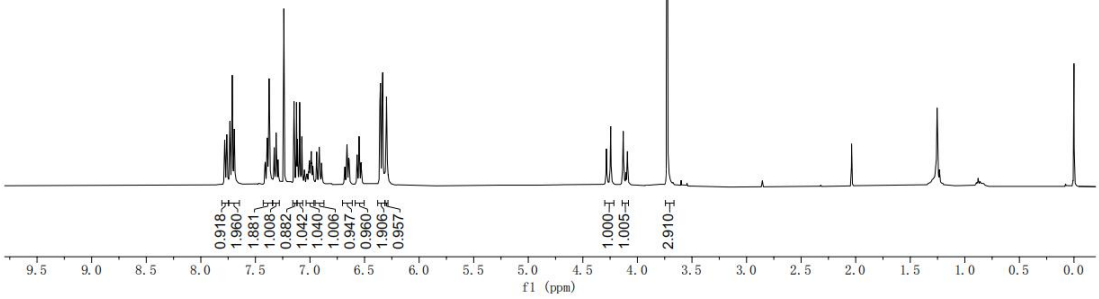
^{13}C NMR (100 MHz, $CDCl_3$)

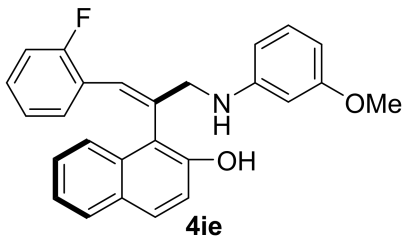




4ie

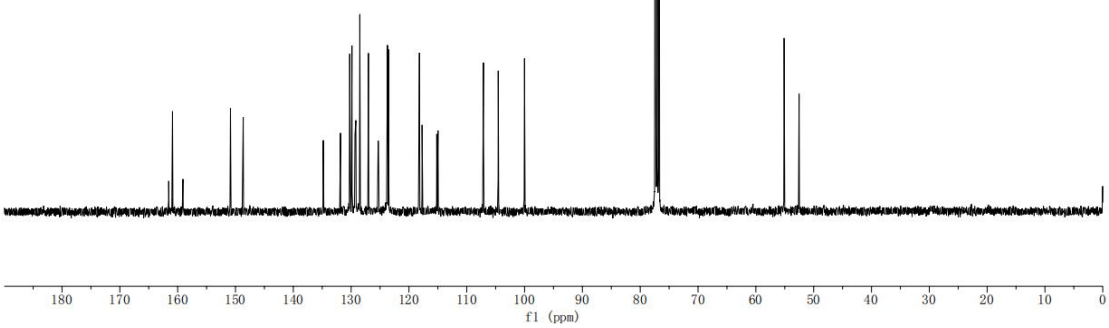
^{1}H NMR (400 MHz, $CDCl_3$)

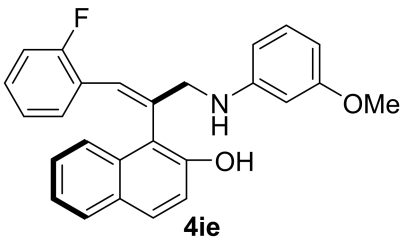




4ie

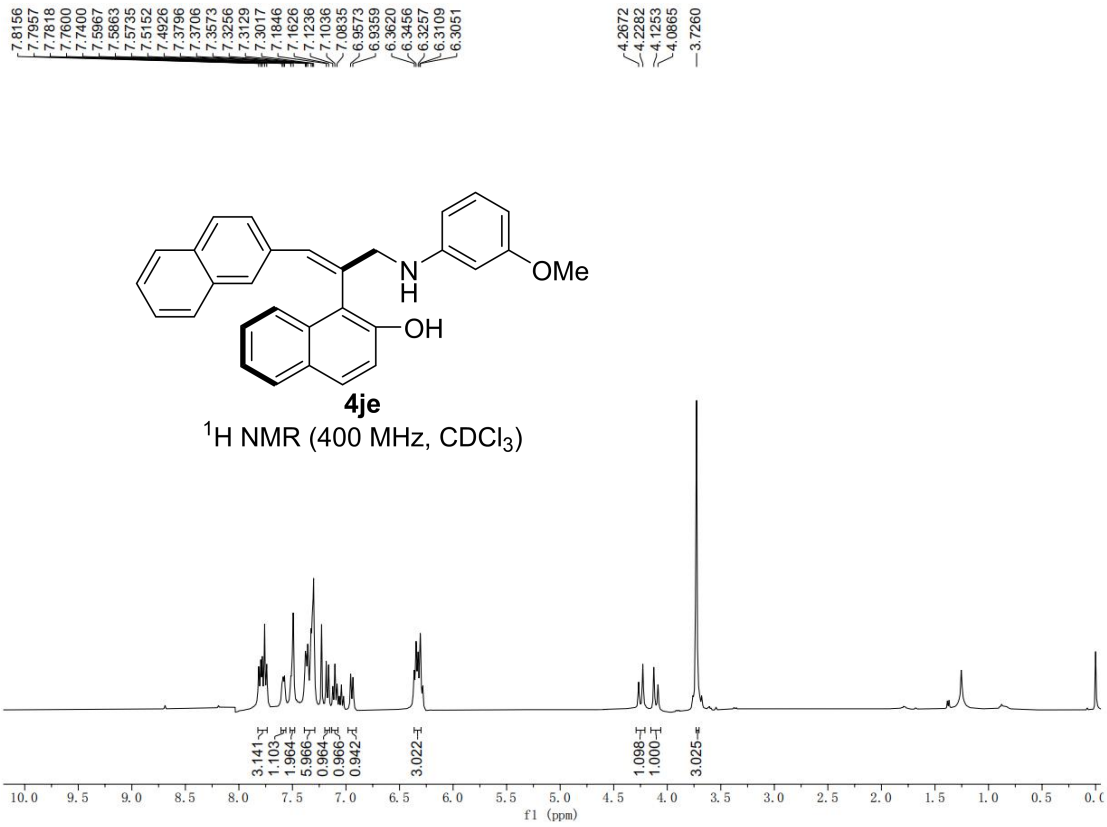
^{13}C NMR (100 MHz, $CDCl_3$)





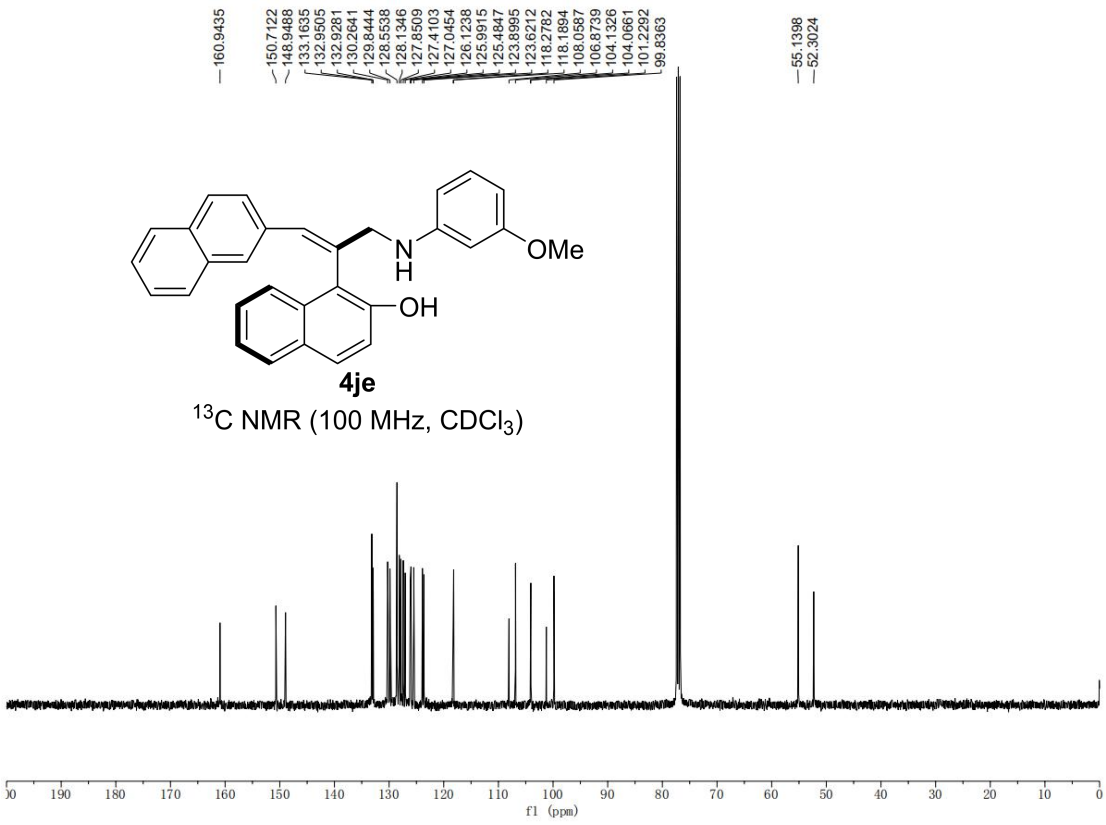
4ie

^{19}F NMR (376 MHz, $CDCl_3$)



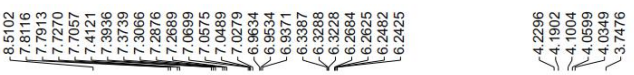
4je

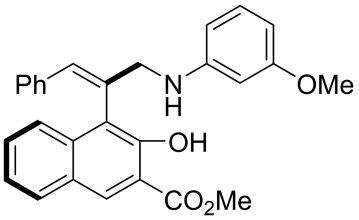
^{1}H NMR (400 MHz, $CDCl_3$)



4je

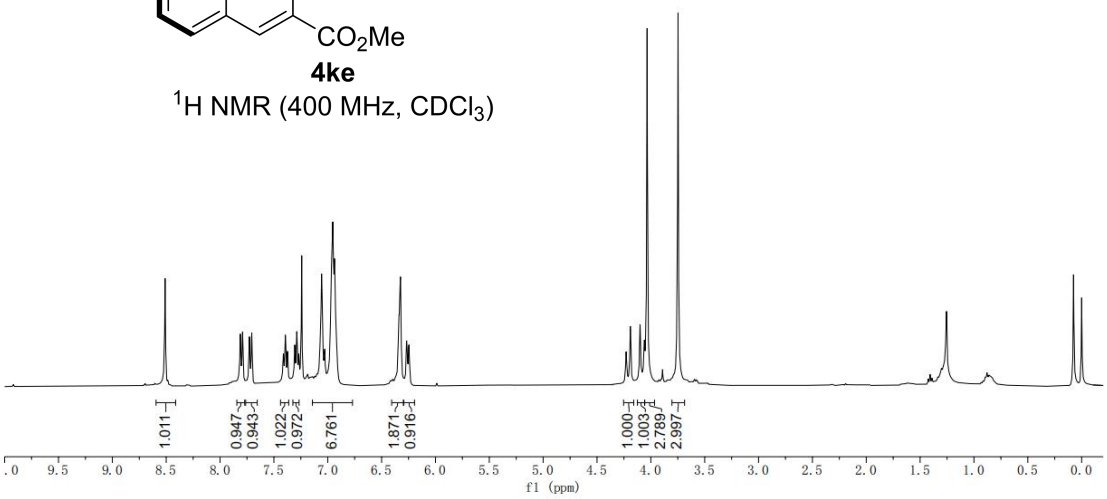
^{13}C NMR (100 MHz, $CDCl_3$)

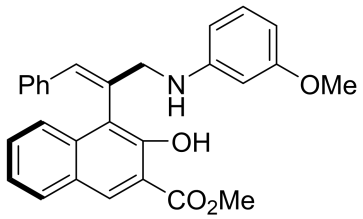




4ke

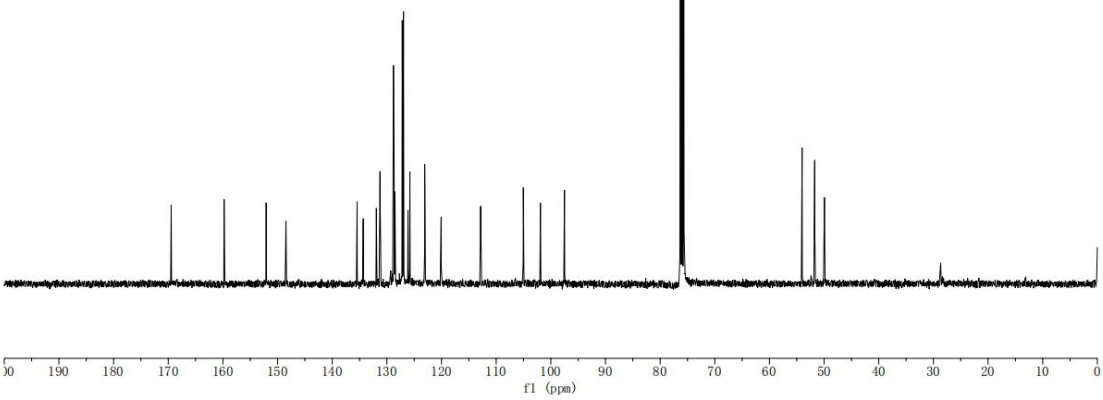
^{1}H NMR (400 MHz, $CDCl_3$)

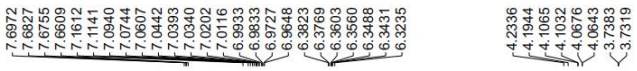


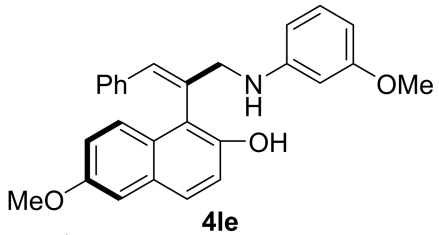


4ke

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

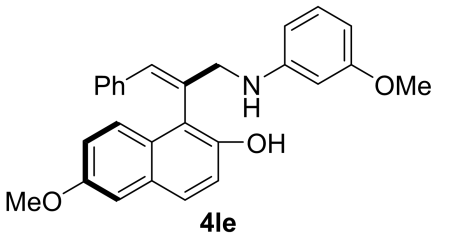






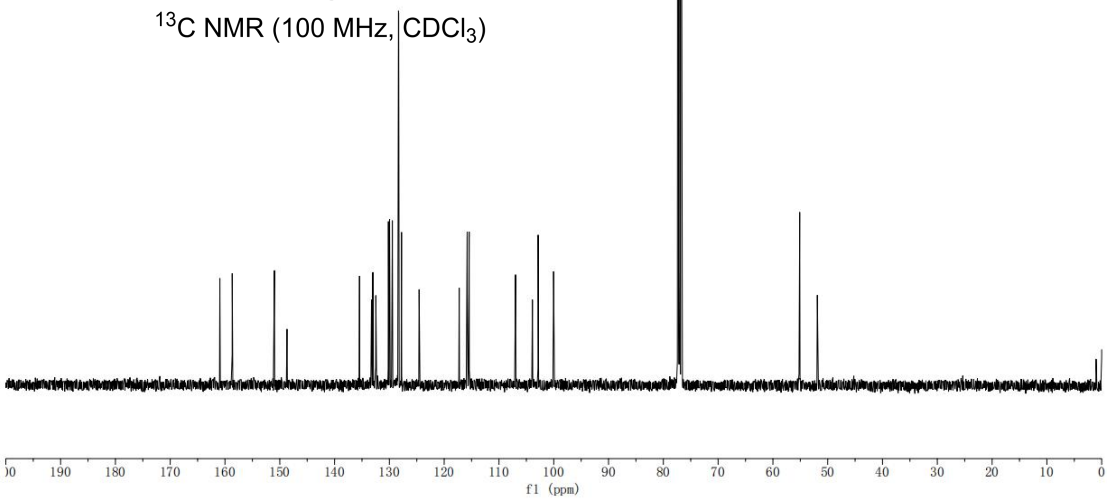
4le

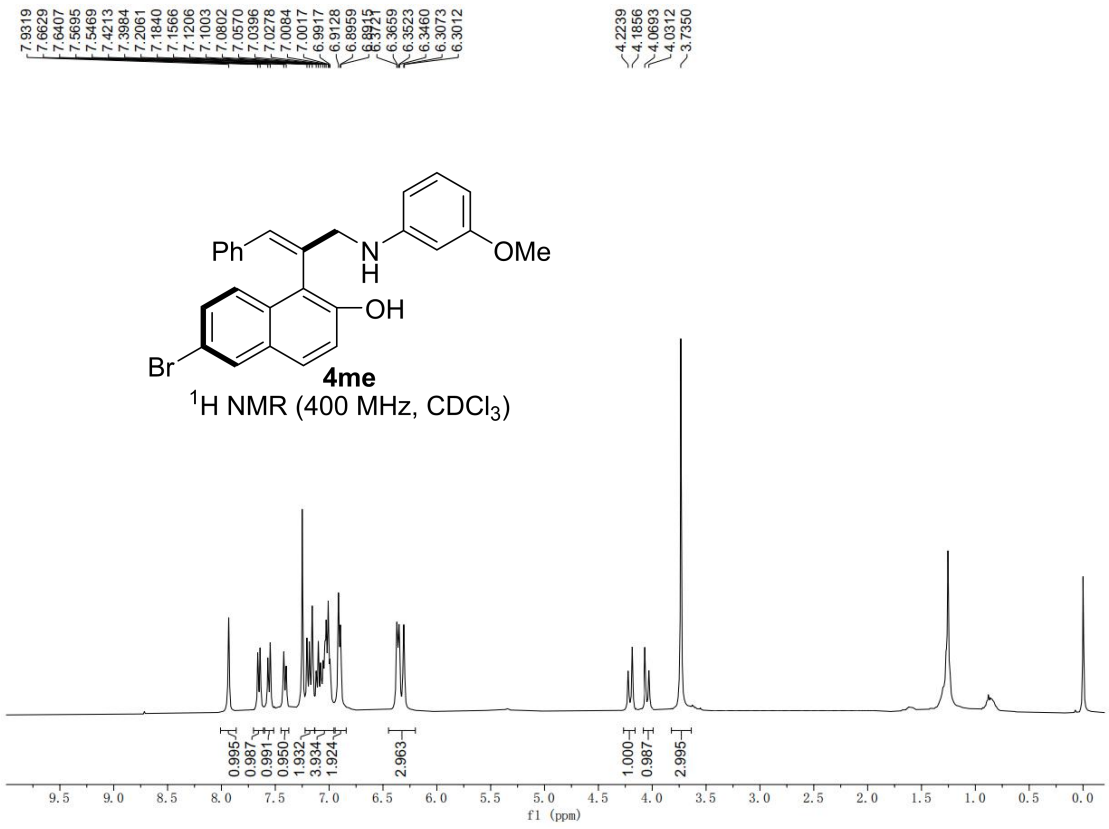
^{1}H NMR (400 MHz, $CDCl_3$)



4le

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

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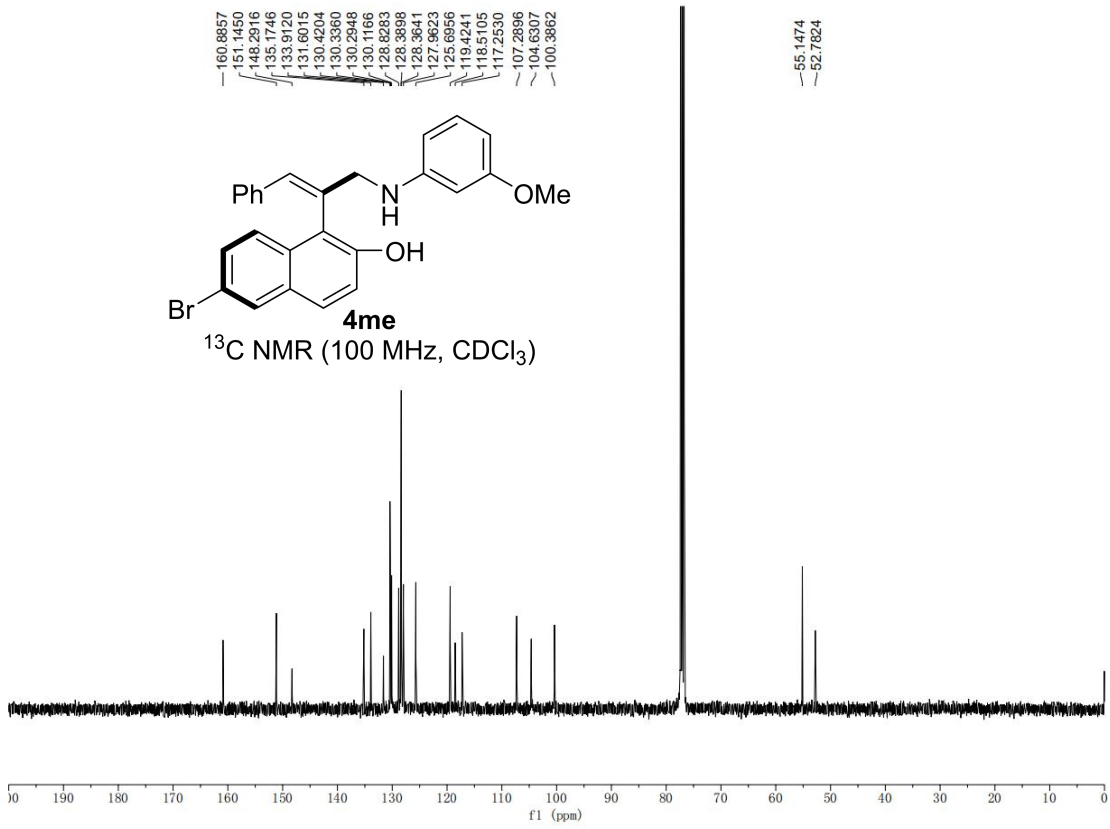
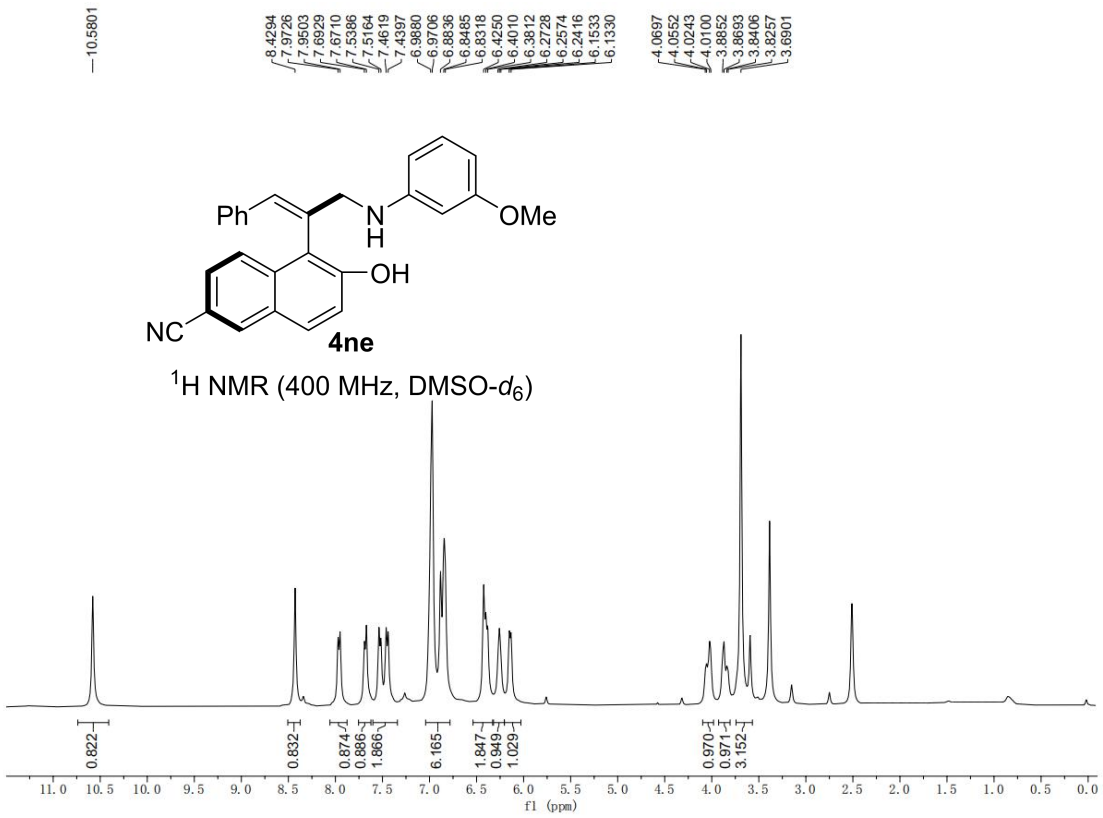
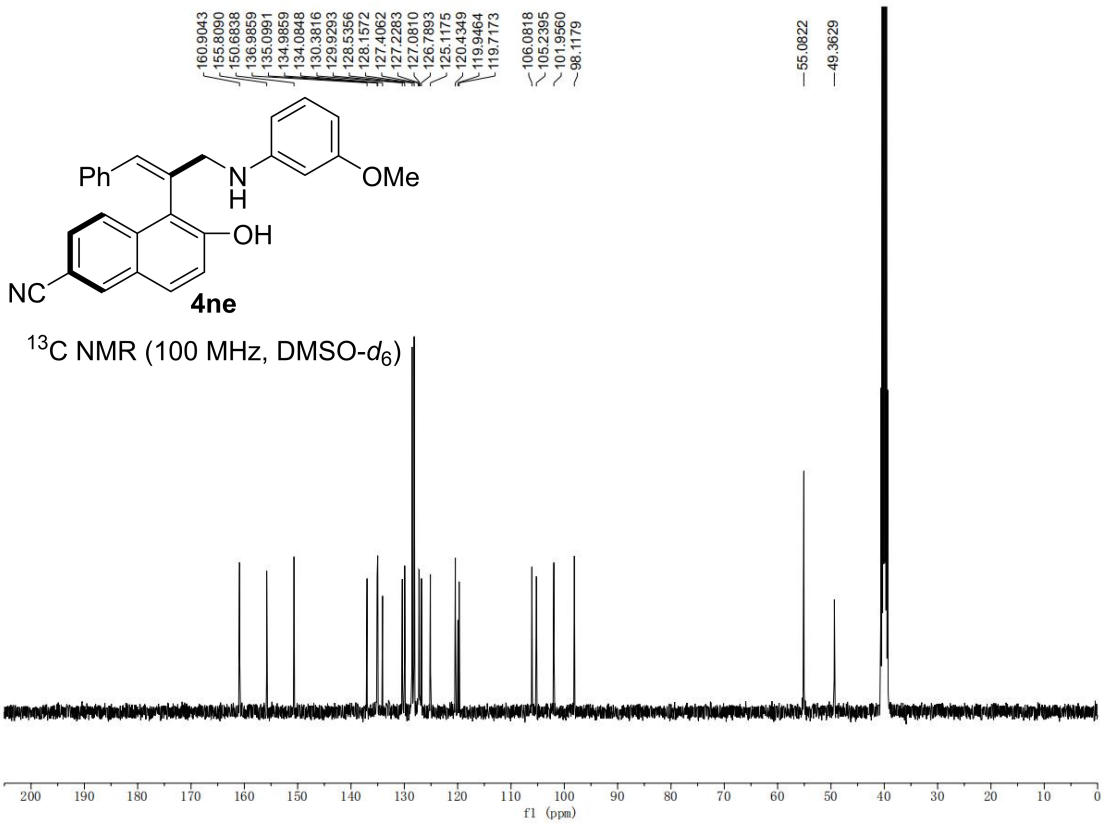
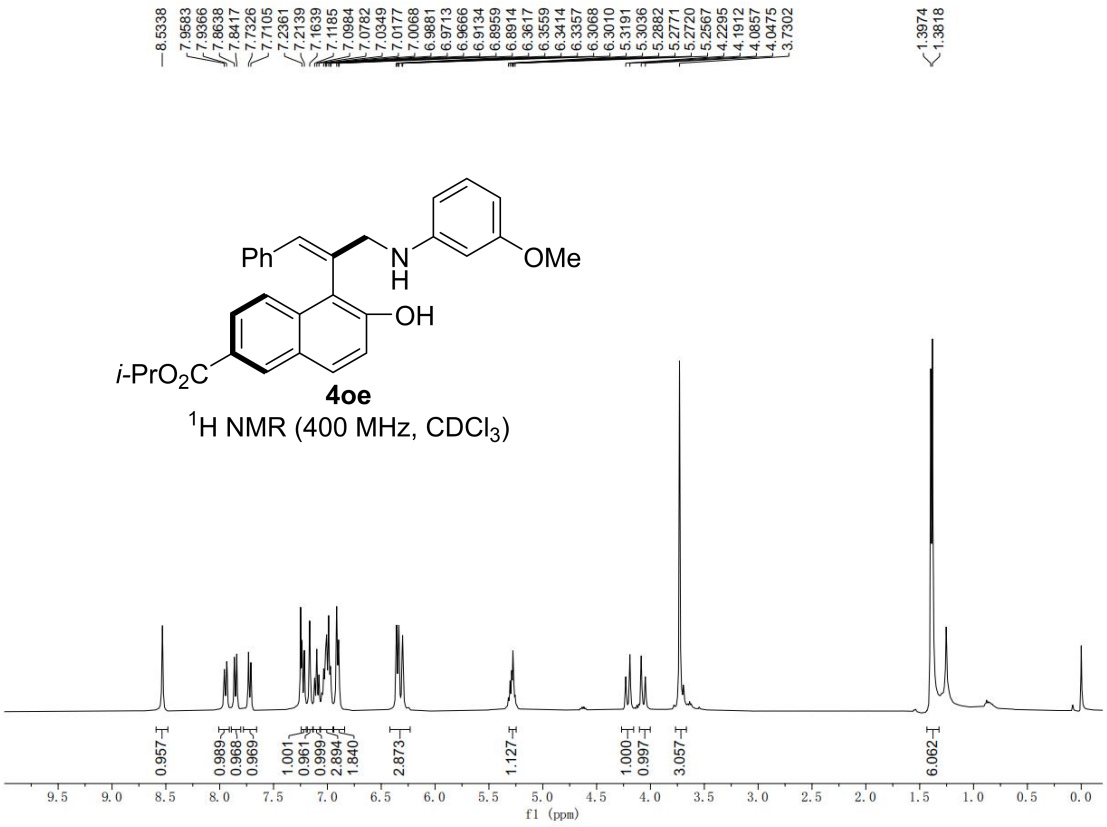
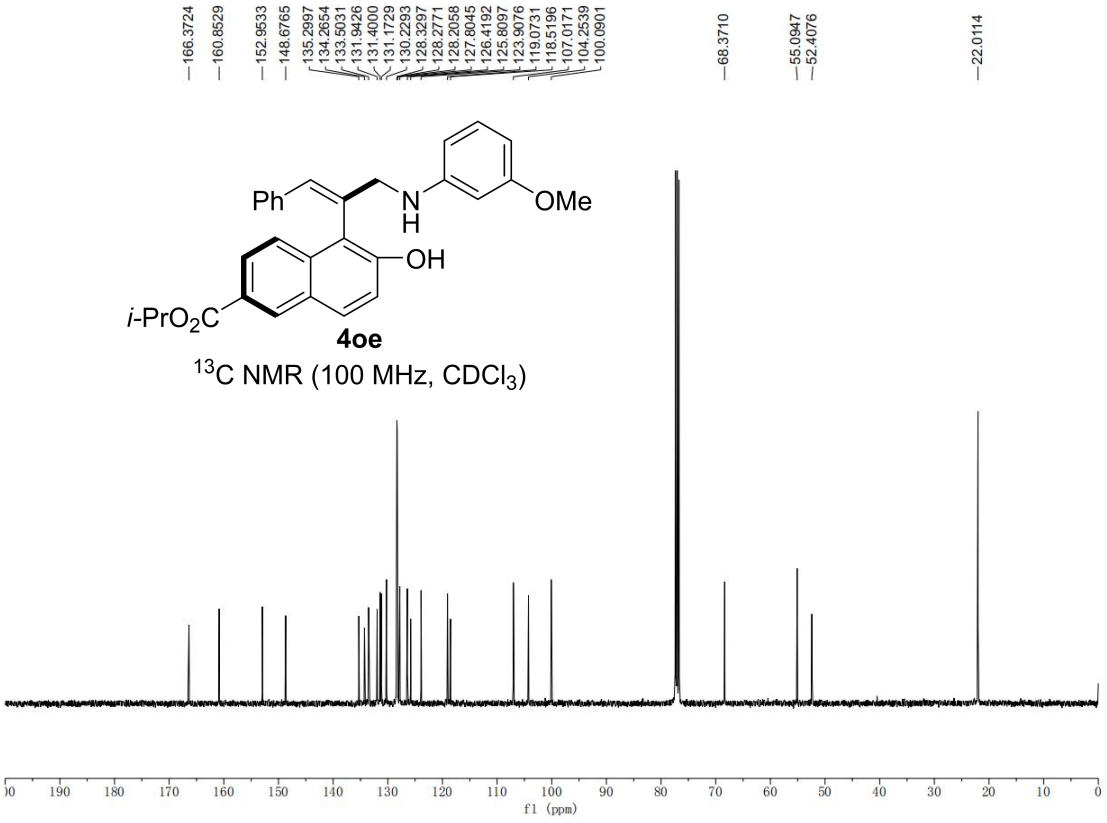
7.6629
7.6407
7.5695
7.5469
7.4213
7.3984
7.2061
7.1840
7.1566
7.1206
7.1003
7.0802
7.0570
7.0396
7.0278
7.0084
7.0017
6.9917
6.9128
6.8959
6.3659
6.3523
6.3460
6.3073
6.3012
4.2239
4.1856
4.0693
4.0312
3.7350
Ph
N
H
OMe
OH
Br
4me
^{1}H NMR (400 MHz, CDCl$_3$)
0.995
0.987
0.991
0.950
1.932
3.934
1.924
2.963
1.000
0.987
2.995
f1 (ppm)

