

Enantioselective synthesis of tricyclic oxoquinolines via NHC-catalyzed Michael-aldol-lactamization-dehydration cascade

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1. General Information

Unless otherwise specified, all reactions were carried out under an atmosphere of nitrogen in oven-dried reaction vessels with Teflon screw caps. 25 °C corresponds to the room temperature of the lab when the experiments were performed. Dry toluene was purchased from commercial sources and was freshly purified by distillation over Na-benzophenone and was transferred under argon. Dry benzene was purchased from commercial sources and used as such without further purification. The α,β -unsaturated aldehydes **2a**, **2b**, **2h**, **2s** were purchased from commercial sources and were either distilled (*liquids*) or washed with NaHCO₃ (*solids*), prior to use. All other α,β -unsaturated aldehydes (**2c-2r**) were synthesized by following the literature procedure.¹ The triazolium salt **4** was synthesized following the literature procedure.² The bisquinone oxidant **8** was synthesized following literature procedure.³ DMAP was purchased from commercial sources and was used as such without further purification. LiCl was purchased from Spectrochem and was dried by heating at 300 °C for 3 h before use.

Analytical thin layer chromatography was performed on TLC Silica gel 60 F254. Visualization was accomplished with short wave UV light or KMnO₄ staining solution followed by heating. Flash chromatography was performed on neutral alumina by standard techniques eluting with pet. ether-EtOAc solvent system.

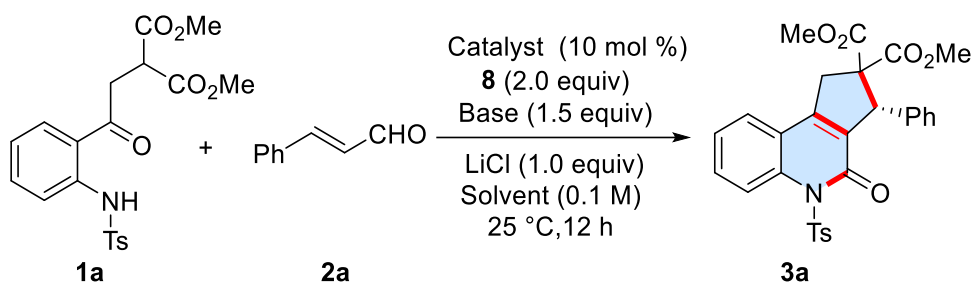
All compounds were fully characterized. ¹H and ¹³C NMR spectra were recorded on Bruker AV 400 and Bruker Ultrashield spectrometer in CDCl₃ as solvent. Chemical shifts (δ) are given in ppm. The residual solvent signals were used as references and the chemical shifts converted to the TMS scale (CDCl₃: δ H = 7.26 ppm, δ C = 77.16 ppm). Infrared (FT-IR) spectra were recorded on a Bruker Alfa FT-IR, ν -max in cm⁻¹. HRMS (ESI) data were recorded on a Waters Xevo G2-XS Q-TOF instrument. Optical rotations were measured on JASCO P-2000 polarimeter at 25 °C using 50 mm cell of 1.0 mL capacity. HPLC analysis was performed on Agilent Technologies 1260 Infinity with Variable Wavelength Detector.

¹ (a) A. A. Wubea, A. Hufner, C. Thomaschitz, M. Blunder, M. Kollroser, R. Bauer and F. Bucar, *Bioorg. Med. Chem.*, 2011, **19**, 567; (b) S. K. Gadakh, R. S. Reddy and A. Sudalai, *Tetrahedron: Asymmetry*, 2012, **23**, 898; (c) A. Orita, G. Uehara, K. Miwa and J. Otera, *Chem. Commun.*, 2006, 4729.

² J. R. Struble and J. W. Bode, *Org. Synth.*, 2010, **87**, 362.

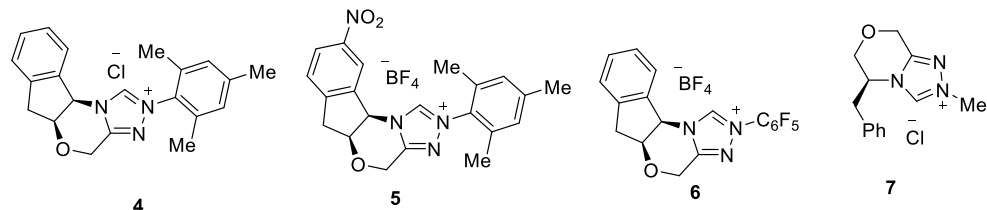
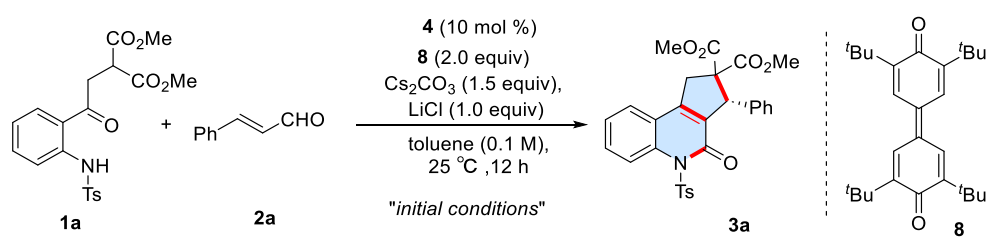
³ M. S. Kharasch and B. S. Joshi, *J. Org. Chem.*, 1957, **22**, 1439.

2. General Procedure for the Optimization of Reaction Conditions



To an oven dried Schlenk tube equipped with a magnetic stir bar, LiCl (4.2 mg, 0.1 mmol) was taken from glove box then the triazolium salt (0.01 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (0.1 mmol) and bisquinone oxidant **8** (0.2 mmol) were added to it. To this mixture, solvent (1.0 mL) was added under nitrogen atmosphere and kept at 25 °C. Then cinnamaldehyde **2a** (0.2 mmol) followed by base (0.15 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. After 12 h of stirring, the reaction is quenched, and the reaction mixture is diluted with CH₂Cl₂ (2.0 mL) and filtered through a short pad of neutral alumina and eluted with EtOAc (10 mL). The solvent was evaporated to obtain the crude product, which was analysed using ¹H NMR using CH₂Br₂ (7.0 μL, 0.1 mmol) as the internal standard. The enantiomeric ratio (er) was determined by HPLC analysis on a chiral stationary phase.

Optimization Studies

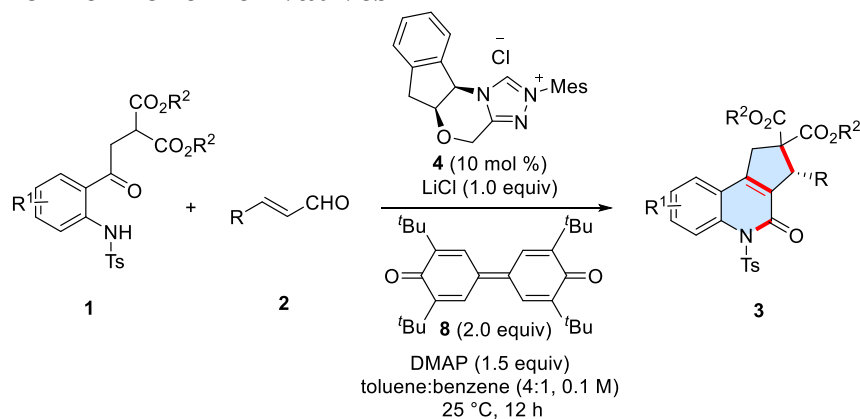


entry	variation of the standard condition ^a	yield (%) ^b	er
1	None	23	95:5
2	5 instead of 4	22	93:7
3	6 instead of 4	<5	-
4	7 instead of 4	19	22:78
5	K ₂ CO ₃ instead of Cs ₂ CO ₃	8	95:5

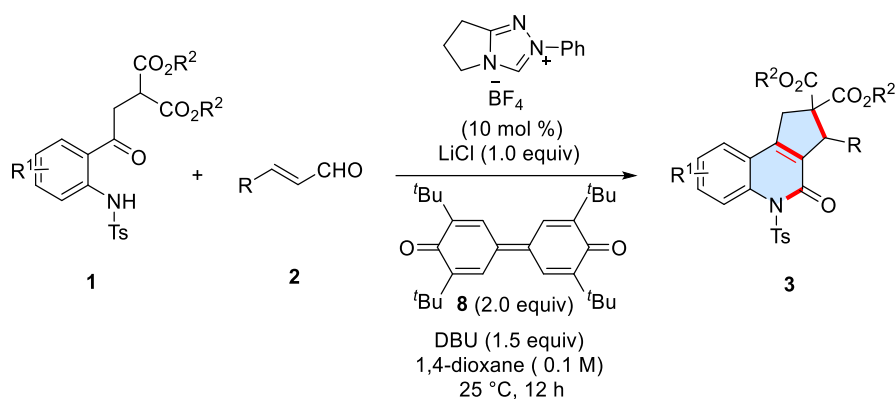
6	Na ₂ CO ₃ instead of K ₂ CO ₃	<5	-
7	KO ^t Bu instead of Cs ₂ CO ₃	17	99:1
8	DBU instead of Cs ₂ CO ₃	37	85:15
9	DMAP instead of Cs ₂ CO ₃	42	99:1
10	DABCO instead of Cs ₂ CO ₃	35	>99:1
11	DIPEA instead of Cs ₂ CO ₃	11	99:1
12	Et ₃ N instead of Cs ₂ CO ₃	18	>99:1
13 ^c	PhCF ₃ instead of toluene	40	97:3
14 ^c	THF instead of toluene	39	93:7
15 ^c	DCM instead of toluene	33	95:5
16 ^c	PhCl instead of toluene	49	95:5
17 ^c	<i>tert</i> -BuPh instead of toluene	37	98.5:1.5
18 ^c	DMSO instead of toluene	47	92:8
19 ^c	1,4-Dioxane instead of toluene	34	98:2
20 ^c	CHCl ₃ instead of toluene	19	98:2
21 ^c	DME instead of toluene	34	94:6
22 ^c	DMF instead of toluene	27	94:6
23 ^c	DMA instead of toluene	15	91:9
24 ^c	DCE instead of toluene	35	87:13
25 ^c	<i>o</i> -xylene instead of toluene	31	99:1
26 ^c	Mesitylene instead of toluene	32	99:1
27 ^c	PhCN instead of toluene	33	82:18
28 ^c	PhNO ₂ instead of toluene	45	72:28
29 ^c	<i>toluene:benzene (4:1) instead of toluene</i>	67(65)	>99:1
30 ^c	<i>toluene:benzene (9:1) instead of toluene</i>	48	>99:1
31 ^{c,d}	LiOAc instead of LiCl	35	99:1
32 ^{c,d}	Thiourea instead of LiCl	<5	-
33 ^{c,d}	Sc(OTf) ₃ (20 mol %) instead of LiCl	<5	-
34 ^{c,d}	15 mol % 4 is used	59	99:1
35 ^{c,d}	20 mol % 4 is used	60	99:1
36 ^{c,d}	3.0 equiv 2a used	61	99:1
37 ^{c,d}	Reaction stirred for 36 h	41	99:1

^a Standard conditions: **1a** (0.1 mmol), **2a** (0.2 mmol), **4** (10 mol %), **8** (2.0 equiv), Cs₂CO₃ (1.5 equiv), LiCl (1.0 equiv), toluene (0.1 M), 25 °C, 12 h, ^bThe yields were determined by ¹H-NMR analysis of crude reaction mixture using dibromomethane as the internal standard. ^c DMAP is used as a base. ^d toluene:benzene is used as a solvent.

3. General Procedure for the Enantioselective Synthesis of Cyclopentane-fused Quinoline-2-one Derivatives



To an oven dried Schlenk tube equipped with a magnetic stir bar, LiCl (8.5 mg, 0.2 mmol) was taken from glove box then the triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl malonate derivatives **1** (0.2 mmol) and bisquinone oxidant **8** (0.4 mmol) were added to it. To this mixture, of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added under nitrogen atmosphere and kept at 25 °C. Then cinnamaldehyde **2** (0.4 mmol) followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. After the reaction is complete (monitored by TLC), the solvent was evaporated, and the crude residue was purified by flash column chromatography on neutral alumina to afford the corresponding cyclopentane-fused quinoline-2-one derivative. All the racemic compounds were synthesized using *N*-phenyl triazolium-derived carbene (10 mol %) following the below Scheme.



Procedure for the 1 mmol scale experiment

To an oven dried Schlenk tube equipped with a magnetic stir bar, LiCl (42.4 mg, 1.0 mmol) was taken from glove box then the triazolium salt **4** (36.8 mg, 0.1 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (419.5 mg, 1.0 mmol) and bisquinone oxidant **8** (0.2 mmol) were added to it. To this mixture, solvent toluene:benzene (4:1 ratio, 10.0 mL) was added under nitrogen atmosphere and kept at 25 °C. Then cinnamaldehyde **2a** (0.25 mL, 2.0 mmol) and followed by DMAP (183.2 mg, 1.5 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. After the reaction is complete (monitored by TLC), the solvent was evaporated, and the crude residue was purified by flash column chromatography on neutral alumina to afford the dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3a** as a white solid (340.2 mg, 64% yield).

4. X-ray Data of **3v**

Single crystals of **3v** (recrystallized from 1:4 *n*-hexane / CDCl₃ at 25 °C) was mounted and the diffraction data was collected at 120 K on a Bruker Smart APEX-II Ultra CCD diffractometer using SMART/SAINT software. Intensity data were collected using graphite monochromatized Mo-K α radiation (71.073 pm). The single crystal was affixed to a Hampton Research cryoloop using Paratone-N oil. Data collection and reduction was performed using Bruker APEX2 and Bruker SAINT, respectively. The structure was solved by direct methods using the SHELX-97 and refined by full-matrix leastsquares on F². Empirical absorption corrections were applied with SADABS. All non-hydrogen atoms were refined anisotropically and hydrogen atoms were included in geometric positions. Structure was drawn using Olex-2 and Mercury-3. CCDC 2323442 (**3v**) contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from Data Centre via www.ccdc.cam.ac.uk/data_request/cif. The crystallographic refinement parameters are given below:

Crystal data and structure refinement for **3v**.

CCDC	CCDC 2323442
Identification code	3v
Empirical formula	C ₄₁ H ₃₃ NO ₇ S
Formula weight	683.74
Temperature/K	120(2)
Crystal system	monoclinic
Space group	P2 ₁
<i>a</i> /Å	9.1592(3)
<i>b</i> /Å	17.6405(5)
<i>c</i> /Å	10.2784(3)
α /°	90
β /°	94.1920(10)
γ /°	90
Volume/Å ³	1656.27(9)
<i>Z</i>	2
ρ_{calc} /cm ³	1.371
μ /mm ⁻¹	0.154
F(000)	716.0
Crystal size/mm ³	0.30 × 0.27 × 0.25
Radiation	MoK α (λ = 0.71073)
2 Θ range for data collection/°	3.974 to 50.28
Index ranges	-10 ≤ <i>h</i> ≤ 10, -21 ≤ <i>k</i> ≤ 21, -12 ≤ <i>l</i> ≤ 12
Reflections collected	48772

Independent reflections	5903 [$R_{\text{int}}= 0.0703$, $R_{\text{sigma}}= 0.0439$]
Data/restraints/parameters	5903/1/452
Goodness-of-fit on F^2	1.042
Final R indexes [$I \geq 2\sigma(I)$]	$R_1= 0.0354$, $wR_2= 0.0741$
Final R indexes [all data]	$R_1= 0.0501$, $wR_2= 0.0803$
Largest diff. peak/hole / $e \text{ \AA}^{-3}$	0.17/-0.28
Flack parameter	-0.07(4)

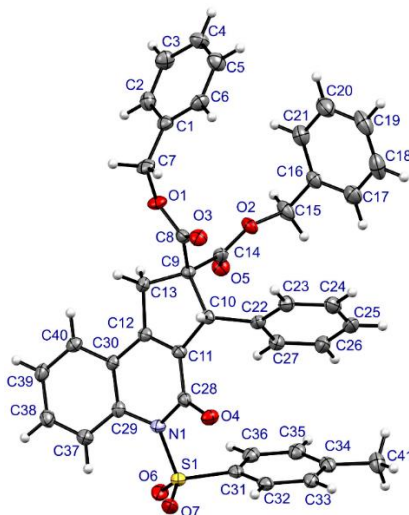


Fig. S1: ORTEP Diagram of **3v** (thermal ellipsoids at 50% probability)

5. Linear Effect Study⁴

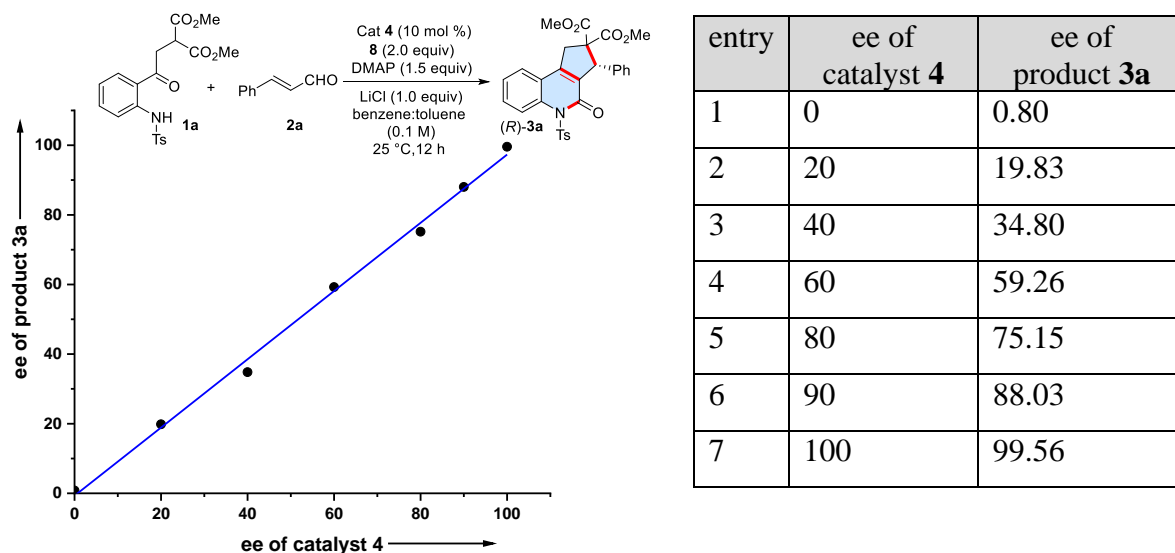


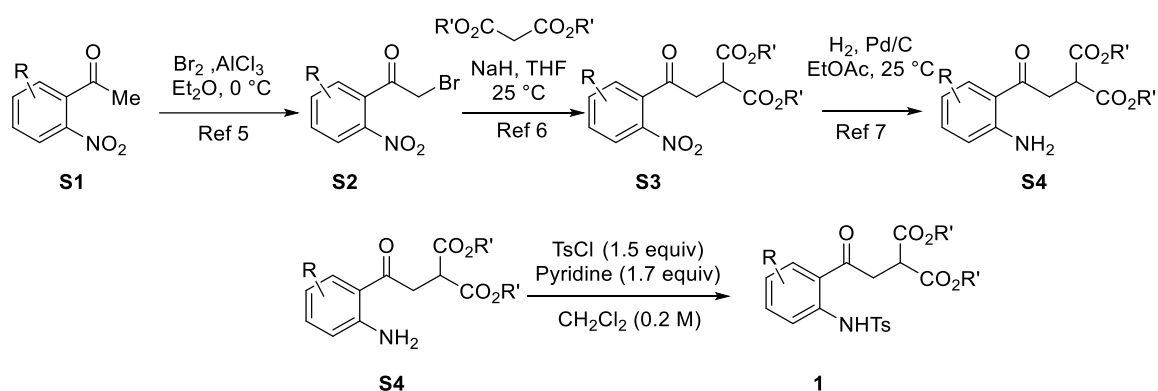
Fig. S2: Linear effects with respect to the product ee and the catalyst ee values

⁴ (a) D. Guillaneux, S. H. Zhao, O. Samuel, D. Rainford and H. B. Kagan, *J. Am. Chem. Soc.*, 1994, **116**, 9430; (b) T. Satyanarayana, S. Abraham and H. B. Kagan, *Angew. Chem., Int. Ed.*, 2009, **48**, 456.

To gain insight into the role of the NHC catalyst in stereo-determining step of the cascade process, we conducted the reaction of **1a** and **2a** using varying enantiomeric excess (ee) values of the triazolium salt **4**. The alteration in the ee values of product **3a** with the change in ee values of catalyst **4** showed a linear correlation (Fig. S2) The identification of the linear effect suggests that a single catalyst is involved in stereo-determining transition state of this reaction. Obviously, the NHC is involved in the formation of α,β -unsaturated acylazoliums under oxidative conditions and that is the key intermediate for this reaction.

6. Synthesis and Characterization of 2'-Aminomalonate Derivatives

General Procedure for the Synthesis of 2'-Aminomalonate Derivatives **1**



2-Bromo-1-(2-nitrophenyl)ethan-1-one derivative (**S2**) was prepared following the modified literature procedure by reaction of 1-(2-nitrophenyl)ethan-1-one derivatives (**S1**) with Br_2 and catalytic amount of AlCl_3 in diethyl ether as a solvent.⁵ Then dialkyl 2-(2-(2-nitrophenyl)-2-oxoethyl)malonate derivative (**S3**) was prepared following the literature procedure using malonate derivatives and NaH in THF medium.⁶ After that, reduction of $-\text{NO}_2$ was done using H_2 , Pd/C to get dialkyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate derivatives (**S4**).⁷ The dialkyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (1.00 equiv) was dissolved in CH_2Cl_2 and mixed with tosyl chloride (1.5 equiv) and pyridine (1.7 equiv) at $0\text{ }^\circ\text{C}$ and then stirred at $25\text{ }^\circ\text{C}$ for 12 h before quenched with H_2O . The resulting mixture was extracted with CH_2Cl_2 . The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography to afford the corresponding 2'-aminomalonate derivatives **1**.

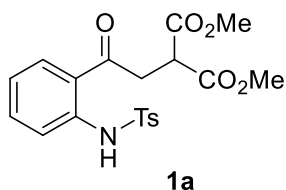
⁵ W. Wierenga, A. W. Harrison, B. R. Evans and C. G. Chidester, *J. Org. Chem.*, 1984, **49**, 438.

⁶ V. Sriramurthy and O. Kwon, *Org. Lett.*, 2010, **12**, 1084.

⁷ G. Kang, M. Yamagami, S. Vellalath and D. Romo, *Angew. Chem. Int. Ed.*, 2018, **57**, 6527.

Dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate Dimethyl

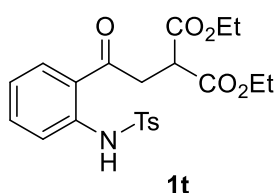
(1a)



Following the general procedure, dimethyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (1.6 g, 6.0 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (1.7 g, 9.0 mmol) and pyridine (10 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding dimethyl 2-(2-(2-((4-methylphenyl) sulfonamido)phenyl)-2-oxoethyl)malonate **1a** as an orange solid (1.9 g, 76% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.25; **¹H NMR (400 MHz, CDCl₃)** δ 11.15 (s, 1H), 7.85 (d, *J* = 7.9 Hz, 1H), 7.70-7.64 (m, 3H), 7.45 (t, *J* = 7.7 Hz, 1H), 7.22 (d, *J* = 7.9 Hz, 2H), 7.06 (t, *J* = 7.6 Hz, 1H), 3.97 (d, *J* = 6.8 Hz, 1H), 3.79 (s, 6H), 3.58 (d, *J* = 7.0 Hz, 2H), 2.36 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 200.3, 169.3, 144.1, 140.2, 136.4, 135.4, 131.1, 129.8, 127.4, 122.8, 121.5, 119.2, 53.1, 46.7, 38.9, 21.6. **HRMS (ESI)** *m/z*: [M+H]⁺ Calcd for C₂₀H₂₂NO₇S: 420.1111; Found: 420.1128. **FTIR (cm⁻¹)** 3030, 2955, 1733, 1651, 1494, 1155, 1089, 911, 752.

Diethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1t)

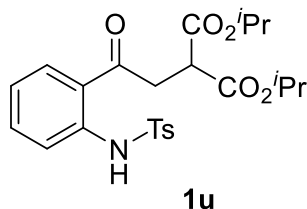


Following the general procedure, diethyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (1.3 g, 5.0 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (1.4 g, 7.5 mmol) and pyridine (8.3 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding diethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1t** as a white solid (1.2 g, 55% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.28; **¹H NMR (400 MHz, CDCl₃)** δ 11.17 (s, 1H), 7.85 (d, *J* = 8.1 Hz, 1H), 7.69-7.64 (m, 3H), 7.44 (t, *J* = 7.9 Hz, 1H), 7.21 (d, *J* = 7.9 Hz, 2H), 7.05 (t, *J* = 7.6 Hz, 1H), 4.29-4.18 (m, 4H), 3.93 (t, *J* = 7.0 Hz, 1H), 3.55 (d, *J* = 7.1 Hz, 2H), 2.34 (s, 3H), 1.29 (t, *J* = 7.1 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 200.5, 168.8, 144.1, 140.1, 136.4, 135.3, 131.1, 129.8, 127.3, 122.8, 121.5, 119.2, 62.0, 47.1, 38.8, 21.6, 14.1. **HRMS (ESI)** *m/z*: [M+H]⁺

Calcd for C₂₂H₂₆NO₇S: 448.1424; Found: 448.1439. **FTIR** (cm⁻¹) 3167, 2983, 1728, 1651, 1602, 1494, 1156, 914, 752.

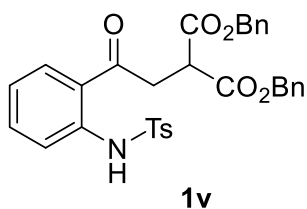
Diisopropyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (**1u**)



Following the general procedure, diisopropyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (0.61 g, 1.9 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (0.5 g, 2.85 mmol) and pyridine (3.1 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding diisopropyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1u** as a white solid (0.16 g, 18% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.2; **¹H NMR** (400 MHz, CDCl₃) δ 11.19 (s, 1H), 7.87 (d, *J* = 9.1 Hz, 1H), 7.70-7.65 (m, 3H), 7.45 (t, *J* = 8.3 Hz, 1H), 7.22 (d, *J* = 4.7 Hz, 2H), 7.06 (t, *J* = 7.6 Hz, 1H), 5.1-5.04 (m, 2H), 3.88 (t, *J* = 6.8 Hz, 1H), 3.53 (d, *J* = 6.9 Hz, 2H), 2.36 (s, 3H), 1.31-1.25 (m, 12H). **¹³C NMR** (101 MHz, CDCl₃) δ 200.6, 168.5, 144.1, 140.2, 136.5, 135.3, 131.1, 129.8, 127.4, 122.8, 121.7, 119.3, 69.6, 47.5, 38.7, 21.8, 21.69, 21.66. **HRMS (ESI)** m/z: [M+H]⁺ Calcd for C₂₄H₃₀NO₇S: 476.1737; Found: 476.1727. **FTIR** (cm⁻¹) 3029, 2982, 2933, 1723, 1681, 1451, 1261, 1169, 748.

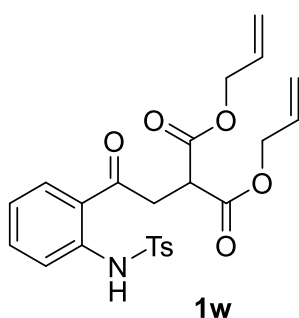
Dibenzyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (**1v**)



Following the general procedure, dibenzyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (1.2 g, 2.8 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (0.8 g, 4.2 mmol) and pyridine (4.6 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding dibenzyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1v** as a pale yellow solid (0.3 g, 30% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.25; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 11.22 (s, 1H), 7.83 (d, J = 7.94 Hz, 1H), 7.71-7.68 (m, 3H), 7.45 (t, J = 7.8 Hz, 1H), 7.35 – 7.29 (m, 10H), 7.21 (d, J = 8.3 Hz, 2H), 7.05 (t, J = 7.6 Hz, 1H), 5.22 (s, 4H), 4.11 (t, J = 7.06 Hz, 1H), 3.62 (d, J = 7.1 Hz, 2H), 2.34 (s, 3H). $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 200.3, 168.5, 144.0, 140.1, 136.3, 135.3, 135.1, 131.1, 129.7, 128.6, 128.5, 128.2, 127.3, 122.7, 121.3, 119.1, 67.6, 47.0, 38.7, 21.5. **HRMS (ESI)** m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{32}\text{H}_{30}\text{NO}_7\text{S}$: 572.1737; Found: 572.1762. **FTIR** (cm^{-1}) 3032, 2925, 1731, 1650, 1494, 1334, 1156, 910, 748.

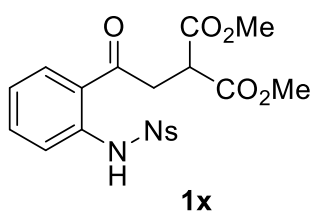
Diallyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1w)



Following the general procedure, diallyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (0.57 g, 1.8 mmol) was dissolved in CH_2Cl_2 (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (0.5 g, 2.7 mmol) and pyridine (3 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H_2O . The resulting mixture was extracted with CH_2Cl_2 . The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding diallyl 2-(2-(2-((4-methylphenyl) sulfonamido)phenyl)-2-oxoethyl)malonate **1w** as a white solid (0.45 g, 53 % yield).

R_f (Pet. ether /EtOAc = 70/30): 0.25; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 11.15 (s, 1H), 7.85 (d, J = 8.0 Hz, 1H), 7.70-7.65 (m, 3H), 7.45 (t, J = 7.9 Hz, 1H), 7.22 (d, J = 8.0 Hz, 2H), 7.06 (t, J = 7.6 Hz, 1H), 5.97-5.87 (m, 2H), 5.39-5.26 (m, 4H), 4.69 (d, J = 5.5 Hz, 4H), 4.03 (t, J = 7.0 Hz, 1H), 3.59 (d, J = 7.0 Hz, 2H), 2.35 (s, 3H). $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 200.3, 168.4, 144.1, 140.2, 136.4, 135.4, 131.4, 131.1, 129.8, 127.4, 122.8, 121.5, 119.3, 119.0, 66.6, 47.0, 38.8, 21.6. **HRMS (ESI)** m/z : $[\text{M}+\text{Na}]^+$ Calcd for $\text{C}_{24}\text{H}_{25}\text{NO}_2\text{SNa}$: 494.1244; Found: 494.1245. **FTIR** (cm^{-1}) 3130, 2949, 1732, 1650, 1494, 1210, 1156, 914, 757.

Dimethyl 2-(2-(2-((4-nitrophenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1x)

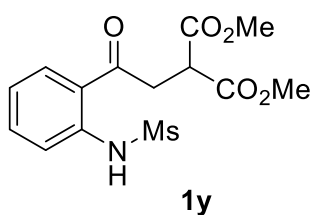


Following the general procedure, dimethyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (0.4 g, 1.5 mmol) was dissolved in CH_2Cl_2 (0.25 M) under nitrogen atmosphere and mixed with nosyl chloride (0.5 g, 2.25 mmol) and pyridine (0.2 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H_2O . The resulting mixture was extracted

with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding Dimethyl 2-(2-(2-((4-nitrophenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1x** as a white solid (0.2 g, 29% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.28; **¹H NMR (400 MHz, CDCl₃)** δ 11.30 (s, 1H), 8.28 (d, *J* = 8.4 Hz, 2H), 7.97 (d, *J* = 8.4 Hz, 2H), 7.90 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.16 (t, *J* = 7.6 Hz, 1H), 3.98 (t, *J* = 6.9 Hz, 1H), 3.80 (s, 6H), 3.59 (d, *J* = 7.0 Hz, 2H). **¹³C NMR (100 MHz, CDCl₃)** δ 200.8, 169.1, 150.4, 145.0, 139.2, 135.7, 131.4, 128.6, 124.6, 124.0, 122.1, 119.8, 53.2, 46.6, 38.9. **HRMS (ESI)** *m/z*: [M+Na]⁺ Calcd for C₁₉H₁₈N₂O₈SNa: 473.0625; Found: 473.0628. **FTIR (cm⁻¹)** 3109, 2956, 2365, 1735, 1578, 1349, 1164, 1090, 759.

Dimethyl 2-(2-(2-(methylsulfonamido)phenyl)-2-oxoethyl)malonate (**1y**)



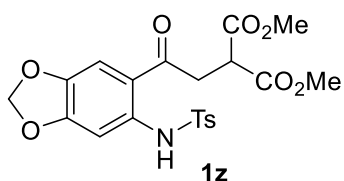
Following the general procedure, dimethyl 2-(2-(2-aminophenyl)-2-oxoethyl)malonate (0.19 g, 0.72 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with mesyl chloride (0.17 g, 1.1 mmol) and pyridine (1.2 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted

with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding dimethyl 2-(2-(2-(methylsulfonamido)phenyl)-2-oxoethyl)malonate **1y** as an orange solid (0.2 g, 81% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.2; **¹H NMR (400 MHz, CDCl₃)** δ 10.97 (s, 1H), 7.99 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 1H), 7.18 (t, *J* = 7.6 Hz, 1H), 4.03 (t, *J* = 7.1 Hz, 1H), 3.80 (s, 6H), 3.70 (d, *J* = 7.1 Hz, 2H), 3.05 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 200.4, 169.2, 140.5, 135.8, 131.5, 122.8, 121.1, 118.3, 53.1, 46.7, 40.2, 38.9. **HRMS (ESI)** *m/z*: [M+H]⁺ Calcd for C₁₄H₁₈NO₇S: 344.0798; Found: 344.0803. **FTIR (cm⁻¹)** 3127, 3021, 2929, 1729, 1649, 1494, 1331, 1148, 910.