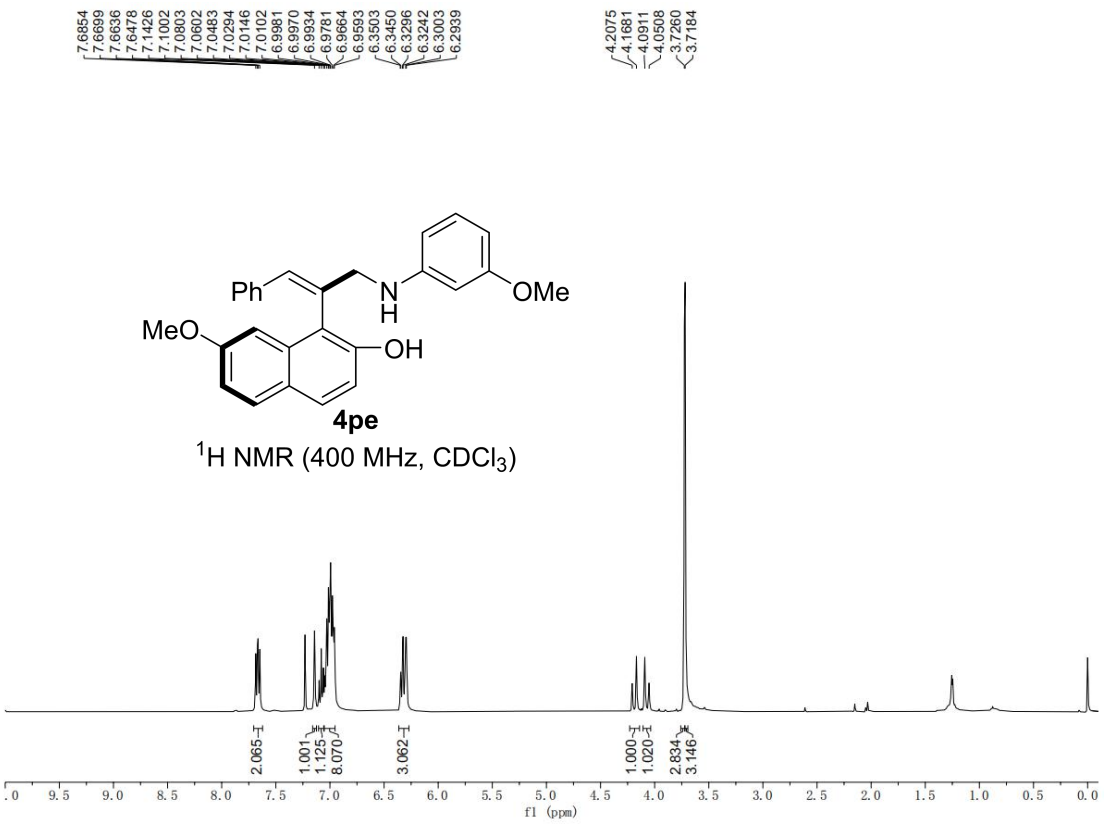

160.8857
151.1450
148.2916
135.1746
133.9120
131.6015
130.4204
130.3360
130.2948
130.1166
128.8283
128.3898
128.3641
127.9623
125.6956
119.4241
118.5105
117.2530
107.2896
104.6307
100.3862
55.1474
52.7824
Ph
N
H
OMe
OH
Br
4me
^{13}C NMR (100 MHz, CDCl$_3$)
f1 (ppm)


10.5801
8.4294
7.9726
7.9503
7.6929
7.6710
7.5386
7.5164
7.4619
7.4397
6.9880
6.9706
6.8836
6.8485
6.8318
6.4250
6.4010
6.3812
6.2728
6.2574
6.2416
6.1533
6.1330
4.0697
4.0552
4.0243
4.0100
3.8852
3.8693
3.8406
3.8257
3.6901
Ph
N
H
OMe
OH
NC
4ne
1H NMR (400 MHz, DMSO-d6)
0.822
0.832
0.874
0.886
1.866
6.165
1.847
0.949
1.029
0.970
0.971
3.152
f1 (ppm)


160.9043
155.8090
150.6838
136.9859
135.0991
134.9859
134.0848
130.3816
129.9293
128.5356
128.1572
127.4062
127.2283
127.0810
126.7893
125.1175
120.4349
119.9464
119.7173
106.0818
105.2395
101.9560
98.1179
55.0822
49.3629
Ph
N
H
OMe
OH
NC
4ne
13C NMR (100 MHz, DMSO-d6)
f1 (ppm)


8.5338
7.9583
7.9366
7.8638
7.8417
7.7326
7.7105
7.2361
7.2139
7.1639
7.1185
7.0984
7.0782
7.0349
7.0177
7.0068
6.9881
6.9713
6.9666
6.9134
6.8959
6.8914
6.3617
6.3559
6.3414
6.3357
6.3068
6.3010
5.3191
5.3036
5.2882
5.2771
5.2720
5.2567
4.2295
4.1912
4.0857
4.0475
3.7302
1.3974
1.3818
Ph
N
H
OMe
OH
i-PrO2C
4oe
1H NMR (400 MHz, CDCl3)
0.957
0.989
0.968
0.969
1.001
0.961
0.999
2.894
1.840
2.873
1.127
1.000
0.997
3.057
6.062
f1 (ppm)


166.3724
160.8529
152.9533
148.6765
135.2997
134.2654
133.5031
131.9426
131.4000
131.1729
130.2293
128.3297
128.2771
128.2058
127.8045
126.4192
125.8097
123.9076
119.0731
118.5196
107.0171
104.2539
100.0901
68.3710
55.0947
52.4076
22.0114
Ph
N
H
OMe
OH
i-PrO2C
4oe
13C NMR (100 MHz, CDCl3)
f1 (ppm)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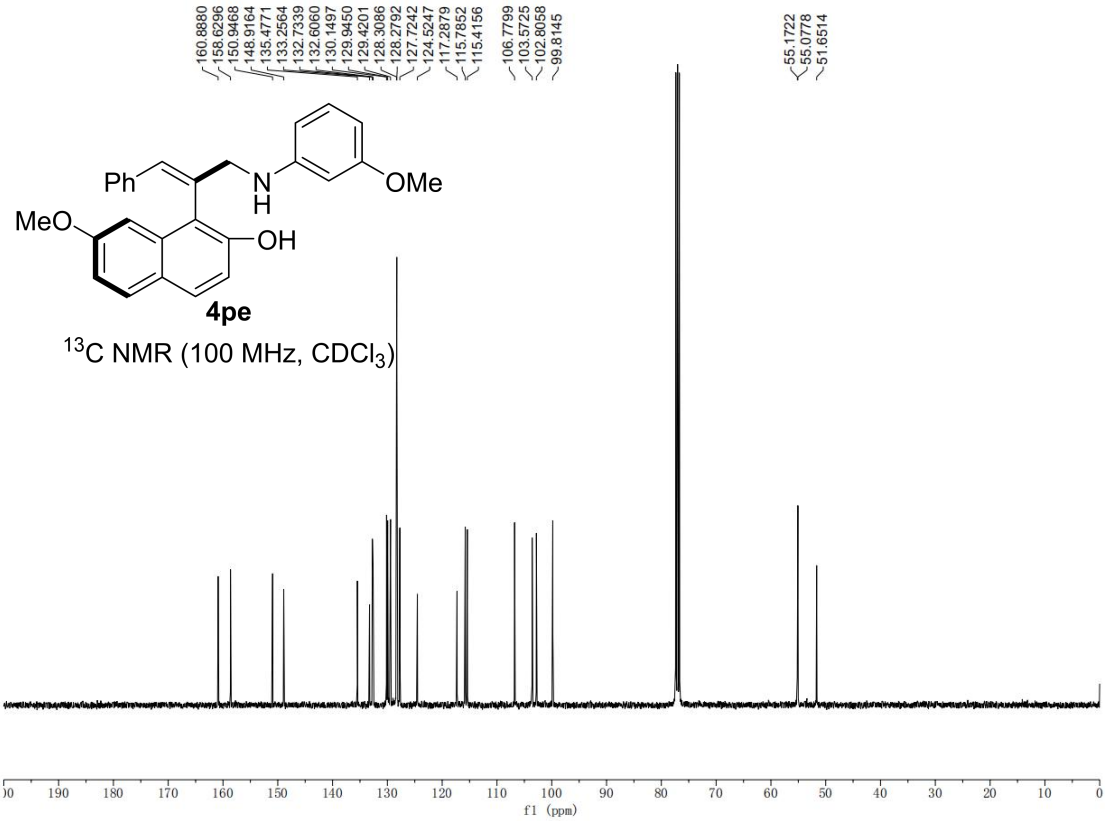
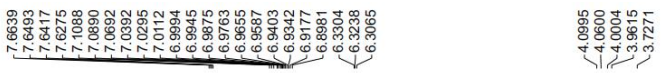
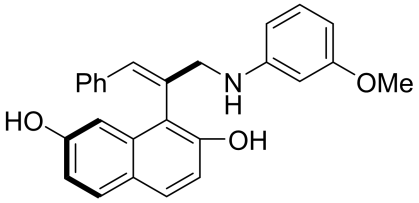
7.6699
7.6636
7.6478
7.1426
7.1002
7.0803
7.0602
7.0483
7.0294
7.0146
7.0102
6.9981
6.9970
6.9934
6.9781
6.9664
6.9593
6.3503
6.3450
6.3296
6.3242
6.3003
6.2939
4.2075
4.1681
4.0911
4.0508
3.7260
3.7184
Ph
N
H
OMe
MeO
OH
4pe
1H NMR (400 MHz, CDCl3)
2.065
1.001
1.125
8.070
3.062
1.000
1.020
2.834
3.146
f1 (ppm)

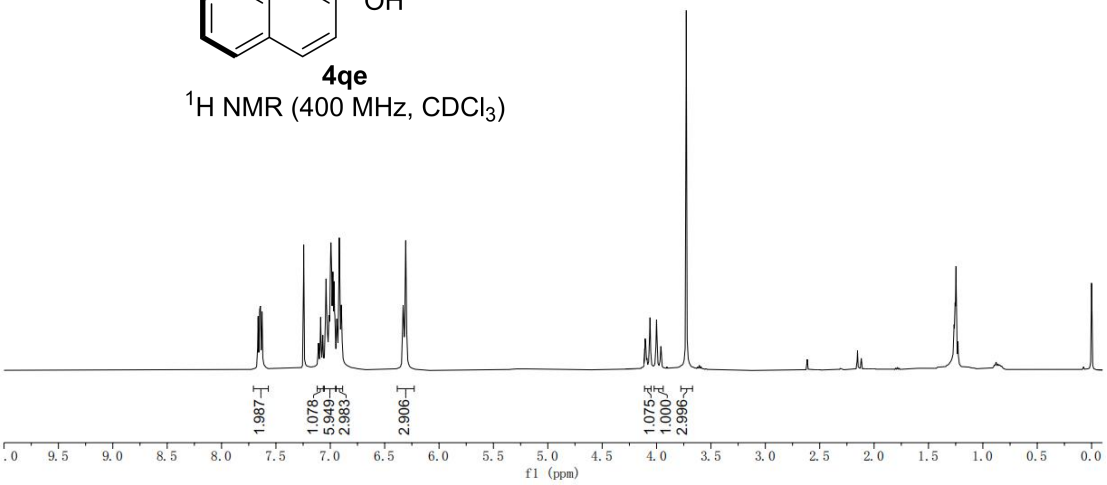

160.8880
158.6296
150.9468
148.9164
135.4771
133.2564
132.7339
132.6060
130.1497
129.9450
129.4201
128.3086
128.2792
127.7242
124.5247
117.2879
115.7852
115.4156
106.7799
103.5725
102.8058
99.8145
55.1722
55.0778
51.6514
Ph
N
H
OMe
MeO
OH
4pe
13C NMR (100 MHz, CDCl3)
f1 (ppm)

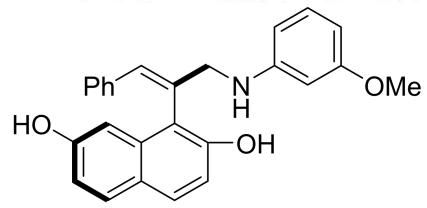




4qe

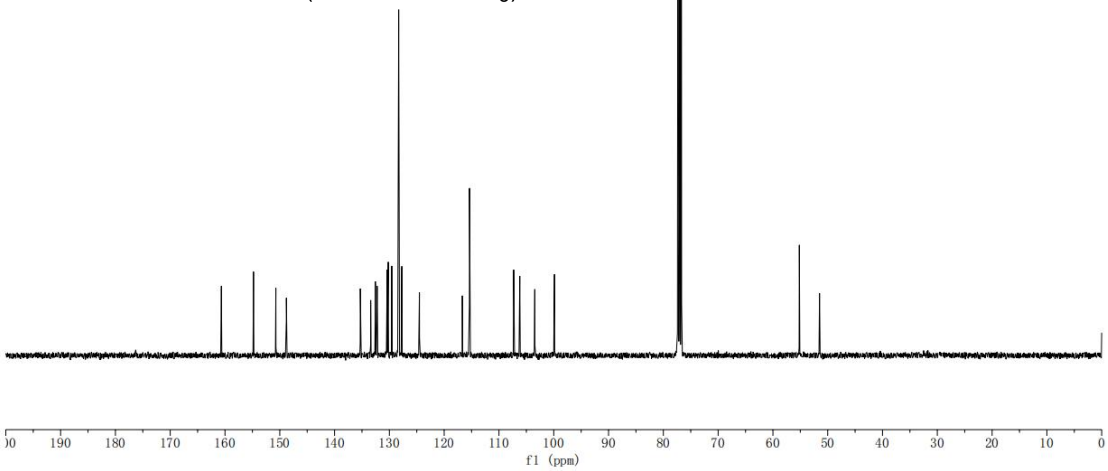
^{1}H NMR (400 MHz, $CDCl_3$)

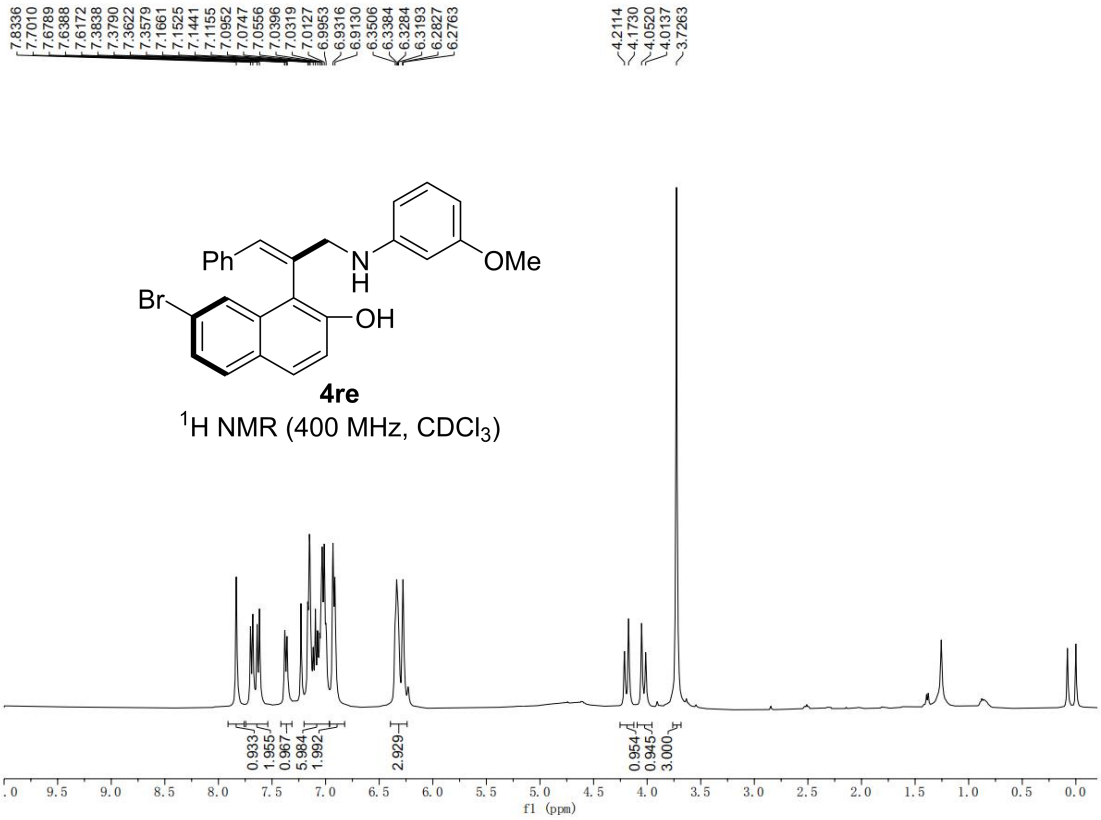




4qe

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




7.8336
7.7010
7.6789
7.6388
7.6172
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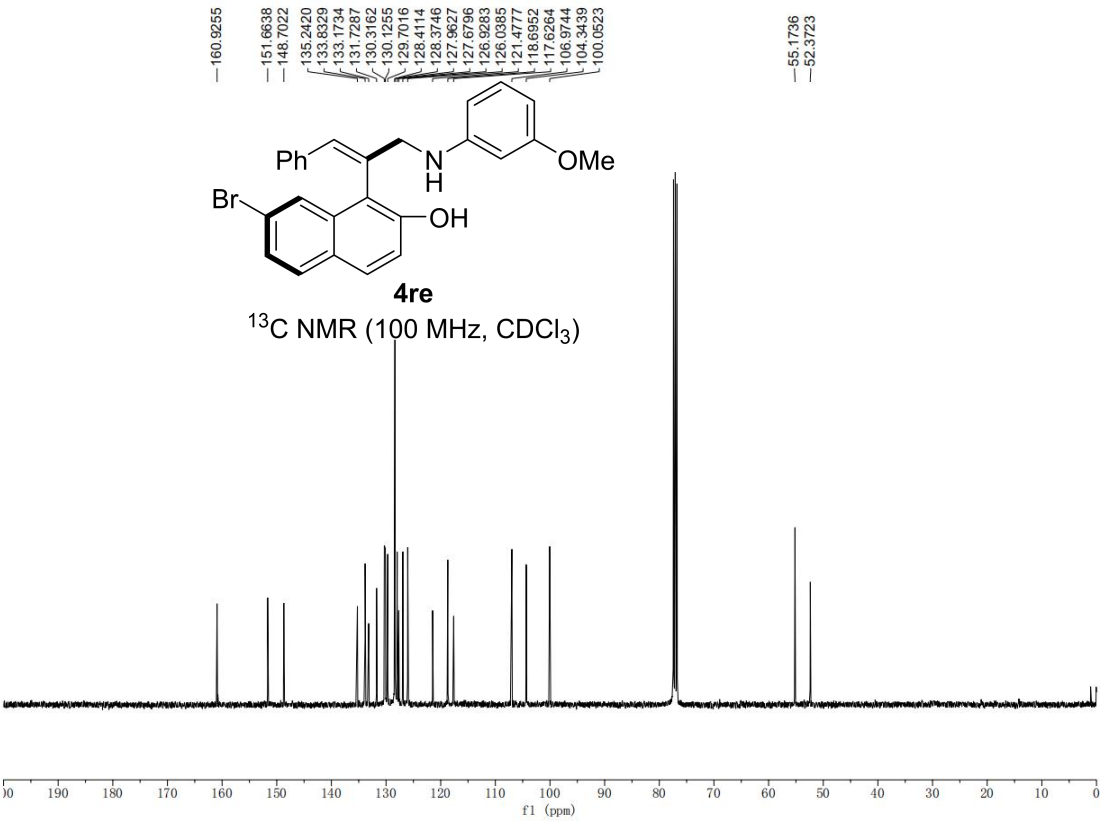
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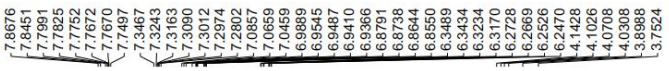
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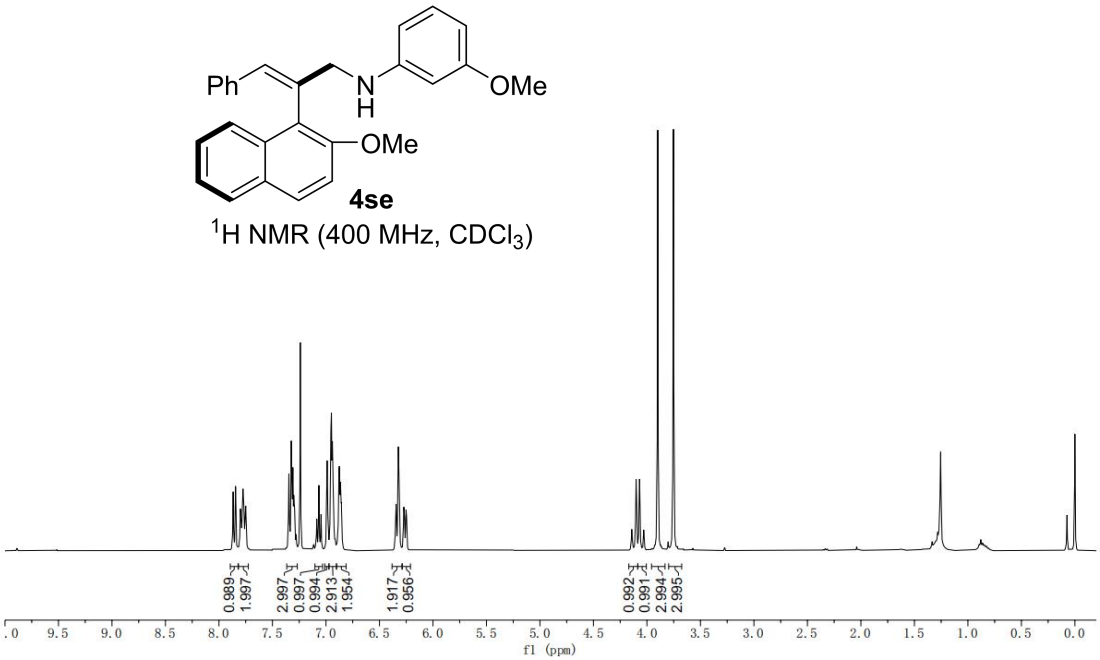
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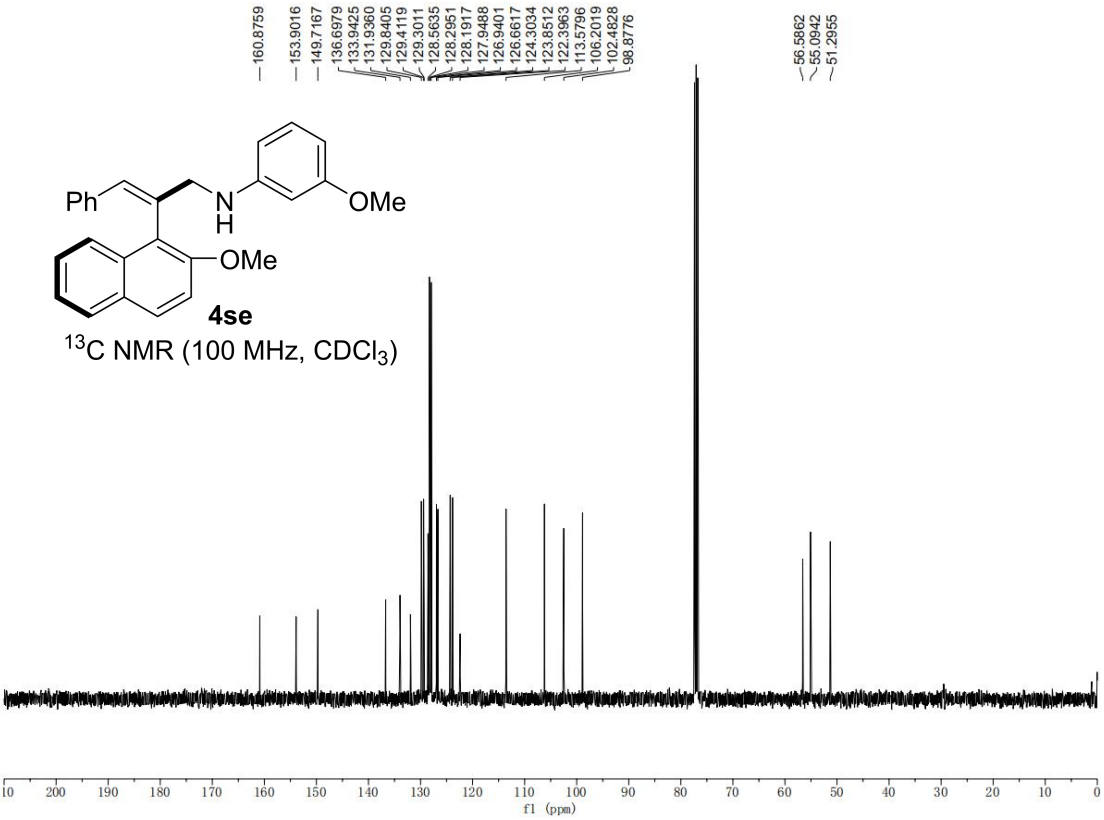
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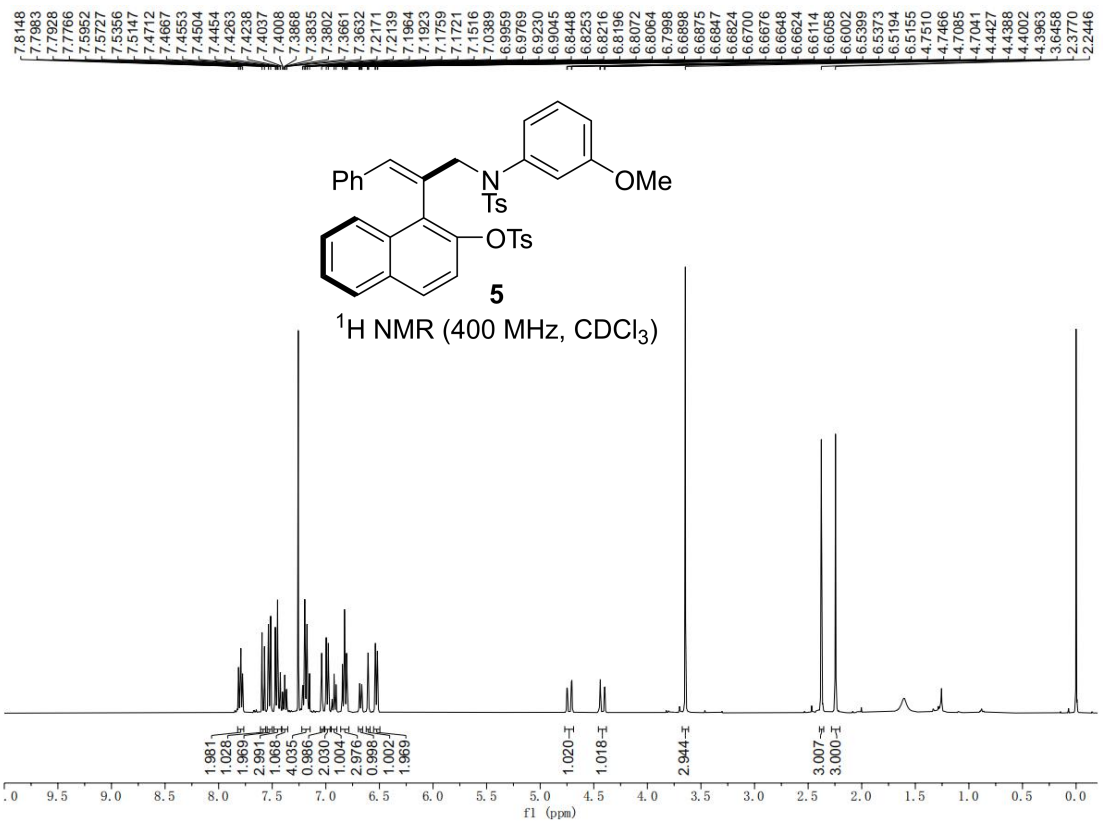
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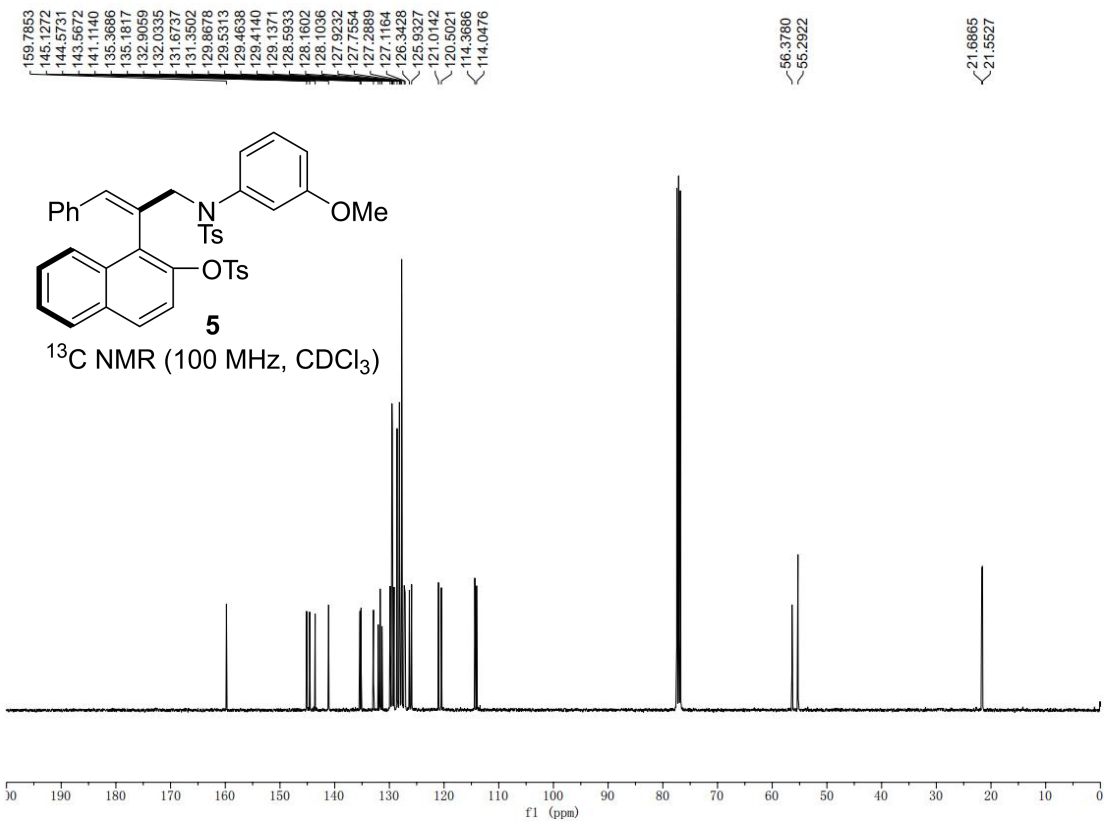
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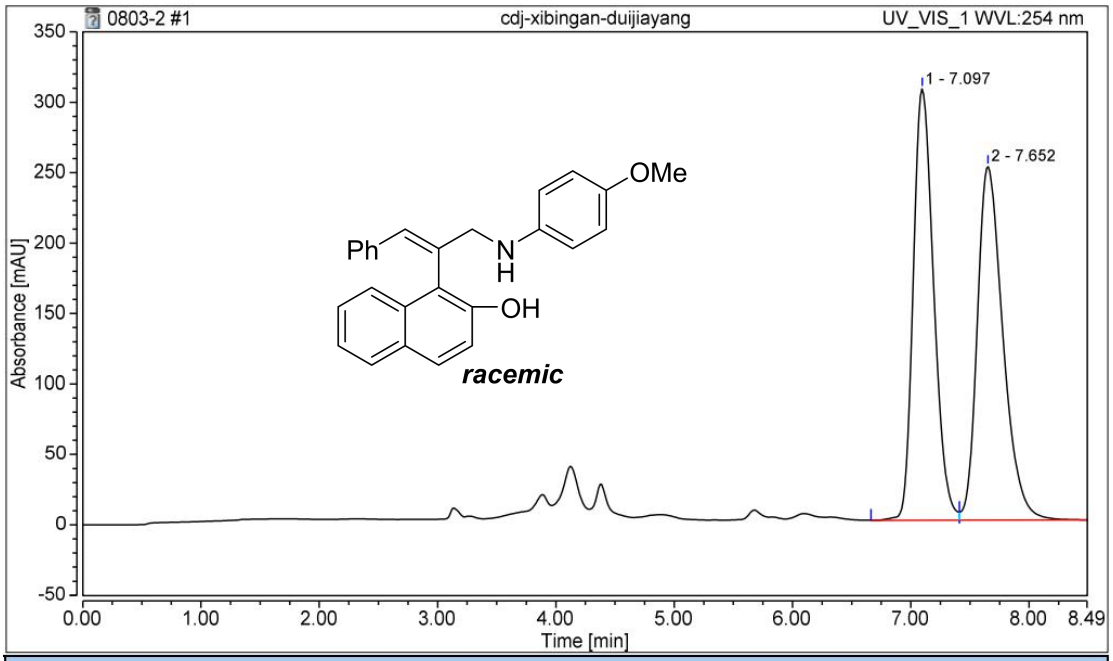
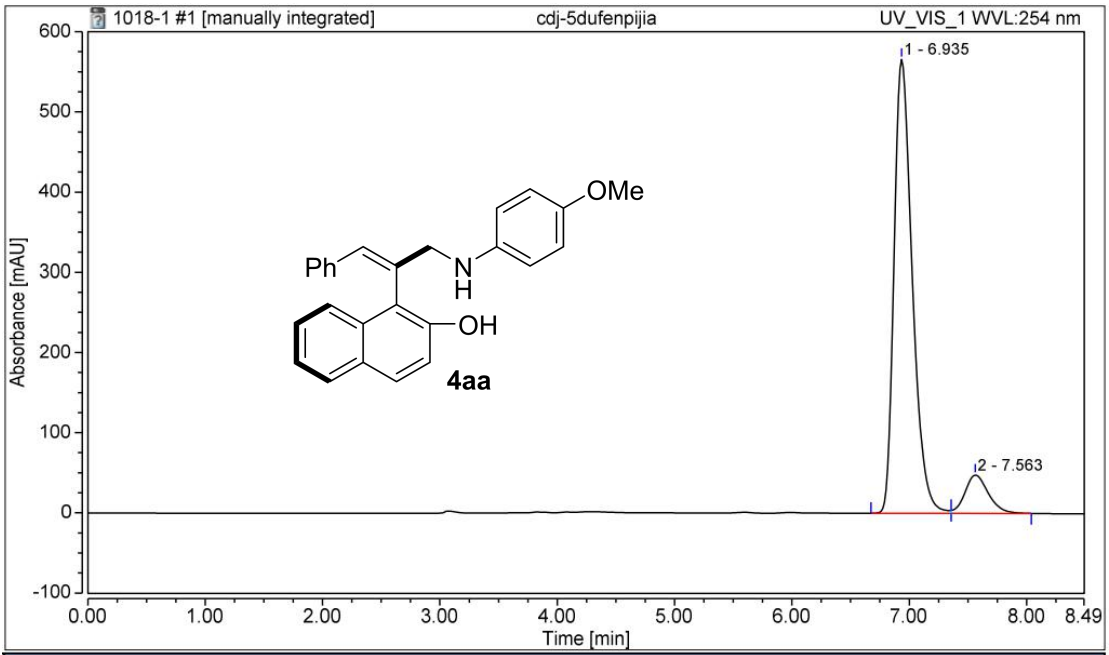
f1 (ppm)

HPLC Traces



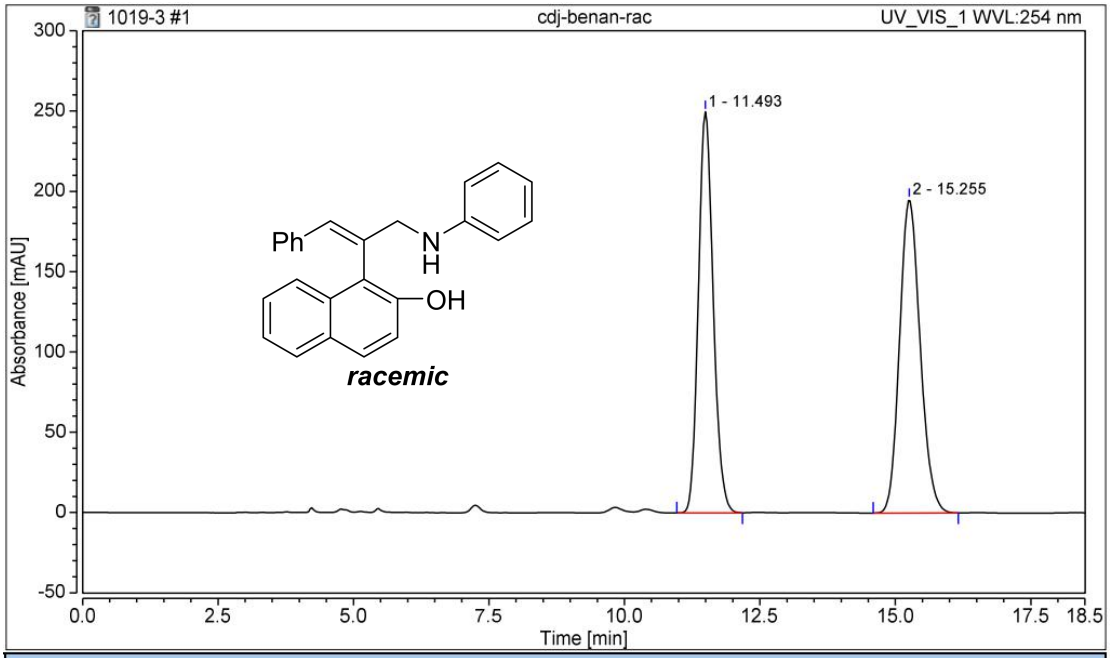
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.097	61.230	306.276	49.19	1.24	0.32
2	7.652	63.234	251.168	50.81	1.35	0.39
Total:		**124.463**	**557.444**	**100.00**		



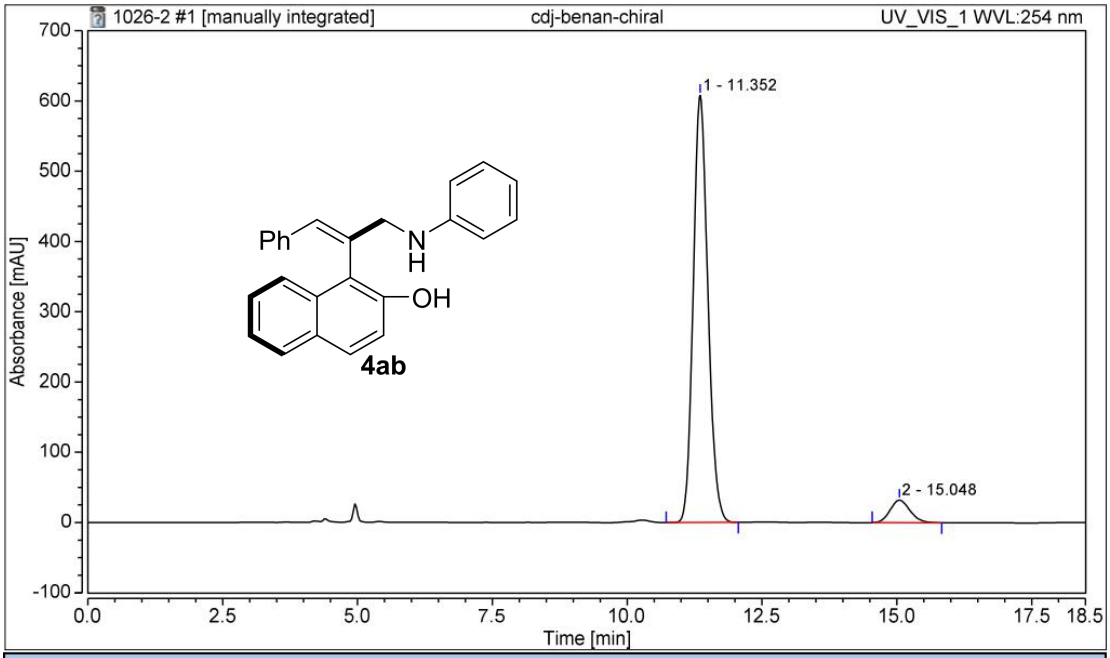
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.935	102.245	566.161	90.28	1.37	0.28
2	7.563	11.006	47.801	9.72	n.a.	0.36
Total:		**113.252**	**613.962**	**100.00**		



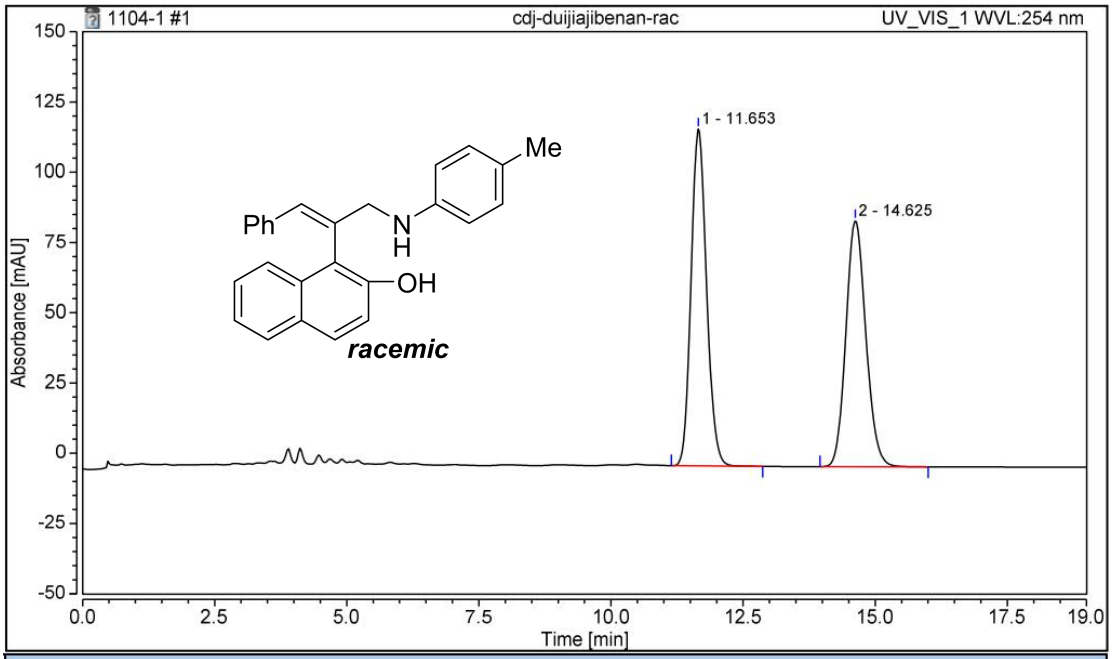
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.493	79.479	249.869	48.00	1.15	0.50
2	15.255	86.088	195.330	52.00	1.15	0.69
Total:		**165.567**	**445.199**	**100.00**		



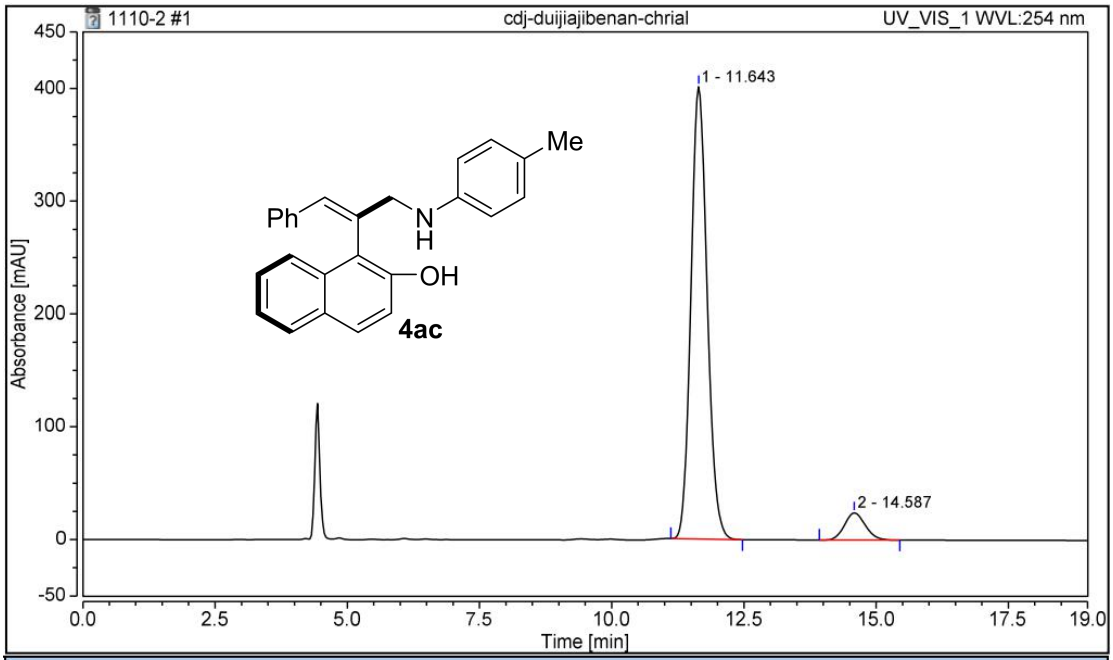
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.352	191.873	607.848	93.31	1.15	0.50
2	15.048	13.754	32.033	6.69	1.13	0.68
Total:		**205.628**	**639.881**	**100.00**		



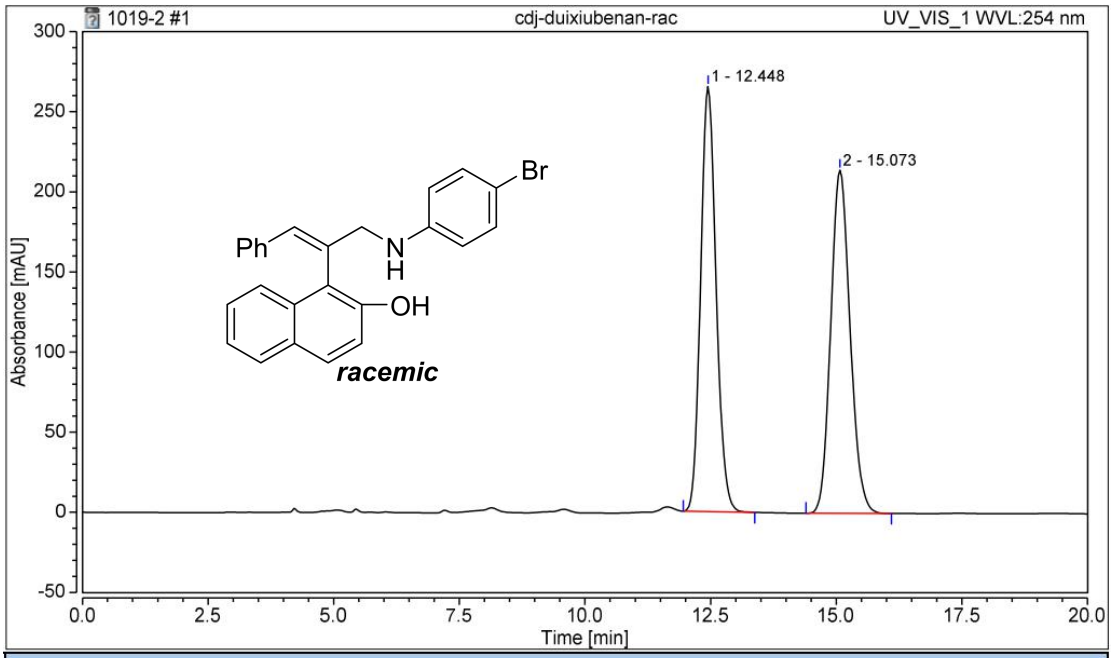
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.653	40.750	119.857	51.53	1.14	0.54
2	14.625	38.325	87.563	48.47	1.12	0.69
Total:		**79.075**	**207.420**	**100.00**		



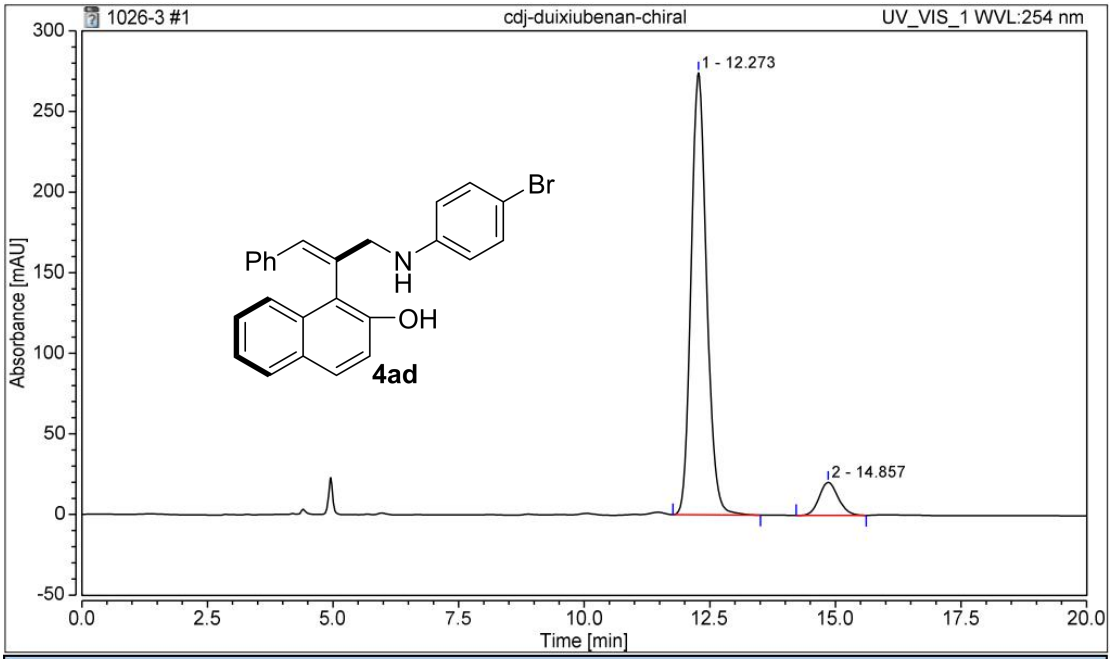
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.643	142.864	400.940	92.82	1.13	0.56
2	14.587	11.046	24.149	7.18	1.12	0.73
Total:		**153.910**	**425.088**	**100.00**		