Dimethyl 2-(2-(6-((4-methylphenyl)sulfonamido)benzo[*d*][1,3]dioxol-5-yl)-2-oxoethyl)malonate (**1z**)

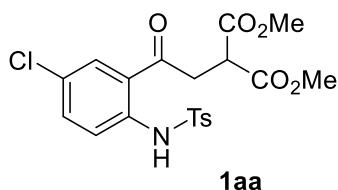
Following the general procedure, dimethyl 2-(2-(6-aminobenzo[*d*][1,3]dioxol-5-yl)-2-oxoethyl)malonate (0.7 g, 2.3 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen



atmosphere and mixed with tosyl chloride (0.66 g, 3.5 mmol) and pyridine (3.9 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-60/40) to afford the corresponding Dimethyl 2-(2-(6-(1,3-dioxol-5-yl)-2-oxoethyl)malonate)benzo[d][1,3]dioxol-5-yl)-2-oxoethylmalonate **1z** as a white solid (1.1 g, 99% yield).

R_f(Pet. ether /EtOAc = 70/30): 0.18; **¹H NMR (400 MHz, CDCl₃)** δ 11.48 (s, 1H), 7.63 (d, *J* = 8.2 Hz, 2H), 7.23-7.17 (m, 4H), 5.98 (s, 2H), 3.93 (t, *J* = 7.3 Hz, 1H), 3.77 (s, 6H), 3.42 (d, *J* = 7.3 Hz, 2H), 2.35 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 198.1, 169.3, 153.3, 144.1, 143.4, 138.3, 136.2, 129.8, 127.3, 115.2, 108.8, 102.5, 100.6, 53.1, 46.7, 38.8, 21.6. **HRMS (ESI) m/z:** [M+H]⁺ Calcd for C₂₁H₂₂NO₉S: 464.1010; Found: 464.1026. **FTIR (cm⁻¹)** 3026, 2955, 1733, 1643, 1607, 1487, 1432, 1348, 1151, 1034, 900, 751.

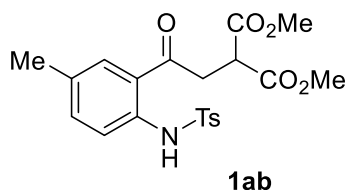
Dimethyl 2-(2-(5-chloro-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (**1aa**)



Following the general procedure, dimethyl 2-(2-(2-amino-5-chlorophenyl)-2-oxoethyl)malonate (787 mg, 2.6 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (0.74 g, 3.9 mmol) and pyridine (4.3 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding dimethyl 2-(2-(5-chloro-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1aa** as a white solid (0.76 g, 64 % yield).

R_f(Pet. ether /EtOAc = 70/30): 0.28; **¹H NMR (400 MHz, CDCl₃)** δ 10.96 (s, 1H), 7.80 (d, *J* = 2.2 Hz, 1H), 7.66 (t, *J* = 8.6 Hz, 3H), 7.43-7.40 (m, 1H), 7.24 (d, *J* = 8.2 Hz, 2H), 3.96 (t, *J* = 7.0 Hz, 1H), 3.80 (s, 6H), 3.53 (d, *J* = 7.0 Hz, 2H), 2.37 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 199.5, 169.0, 144.4, 138.7, 136.1, 135.2, 130.6, 129.9, 128.2, 127.3, 122.6, 120.9, 53.2, 46.6, 38.9, 21.7. **HRMS (ESI) m/z:** [M+H]⁺ Calcd for C₂₀H₂₁ClNO₇S: 454.0722; Found: 454.0736. **FTIR (cm⁻¹)** 3120, 2954, 1733, 1656, 1485, 1335, 1159, 1089, 910.

Dimethyl 2-(2-(5-methyl-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1ab)

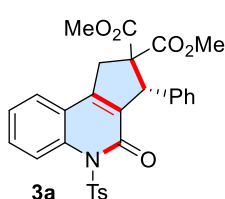


Following the general procedure, dimethyl 2-(2-(2-amino-5-methylphenyl)-2-oxoethyl)malonate (0.63 mg, 2.3 mmol) was dissolved in CH₂Cl₂ (0.25 M) under nitrogen atmosphere and mixed with tosyl chloride (0.67 g, 3.5 mmol) and pyridine (3.8 mL) at 0 °C and then stirred at 25 °C for 12 h before quenched with H₂O. The resulting mixture was extracted with CH₂Cl₂. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by flash column chromatography (Pet. Ether/EtOAc-80/20) to afford the corresponding dimethyl 2-(2-(5-methyl-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1ab** as a white solid (0.67 g, 67 % yield).

R_f (Pet. ether /EtOAc = 70/30): 0.21; ¹H NMR (400 MHz, CDCl₃) δ 10.92 (s, 1H), 7.66 (d, *J* = 7.9 Hz, 2H), 7.62 (s, 1H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.28-7.26 (m, 1H), 7.21 (d, *J* = 8.0 Hz, 2H), 3.95 (t, *J* = 7.0 Hz, 1H), 3.80 (s, 6H), 3.54 (d, *J* = 7.0 Hz, 2H), 2.35 (s, 3H), 2.30 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 200.3, 169.3, 144.0, 137.6, 136.5, 136.2, 132.7, 131.2, 129.8, 127.4, 121.9, 119.8, 53.1, 46.7, 38.9, 21.6, 20.8. HRMS (ESI) *m/z*: [M+Na]⁺ Calcd for C₂₁H₂₃NO₇SNa: 456.1093; Found: 456.1098. FTIR (cm⁻¹) 3169, 2954, 1733, 1651, 1497, 1336, 1158, 916, 670.

7. Synthesis and Characterization of Cyclopentane fused Quinoline-2-one Derivatives

Dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3a)



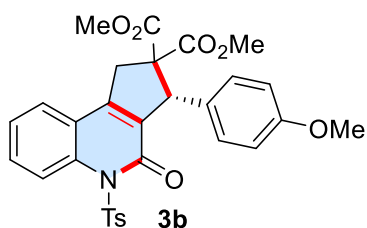
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added under nitrogen atmosphere to it and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μL, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using

neutral alumina to afford dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3a** as a white solid (69.2mg, 65% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.35; er = >99:1, $[\alpha]_D^{22} = -74.41$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 15.7$ min (minor), $t_R = 17.1$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.39 (d, $J = 8.6$ Hz, 1H), 7.86 (d, $J = 8.2$ Hz, 2H), 7.59-7.55 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.20 (d, $J = 8.2$ Hz, 2H), 7.15 – 7.08 (m, 3H), 6.93 – 6.91 (m, 2H), 5.27 (s, 1H), 4.19 (d, $J = 18.4$ Hz, 1H), 3.77 (s, 3H), 3.55 (d, $J = 18.5$ Hz, 1H), 3.16 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.3, 168.9, 158.9, 150.2, 145.1, 138.3, 136.7, 136.5, 132.8, 130.2, 129.4, 128.8, 128.5, 128.2, 127.5, 125.5, 124.8, 120.2, 119.7, 65.0, 55.6, 53.5, 52.5, 39.3, 21.8. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₂₉H₂₆NO₇S: 532.1424; Found: 532.1431. **FTIR (cm⁻¹)** 2954, 2922, 1733, 1682, 1493, 1447, 1166, 1039, 753, 552.

Dimethyl (*R*)-3-(4-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3b**)



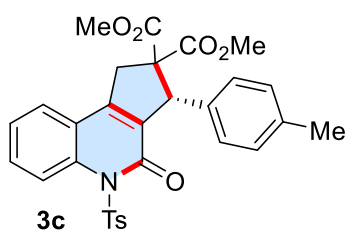
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl) malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(4-methoxyphenyl)acrylaldehyde **2b** (84.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added under nitrogen atmosphere to it and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(4-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3b** as a white solid (56.2 mg, 50% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.34; er = 99:1, $[\alpha]_D^{22} = -35.18$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 18.1$ min (major), $t_R = 32.6$ min (minor).

¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, $J = 8.6$ Hz, 1H), 7.88 (d, $J = 8.3$ Hz, 2H), 7.56 (t, $J = 8.0$ Hz, 2H), 7.37 (t, $J = 7.5$ Hz, 1H), 7.22 (d, $J = 8.2$ Hz, 2H), 6.85 (d, $J = 8.5$ Hz, 2H), 6.65 (d, $J = 8.6$ Hz, 2H), 5.23 (s, 1H), 4.20 – 4.15 (m, 1H), 3.76-3.72 (m, 6H), 3.54 (d, $J = 18.5$ Hz, 1H),

3.22 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 171.4, 168.9, 158.9, 149.9, 145.1, 138.3, 136.5, 132.9, 130.1, 129.5, 129.4, 128.7, 128.6, 125.5, 124.7, 120.1, 119.7, 113.6, 64.8, 55.2, 54.8, 53.5, 52.6, 39.1, 21.7. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{30}\text{H}_{28}\text{NO}_8\text{S}$: 562.1530; Found: 562.1538. FTIR (cm^{-1}) 2956, 2924, 2851, 1732, 1681, 1508, 1252, 1164, 1079, 1030, 752.

Dimethyl (*R*)-4-oxo-3-(*p*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3c)

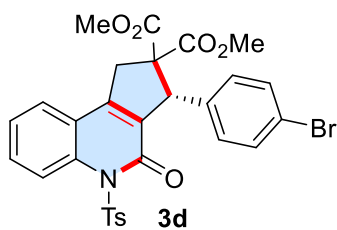


Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added under nitrogen atmosphere to it and kept stirring at 25 °C. Then (*E*)-3-(*p*-tolyl)acrylaldehyde **2c** (58.5 mg, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-4-oxo-3-(*p*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3c** as a white solid (43 mg, 51% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.32; er = >99:1, $[\alpha]_{\text{D}}^{22} = -55.20$ (c 1.0, CHCl_3). HPLC (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_{\text{R}} = 14.8$ min (major), $t_{\text{R}} = 16.5$ min (minor).

^1H NMR (400 MHz, CDCl_3) δ 8.38 (d, $J = 8.6$ Hz, 1H), 7.88 (d, $J = 8.0$ Hz, 2H), 7.59-7.55 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.22 (d, $J = 8.0$ Hz, 2H), 6.92 (d, $J = 7.7$ Hz, 2H), 6.80 (d, $J = 7.6$ Hz, 2H), 5.24 (s, 1H), 4.17 (d, $J = 18.4$ Hz, 1H), 3.76 (s, 3H), 3.54 (d, $J = 18.4$ Hz, 1H), 3.20 (s, 3H), 2.39 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 171.4, 168.9, 158.9, 150.1, 145.1, 138.3, 137.1, 136.5, 133.5, 132.9, 130.1, 129.5, 128.9, 128.8, 128.3, 125.5, 124.8, 120.2, 119.8, 64.9, 55.2, 53.5, 52.5, 39.2, 21.8, 21.2. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{30}\text{H}_{28}\text{NO}_7\text{S}$: 546.1581; Found: 546.1608. FTIR (cm^{-1}) 2954, 2923, 2403, 1733, 1684, 1163, 1083, 755.

Dimethyl (*R*)-3-(4-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3d)

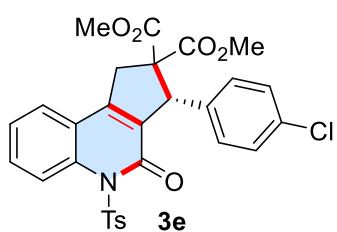


Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(4-bromophenyl)acryl aldehyde **2d** (84.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added under nitrogen atmosphere to it and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(4-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3d** as a yellow solid (58.7 mg, 48% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.37; er = 99:1, $[\alpha]_D^{22} = -59.24$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 16.9$ min (major), $t_R = 29.8$ min (minor).

¹H NMR (400 MHz, CDCl₃) δ 8.40 (d, $J = 8.7$ Hz, 1H), 7.84 (d, $J = 8.4$ Hz, 2H), 7.61 – 7.52 (m, 2H), 7.39 (t, $J = 7.5$ Hz, 1H), 7.22 (d, $J = 8.3$ Hz, 4H), 6.78 (d, $J = 8.3$ Hz, 2H), 5.25 (s, 1H), 4.16 (dd, $J_1 = 18.5$ Hz, $J_2 = 1.7$ Hz, 1H), 3.77 (s, 3H), 3.55 (d, $J = 18.5$ Hz, 1H), 3.20 (s, 3H), 2.42 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.1, 168.8, 158.8, 150.5, 145.4, 138.4, 136.4, 136.0, 132.2, 131.3, 130.4, 130.2, 129.4, 128.9, 125.6, 124.9, 121.5, 120.3, 119.6, 64.7, 55.0, 53.6, 52.7, 39.4, 21.9. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₂₉H₂₅BrNO₇S: 610.0530; Found: 610.0532. **FTIR (cm⁻¹)** 2953, 2924, 2362, 1735, 1681, 1598, 1364, 1324, 1261, 1165, 1119, 931, 756.

Dimethyl (*R*)-3-(4-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3e**)



Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-

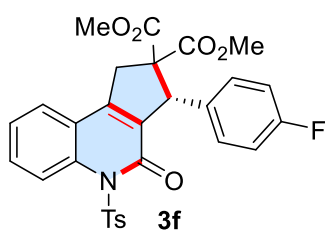
3-(4-chlorophenyl)acryl aldehyde **2a** (84.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added under nitrogen atmosphere to it and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept

for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(4-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3e** as a yellow solid (60.1 mg, 53% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.36; er = 99:1, $[\alpha]_D^{22} = -55.62$ (c 1.0, CHCl₃). **HPLC** (Chiralcel OD-H, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 15.9$ min (minor), $t_R = 20.3$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.40 (d, $J = 8.7$ Hz, 1H), 7.84 (d, $J = 8.3$ Hz, 2H), 7.61 – 7.55 (m, 2H), 7.39 (t, $J = 7.5$ Hz, 1H), 7.21 (d, $J = 8.2$ Hz, 2H), 7.07 (d, $J = 8.4$ Hz, 2H), 6.84 (d, $J = 8.3$ Hz, 2H), 5.26 (s, 1H), 4.17 (dd, $J_1 = 18.5$, $J_2 = 1.5$ Hz, 1H), 3.77 (s, 3H), 3.56 (d, $J = 18.5$ Hz, 1H), 3.21 (s, 3H), 2.41 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.1, 168.8, 158.8, 150.4, 145.4, 138.3, 136.3, 135.4, 133.3, 132.2, 130.4, 129.9, 129.4, 128.9, 128.3, 125.6, 124.9, 120.3, 119.6, 64.7, 54.9, 53.6, 52.7, 39.3, 21.8. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₂₉H₂₅ClNO₇S: 566.1035; Found: 566.1040. **FTIR (cm⁻¹)** 2954, 2925, 1733, 1680, 1598, 1491, 1364, 1261, 1166, 1081, 753.

Dimethyl (*R*)-3-(4-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3f**)



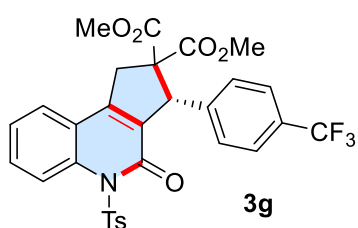
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then

mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then (*E*)-3-(4-fluorophenyl)acrylaldehyde **2f** (60.1 mg, 0.4 mmol) followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(4-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3f** as a yellow solid (73.8 mg, 67% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.33; er = 99:1, $[\alpha]_D^{22} = -72.42$ (c 1.0, CHCl₃). **HPLC** (Chiralcel OD-H, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 15.1$ min (minor), $t_R = 20.1$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.40 (d, *J* = 8.7 Hz, 1H), 7.85 (d, *J* = 8.3 Hz, 2H), 7.61 – 7.55 (m, 2H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 8.2 Hz, 2H), 6.91– 6.77 (m, 4H), 5.27 (s, 1H), 4.17 (dd, *J*₁ = 18.5, *J*₂ = 1.6 Hz, 1H), 3.77 (s, 3H), 3.55 (d, *J* = 18.5 Hz, 1H), 3.21 (s, 3H), 2.40 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.2, 168.9, 162.2 (d, *J* = 245.2 Hz), 158.9, 150.2, 145.3, 138.4, 136.5, 132.7 (d, *J* = 3.0 Hz), 132.6, 130.3, 130.2 (d, *J* = 8.2 Hz), 129.4, 128.9, 125.6, 124.8, 120.3, 119.7, 115.1 (d, *J* = 21.4 Hz), 64.8, 54.9, 53.6, 52.6, 39.3, 21.8. **HRMS (ESI)** *m/z*: [M+H]⁺ Calcd for C₂₉H₂₅FNO₇S: 550.1330; Found: 550.1335. **FTIR (cm⁻¹)** 2955, 2924, 1732, 1680, 1599, 1504, 1363, 4225, 1162, 1079, 805, 752.