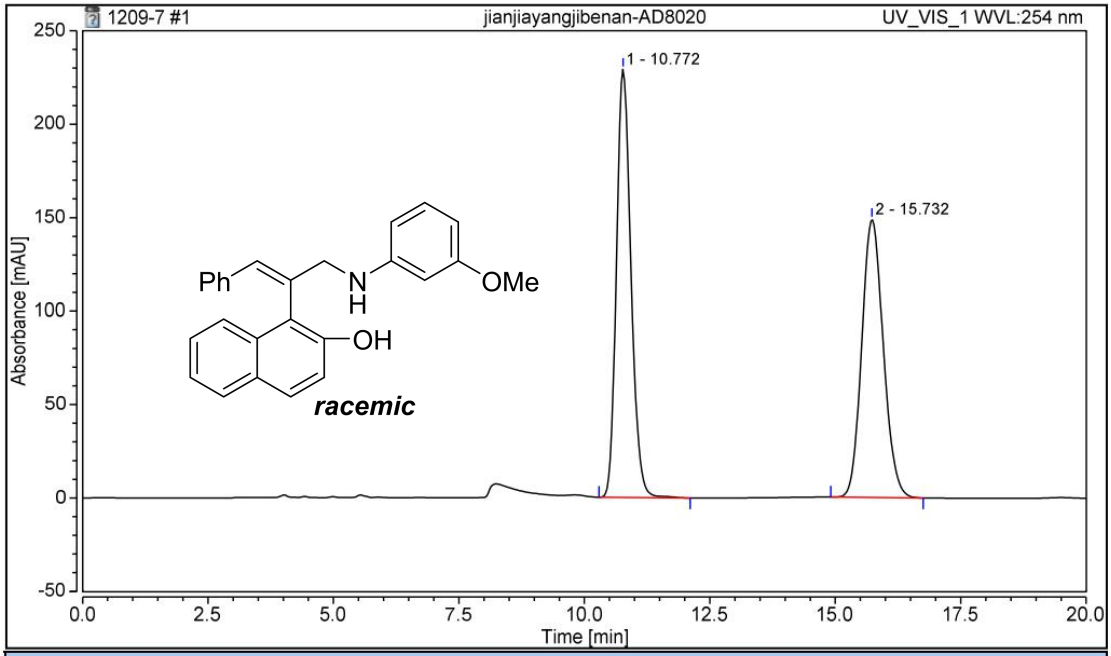
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	12.448	94.604	265.238	49.75	1.13	0.56
2	15.073	95.569	214.142	50.25	1.13	0.71
Total:		**190.174**	**479.380**	**100.00**		



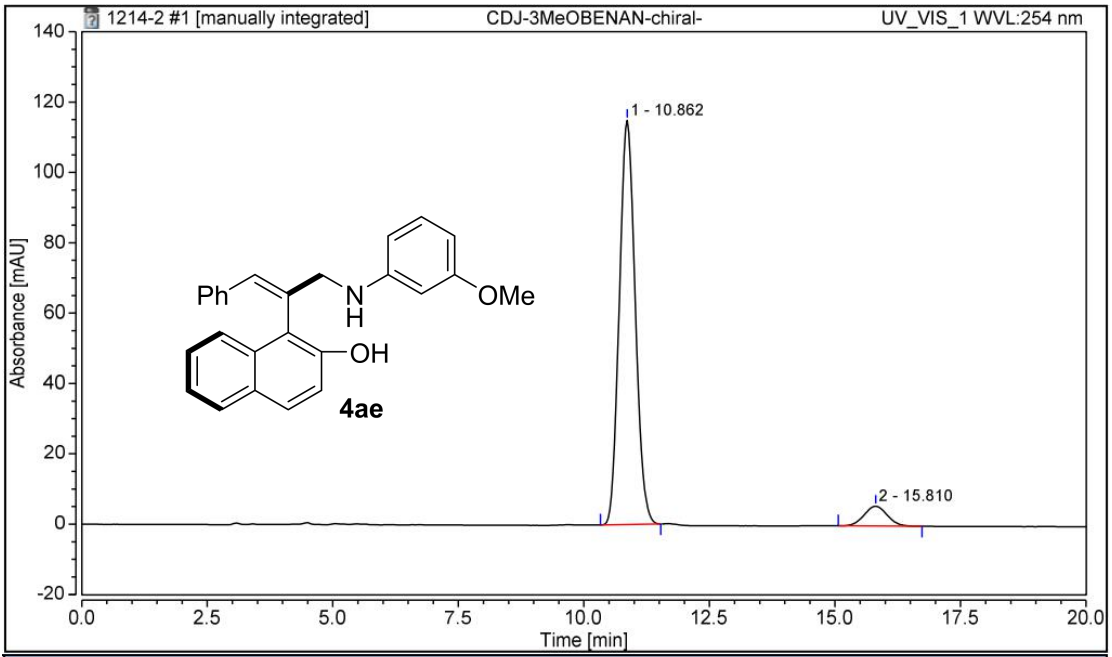
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	12.273	98.334	274.167	91.62	1.15	0.56
2	14.857	8.992	20.459	8.38	1.12	0.70
Total:		**107.326**	**294.626**	**100.00**		



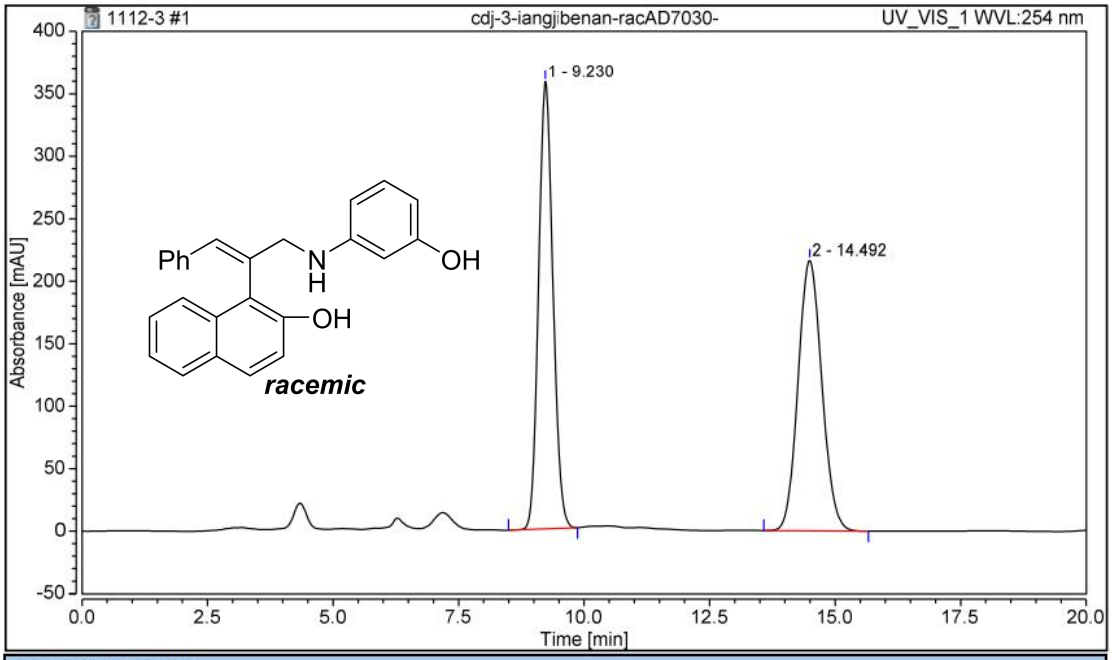
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	10.772	76.826	229.152	50.88	1.19	0.52
2	15.732	74.160	148.790	49.12	1.12	0.78
Total:		**150.986**	**377.941**	**100.00**		



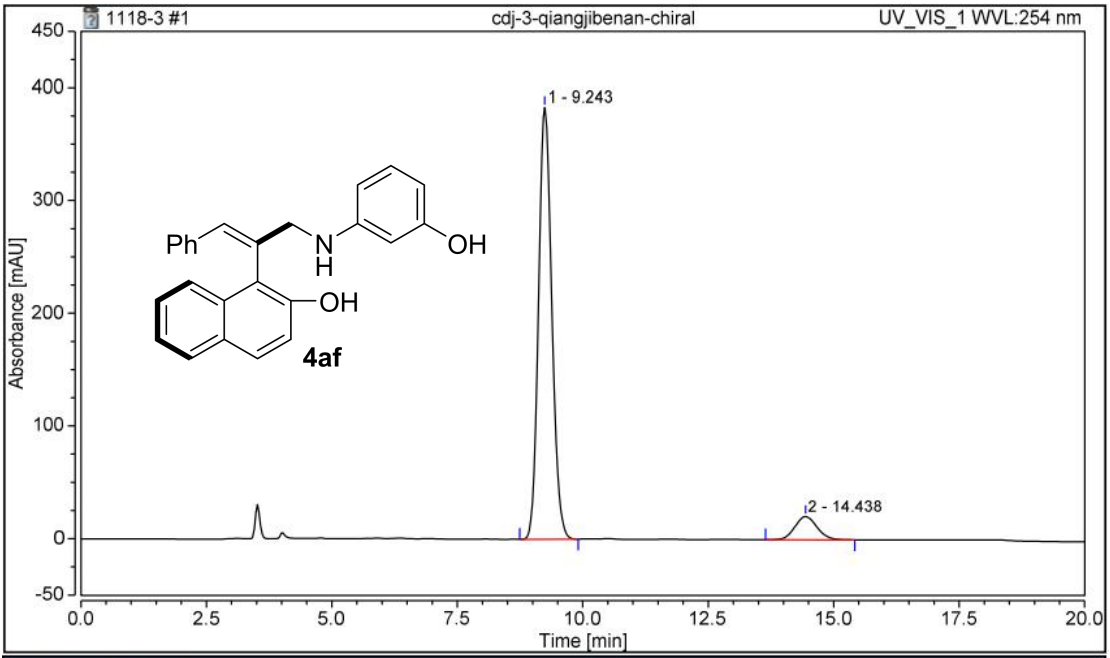
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	10.862	42.080	114.920	93.35	1.09	0.58
2	15.810	2.997	5.604	6.65	1.04	0.84
Total:		**45.077**	**120.524**	**100.00**		



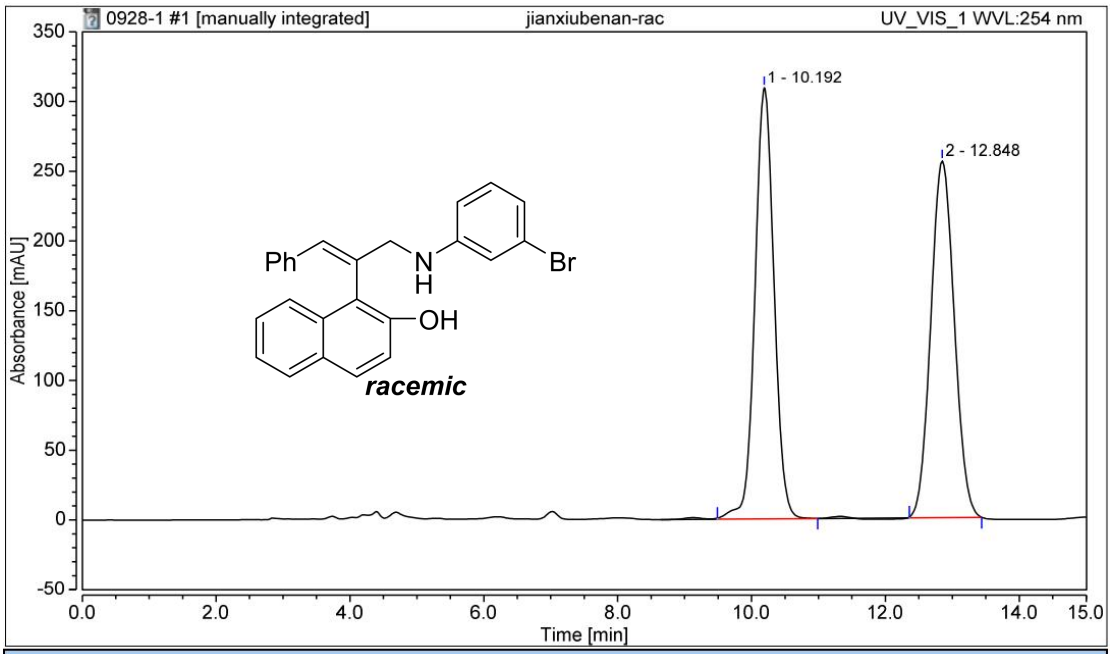
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	9.230	118.624	357.916	49.96	1.11	0.52
2	14.492	118.833	216.602	50.04	1.09	0.86
Total:		**237.458**	**574.518**	**100.00**		



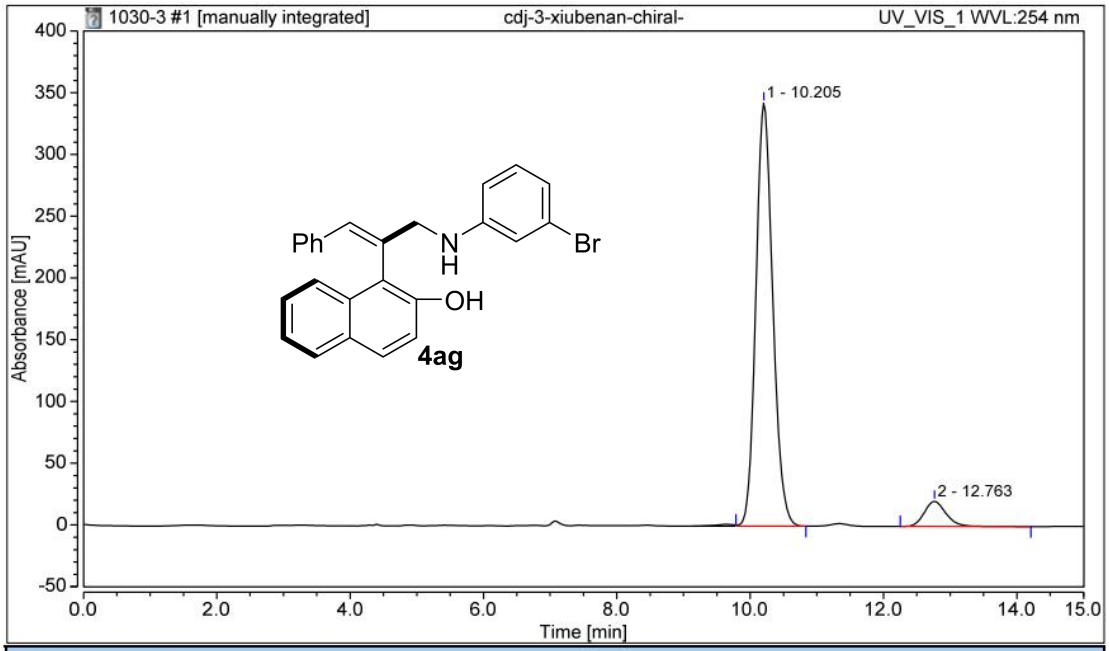
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	9.243	117.148	383.108	91.75	1.13	0.48
2	14.438	10.540	20.574	8.25	1.09	0.81
Total:		**127.688**	**403.682**	**100.00**		



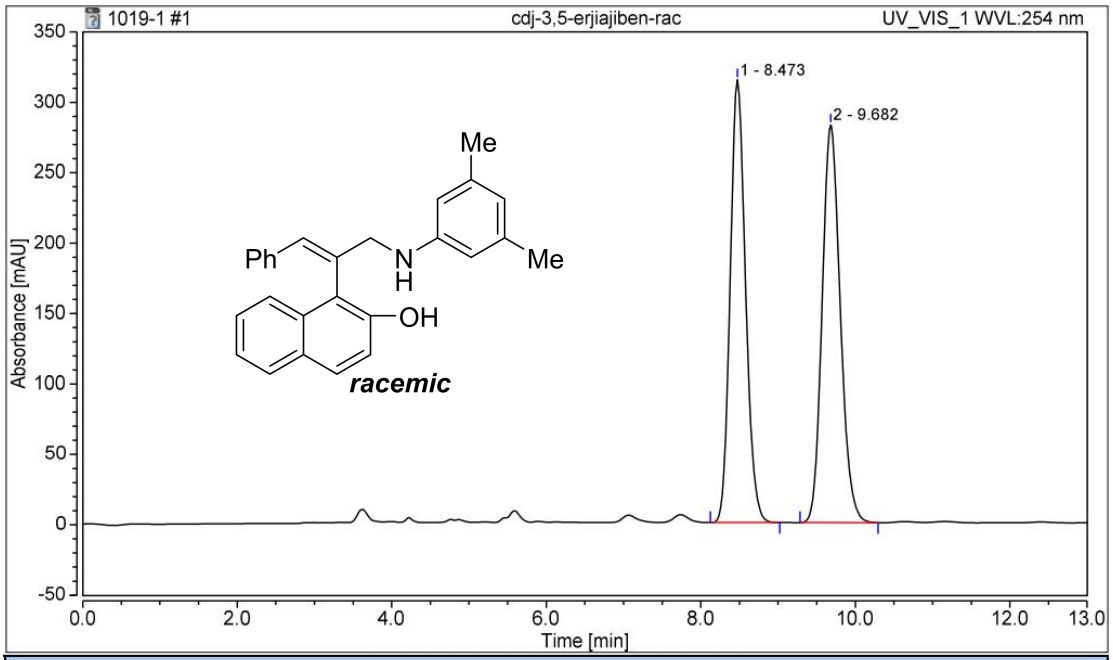
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	10.192	102.232	309.247	49.56	1.05	0.51
2	12.848	104.057	255.897	50.44	1.07	0.65
Total:		**206.289**	**565.144**	**100.00**		



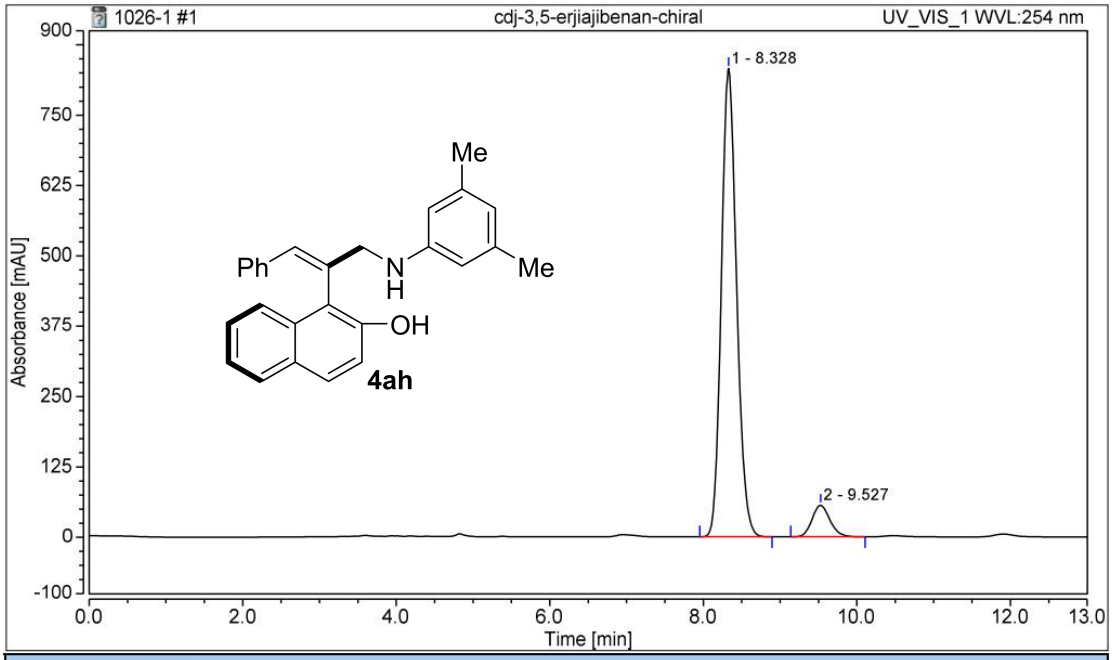
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	10.205	100.509	342.602	92.81	1.14	0.46
2	12.763	7.785	20.252	7.19	1.18	0.59
Total:		**108.294**	**362.850**	**100.00**		



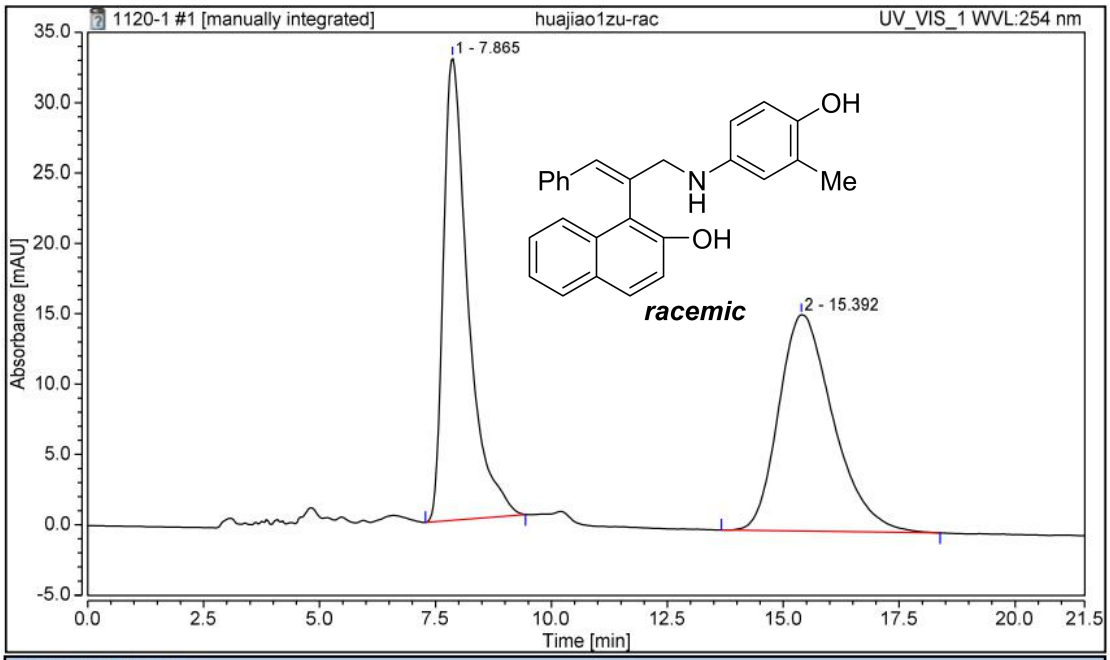
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	8.473	72.334	314.296	48.52	1.15	0.37
2	9.682	76.742	282.307	51.48	1.15	0.43
Total:		**149.076**	**596.603**	**100.00**		



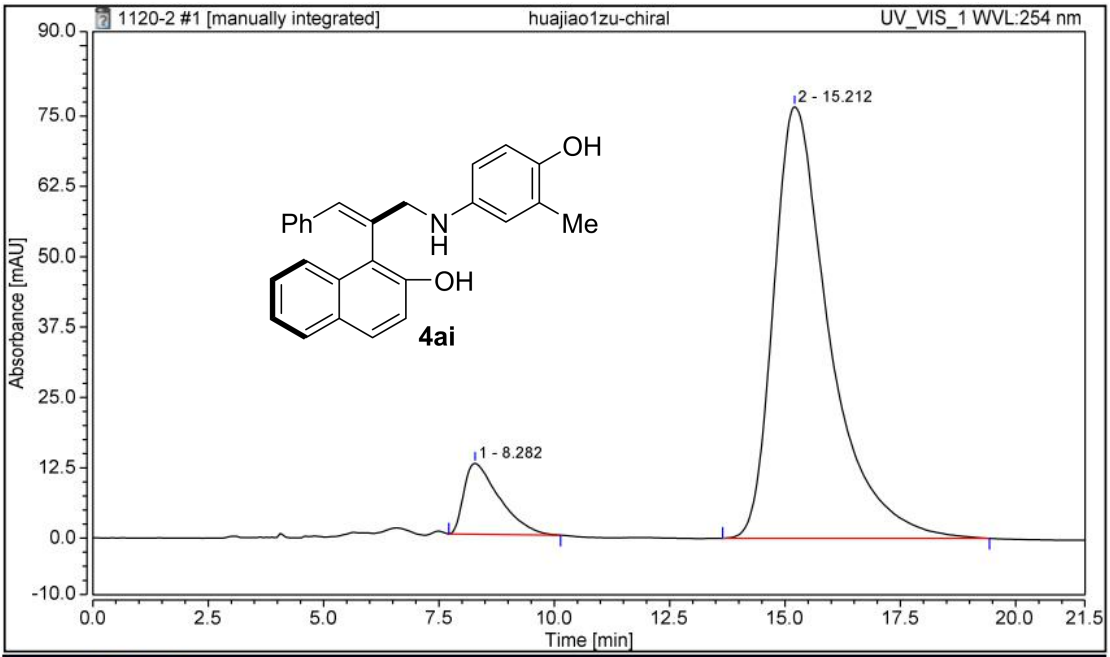
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	8.328	191.028	832.041	92.64	1.15	0.36
2	9.527	15.176	56.028	7.36	1.14	0.43
Total:		**206.203**	**888.069**	**100.00**		



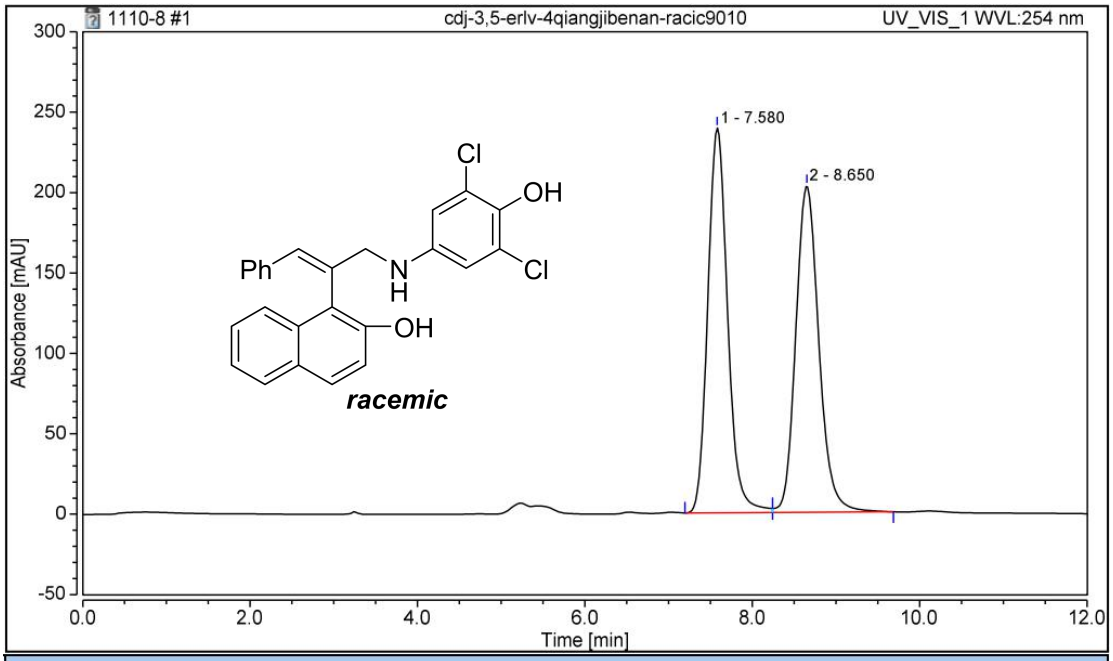
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.865	20.244	32.877	49.10	1.88	0.90
2	15.392	20.985	15.382	50.90	1.34	2.16
Total:		**41.229**	**48.260**	**100.00**		



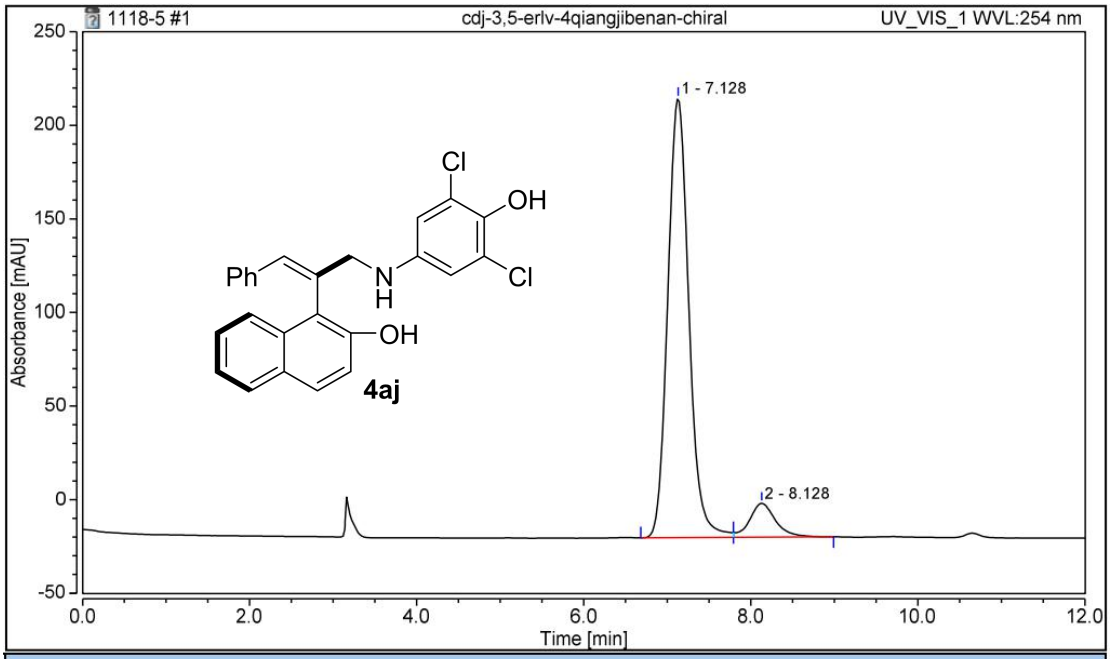
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	8.282	11.245	12.604	9.46	1.99	1.39
2	15.212	107.605	76.672	90.54	1.65	2.03
Total:		**118.850**	**89.276**	**100.00**		



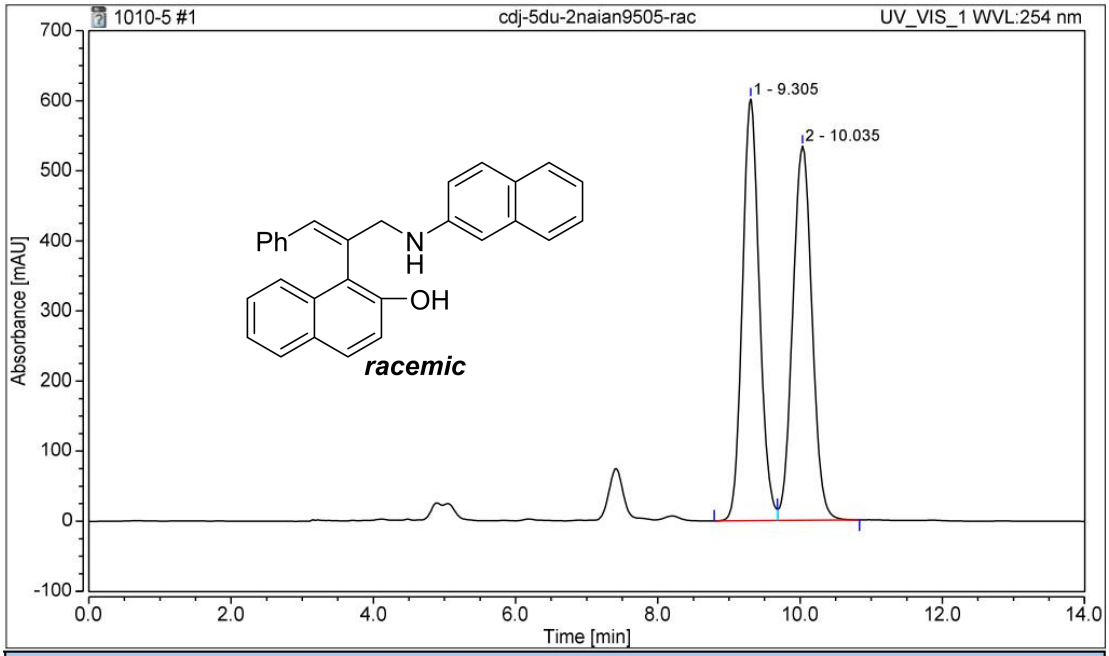
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.580	65.655	239.292	50.29	1.15	0.42
2	8.650	64.889	203.171	49.71	1.16	0.50
Total:		**130.545**	**442.464**	**100.00**		



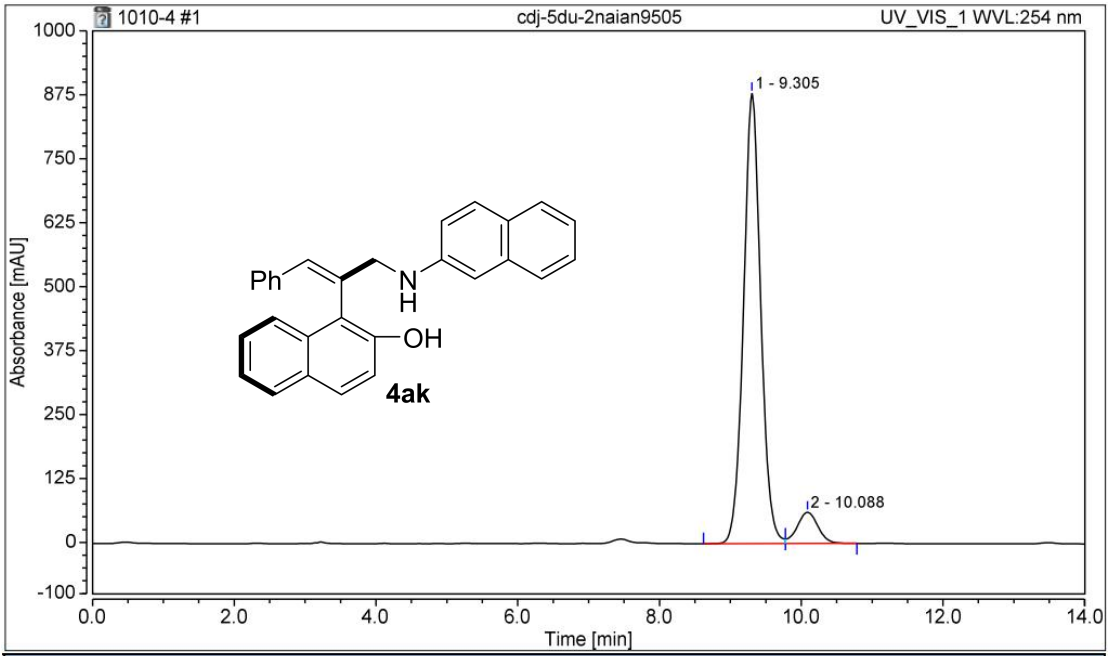
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.128	68.449	234.602	91.33	1.12	0.45
2	8.128	6.498	18.082	8.67	n.a.	0.53
Total:		**74.947**	**252.683**	**100.00**		



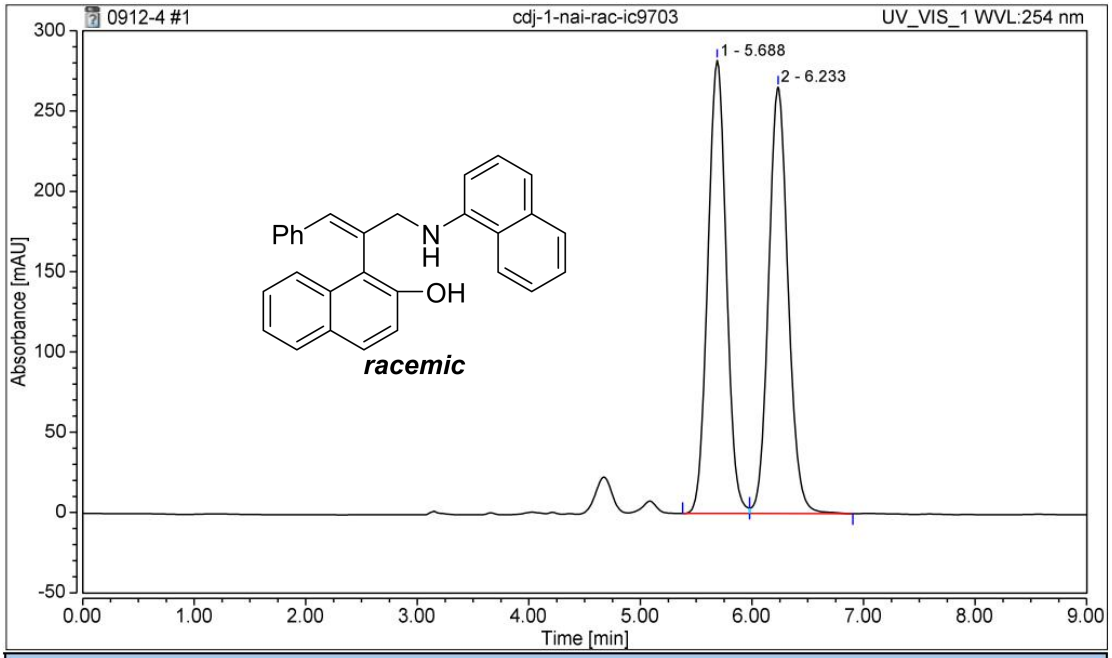
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	9.305	166.424	601.775	49.79	1.11	0.44
2	10.035	167.853	533.779	50.21	1.03	0.50
Total:		**334.277**	**1135.555**	**100.00**		



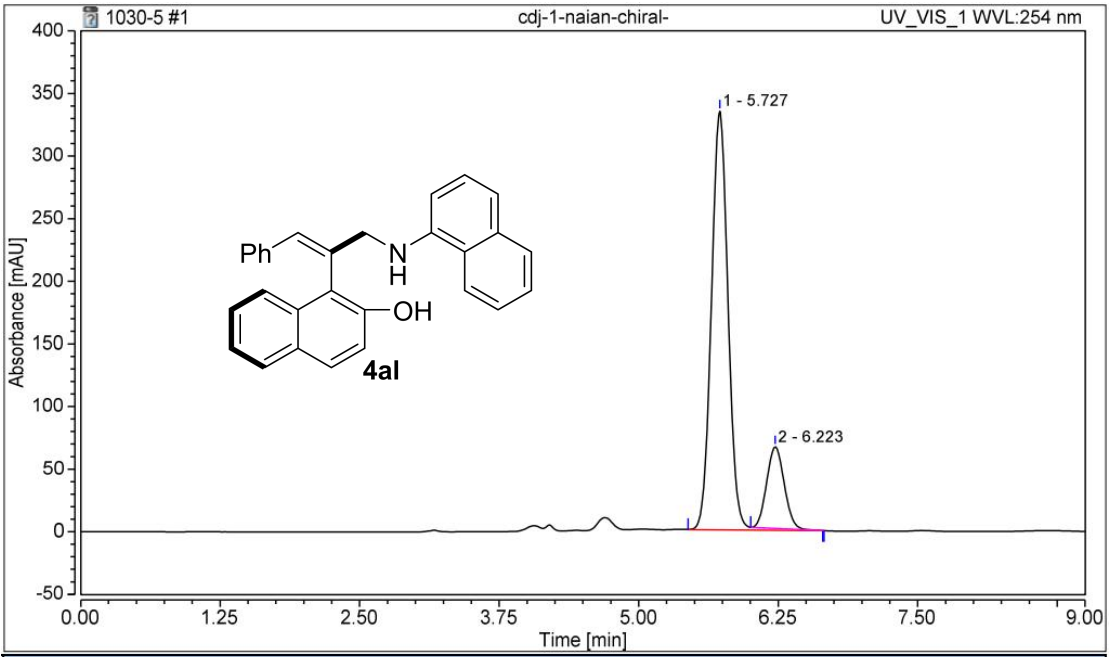
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	9.305	247.416	880.048	92.25	1.05	0.44
2	10.088	20.784	61.156	7.75	n.a.	0.53
Total:		**268.200**	**941.204**	**100.00**		



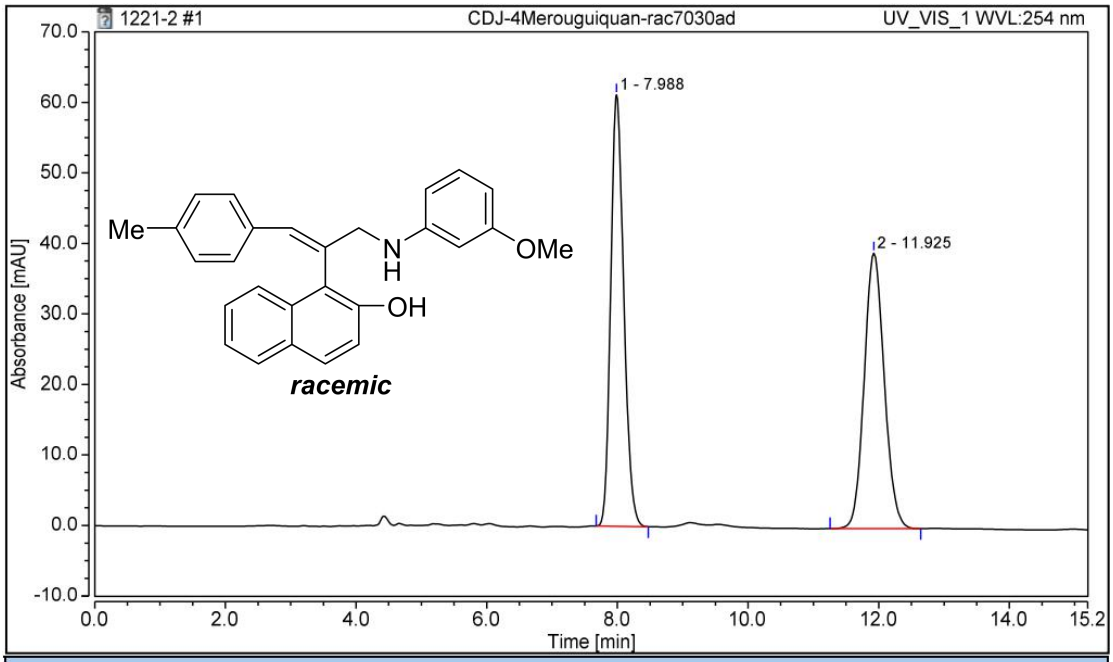
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.688	54.045	282.232	50.09	1.03	0.30
2	6.233	53.852	265.538	49.91	1.10	0.32
Total:		**107.898**	**547.770**	**100.00**		



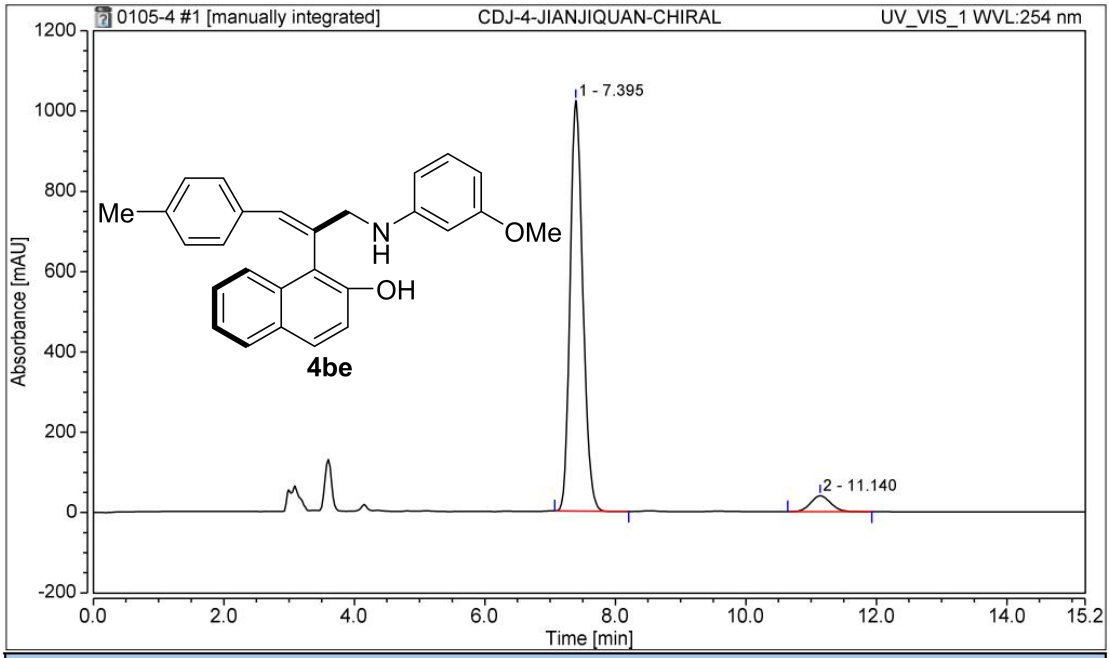
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.727	58.030	334.301	83.03	1.03	0.27
2	6.223	11.864	65.146	16.97	n.a.	n.a.
Total:		**69.894**	**399.447**	**100.00**		



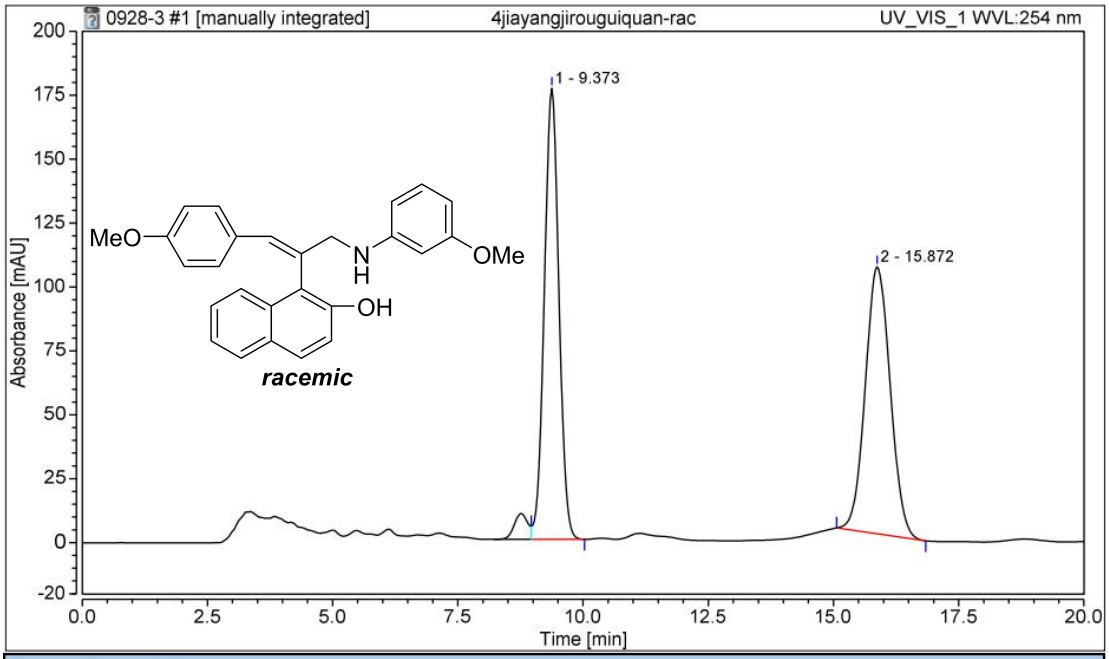
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.988	14.134	61.171	50.36	1.20	0.37
2	11.925	13.932	39.097	49.64	1.10	0.55
Total:		**28.066**	**100.268**	**100.00**		



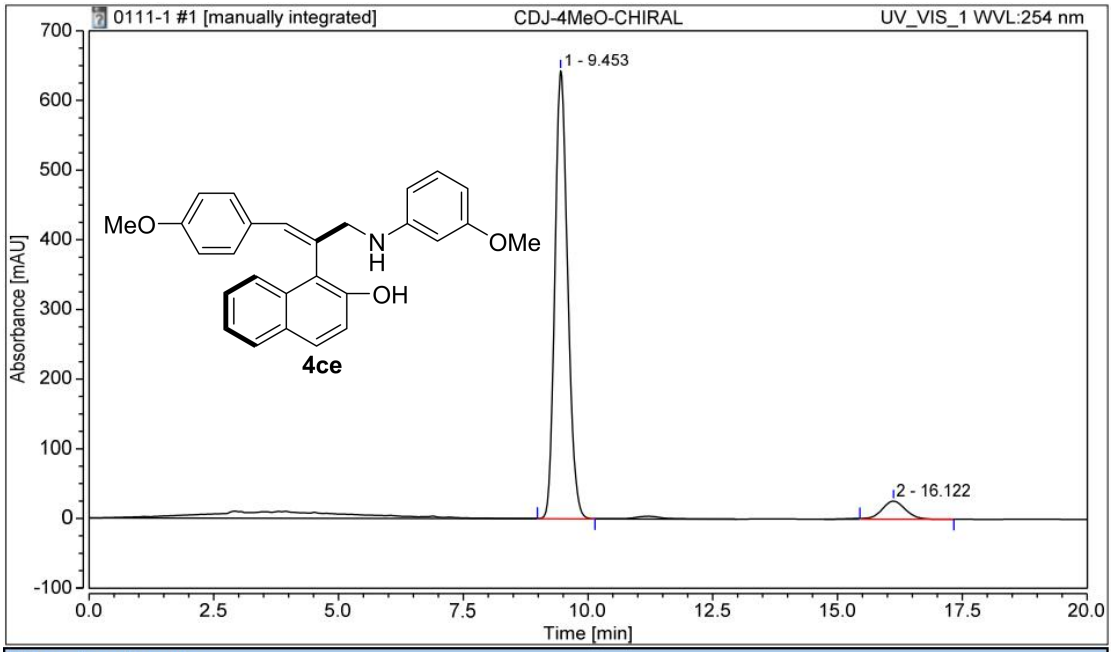
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.395	230.742	1022.653	94.25	1.19	0.35
2	11.140	14.079	39.495	5.75	1.08	0.57
Total:		**244.821**	**1062.148**	**100.00**		



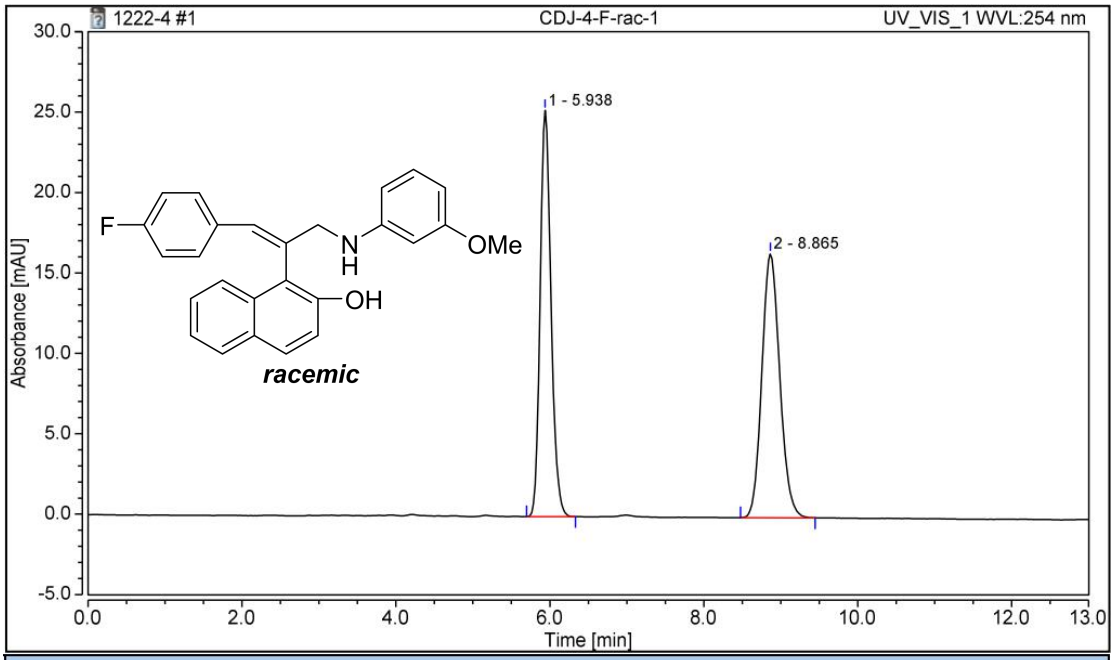
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	9.373	59.578	176.453	49.71	1.02	0.54
2	15.872	60.263	104.447	50.29	1.06	0.91
Total:		**119.841**	**280.899**	**100.00**		



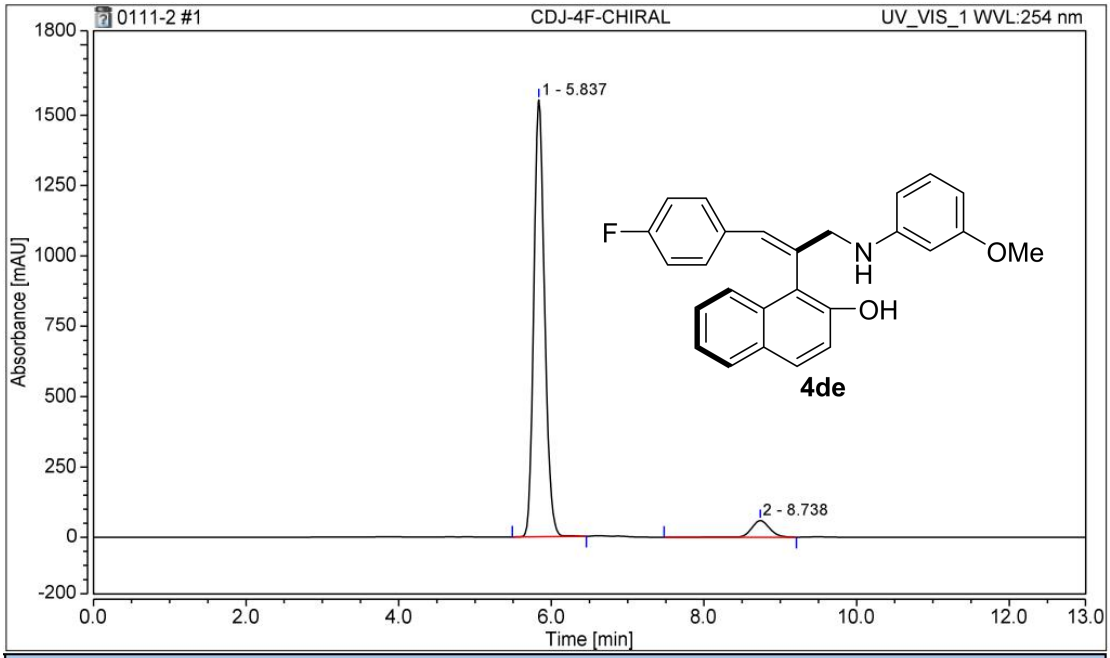
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	9.453	190.942	643.038	93.07	1.18	0.47
2	16.122	14.228	26.059	6.93	1.01	0.85
Total:		**205.170**	**669.098**	**100.00**		