Dimethyl (*R*)-4-oxo-5-tosyl-3-(4-(trifluoromethyl)phenyl)-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3g**)**



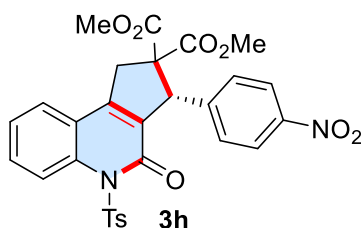
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(4-(trifluoromethyl)phenyl)acryl aldehyde **2g** (80.1 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. After that DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-4-oxo-5-tosyl-3-(4-(trifluoromethyl)phenyl)-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3g** as a white solid (51.2 mg, 43% yield).

R_f(Pet. ether /EtOAc = 70/30): 0.39; er = 98:2, [α]_D²² = -46.98 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 13.1 min (major), t_R = 19.1 min (minor).

¹H NMR (400 MHz, CDCl₃) δ 8.42 (d, *J* = 8.7 Hz, 1H), 7.84 (d, *J* = 8.3 Hz, 2H), 7.62-7.56 (m, 2H), 7.42-7.34 (m, 3H), 7.21 (d, *J* = 8.3 Hz, 2H), 7.03 (d, *J* = 7.9 Hz, 2H), 5.36 (s, 1H), 4.19 (dd, *J*₁ = 18.5 Hz, *J*₂ = 1.3 Hz, 1H), 3.79 (s, 3H), 3.58 (d, *J* = 18.5 Hz, 1H), 3.13 (s, 3H), 2.39 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.0, 168.8, 158.8, 150.9, 145.4, 141.1, 138.4, 136.3, 131.6, 130.5, 129.6 (q, *J* = 31.9 Hz), 129.4, 128.94, 128.91, 125.6, 125.0 (q, *J* = 3.6 Hz), 124.9, 124.1 (q, *J* = 272.9 Hz), 120.3, 119.5, 64.8, 55.2, 53.7, 52.6, 39.5, 21.6. **HRMS (ESI)** *m/z*: [M+H]⁺

Calcd for C₃₀H₂₅F₃NO₇S: 600.1298; Found: 600.1301. **FTIR** (cm⁻¹) 2953, 2923, 2853, 1734, 1681, 1598, 1444, 1232, 1165, 1073, 931, 755.

Dimethyl (*R*)-3-(4-nitrophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3h**)**



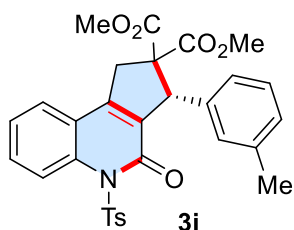
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-(4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(4-nitrophenyl)acrylaldehyde **2h** (70.9 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford Dimethyl (*R*)-3-(4-nitrophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3h** as a yellow solid (40.4 mg, 35% yield).

R_f(Pet. ether /EtOAc = 70/30): 0.28; er = 97:3, [α]_D²² = -23.71 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 21.1 min (major), t_R = 44.9 min (minor).

¹H NMR (400 MHz, CDCl₃) δ 8.43 (d, *J* = 8.7 Hz, 1H), 7.96 (d, *J* = 8.9 Hz, 2H), 7.85 (d, *J* = 8.4 Hz, 2H), 7.64 – 7.56 (m, 2H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.23 (d, *J* = 8.2 Hz, 2H), 7.09 (d, *J* = 8.5 Hz, 2H), 5.41 (s, 1H), 4.19 (dd, *J*₁ = 18.6 Hz, *J*₂ = 1.7 Hz, 1H), 3.81 (s, 3H), 3.60 (d, *J* = 18.6 Hz, 1H), 3.17 (s, 3H), 2.41 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 170.8, 168.7, 158.8, 151.2, 147.2, 145.6, 144.8, 138.5, 136.4, 131.3, 130.7, 129.6, 129.4, 129.0, 125.7, 125.0, 123.3, 120.4, 119.4, 64.7, 55.2, 53.8, 52.7, 39.7, 21.7. **HRMS (ESI)** m/z: [M+H]⁺ Calcd for C₂₉H₂₅N₂O₉S: 577.1275; Found: 577.1284. **FTIR** (cm⁻¹) 2954, 2923, 2855, 1734, 1680, 1568, 1494, 1347, 1164, 1082, 754.

Dimethyl (*R*)-4-oxo-3-(*m*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3i**)**

Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-(4-

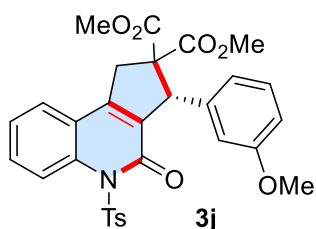


methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(*m*-tolyl)acrylaldehyde **2i** (58.5 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-4-oxo-3-(*m*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3i** as a white solid (62.3 mg, 57% yield).

R_f (Pet. ether/EtOAc = 70/30): 0.36; er = 97:3, $[\alpha]_D^{22} = -76.82$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 12.4$ min (minor), $t_R = 13.9$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.39 (d, $J = 8.5$ Hz, 1H), 7.88 (d, $J = 8.3$ Hz, 2H), 7.60 – 7.55 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.21 (d, $J = 8.2$ Hz, 2H), 7.00-6.93 (m, 2H), 6.80 (s, 1H), 6.65 (d, $J = 7.3$ Hz, 1H), 5.23 (s, 1H), 4.18 (dd, $J_1 = 18.4$ Hz, $J_2 = 1.6$ Hz, 1H), 3.76 (s, 3H), 3.55 (d, $J = 18.4$ Hz, 1H), 3.19 (s, 3H), 2.38 (s, 3H), 2.22 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.4, 168.8, 158.9, 150.1, 145.1, 138.4, 137.6, 136.6, 136.5, 132.9, 130.1, 129.5, 129.4, 128.7, 128.3, 128.1, 125.6, 125.3, 124.7, 120.2, 119.8, 65.0, 55.5, 53.5, 52.4, 39.2, 21.8, 21.4. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₃₀H₂₈NO₇S: 546.1581; Found: 546.1583. **FTIR (cm⁻¹)** 2953, 2922, 1733, 1681, 1599, 1444, 1364, 1256, 1166, 1079, 753.

Dimethyl (*R*)-3-(3-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3j**)



Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(3-methoxyphenyl)acrylaldehyde **2j** (64.9 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified

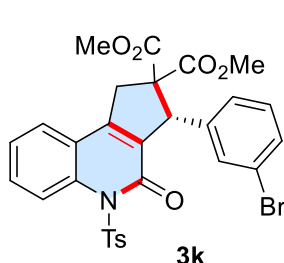
by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl

(*R*)-3-(3-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3j** as a yellow solid (55.1 mg, 49% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.28; er = 99:1, $[\alpha]_D^{22} = -75.86$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 14.8$ min (minor), $t_R = 19.9$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, $J = 8.6$ Hz, 1H), 7.88 (d, $J = 8.3$ Hz, 2H), 7.59 – 7.54 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.21 (d, $J = 8.2$ Hz, 2H), 7.02 (t, $J = 7.9$ Hz, 1H), 6.68 (dd, $J_1 = 8.2$ Hz, $J_2 = 1.7$ Hz, 1H), 6.52 – 6.48 (m, 2H), 5.24 (s, 1H), 4.18 (dd, $J_1 = 18.4$ Hz, $J_2 = 1.3$ Hz, 1H), 3.76 (s, 3H), 3.71 (s, 3H), 3.55 (d, $J = 18.5$ Hz, 1H), 3.23 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.3, 168.8, 159.4, 158.9, 150.2, 145.1, 138.3, 138.2, 136.5, 132.8, 130.2, 129.5, 129.2, 128.7, 125.6, 124.8, 120.8, 120.2, 119.7, 114.5, 113.0, 65.0, 55.5, 55.3, 53.5, 52.6, 39.2, 21.8. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₃₀H₂₈NO₈S: 562.1530; Found: 562.1537. **FTIR (cm⁻¹)** 2954, 2920, 2851, 1732, 1681, 1597, 1455, 1257, 1160, 1081, 755.

Dimethyl (*R*)-3-(3-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3k**)

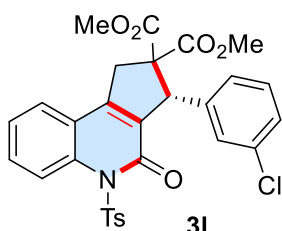


Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(3-bromophenyl)acryl aldehyde **2k** (84.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(3-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3k** as a white solid (67.2 mg, 55% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.38; er = 98:2, $[\alpha]_D^{22} = -42.72$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 13.6$ min (minor), $t_R = 17.0$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.42 (d, *J* = 8.7 Hz, 1H), 7.86 (d, *J* = 8.3 Hz, 2H), 7.62 – 7.55 (m, 2H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.29 – 7.22 (m, 3H), 7.13 (s, 1H), 6.98 (t, *J* = 7.8 Hz, 1H), 6.82 (d, *J* = 7.7 Hz, 1H), 5.23 (s, 1H), 4.18 (dd, *J*₁ = 18.5 Hz, *J*₂ = 1.5 Hz, 1H), 3.77 (s, 3H), 3.56 (d, *J* = 18.5 Hz, 1H), 3.24 (s, 3H), 2.39 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.0, 168.6, 158.8, 150.6, 145.2, 139.3, 138.4, 136.4, 132.0, 131.8, 130.7, 130.5, 129.8, 129.5, 128.8, 127.0, 125.7, 124.9, 122.3, 120.3, 119.6, 64.9, 55.1, 53.7, 52.7, 39.3, 21.8. **HRMS (ESI)** *m/z*: [M+H]⁺ Calcd for C₂₉H₂₅BrNO₇S: 610.0530; Found: 610.0533. **FTIR (cm⁻¹)** 2954, 2922, 2855, 1734, 1682, 1442, 1365, 1261, 1163, 1082, 755.

Dimethyl (*R*)-3-(3-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3l**)**



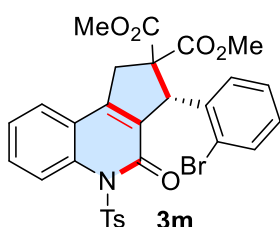
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl) sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(3-chlorophenyl)acrylaldehyde **2l** (66.6 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl dimethyl (*R*)-3-(3-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3l** as a white solid (55.4 mg, 49% yield).

R_f(Pet. ether /EtOAc = 70/30): 0.36; er = 98:2, [α]_D²² = -54.56 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) *t_R* = 12.9 min (minor), *t_R* = 16.4 min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.41 (d, *J* = 8.7 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 2H), 7.61 – 7.55 (m, 2H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.2 Hz, 2H), 7.13 – 7.11 (m, 1H), 7.04 (t, *J* = 7.8 Hz, 1H), 6.94 (s, 1H), 6.80 (d, *J* = 7.6 Hz, 1H), 5.24 (s, 1H), 4.18 (dd, *J*₁ = 18.5 Hz, *J*₂ = 1.6 Hz, 1H), 3.77 (s, 3H), 3.57 (d, *J* = 18.5 Hz, 1H), 3.23 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.0, 168.6, 158.8, 150.6, 145.2, 139.0, 138.4, 136.3, 134.0, 132.0, 130.4, 129.5, 128.7, 127.8, 126.7, 125.6, 124.8, 120.2, 119.5, 64.8, 55.1, 53.6, 52.6, 39.3, 21.8. **HRMS (ESI)**

m/z: [M+H]⁺ Calcd for C₃₀H₂₈NO₇S: 566.1035; Found: 566.1040. **FTIR** (cm⁻¹) 2954, 2923, 2853, 1734, 1681, 1597, 1365, 1263, 1081, 932, 756.

Dimethyl (*R*)-3-(2-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3m**)**



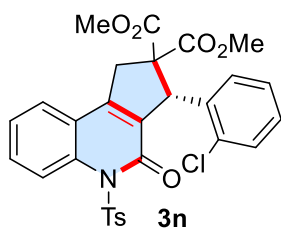
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl) sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(2-bromophenyl)acrylaldehyde **2m** (84.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(2-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3m** as a yellow solid (47.7 mg, 39% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.38; er = 87:13, [α]_D²² = -51.13 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 14.3 min (minor), t_R = 15.4 min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.36 (d, *J* = 8.6 Hz, 1H), 7.88 (d, *J* = 8.3 Hz, 2H), 7.59 – 7.48 (m, 3H), 7.38 (t, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 8.2 Hz, 2H), 7.01 – 6.94 (m, 2H), 6.58-7.54 (m, 1H), 5.95 (d, *J* = 1.7 Hz, 1H), 4.27 (dd, *J*₁ = 18.7 Hz, *J*₂ = 1.9 Hz, 1H), 3.79 (s, 3H), 3.56 (d, *J* = 18.7 Hz, 1H), 3.20 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.0, 168.8, 158.5, 150.2, 145.1, 138.4, 137.0, 136.5, 133.1, 130.3, 129.5, 128.8, 128.7, 128.5, 127.3, 126.2, 125.6, 124.8, 120.3, 119.6, 64.2, 54.2, 53.6, 52.4, 39.9, 21.8. **HRMS (ESI)** m/z: [M+H]⁺ Calcd for C₂₉H₂₅BrNO₇S: 610.0530; Found: 610.0554. **FTIR** (cm⁻¹) 2954, 2924, 2856, 1732, 1652, 1443, 1218, 1160, 1089, 913, 758.

Dimethyl (*R*)-3-(2-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3n**)**

Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-

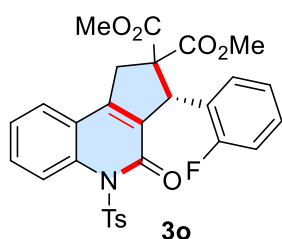


methylphenyl) sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(2-chlorophenyl) acrylaldehyde **2n** (66.6 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(2-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*] quinoline-2,2-dicarboxylate **3n** as a yellow solid (44.5 mg, 41% yield).

R_f (Pet. ether/EtOAc = 70/30): 0.34; er = 95:5, $[\alpha]_D^{22} = -66.28$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 13.4$ min (minor), $t_R = 14.9$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.37 (d, $J = 8.6$ Hz, 1H), 7.88 (d, $J = 8.1$ Hz, 2H), 7.59-7.54 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.32 (d, $J = 8.0$ Hz, 1H), 7.21 (d, $J = 8.1$ Hz, 2H), 7.07 (t, $J = 7.6$ Hz, 1H), 6.92 (t, $J = 7.5$ Hz, 1H), 6.57 (d, $J = 7.7$ Hz, 1H), 5.97 (s, 1H), 4.27 (d, $J = 18.6$ Hz, 1H), 3.78 (s, 3H), 3.57 (d, $J = 18.7$ Hz, 1H), 3.20 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.1, 168.9, 158.6, 150.4, 145.1, 138.4, 136.5, 135.3, 135.2, 132.9, 130.3, 129.8, 129.5, 128.7, 128.6, 128.4, 126.6, 125.6, 124.8, 120.3, 119.6, 64.2, 53.6, 52.5, 51.4, 39.9, 21.8. **HRMS (ESI)** m/z : $[M+Na]^+$ Calcd for C₂₉H₂₄ClNO₇SNa: 588.0854; Found: 588.0862. **FTIR (cm⁻¹)** 2953, 2924, 1734, 1683, 1442, 1365, 1260, 1165, 1083, 755.

Dimethyl (*R*)-3-(2-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3o**)



Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methyl phenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then (*E*)-3-(2-fluorophenyl)acrylaldehyde **2o** (60.1 mg, 0.4 mmol) followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture

was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(2-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3o** as a yellow solid (72.5 mg, 66% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.35; er = 98:2, $[\alpha]_D^{22} = -27.35$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 15.9$ min (minor), $t_R = 17.2$ min (major).

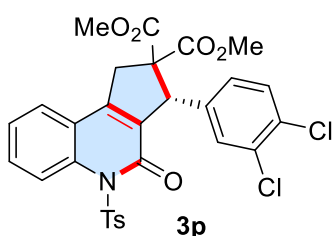
¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, $J = 8.6$ Hz, 1H), 7.86 (d, $J = 8.3$ Hz, 2H), 7.59 – 7.54 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.22 – 7.10 (m, 3H), 6.90 – 6.74 (m, 3H), 5.61 (s, 1H), 4.27 (d, $J = 18.5$ Hz, 1H), 3.77 (s, 3H), 3.56 (d, $J = 18.6$ Hz, 1H), 3.21 (s, 3H), 2.38 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 171.1, 168.9, 160.9 (d, $J = 248.2$ Hz), 158.7, 150.5, 145.1, 138.3, 136.5, 131.8, 130.2, 129.4, 129.2 (d, $J = 8.3$ Hz), 128.7, 125.5, 124.8, 124.4 (d, $J = 13.6$ Hz), 123.9 (d, $J = 3.4$ Hz), 120.2, 119.7, 115.5 (d, $J = 22.5$ Hz), 64.3, 53.6, 52.5, 39.7, 21.8. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₂₉H₂₅FNO₇S: 550.1330; Found: 550.1337. **FTIR (cm⁻¹)** 2954, 2922, 2854, 1734, 1681, 1597, 1464, 1258, 1166, 1080, 930, 752.

Dimethyl (*R*)-3-(3,4-dichlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3p**)

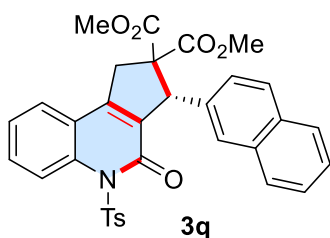
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(3,4-dichlorophenyl)acrylaldehyde **2p** (80.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(3,4-dichlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3p** as a yellow solid (55.3 mg, 46% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.39; er = 97:3, $[\alpha]_D^{22} = -49.17$ (c 1.0, CHCl₃). **HPLC** (Chiralcel-OD-H, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 16.0$ min (minor), $t_R = 20.3$ min (major).



^1H NMR (400 MHz, CDCl_3) δ 8.42 (d, J = 8.7 Hz, 1H), 7.84 (d, J = 8.2 Hz, 2H), 7.62 – 7.55 (m, 2H), 7.40 (t, J = 7.5 Hz, 1H), 7.23 (d, J = 8.1 Hz, 2H), 7.16 (d, J = 8.3 Hz, 1H), 7.07 (s, 1H), 6.72 (d, J = 8.2 Hz, 1H), 5.23 (s, 1H), 4.17 (d, J = 18.6 Hz, 1H), 3.78 (s, 3H), 3.57 (d, J = 18.6 Hz, 1H), 3.27 (s, 3H), 2.40 (s, 3H). **^{13}C NMR (100 MHz, CDCl_3)** δ 170.9, 168.6, 158.7, 150.8, 145.4, 138.4, 137.4, 136.3, 132.2, 131.65, 131.62, 130.6, 130.5, 130.1, 129.4, 128.8, 127.8, 125.7, 124.9, 120.3, 119.4, 64.7, 54.6, 53.7, 52.8, 39.3, 21.8. **HRMS (ESI)** m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{29}\text{H}_{25}\text{BrNO}_7\text{S}$: 600.0645; Found: 600.0666. **FTIR (cm^{-1})** 2953, 2923, 1734, 1679, 1598, 1444, 1364, 1261, 1167, 1081, 931, 754.

Dimethyl (*R*)-3-(naphthalen-2-yl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3q**)**



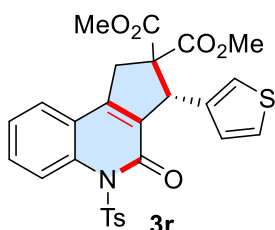
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol), bisquinone oxidant **8** (163.4 mg, 0.4 mmol) and (*E*)-3-(naphthalen-2-yl)acrylaldehyde **2q** (72.9 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then DMAP (36.7 mg, 0.3 mmol) was added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(naphthalen-2-yl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3q** as a yellow solid (82.6 mg, 71% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.35; er = 97:3, $[\alpha]_{\text{D}}^{22} = -62.95$ (c 1.0, CHCl_3). **HPLC** (Chiralcel OD-H, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_{R} = 15.8 min (minor), t_{R} = 20.0 min (major).

^1H NMR (400 MHz, CDCl_3) δ 8.42 (d, J = 8.7 Hz, 1H), 7.79 (d, J = 8.2 Hz, 2H), 7.74-7.65 (m, 2H), 7.60 (t, J = 8.2 Hz, 3H), 7.43-7.40 (m, 4H), 7.04 (t, J = 7.0 Hz, 3H), 5.45 (s, 1H), 4.29 (d, J = 18.5 Hz, 1H), 3.79 (s, 3H), 3.63 (d, J = 18.5 Hz, 1H), 3.04 (s, 3H), 2.17 (s, 3H). **^{13}C NMR (100 MHz, CDCl_3)** δ 171.3, 168.8, 158.8, 150.1, 145.0, 138.3, 136.3, 134.4, 133.2, 132.9, 132.8, 130.2, 129.3, 128.6, 128.1, 127.8, 127.6, 126.6, 126.0, 125.9, 125.6, 124.8, 120.2, 119.7, 65.1, 55.6, 53.6, 52.5, 39.4, 21.6. **HRMS (ESI)** m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{33}\text{H}_{22}\text{NO}_7\text{S}$: 582.1581;

Found: 582.1588. **FTIR** (cm^{-1}) 2954, 2923, 2853, 1732, 1680, 1363, 1258, 1166, 1079, 930, 808, 751.

Dimethyl (*R*)-4-oxo-3-(thiophen-3-yl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3r**)**



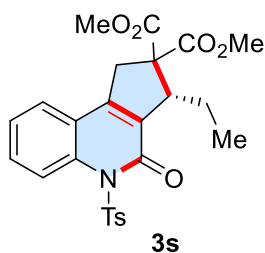
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl) sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then (*E*)-3-(thiophen-3-yl)acrylaldehyde **2r** (55.3 mg, 0.4 mmol) followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-(thiophen-3-yl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3p** as a white solid (61.3 mg, 57% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.33; er = 99:1, $[\alpha]_D^{22} = -51.04$ (c 1.0, CHCl_3). **HPLC** (Chiralpak AD, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 17.4$ min (major), $t_R = 20.0$ min (minor).

^1H NMR (400 MHz, CDCl_3) δ 8.39 (d, $J = 8.7$ Hz, 1H), 7.88 (d, $J = 8.0$ Hz, 2H), 7.59 – 7.53 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.26-7.23 (m, 2H), 7.08–7.06 (m, 1H), 6.73 (s, 1H), 6.66 (d, $J = 4.9$ Hz, 1H), 5.37 (s, 1H), 4.15 (d, $J = 18.4$ Hz, 1H), 3.76 (s, 3H), 3.55 (d, $J = 18.4$ Hz, 1H), 3.31 (s, 3H), 2.41 (s, 3H). **^{13}C NMR (100 MHz, CDCl_3)** δ 171.1, 168.9, 158.9, 149.8, 145.2, 138.3, 137.0, 136.5, 132.7, 130.2, 129.5, 128.9, 127.7, 125.5, 125.1, 124.8, 123.1, 120.3, 119.7, 64.6, 53.5, 52.7, 50.5, 38.9, 21.8. **HRMS (ESI)** m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_7\text{S}_2$: 538.0989; Found: 538.0994. **FTIR** (cm^{-1}) 2953, 2924, 1734, 1682, 1444, 1364, 1259, 1168, 1080, 930, 755.

Dimethyl (*R*)-3-ethyl-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3s**)**

Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven

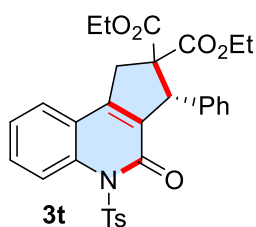


dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-((4-methylphenyl) sulfonamido) phenyl)-2-oxoethyl)malonate **1a** (84.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then (*E*)-pent-2-enal (19.6 mg, 0.4 mmol) followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-3-ethyl-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3s** as a yellow liquid (30 mg, 31% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.33; er = 97:3, $[\alpha]_D^{22} = -85.20$ (c 1.0, CHCl₃). **HPLC** (Chiralpak AD, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 9.9$ min (minor), $t_R = 11.5$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.39 (d, $J = 8.7$ Hz, 1H), 7.98 (d, $J = 8.1$ Hz, 2H), 7.54 (t, $J = 7.9$ Hz, 1H), 7.47 (d, $J = 7.5$ Hz, 1H), 7.33 (t, $J = 8.1$ Hz, 3H), 4.05 (t, $J = 5.8$ Hz, 1H), 3.93 (d, $J = 18.1$ Hz, 1H), 3.78 (s, 3H), 3.68 (s, 3H), 3.52 (d, $J = 18.1$ Hz, 1H), 2.43 (s, 3H), 1.9-1.61 (m, 1H), 1.56-1.48 (m, 1H), 0.65 (t, $J = 7.5$ Hz, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.6, 169.9, 159.7, 149.5, 145.2, 138.1, 136.9, 133.7, 130.0, 129.6, 128.7, 125.4, 124.7, 119.9, 63.6, 53.4, 53.0, 50.1, 39.1, 22.7, 21.8, 11.0. **HRMS (ESI)** m/z : $[M+Na]^+$ Calcd for C₂₅H₂₅NO₇SNa: 506.1244; Found: 506.1249. **FTIR (cm⁻¹)** 2956, 2926, 1729, 1680, 1443, 1257, 1164, 1088, 916, 756.

Diethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3t**)



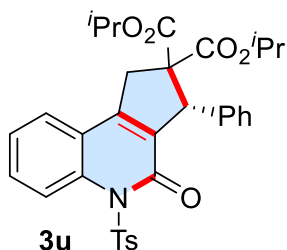
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), diethyl 2-(2-(2-((4-methylphenyl) sulfonamido)phenyl)-2-oxoethyl)malonate **1t** (89.5 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μ L, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet.

Ether/EtOAc- 80/20) using neutral alumina to afford diethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3t** as a white solid (72.8 mg, 65% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.36; er = >99:1, $[\alpha]_D^{22} = -84.18$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 10.9$ min (minor), $t_R = 14.5$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, $J = 8.7$ Hz, 1H), 7.84 (d, $J = 8.4$ Hz, 2H), 7.59 – 7.55 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.19 (d, $J = 8.2$ Hz, 2H), 7.14 – 7.07 (m, 3H), 6.95-6.92 (m, 2H), 5.25 (s, 1H), 4.31 – 4.13 (m, 3H), 3.77-3.69 (m, 1H), 3.56 – 3.43 (m, 2H), 2.38 (s, 3H), 1.25 (t, $J = 7.1$ Hz, 3H), 0.86 (t, $J = 7.1$ Hz, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 170.8, 168.5, 158.9, 150.1, 145.0, 138.3, 137.0, 136.5, 133.1, 130.1, 129.4, 128.8, 128.7, 128.1, 127.4, 125.5, 124.7, 120.2, 119.8, 64.8, 62.3, 61.8, 55.4, 39.4, 21.8, 14.1, 13.6. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₃₁H₃₀NO₇S: 560.1737; Found: 560.1744. **FTIR (cm⁻¹)** 2960, 2927, 1730, 1684, 1598, 1451, 1365, 1256, 1170, 1081, 756.

Diisopropyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3u**)

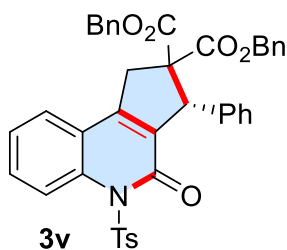


Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), diisopropyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1u** (95.1 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μ L, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford diisopropyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3u** as a white solid (51.7 mg, 44% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.31; er = 97:3, $[\alpha]_D^{22} = -73.72$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 9.1$ min (minor), $t_R = 11.6$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.36 (d, *J* = 9.0 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 2H), 7.56 (t, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.5 Hz, 1H), 7.17 (d, *J* = 8.1 Hz, 2H), 7.10-7.07 (m, 3H), 6.96 (d, *J* = 6.6 Hz, 2H), 5.21 (s, 1H), 5.08-5.02 (m, 1H), 4.49-4.43 (m, 1H), 4.23 (d, *J* = 18.6 Hz, 1H), 3.50 (d, *J* = 18.6 Hz, 1H), 2.37 (s, 3H), 1.25-1.21 (m, 6H), 1.02 (d, *J* = 6.2 Hz, 3H), 0.62 (d, *J* = 6.3 Hz, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 170.4, 168.1, 158.8, 149.7, 145.0, 138.2, 137.2, 136.4, 133.6, 130.0, 129.4, 128.9, 128.8, 128.2, 127.3, 125.5, 124.7, 120.3, 119.9, 69.9, 69.8, 64.6, 55.3, 39.8, 21.8, 21.7, 21.6, 21.5, 20.9. **HRMS (ESI)** *m/z*: [M+H]⁺ Calcd for C₃₃H₃₄NO₇S: 588.2050; Found: 588.2074. **FTIR (cm⁻¹)** 2924, 2361, 1724, 1680, 1261, 1163, 1103, 750.

Dibenzyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3v**)**



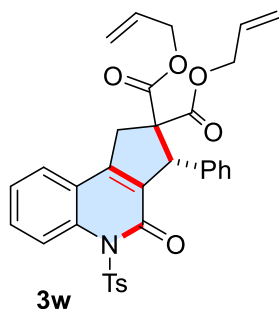
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dibenzyl 2-(2-(2-((4-methylphenyl) sulfonamido)phenyl)-2-oxoethyl)malonate **1v** (114.3 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μL, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dibenzyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3v** as a white solid (67 mg, 49% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.31; er = >99:1, [α]_D²² = -80.31 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 25.6 min (minor), t_R = 28.1 min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.40 (d, *J* = 8.7 Hz, 1H), 7.85 (d, *J* = 8.1 Hz, 2H), 7.60–7.52 (m, 2H), 7.38 (t, *J* = 7.5 Hz, 1H), 7.28-7.25 (m, 6H), 7.20-7.18 (m, 4H), 7.14-7.06 (m, 3H), 6.99-6.92 (m, 4H), 5.31 (s, 1H), 5.17 – 5.09 (m, 2H), 4.68 (d, *J* = 12.2 Hz, 1H), 4.26 – 4.21 (m, 2H), 3.56 (d, *J* = 18.5 Hz, 1H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 170.5, 168.2, 158.8, 150.1, 145.1, 138.3, 136.6, 136.4, 135.0, 134.7, 132.9, 130.1, 129.4, 128.8, 128.7, 128.60, 128.58, 128.5, 128.41, 128.36, 128.3, 128.2, 127.5, 125.5, 124.7, 120.2, 119.7, 68.1, 67.6, 65.0,

55.5, 39.4, 21.8. **HRMS (ESI)** m/z: $[M+H]^+$ Calcd for $C_{41}H_{34}NO_7S$: 684.2050; Found: 684.2081. **FTIR** (cm^{-1}) 2924, 1730, 1682, 1598, 1364, 1164, 1081, 748.

Diallyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3w**)**

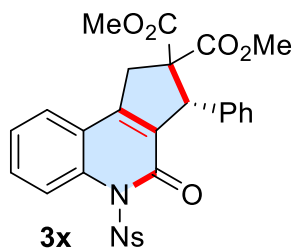


Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), diallyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1w** (94.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μ L, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford diallyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3w** as a white solid (55 mg, 54% yield). R_f (Pet. ether /EtOAc = 70/30): 0.35; er = 94:6, $[\alpha]_D^{22} = -64.30$ (c 1.0, $CHCl_3$). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 11.8$ min (minor), $t_R = 16.6$ min (major).

1H NMR (400 MHz, $CDCl_3$) δ 8.39 (d, $J = 8.7$ Hz, 1H), 7.85 (d, $J = 8.2$ Hz, 2H), 7.59-7.55 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.19 (d, $J = 8.1$ Hz, 2H), 7.13-7.08 (m, 3H), 6.94-6.92 (m, 2H), 5.91-5.81 (m, 1H), 5.50-5.40 (m, 1H), 5.32 – 5.21 (m, 3H), 5.10– 5.06 (m, 2H), 4.71-4.59 (m, 2H), 4.24 – 4.14 (m, 2H), 3.84-3.79 (m, 1H), 3.57 (d, $J = 18.5$ Hz, 1H), 2.38 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.4, 168.1, 158.9, 150.1, 145.1, 138.3, 136.7, 136.4, 132.9, 131.3, 131.1, 130.2, 129.4, 128.8, 128.6, 128.2, 127.5, 125.5, 124.8, 120.3, 119.7, 119.3, 118.8, 66.9, 66.5, 64.9, 55.5, 39.4, 21.8. **HRMS (ESI)** m/z: $[M+H]^+$ Calcd for $C_{33}H_{30}NO_7S$: 584.1737; Found: 584.1736. **FTIR** (cm^{-1}) 2955, 2920, 1732, 1682, 1453, 1161, 1081, 752.

Dimethyl (*R*)-5-((4-nitrophenyl)sulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3x**)**

Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), Dimethyl 2-(2-(2-((4-



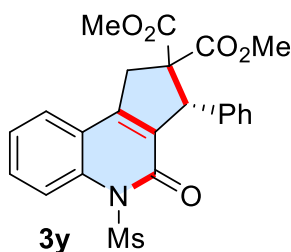
nitrophenyl) sulfonamido)phenyl)-2-oxoethyl)malonate **1x** (90.1 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μ L, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford Dimethyl (*R*)-5-((4-nitrophenyl)sulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3x** as a white solid (59 mg, 52% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.31; er = 98:2, $[\alpha]_D^{22} = -76.81$ (c 1.0, CHCl_3). **HPLC** (Chiralpak IA, hexane/IPA = 80:20, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 28.1$ min (minor), $t_R = 36.3$ min (major).