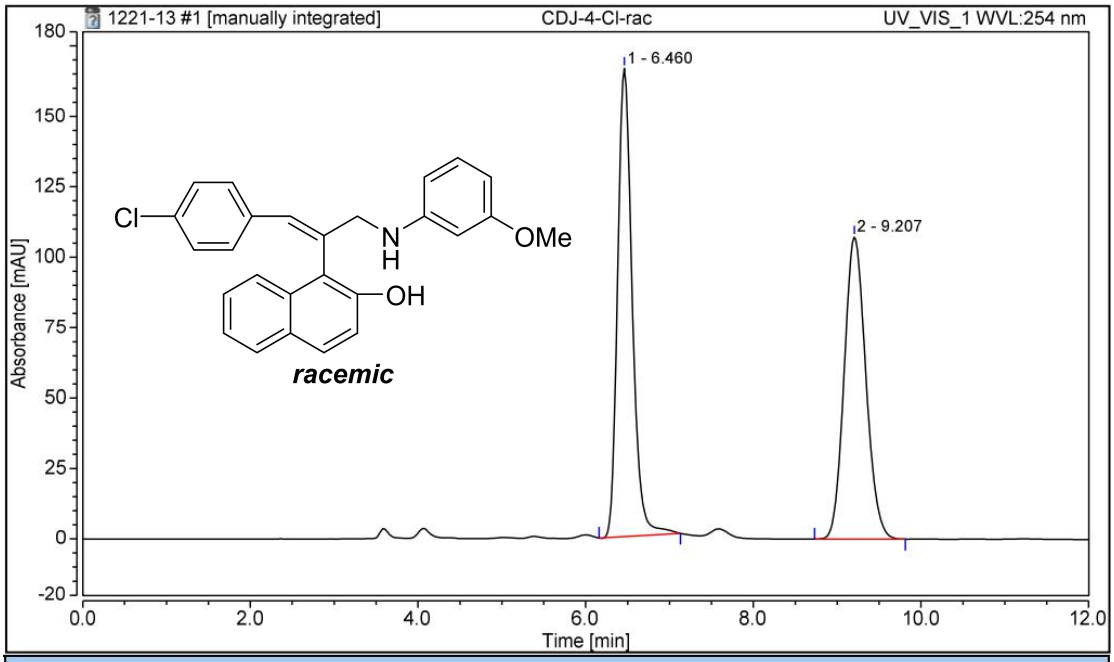
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.938	4.122	25.255	48.28	1.20	0.25
2	8.865	4.416	16.414	51.72	1.13	0.42
Total:		**8.539**	**41.668**	**100.00**		



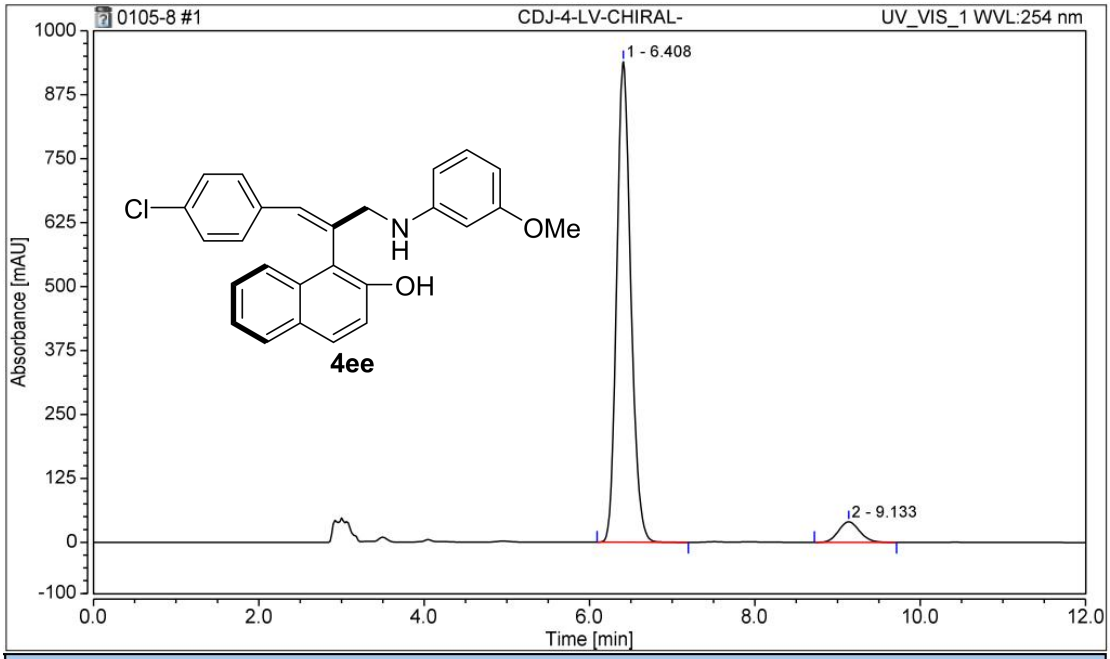
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.837	253.772	1552.366	94.03	1.17	0.26
2	8.738	16.104	59.101	5.97	1.11	0.43
Total:		**269.876**	**1611.466**	**100.00**		



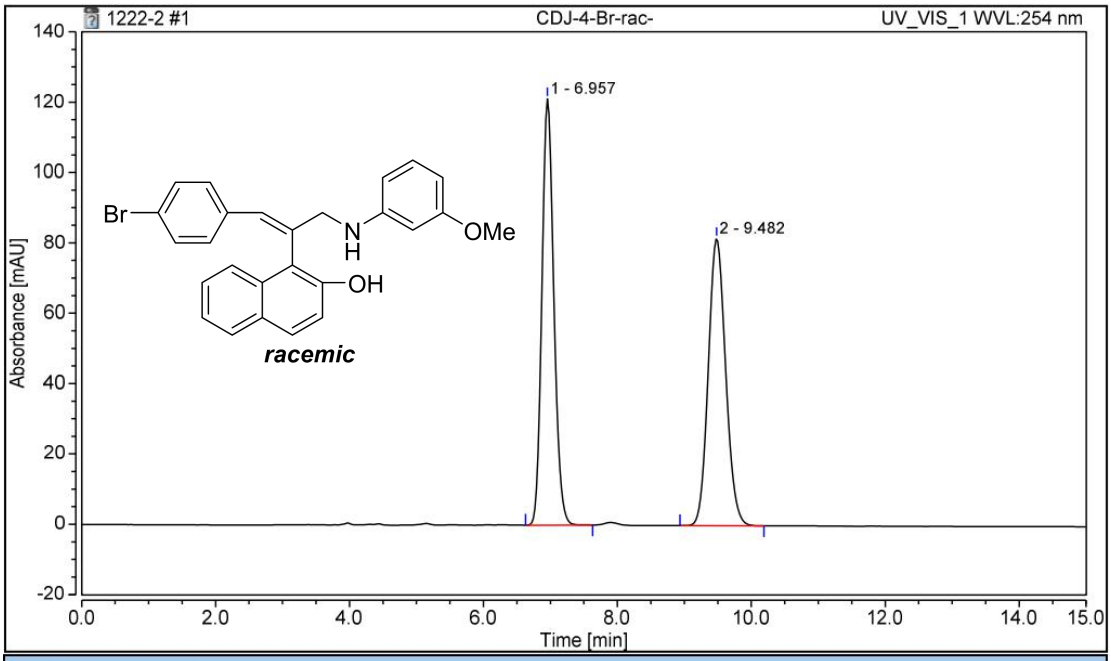
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.460	33.514	166.291	51.05	1.22	0.31
2	9.207	32.132	107.305	48.95	1.12	0.47
Total:		**65.647**	**273.595**	**100.00**		



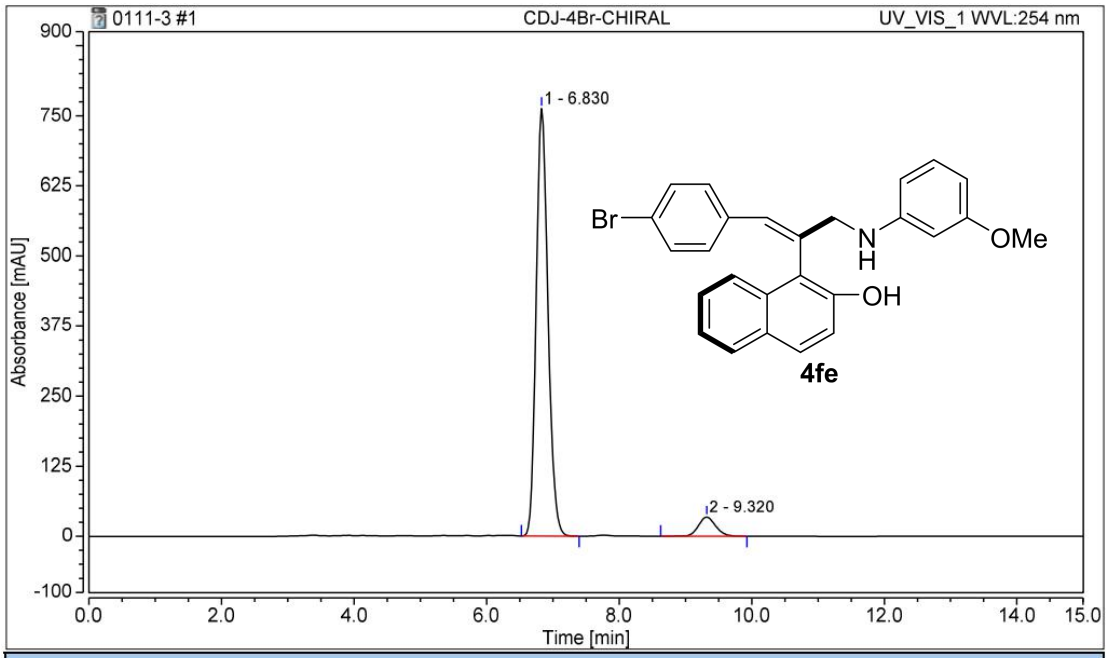
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.408	186.210	939.157	93.98	1.18	0.31
2	9.133	11.922	40.182	6.02	1.11	0.47
Total:		**198.133**	**979.338**	**100.00**		



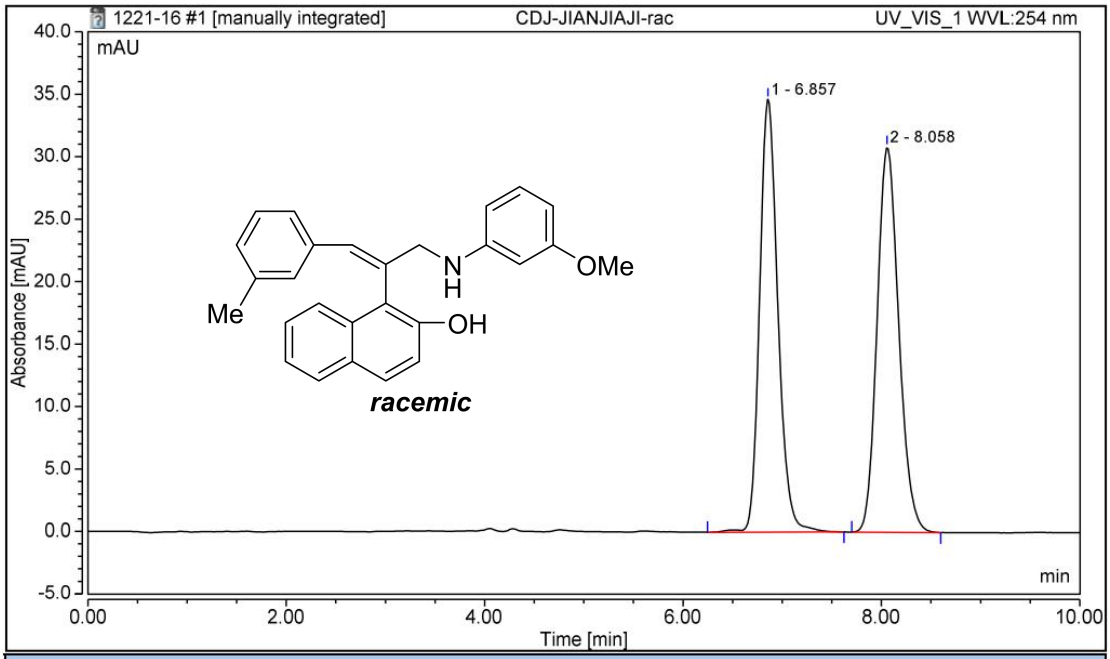
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.957	25.052	121.203	50.48	1.15	0.32
2	9.482	24.571	81.659	49.52	1.11	0.48
Total:		**49.622**	**202.862**	**100.00**		



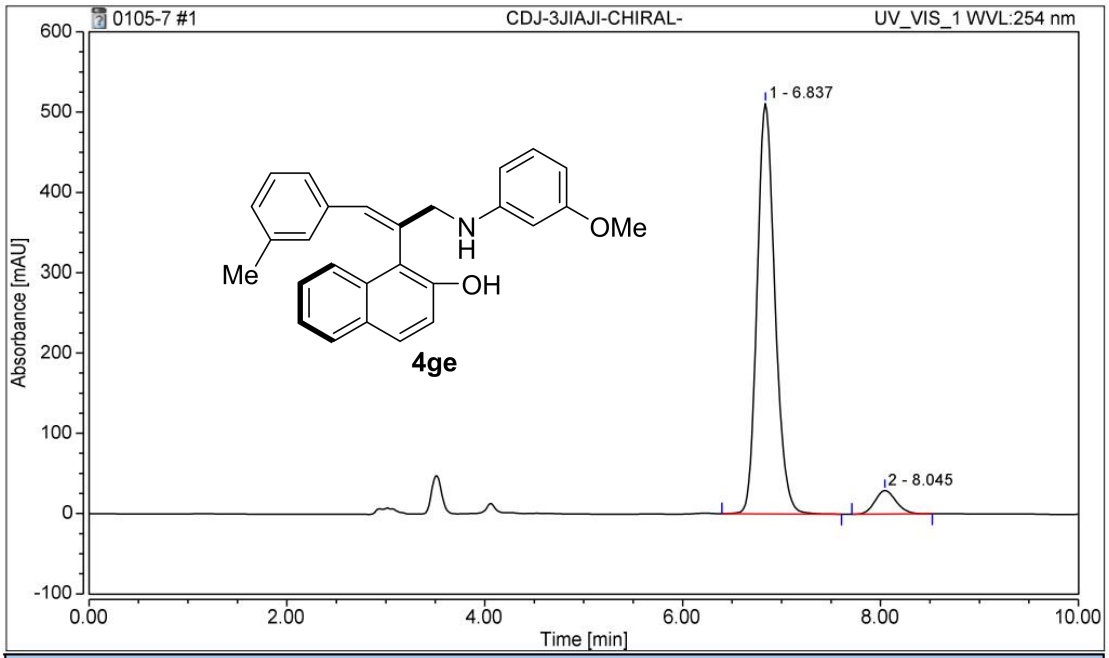
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.830	157.287	762.622	93.78	1.17	0.33
2	9.320	10.430	34.285	6.22	1.12	0.48
Total:		**167.717**	**796.907**	**100.00**		



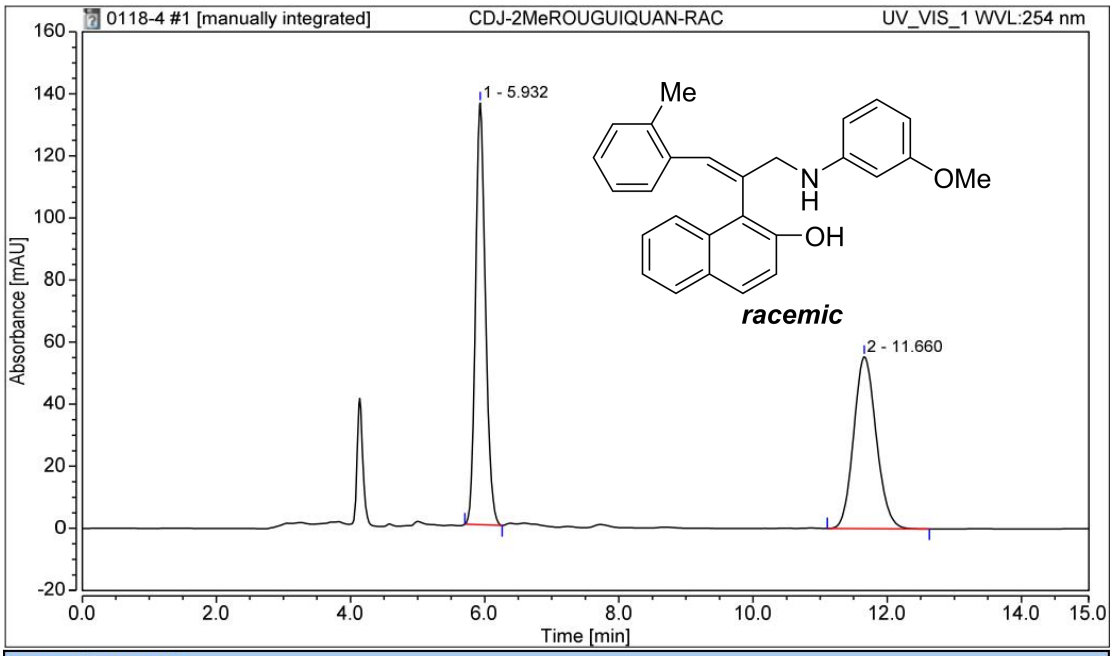
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.857	7.402	34.660	48.66	1.20	0.33
2	8.058	7.811	30.852	51.34	1.16	0.40
Total:		**15.212**	**65.512**	**100.00**		



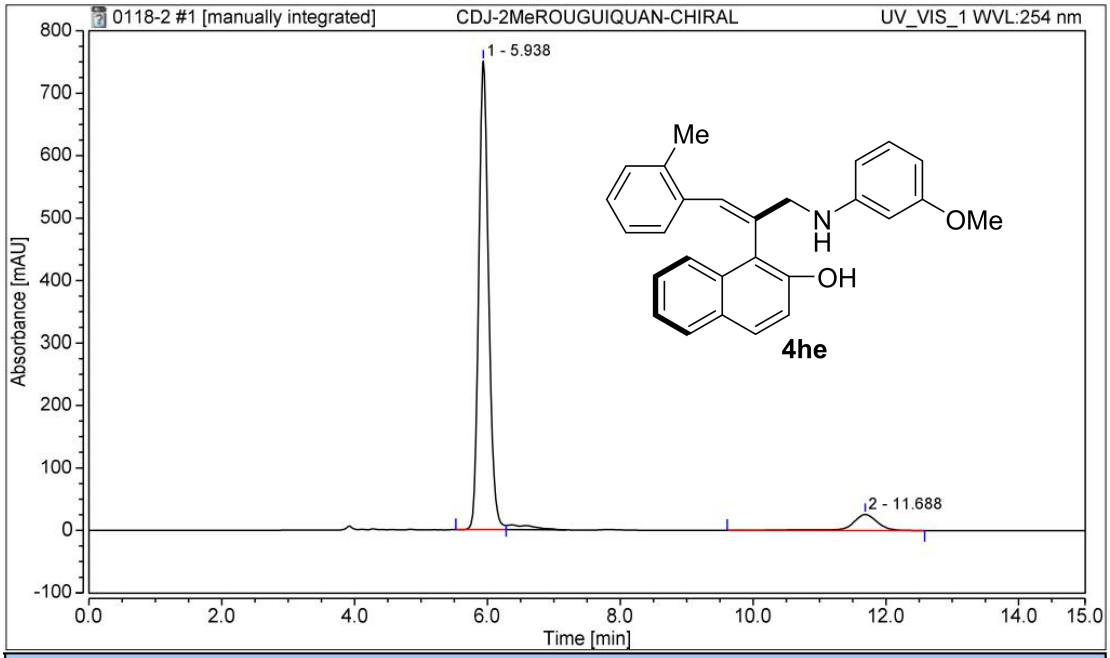
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.837	106.869	511.195	93.62	1.18	0.33
2	8.045	7.285	29.336	6.38	1.14	0.39
Total:		**114.154**	**540.531**	**100.00**		



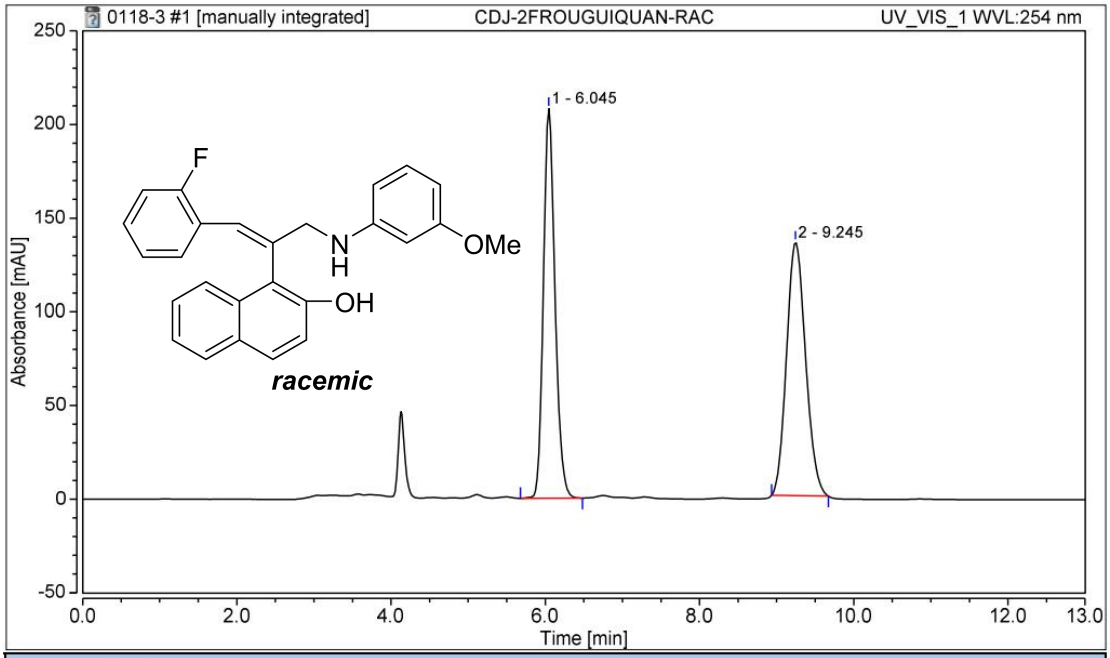
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.932	23.279	135.871	51.85	1.18	0.27
2	11.660	21.618	55.511	48.15	1.12	0.62
Total:		**44.897**	**191.382**	**100.00**		



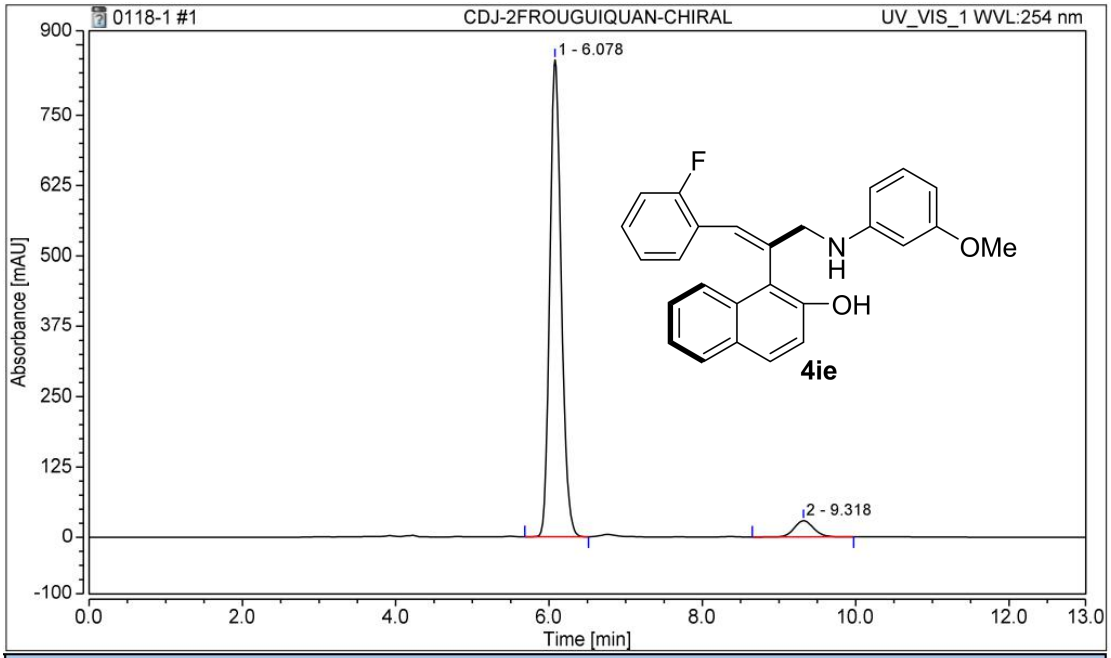
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.938	128.398	749.970	91.81	1.17	0.27
2	11.688	11.451	25.605	8.19	0.96	0.63
Total:		**139.849**	**775.574**	**100.00**		



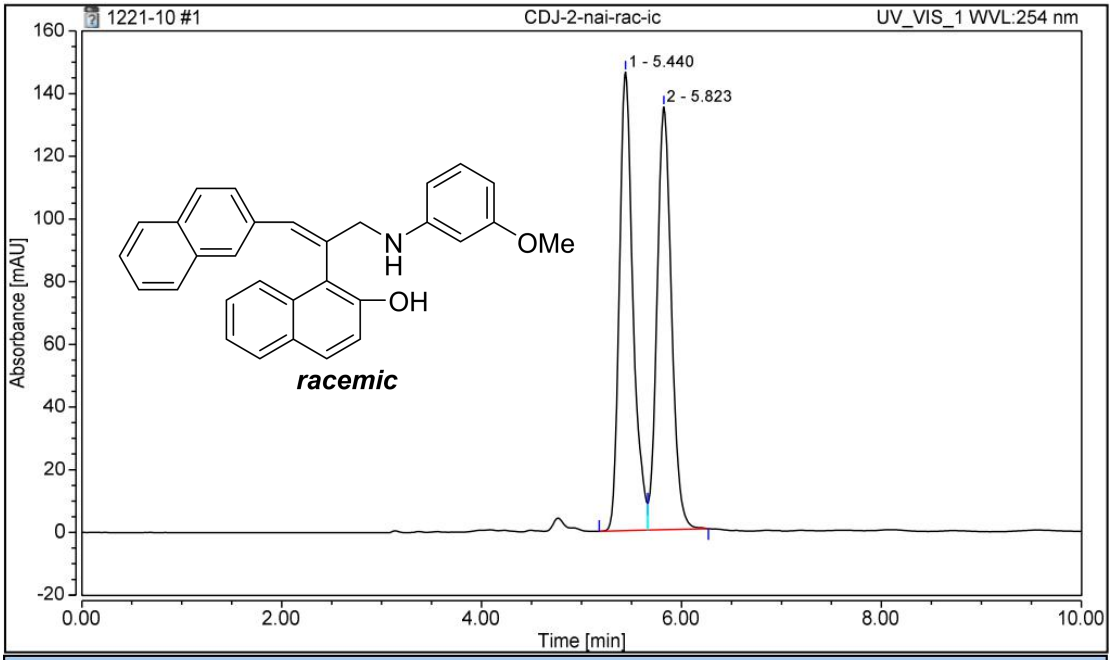
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.045	36.256	208.010	48.32	1.19	0.27
2	9.245	38.778	135.285	51.68	1.13	0.46
Total:		**75.034**	**343.296**	**100.00**		



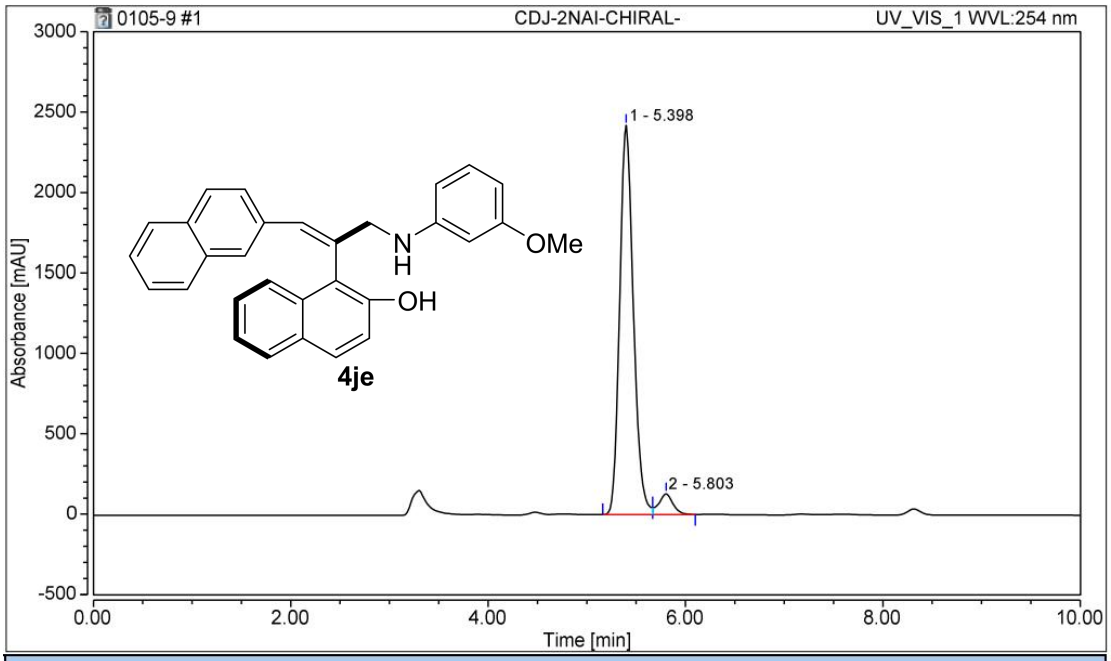
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.078	146.636	847.380	94.46	1.18	0.27
2	9.318	8.594	28.851	5.54	1.10	0.46
Total:		**155.230**	**876.230**	**100.00**		