^1H NMR (400 MHz, CDCl_3) δ 8.37 (d, $J = 8.6$ Hz, 1H), 8.17 (d, $J = 8.6$ Hz, 2H), 8.03 (d, $J = 8.6$ Hz, 2H), 7.64-7.60 (m, 2H), 7.45 (t, $J = 7.5$ Hz, 1H), 7.07 (d, $J = 4.3$ Hz, 3H), 6.86 (d, $J = 4.1$ Hz, 2H), 5.19 (s, 1H), 4.23 (d, $J = 18.7$ Hz, 1H), 3.76 (s, 3H), 3.57 (d, $J = 18.7$ Hz, 1H), 3.14 (s, 3H). **^{13}C NMR (100 MHz, CDCl_3)** δ 171.2, 168.7, 158.6, 150.9, 150.5, 144.8, 137.8, 136.6, 132.7, 130.6, 130.0, 128.4, 128.2, 127.7, 125.9, 125.5, 123.9, 120.1, 119.8, 64.7, 55.4, 53.6, 52.6, 39.5. **HRMS (ESI)** m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{28}\text{H}_{23}\text{N}_2\text{O}_9\text{S}$: 563.1119; Found: 563.1139. **FTIR (cm^{-1})** 2955, 2924, 2363, 1732, 1679, 1532, 1177, 751.

Dimethyl (*R*)-5-(methylsulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3y**)

Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(2-(methylsulfonyl)phenyl)-2-oxoethyl)malonate **1y** (69.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μ L, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl(*R*)-5-

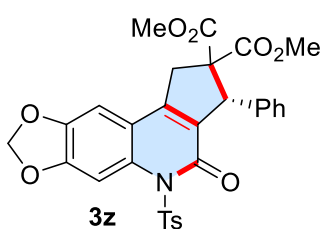


(methylsulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3y** as a white solid (36.4 mg, 40% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.34; er = 99:1, $[\alpha]_D^{22} = -127.18$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 13.6$ min (major), $t_R = 17.7$ min (minor).

¹H NMR (400 MHz, CDCl₃) δ 8.28 (d, $J = 8.7$ Hz, 1H), 7.59-7.52 (m, 2H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.24-7.20 (m, 3H), 7.09 (d, $J = 7.2$ Hz, 2H), 5.44 (s, 1H), 4.28 (d, $J = 18.6$ Hz, 1H), 3.80 (s, 3H), 3.62-3.57 (m, 4H), 3.21 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.3, 168.8, 160.2, 150.8, 137.9, 137.0, 132.6, 130.5, 128.6, 128.4, 127.9, 125.7, 124.8, 119.7, 119.5, 64.9, 55.8, 53.6, 52.6, 45.2, 39.4. **HRMS (ESI)** m/z : $[M+H]^+$ Calcd for C₂₃H₂₂NO₇S: 456.1111; Found: 456.1130. **FTIR (cm⁻¹)** 2954, 2927, 1732, 1676, 1361, 1261, 909, 729.

Dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*][1,3]dioxolo [4,5-*g*]quinoline-2,2-dicarboxylate (**3z**)



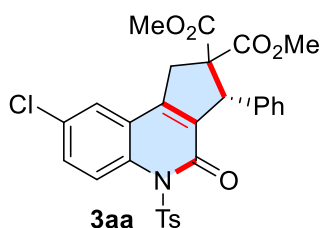
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(6-((4-methylphenyl)sulfonamido)benzo[*d*][1,3]dioxol-5-yl)-2-oxoethyl)malonate **1z** (92.7 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μ L, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*][1,3]dioxolo[4,5-*g*]quinoline-2,2-dicarboxylate **3z** as a white solid (40.3 mg, 35% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.30; er = 98:2, $[\alpha]_D^{22} = -81.24$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 22.6$ min (major), $t_R = 27.9$ min (minor).

¹H NMR (400 MHz, CDCl₃) δ 7.96 – 7.80 (m, 3H), 7.19 – 7.10 (m, 5H), 6.91-6.89 (m, 3H), 6.09 (s, 2H), 5.22 (s, 1H), 4.11 (d, $J = 18.3$ Hz, 1H), 3.76 (s, 3H), 3.45 (d, $J = 18.3$ Hz, 1H), 3.15 (s, 3H), 2.37 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.3, 168.9, 158.9, 150.2, 150.1, 145.1,

145.0, 136.9, 136.4, 134.7, 130.1, 129.4, 128.8, 128.5, 128.2, 127.4, 114.3, 103.4, 102.4, 102.0, 64.9, 55.4, 53.5, 52.5, 39.6, 21.8. **HRMS (ESI)** m/z: [M+H]⁺ Calcd for C₃₀H₂₆NO₉S: 576.1323; Found: 576.1342. **FTIR (cm⁻¹)** 2954, 2922, 2853, 1733, 1677, 1595, 1451, 1254, 1167, 1037, 754.

Dimethyl-(*R*)-8-chloro-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3aa)



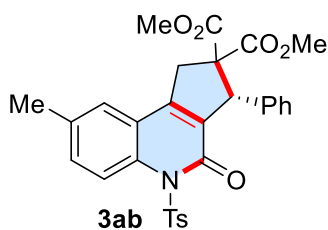
Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(5-chloro-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1aa** (90.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μL, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-8-chloro-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3aa** as a white solid (85 mg, 75% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.38; er = >99:1, [α]_D²² = -99.37 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 12.3 min (minor), t_R = 13.4 min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.34 (d, *J* = 9.5 Hz, 1H), 7.82 (d, *J* = 8.1 Hz, 2H), 7.52-7.50 (m, 2H), 7.20-7.10 (m, 5H), 6.89 (d, *J* = 6.5 Hz, 2H), 5.26 (s, 1H), 4.17 (d, *J* = 18.5 Hz, 1H), 3.77 (s, 3H), 3.51 (d, *J* = 18.5 Hz, 1H), 3.15 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.1, 168.7, 158.4, 149.0, 145.4, 136.6, 136.4, 136.0, 134.0, 130.4, 130.0, 129.5, 128.8, 128.4, 128.2, 127.5, 124.9, 121.6, 121.0, 64.8, 55.6, 53.6, 52.5, 39.2, 21.8. **HRMS (ESI)** m/z: [M+Na]⁺ Calcd for C₂₉H₂₄ClNO₇SNa: 588.0860; Found: 588.0861. **FTIR (cm⁻¹)** 3028, 2954, 1733, 1683, 1365, 1160, 1081, 752.

Dimethyl (*R*)-8-methyl-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3ab)

Following the general procedure, LiCl (8.5 mg, 0.2 mmol) was taken from glove box in an oven

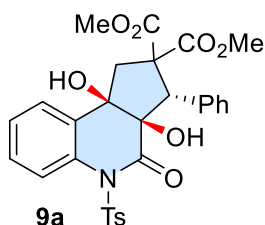


dried Schlenk tube. Then triazolium salt **4** (7.4 mg, 0.04 mmol), dimethyl 2-(2-(5-methyl-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate **1ab** (87.0 mg, 0.2 mmol) and bisquinone oxidant **8** (163.4 mg, 0.4 mmol) were added to it. Then mixture of solvent toluene:benzene (4:1 ratio, 2.0 mL) was added to it under nitrogen atmosphere and kept stirring at 25 °C. Then cinnamaldehyde **2a** (52.9 mg, 50.3 μL, 0.4 mmol) and followed by DMAP (36.7 mg, 0.3 mmol) were added to the reaction mixture and kept for stirring at 25 °C for 12 h. Then, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 80/20) using neutral alumina to afford dimethyl (*R*)-8-methyl-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **3ab** as a white solid (43.6 mg, 40% yield).

R_f (Pet. ether /EtOAc = 70/30): 0.33; er = >99:1, $[\alpha]_D^{22} = -79.74$ (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, $\lambda = 254$ nm) $t_R = 11.4$ min (minor), $t_R = 12.5$ min (major).

¹H NMR (400 MHz, CDCl₃) δ 8.28 (d, $J = 8.8$ Hz, 1H), 7.84 (d, $J = 8.4$ Hz, 2H), 7.40 – 7.35 (m, 2H), 7.19 (d, $J = 8.1$ Hz, 2H), 7.12 – 7.10 (m, 3H), 6.92–6.89 (m, 2H), 5.25 (d, $J = 1.3$ Hz, 1H), 4.17 (dd, $J_1 = 18.5$ Hz, $J_2 = 1.7$ Hz, 1H), 3.76 (s, 3H), 3.54 (d, $J = 18.5$ Hz, 1H), 3.16 (s, 3H), 2.46 (s, 3H), 2.38 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.4, 168.9, 158.9, 150.2, 145.0, 136.8, 136.5, 136.2, 134.6, 132.6, 131.3, 129.4, 128.8, 128.5, 128.2, 127.5, 125.5, 120.1, 119.7, 65.0, 55.5, 53.5, 52.5, 39.3, 21.8, 20.9. **HRMS (ESI)** m/z : $[M+Na]^+$ Calcd for C₃₀H₂₇NO₇SNa: 568.1400; Found: 568.1406. **FTIR (cm⁻¹)** 2954, 2923, 2854, 1733, 1680, 1363, 1162, 1081, 753.

Dimethyl (3*S*,3*aR*,9*bR*)-3*a*,9*b*-dihydroxy-4-oxo-3-phenyl-5-tosyl-1,3,3*a*,4,5,9*b*-hexahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**9a**)



Following the literature procedure⁸ in a 25-mL round-bottomed flask equipped with magnetic stirring bar were added NaIO₄ (32.1 mg, 0.15 mmol) and CeCl₃·7H₂O (11.5 mg, 0.04 mmol, 0.4 equiv) in 0.4 mL of H₂O and gently heated until a bright yellow suspension was formed. After cooling to 0 °C, ethyl acetate (0.8 mL) and acetonitrile (0.8 mL) were added, and the suspension was stirred for 2 min. Then RuCl₃ (2.2 mg, 0.01 mmol) was added, and the mixture was stirred for 2 min. After that compound **3a** (0.1 mmol) was added in

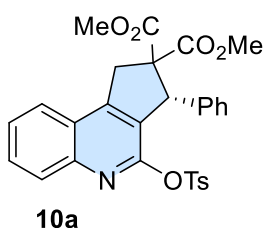
⁸ B. Plietker and M. Niggemann, *J. Org. Chem.*, 2005, **70**, 2402.

one portion and the resulting slurry was stirred until all starting material was consumed. Solid Na₂SO₄ was added followed by ethyl acetate (6 mL). The solid was filtered off, and the filter cake was washed several times with ethyl acetate. The crude product was purified by flash chromatography (Pet. Ether/EtOAc- 50/50) using neutral alumina to afford dimethyl (3*S*,3*aR*,9*bR*)-3*a*,9*b*-dihydroxy-4-oxo-3-phenyl-5-tosyl-1,3,3*a*,4,5,9*b*-hexahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **9a** as a yellow solid (47.5 mg, 84% yield).

R_f(Pet. ether /EtOAc = 70/30): 0.23; er = >99:1, [α]_D²² = 3.52 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 27.5 min (minor), t_R = 29.3 min (major).

¹H NMR (400 MHz, CDCl₃) δ 7.95 – 7.91 (m, 1H), 7.72 (d, *J* = 8.1 Hz, 2H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.30-7.24 (m, 3H), 7.13 (d, *J* = 8.2 Hz, 1H), 6.99 (t, *J* = 7.3 Hz, 1H), 6.82 (t, *J* = 7.6 Hz, 2H), 6.30 (d, *J* = 7.6 Hz, 2H), 4.70 (s, 1H), 4.09 (d, *J* = 15.6 Hz, 1H), 3.77 (s, 3H), 3.18 (s, 3H), 2.94 – 2.82 (m, 3H), 2.42 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.7, 170.4, 169.7, 145.3, 135.9, 134.2, 133.6, 129.4, 129.3, 128.9, 128.8, 128.0, 127.8, 127.6, 127.2, 126.8, 122.8, 85.6, 78.4, 61.6, 61.1, 53.9, 52.8, 43.7, 21.8. **HRMS (ESI)** m/z: [M+Na]⁺ Calcd for C₂₉H₂₇NO₉SNa: 588.1299; Found: 588.1302. **FTIR (cm⁻¹)** 3469, 2954, 2923, 2853, 1732, 1493, 1364, 1245, 1170, 1085, 753, 655.

Dimethyl (*R*)-3-phenyl-4-(tosyloxy)-1,3-dihydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**10a**)



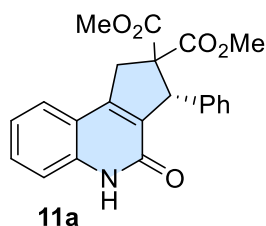
To a dry Schlenk tube containing compound **3a** (53.1 mg, 0.1 mmol) dissolved in toluene (2.5 mL) under nitrogen atmosphere. Then the reaction was allowed to stir overnight at 120 °C. After the completion of reaction, the solvent was evaporated, and the crude mixture was purified by flash column chromatography (Pet. Ether/EtOAc- 70/30) using neutral alumina to afford dimethyl (*R*)-3-phenyl-4-(tosyloxy)-1,3-dihydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **10a** as a white solid (52.6 mg, 99% yield).

R_f(Pet. ether /EtOAc = 70/30): 0.43; er = >99:1, [α]_D²² = -132.22 (c 1.0, CHCl₃). **HPLC** (Chiralpak IA, hexane/IPA = 70:30, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 11.4 min (minor), t_R = 15.7 min (major).

¹H NMR (400 MHz, CDCl₃) δ 7.93 (d, *J* = 8.4 Hz, 1H), 7.81 (d, *J* = 8.1 Hz, 1H), 7.71-7.63 (m, 3H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.26 – 7.19 (m, 5H), 6.95 (d, *J* = 6.6 Hz, 2H), 5.57 (s, 1H), 4.41 (d, *J* = 18.2 Hz, 1H), 3.82-3.77 (m, 4H), 3.24 (s, 3H), 2.40 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ

171.5, 169.0, 152.4, 151.4, 146.2, 145.0, 137.5, 134.2, 130.1, 129.4, 129.2, 129.0, 128.4, 127.8, 127.6, 126.9, 124.5, 124.2, 66.2, 54.9, 53.6, 52.6, 38.9, 21.8. **HRMS (ESI)** m/z: [M+H]⁺ Calcd for C₂₉H₂₆NO₇S: 532.1424; Found: 532.1407. **FTIR (cm⁻¹)** 2953, 2923, 2852, 1732, 1652, 1608, 1434, 1247, 1002, 750, 680.

Dimethyl (*R*)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (11a**)**



Following the literature procedure⁹ to an oven dried schlenk containing a THF (2.5 mL) solution of **3a** (0.1 mmol) cooled at 0°C was added drop wise a solution of SmI₂ (0.1 M, 0.2 mmol) under nitrogen atmosphere. The resulting mixture was stirred overnight at 25 °C. Then HCl (1 M, 3.0 mL) was added, and the stirring continued for 5 min. After the mixture was extracted with CH₂Cl₂ (3×10 mL) and washed with brine (10 mL), the organic phase was dried over Na₂SO₄ and filtered. The solvent was removed under reduced pressure and the crude product was purified by flash chromatography (Pet. Ether/EtOAc- 60/40) using neutral alumina to afford dimethyl (*R*)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate **11a** as a yellow solid (18.9 mg, 50% yield).

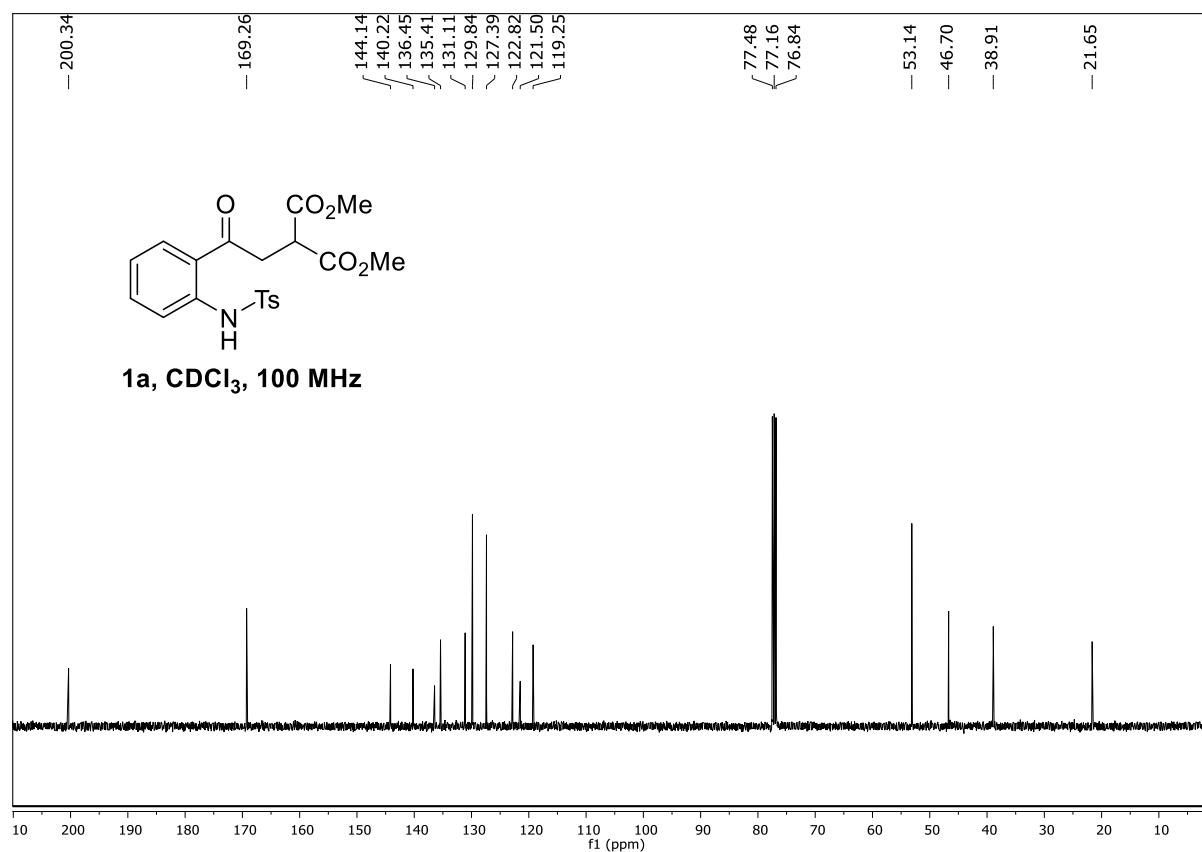
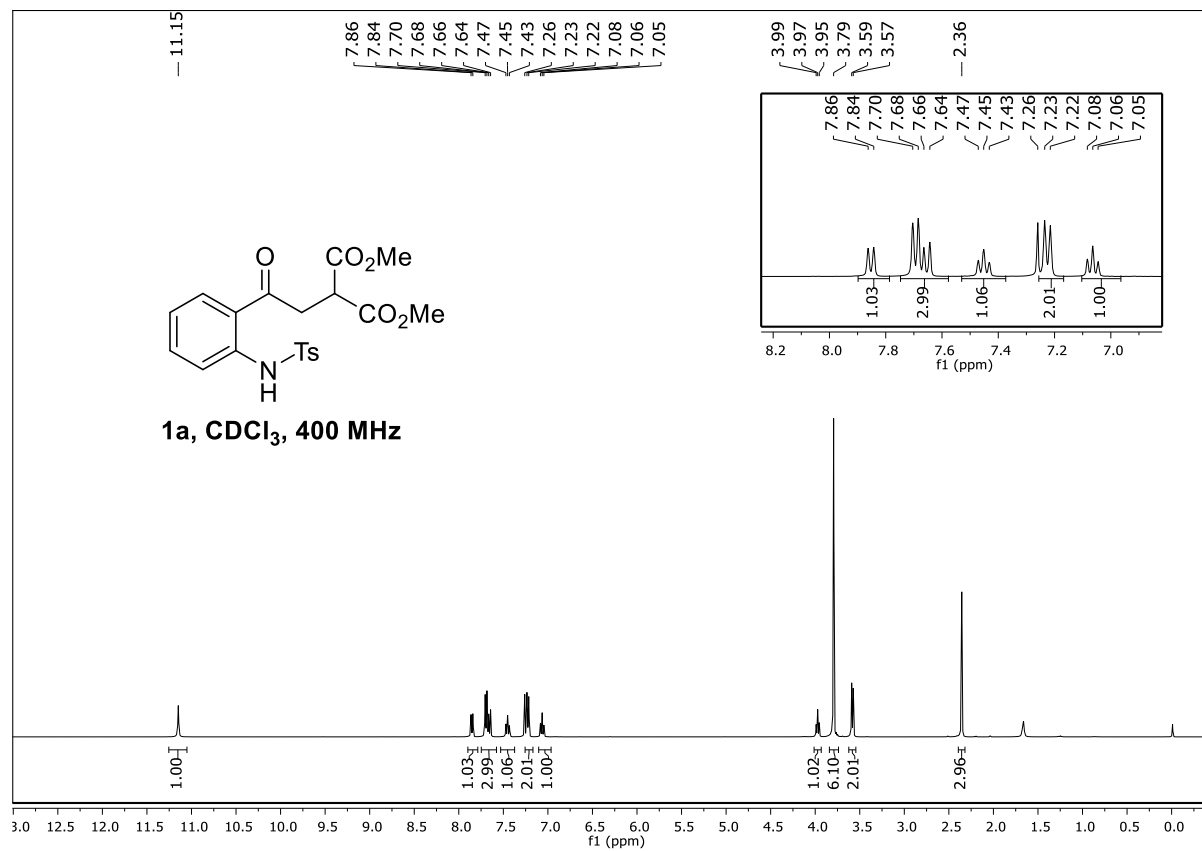
R_f(Pet. ether /EtOAc = 60/40): 0.25; er = 99:1, [α]_D²² = -117.76 (c 1.0, CHCl₃). **HPLC** (Chiralcel OD-H, hexane/IPA = 60:40, flow rate = 0.7 mL/min, λ = 254 nm) t_R = 9.2 min (major), t_R = 11.7 min (minor).

¹H NMR (400 MHz, CDCl₃) δ 11.34 (s, 1H), 7.55 (d, *J* = 7.9 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.26-7.21 (m, 4H), 7.13-7.11 (m, 2H), 6.99 (d, *J* = 8.2 Hz, 1H), 5.50 (s, 1H), 4.27 (d, *J* = 18.1 Hz, 1H), 3.79 (s, 3H), 3.64 (d, *J* = 18.1 Hz, 1H), 3.23 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 171.7, 169.2, 161.1, 149.5, 139.2, 137.8, 132.6, 130.5, 128.9, 128.3, 127.6, 124.7, 122.7, 117.8, 116.5, 65.4, 55.4, 53.5, 52.5, 39.2. **HRMS (ESI)** m/z: [M+H]⁺ Calcd for C₂₂H₂₀NO₅S: 378.1336; Found: 378.1348. **FTIR (cm⁻¹)** 2954, 2920, 2852, 1731, 1654, 1570, 1437, 1260, 1153, 803, 752.

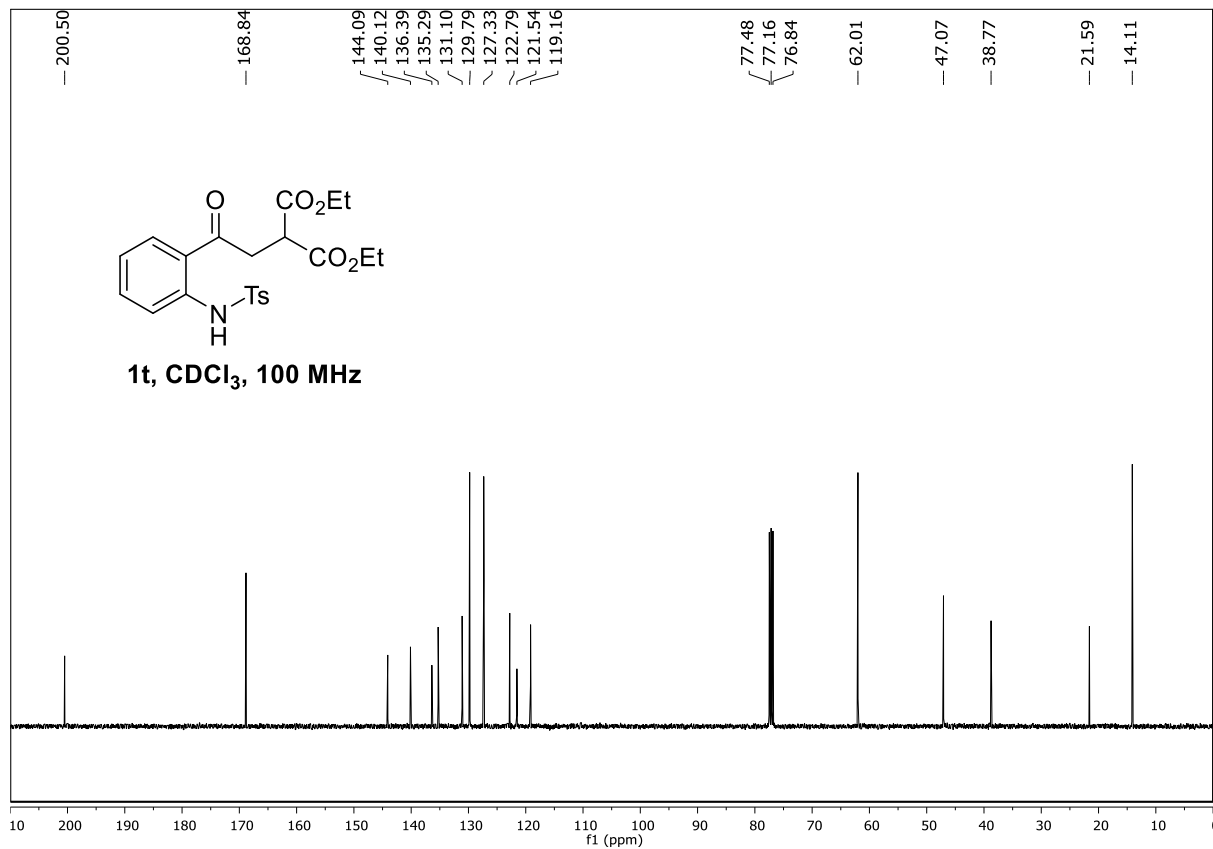
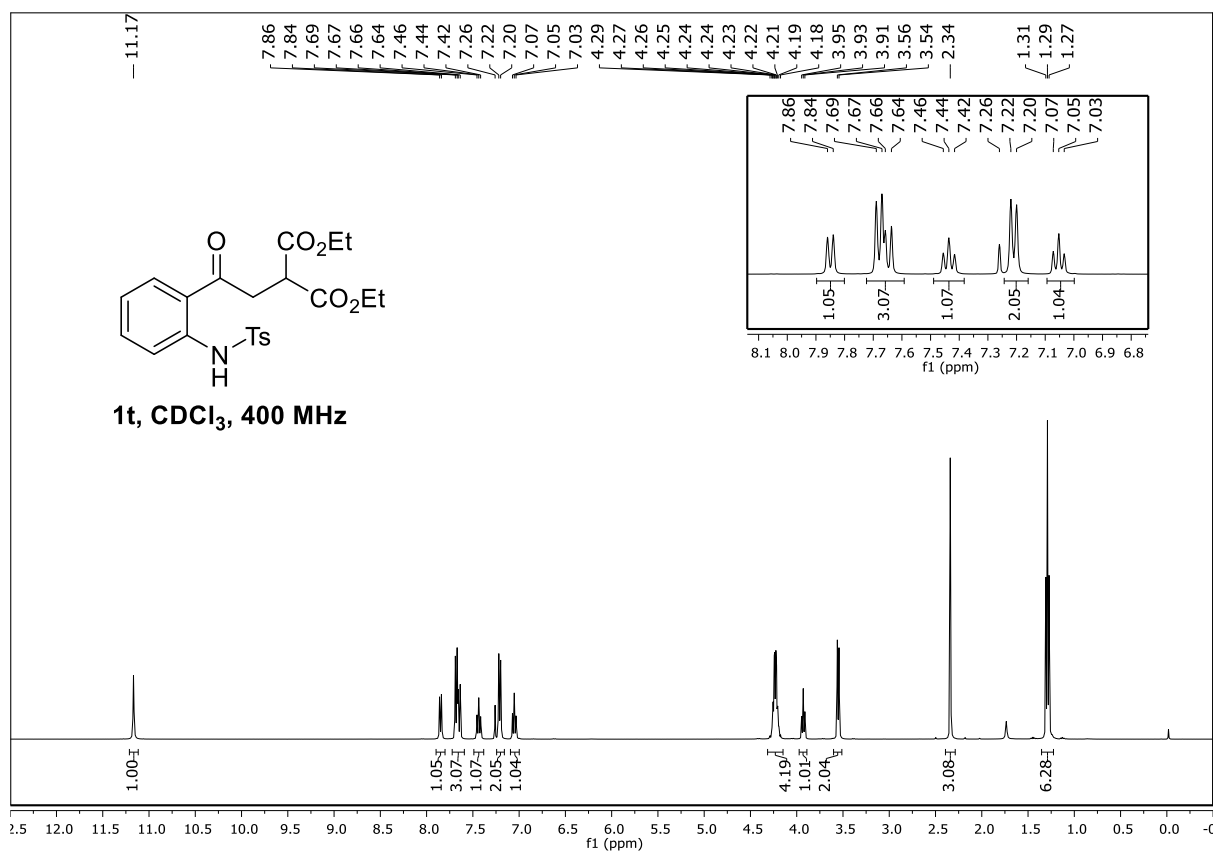
⁹ S. Zhang, C. Lin, C. Liu and D. Du, *J. Org. Chem.*, 2022, **87**, 10441.