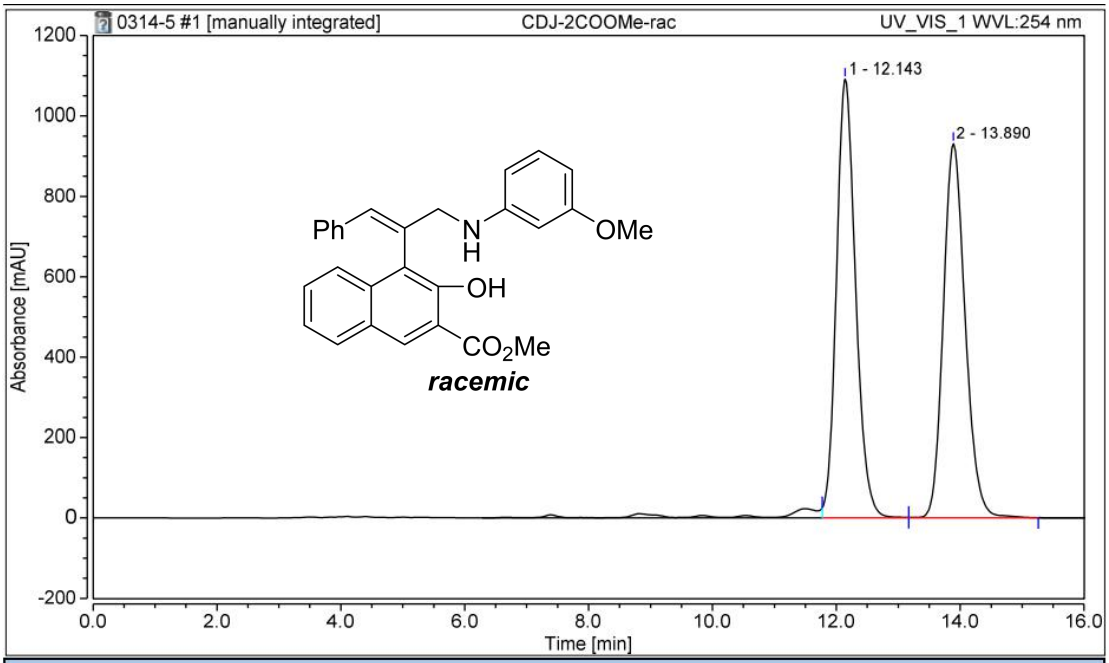
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.440	23.108	146.282	50.58	n.a.	0.24
2	5.823	22.579	134.887	49.42	n.a.	0.26
Total:		**45.687**	**281.169**	**100.00**		



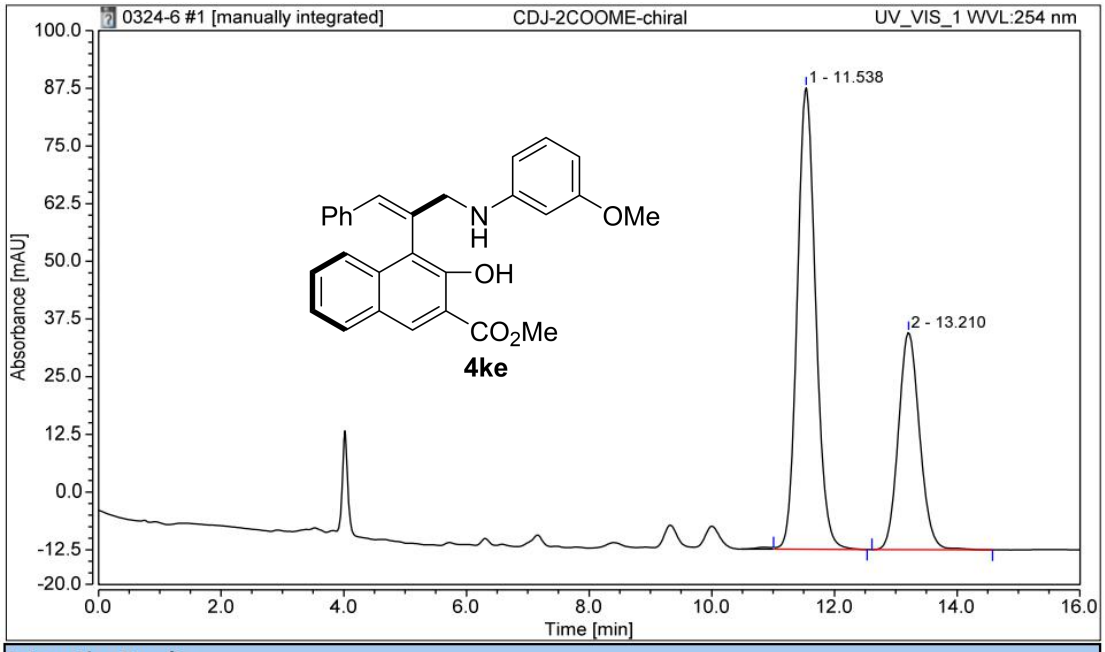
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.398	388.493	2420.344	94.76	1.18	0.25
2	5.803	21.465	129.376	5.24	n.a.	0.26
Total:		**409.958**	**2549.720**	**100.00**		



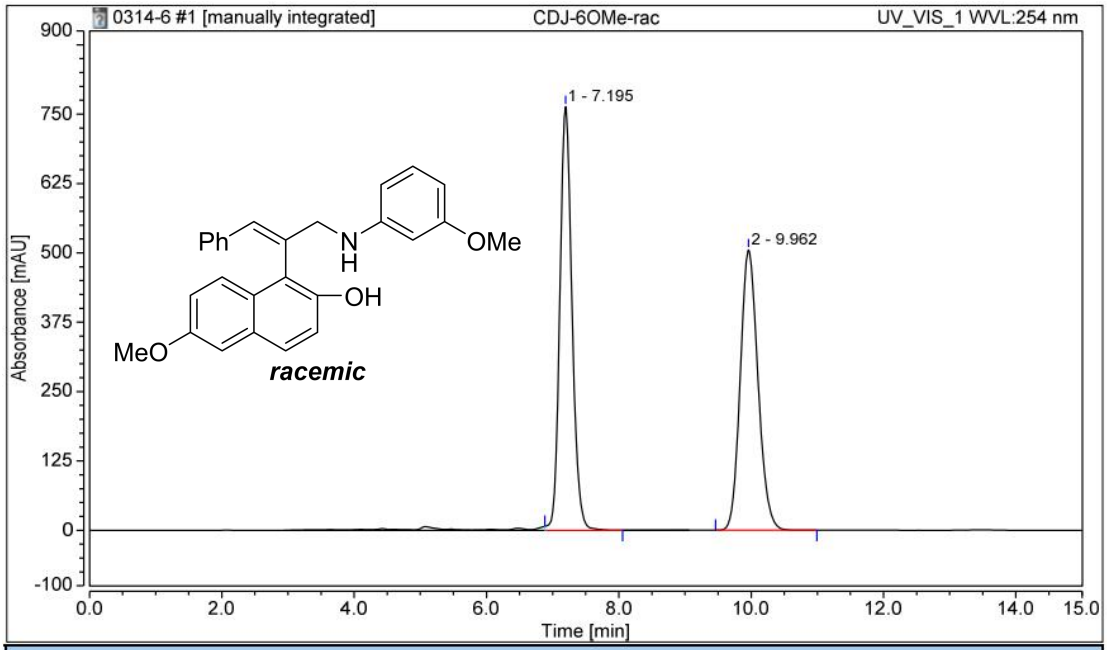
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	12.143	391.822	1091.374	50.64	1.17	0.55
2	13.890	381.963	931.341	49.36	1.17	0.64
Total:		**773.785**	**2022.716**	**100.00**		



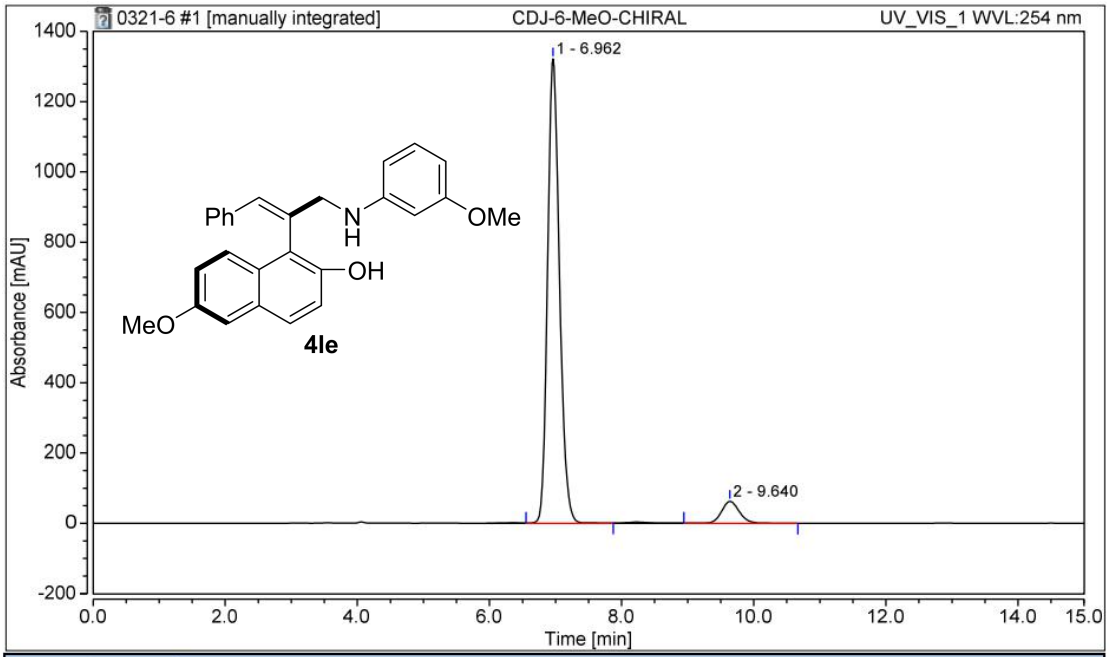
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.538	34.859	99.994	64.90	1.12	0.55
2	13.210	18.853	47.064	35.10	1.11	0.63
Total:		**53.712**	**147.058**	**100.00**		



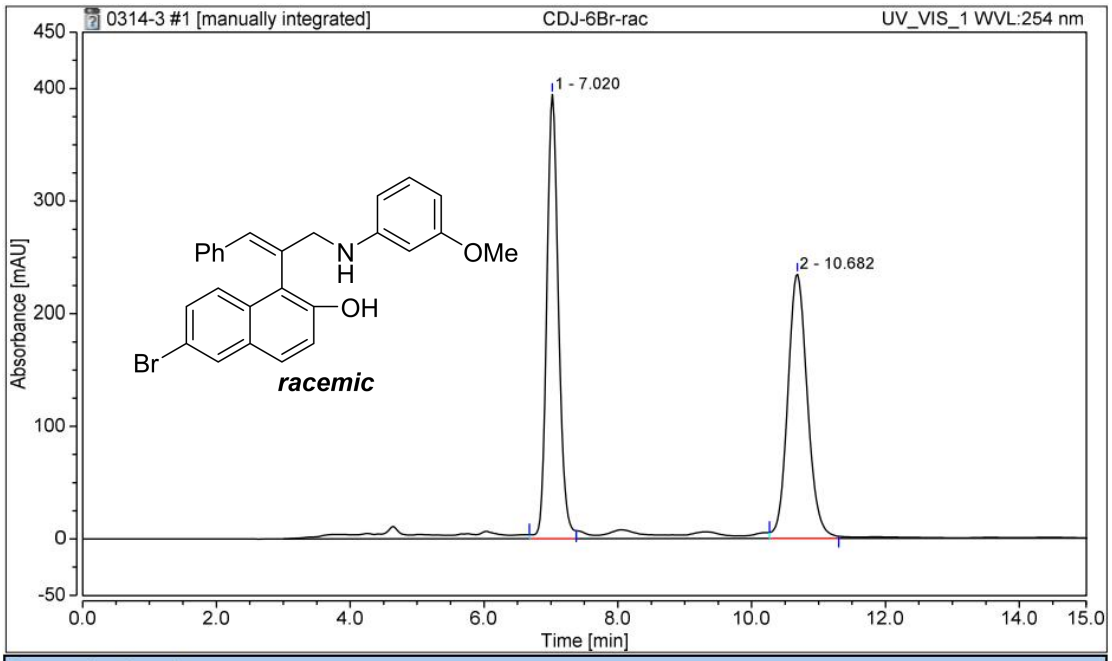
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.195	162.126	763.543	50.82	1.15	0.34
2	9.962	156.916	505.254	49.18	1.14	0.48
Total:		**319.042**	**1268.797**	**100.00**		



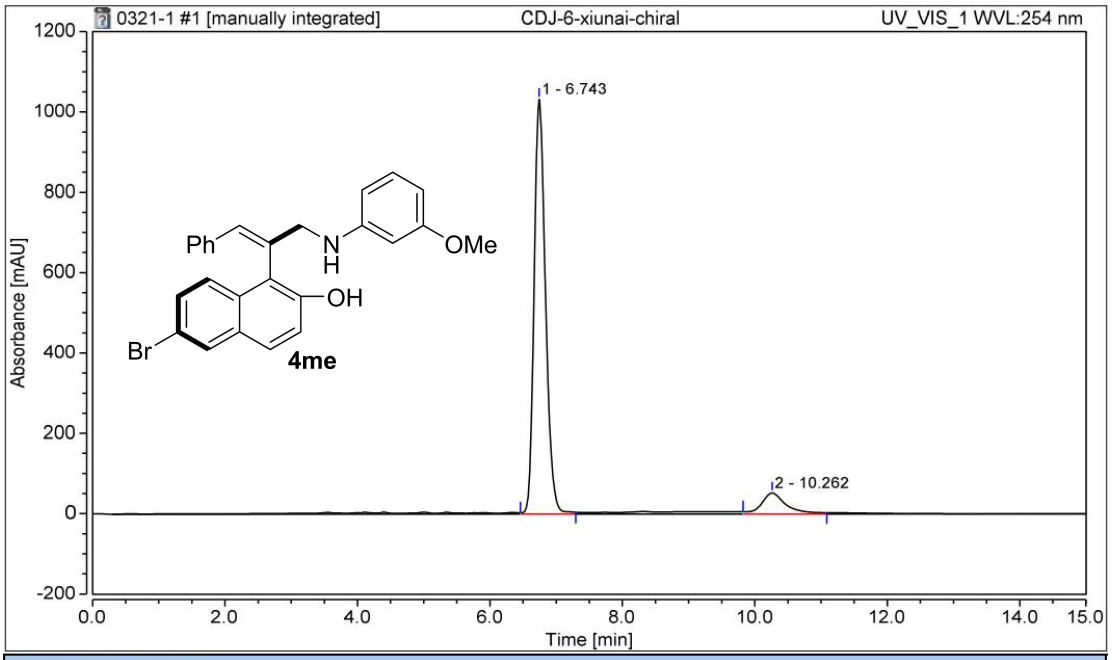
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.962	275.117	1321.221	93.36	1.19	0.33
2	9.640	19.572	62.541	6.64	1.12	0.48
Total:		**294.689**	**1383.762**	**100.00**	**2.32**	



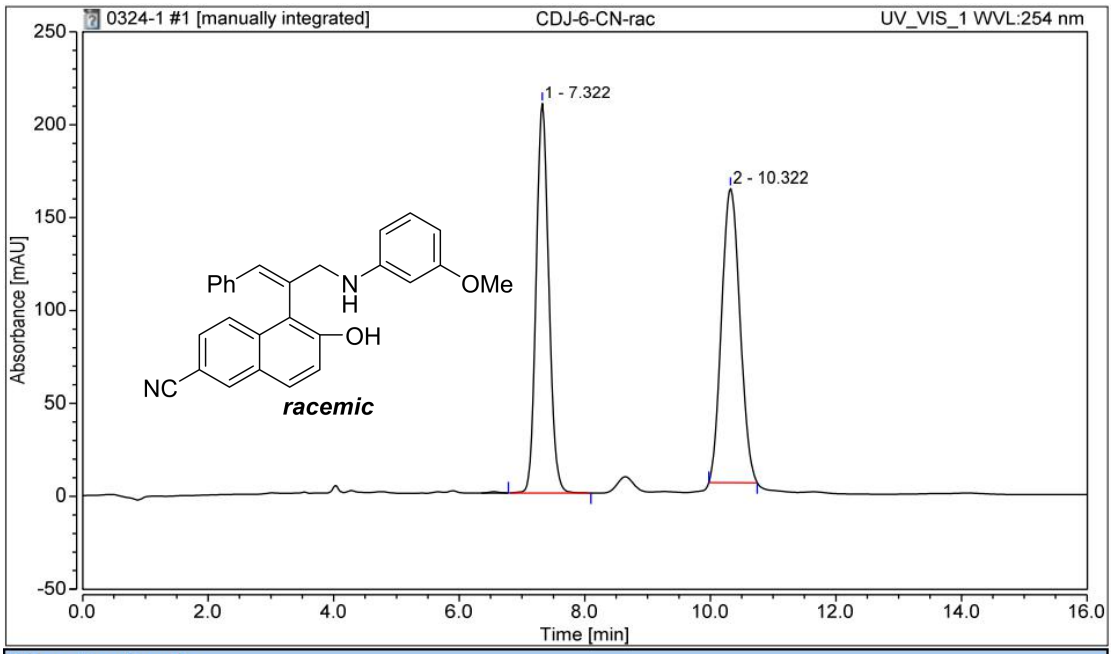
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.020	80.278	394.676	50.08	1.17	0.31
2	10.682	80.025	234.831	49.92	1.11	0.52
Total:		**160.303**	**629.506**	**100.00**		



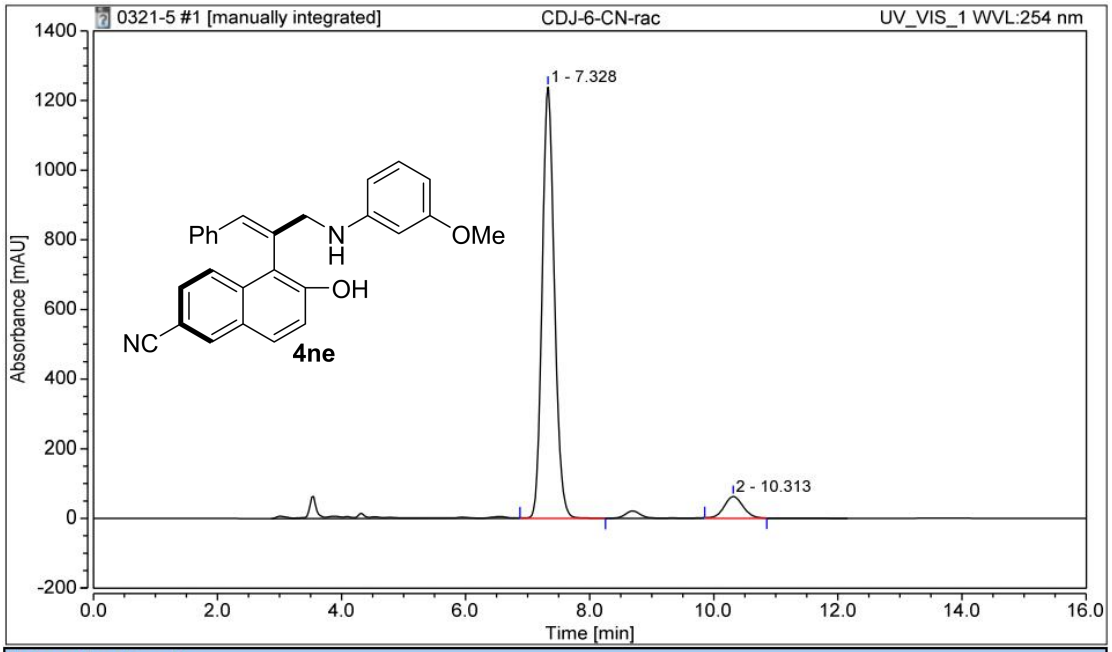
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.743	205.903	1032.283	89.95	1.24	0.31
2	10.262	23.013	52.405	10.05	n.a.	0.60
Total:		**228.916**	**1084.688**	**100.00**		



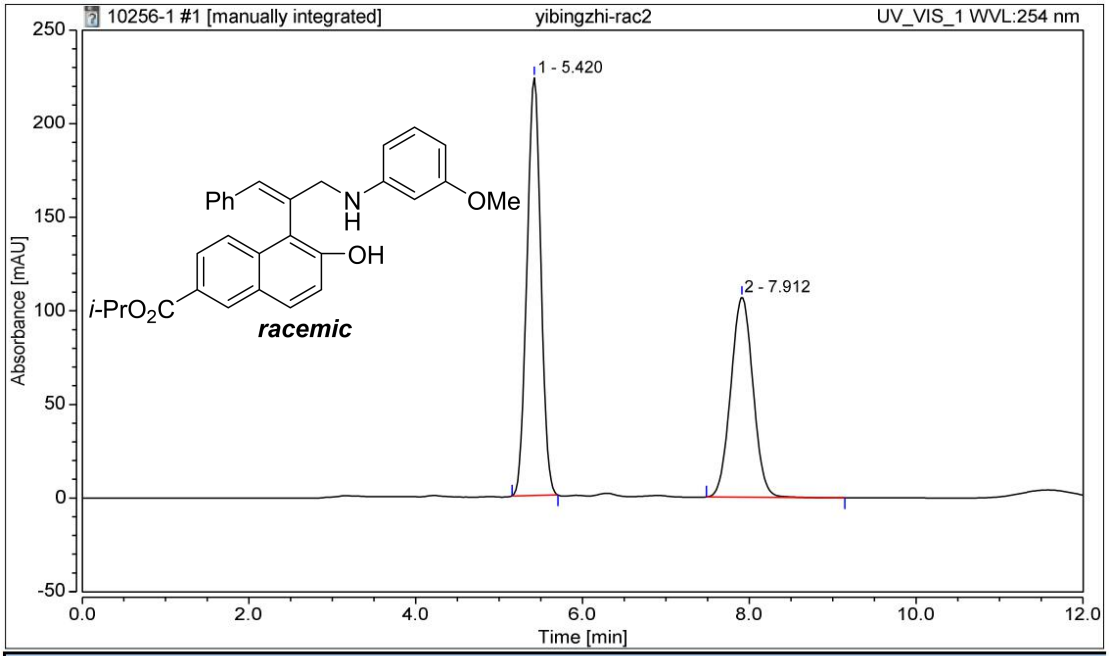
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.322	48.964	209.663	47.77	1.11	0.37
2	10.322	53.538	158.456	52.23	1.09	0.55
Total:		**102.502**	**368.119**	**100.00**		



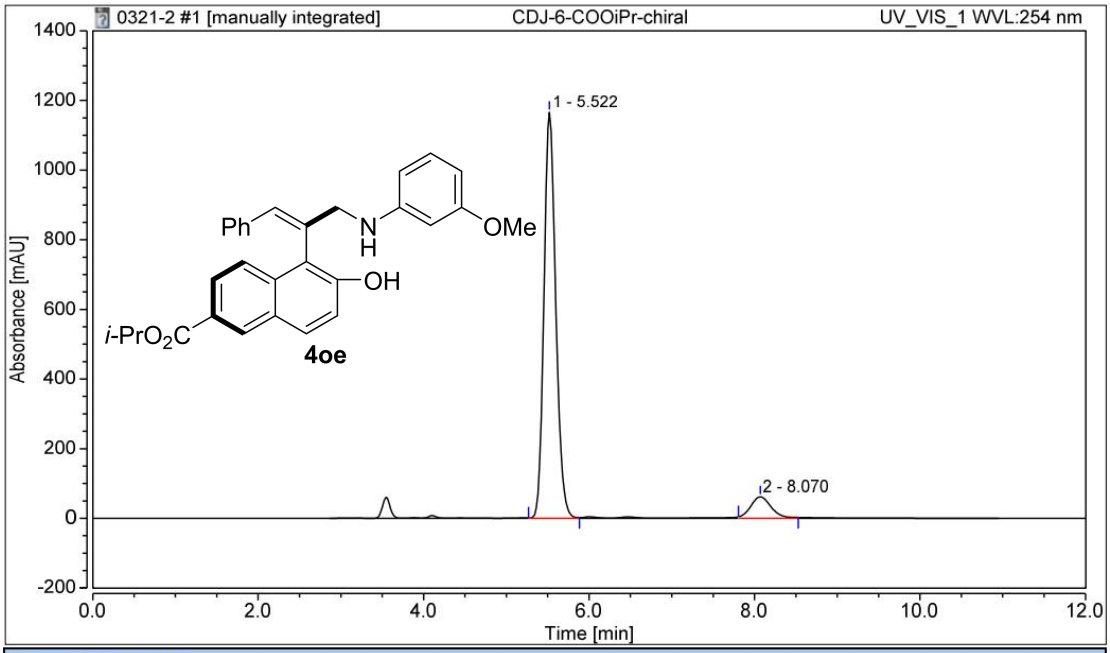
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	7.328	284.247	1238.575	92.59	1.14	0.36
2	10.313	22.740	62.774	7.41	1.06	0.56
Total:		**306.987**	**1301.349**	**100.00**		



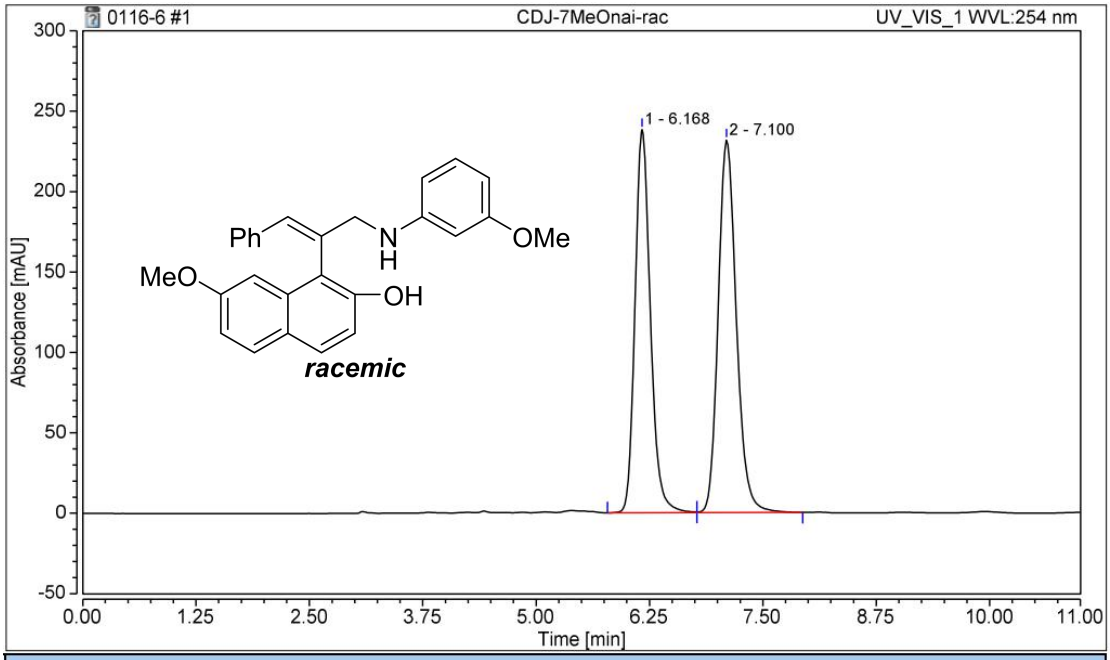
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.420	40.172	223.198	52.75	1.00	0.31
2	7.912	35.985	106.862	47.25	1.03	0.49
Total:		**76.157**	**330.060**	**100.00**		



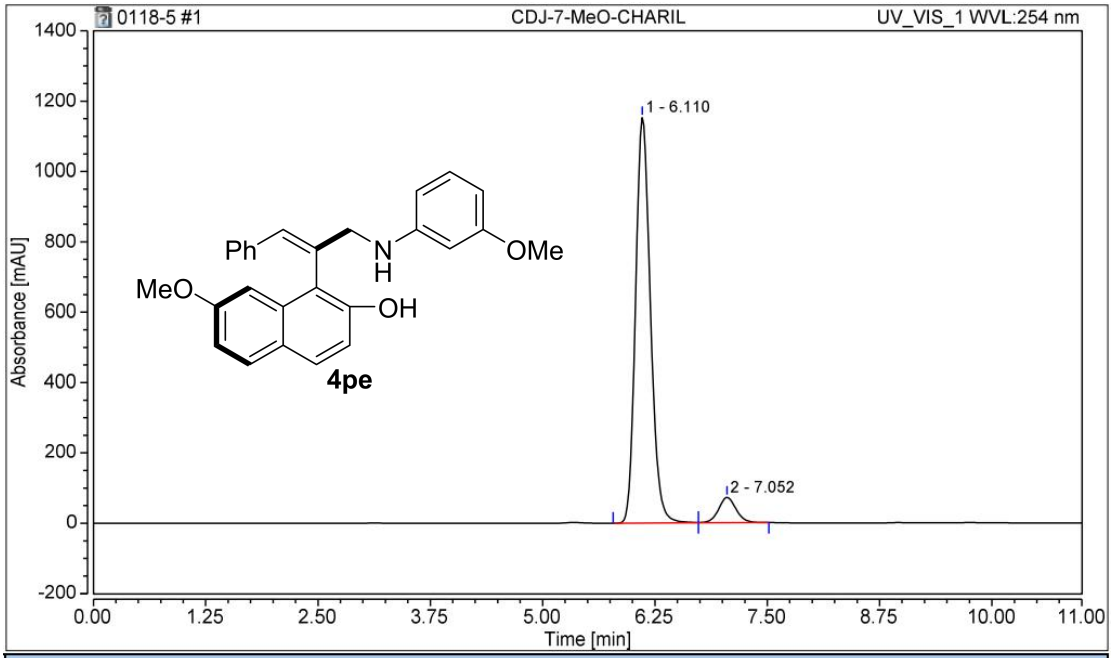
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.522	192.653	1166.479	91.43	1.17	0.26
2	8.070	18.068	62.011	8.57	n.a.	0.45
Total:		**210.721**	**1228.490**	**100.00**		



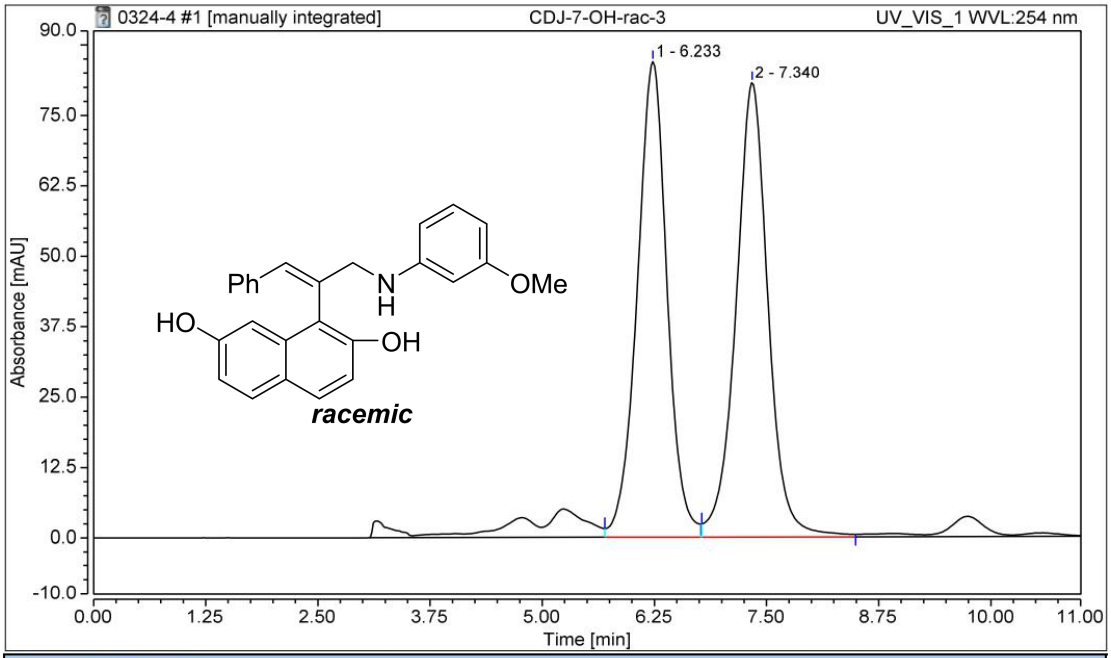
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.168	46.857	238.369	46.58	1.20	0.30
2	7.100	53.748	231.789	53.42	1.18	0.36
Total:		**100.605**	**470.158**	**100.00**		



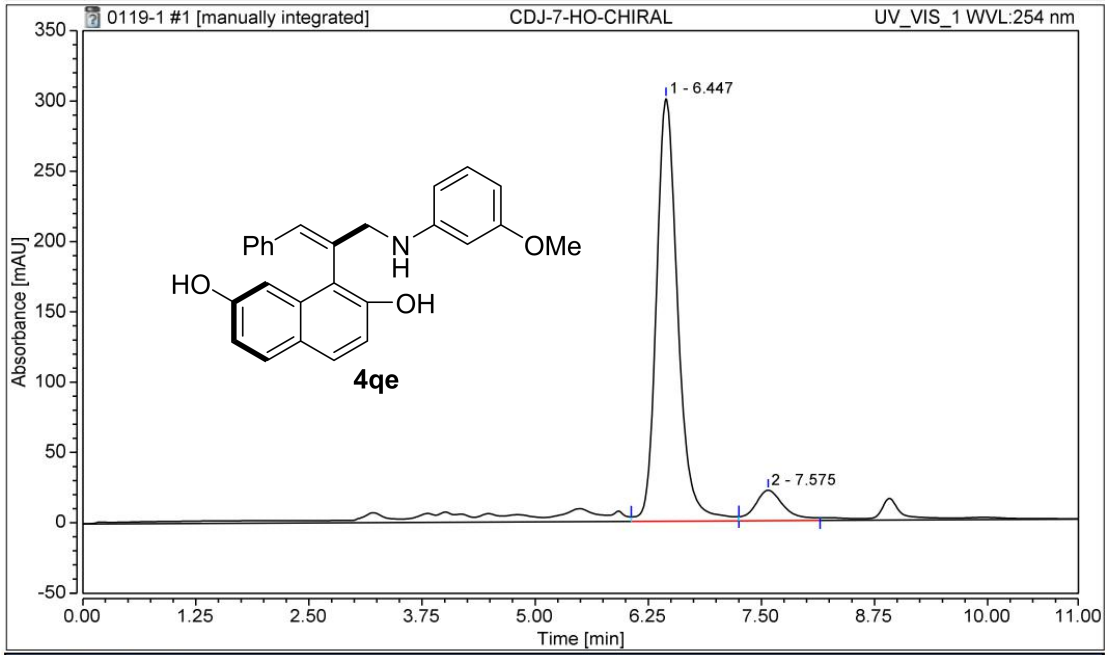
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.110	221.276	1152.664	93.14	1.18	0.30
2	7.052	16.299	72.119	6.86	1.07	0.35
Total:		**237.575**	**1224.783**	**100.00**		



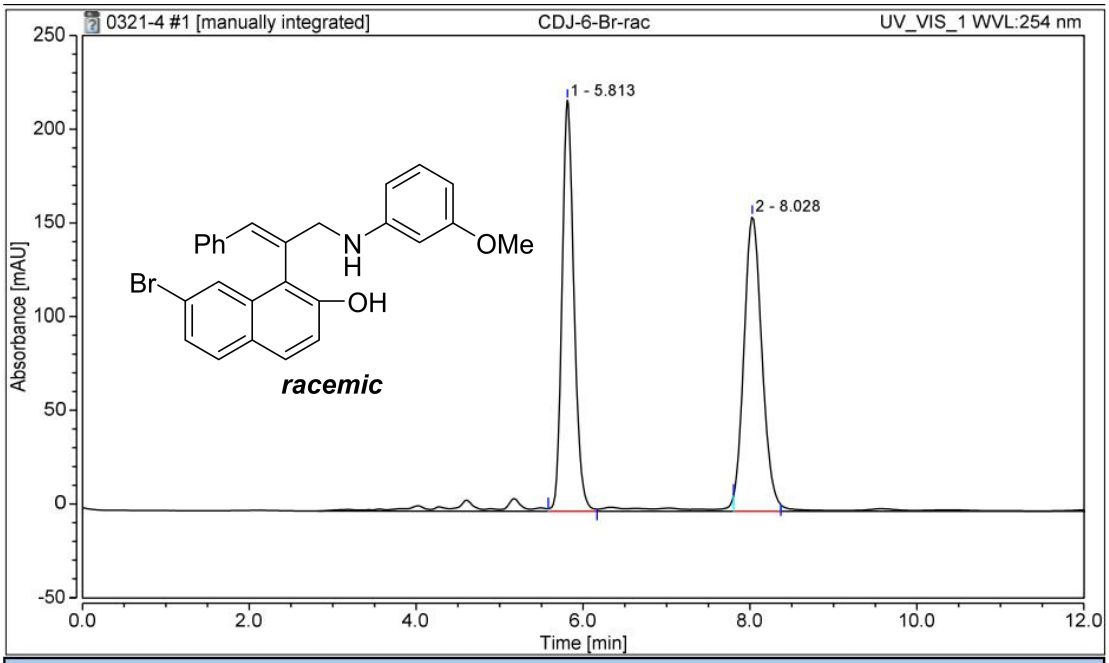
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.233	32.519	84.420	49.30	0.99	0.60
2	7.340	33.438	80.648	50.70	0.99	0.64
Total:		**65.957**	**165.068**	**100.00**		



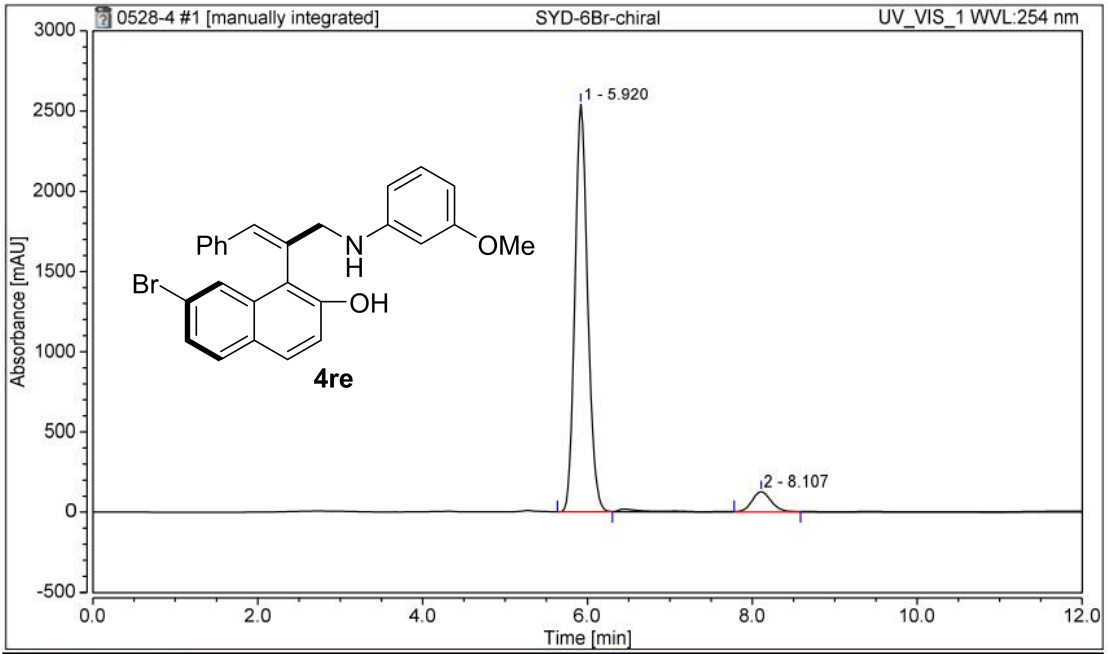
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.447	82.257	300.436	91.11	1.23	0.41
2	7.575	8.029	21.664	8.89	n.a.	0.55
Total:		**90.286**	**322.100**	**100.00**		



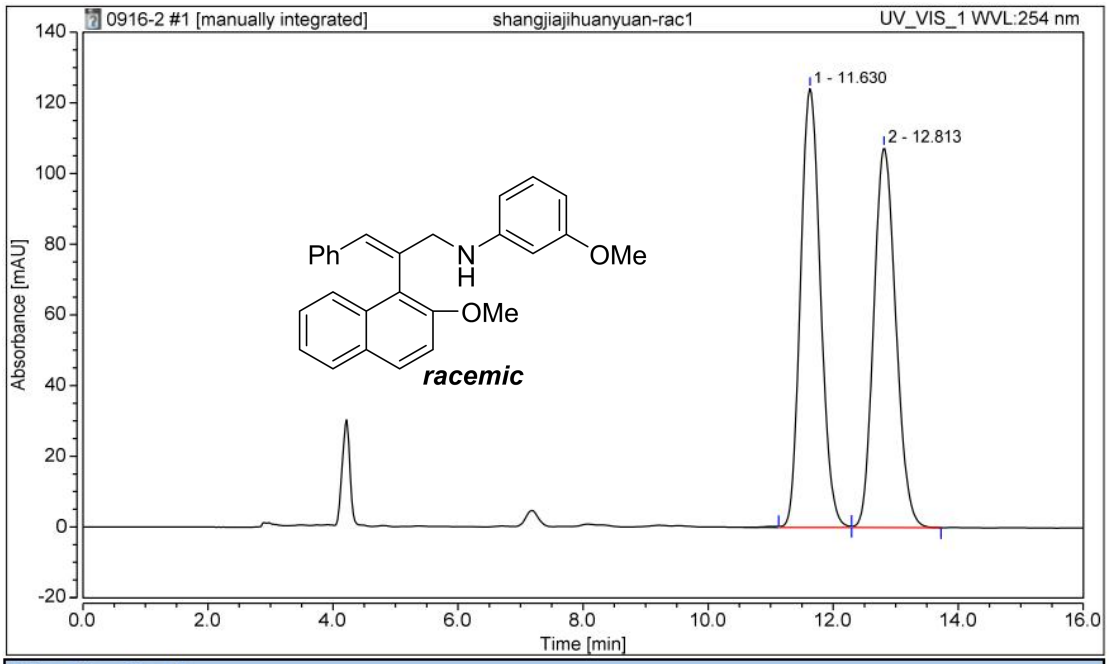
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.813	36.314	219.255	48.10	1.19	0.26
2	8.028	39.177	157.192	51.90	n.a.	0.40
Total:		**75.490**	**376.448**	**100.00**		



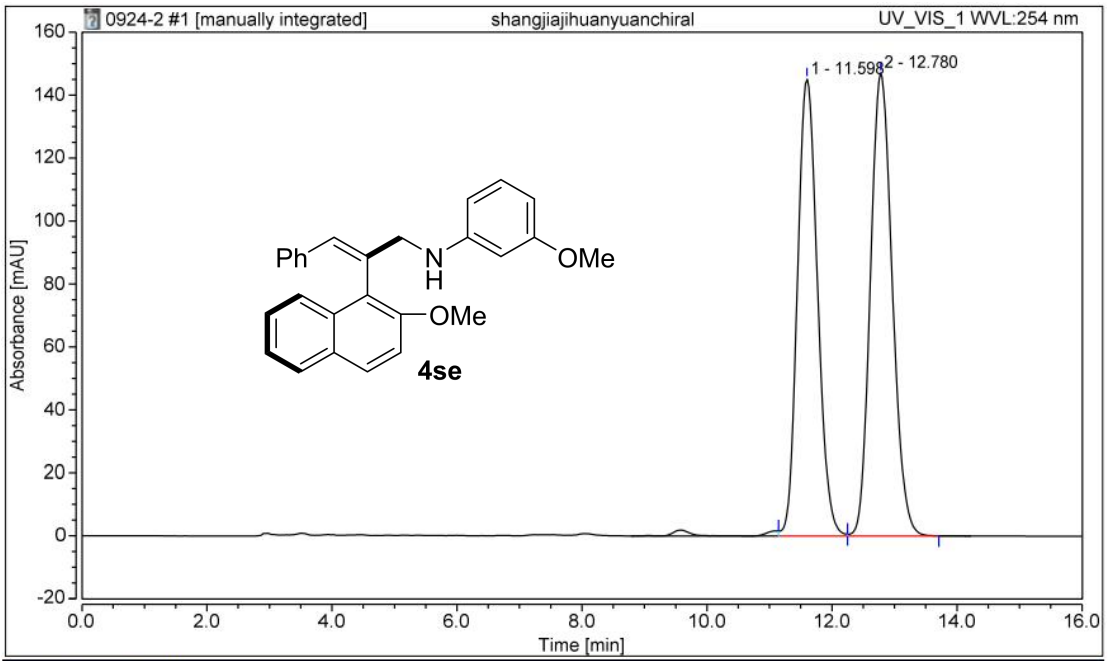
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	5.920	456.356	2539.496	92.98	1.15	0.28
2	8.107	34.475	125.839	7.02	1.13	0.42
Total:		**490.831**	**2665.335**	**100.00**		



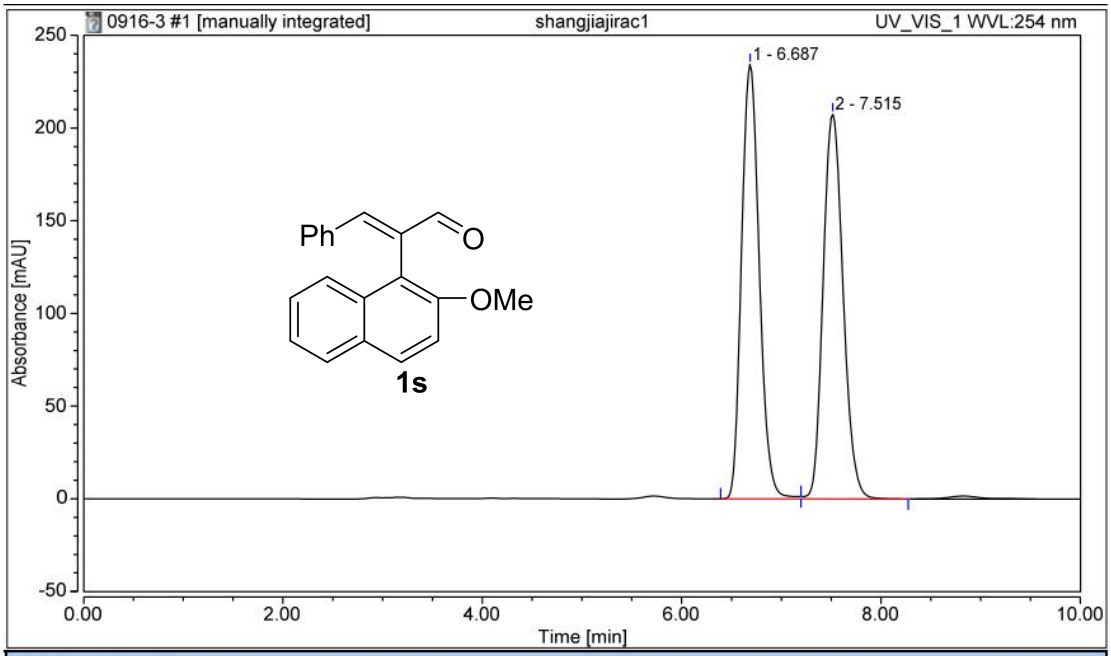
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.630	45.840	124.219	51.06	1.13	0.58
2	12.813	43.932	107.505	48.94	1.15	0.65
Total:		**89.772**	**231.724**	**100.00**		



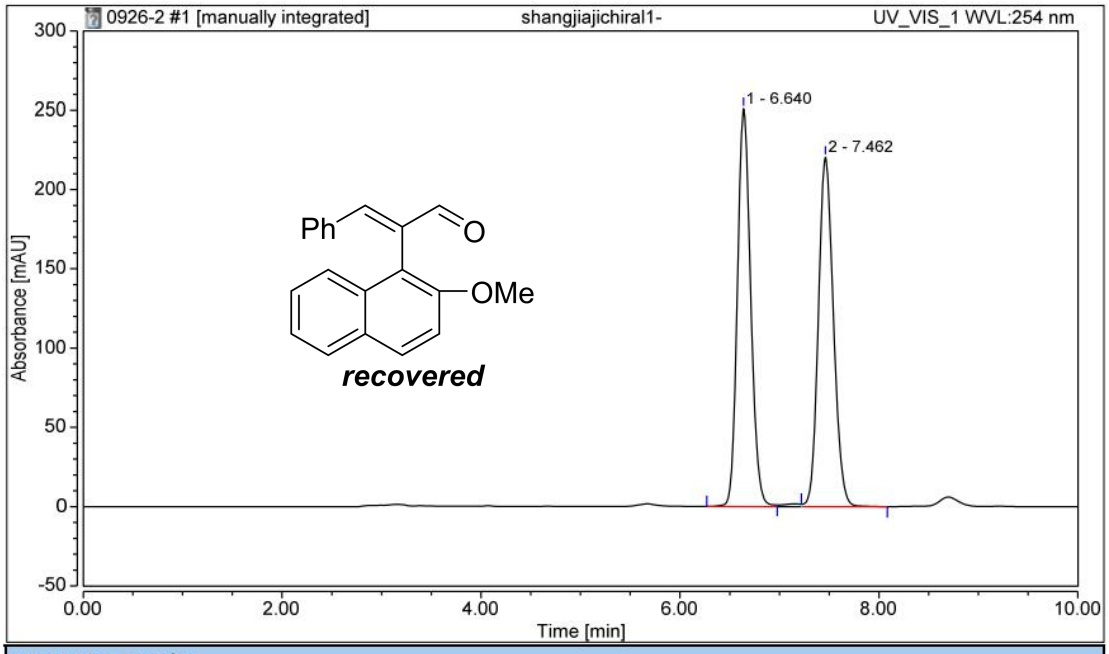
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	11.598	53.926	145.219	47.52	1.15	0.58
2	12.780	59.543	147.108	52.48	1.14	0.64
Total:		**113.469**	**292.327**	**100.00**		



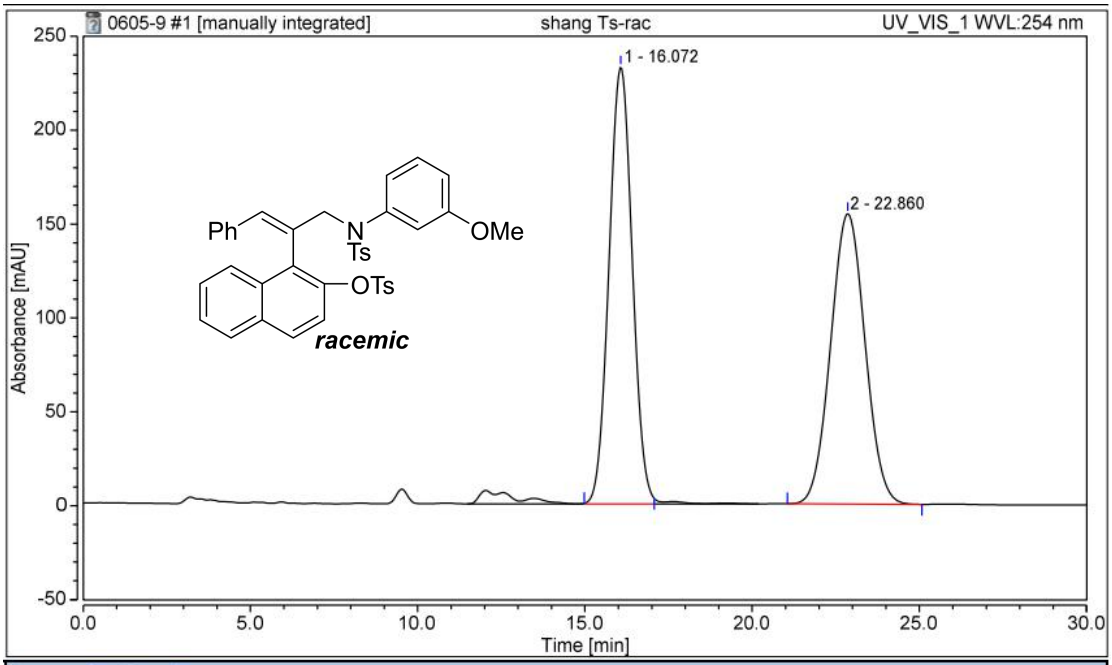
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.687	48.310	234.282	50.05	1.16	0.32
2	7.515	48.205	207.652	49.95	1.15	0.36
Total:		**96.515**	**441.934**	**100.00**		



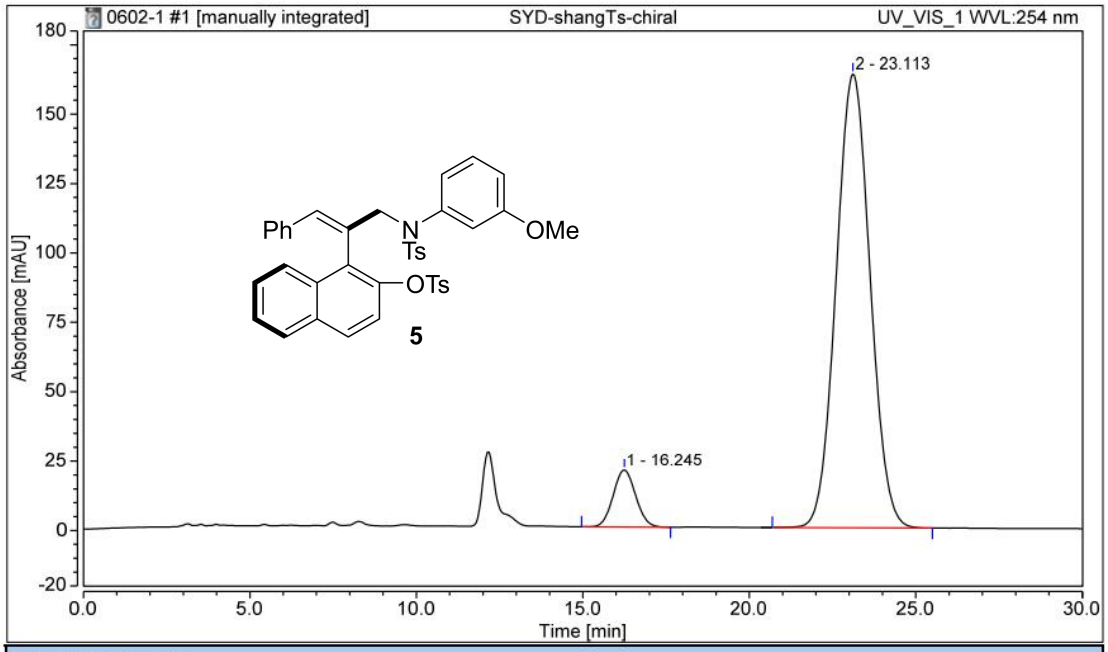
Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	6.640	38.744	251.015	50.04	1.14	0.24
2	7.462	38.676	220.418	49.96	1.13	0.28
Total:		**77.421**	**471.433**	**100.00**		



Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	16.072	187.041	232.720	50.38	1.03	1.28
2	22.860	184.230	154.646	49.62	1.04	1.89
Total:		**371.271**	**387.366**	**100.00**		



Integration Results

No.	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)	Peak Width min
1	16.245	16.070	20.534	7.67	1.05	1.24
2	23.113	193.376	163.570	92.33	1.05	1.88
Total:		**209.446**	**184.103**	**100.00**		