8. ^1H and ^{13}C NMR Spectra of 2'-Aminomalonate Derivatives

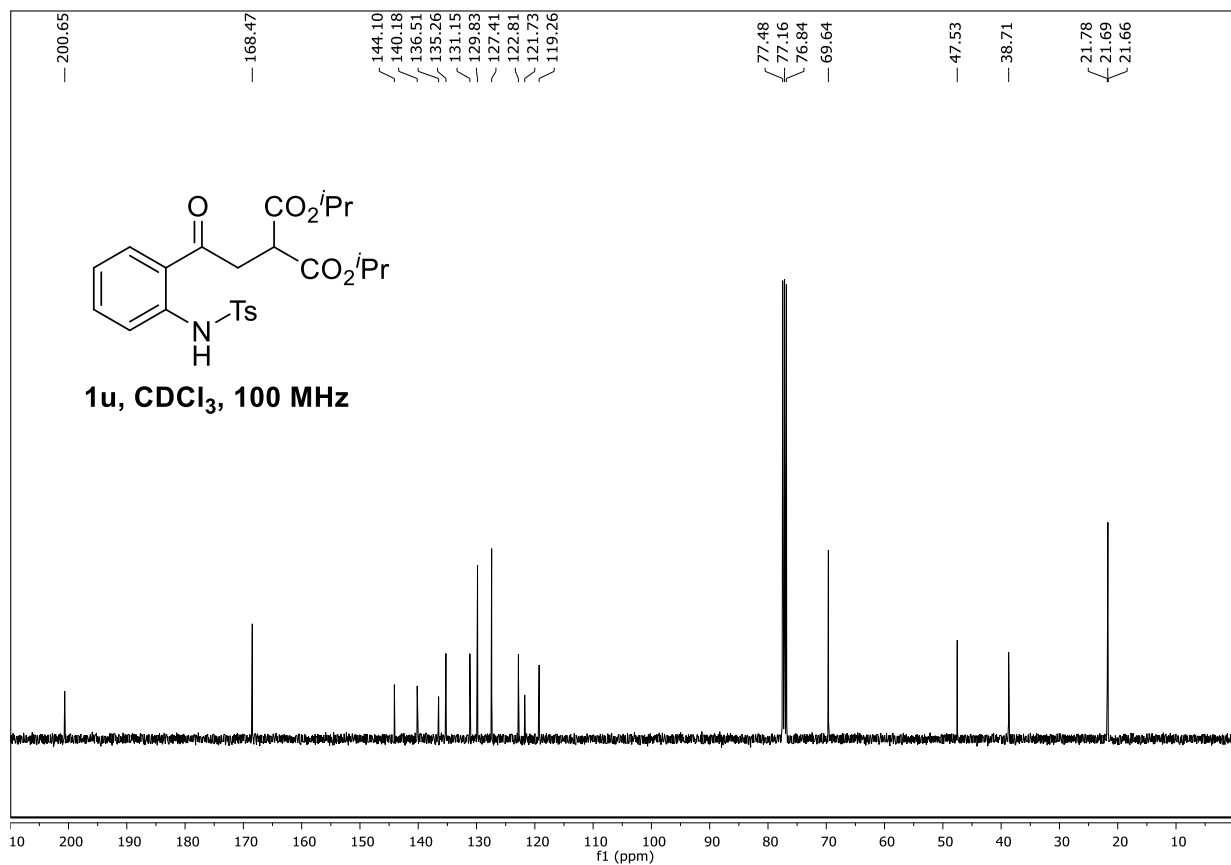
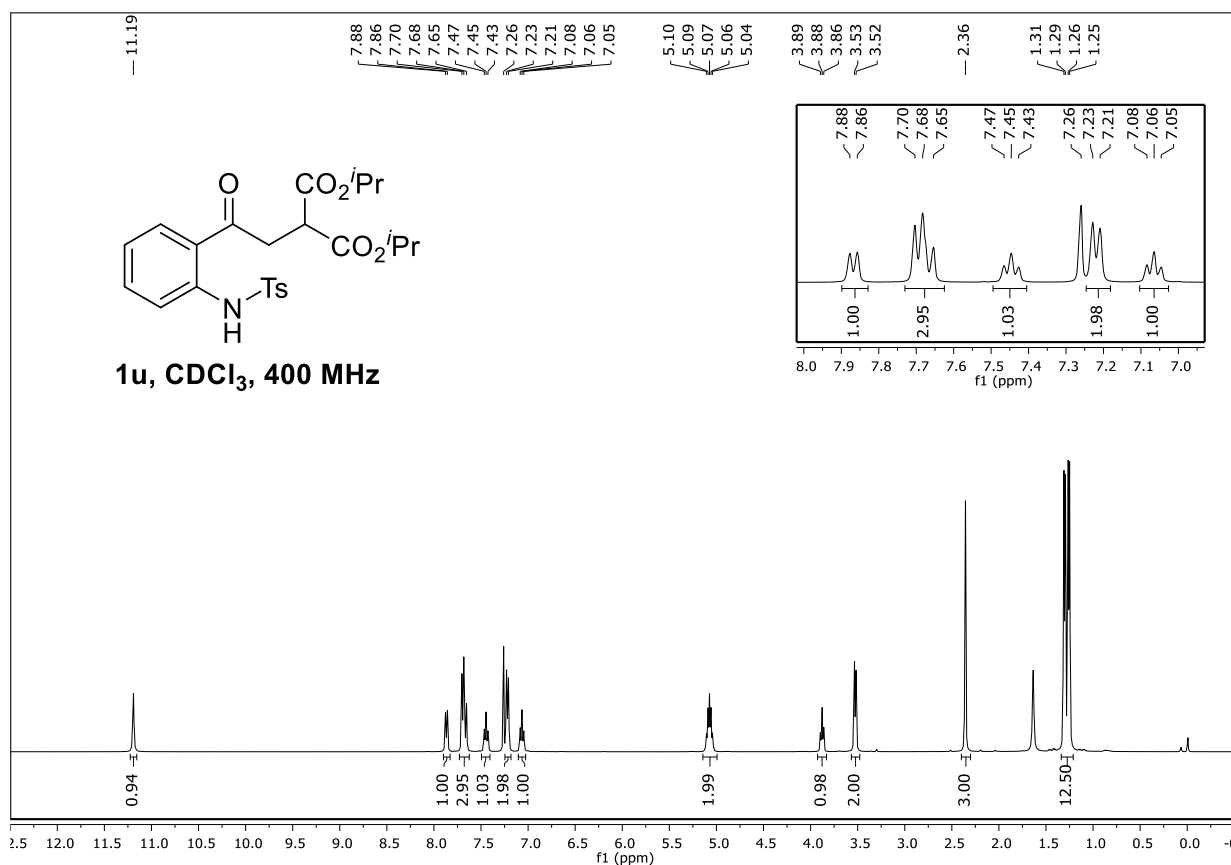
Dimethyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1a)



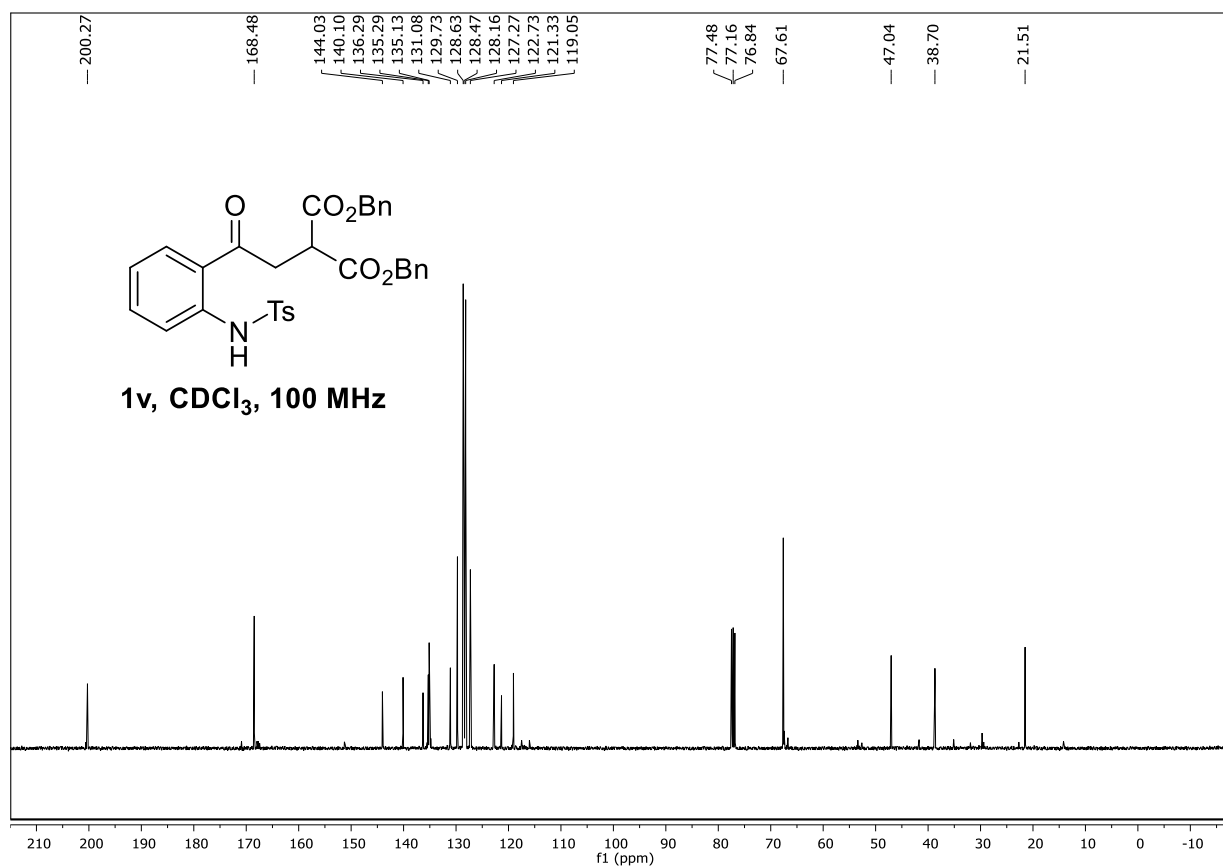
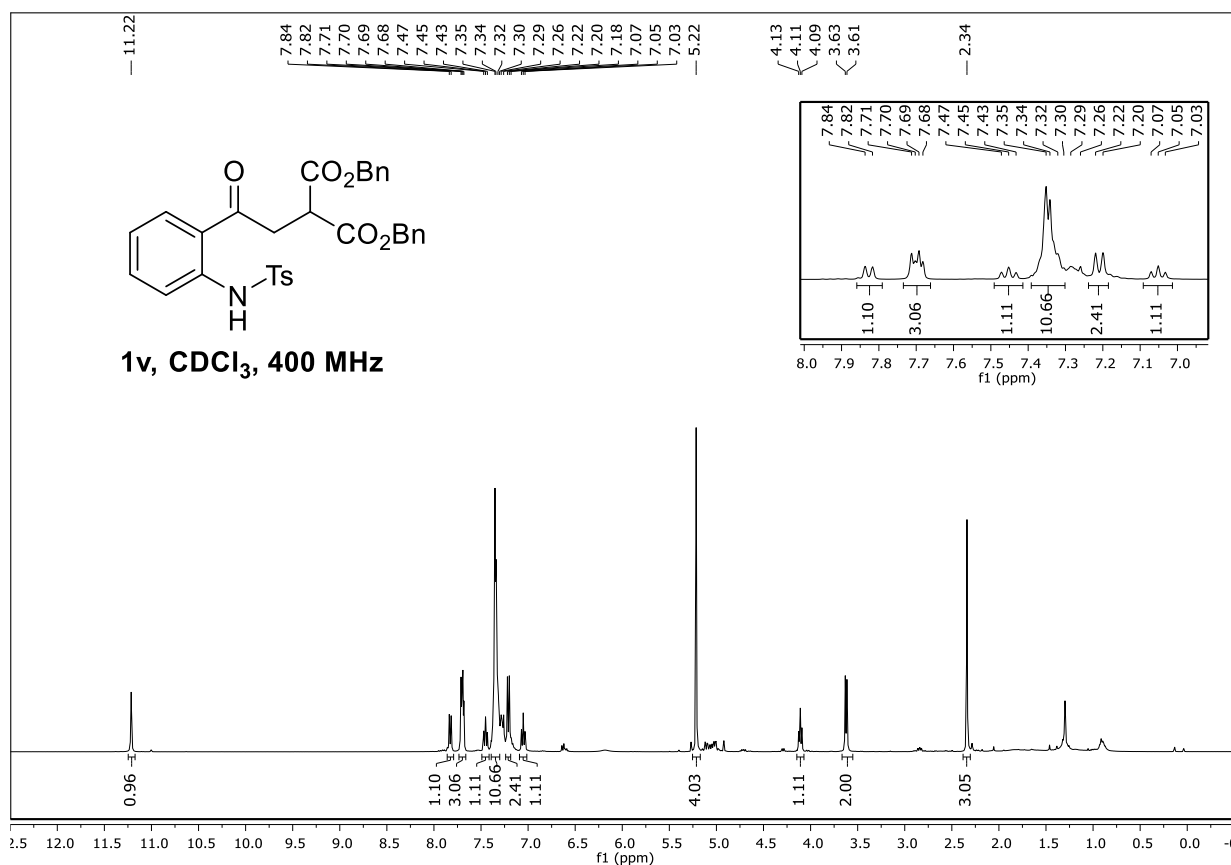
Diethyl 2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethylmalonate (1t)



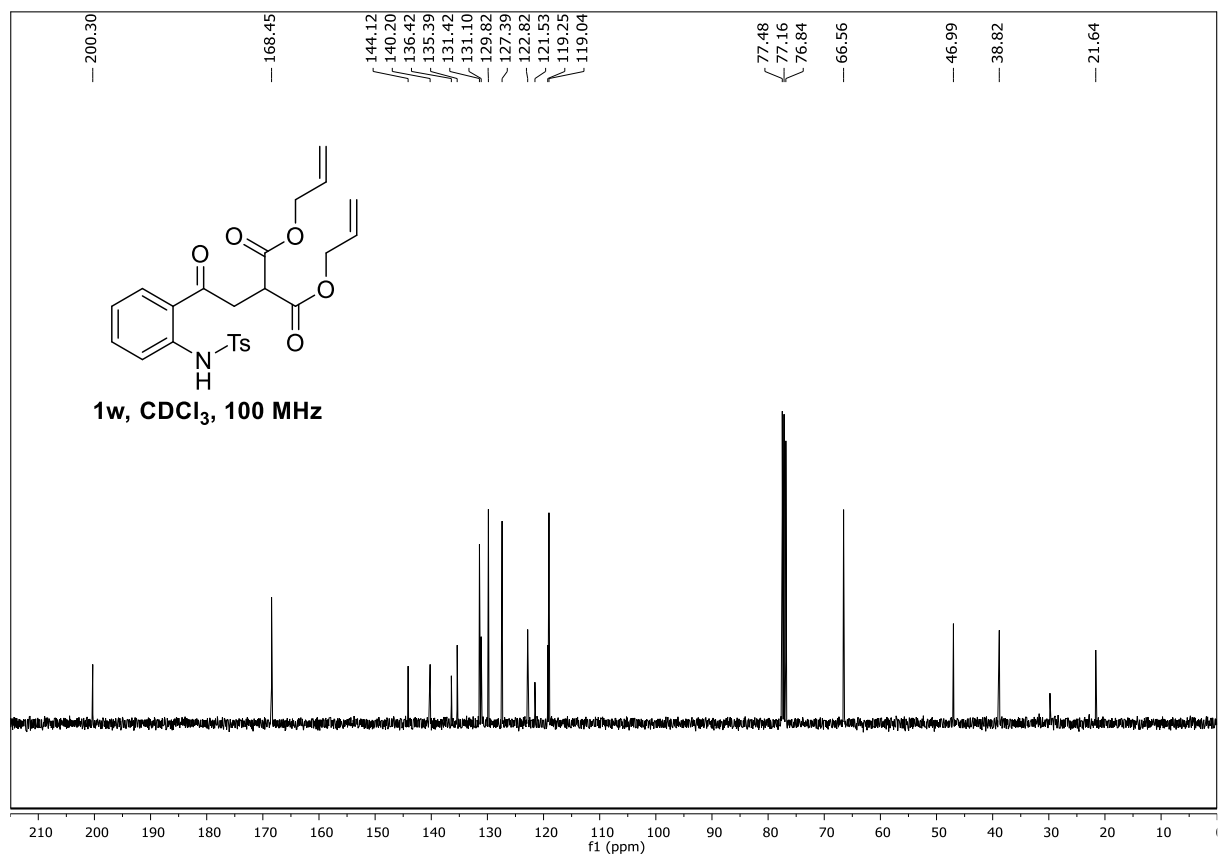
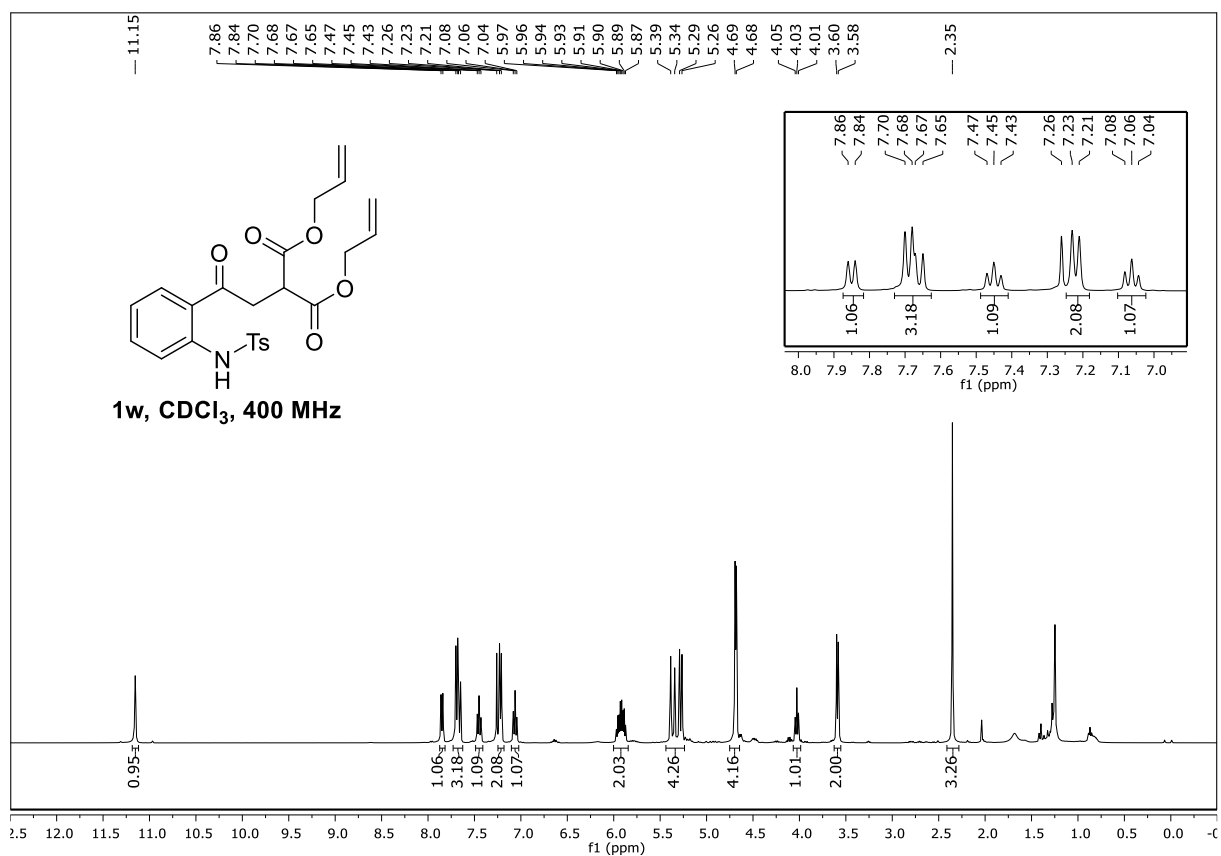
Diisopropyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (**1u**)



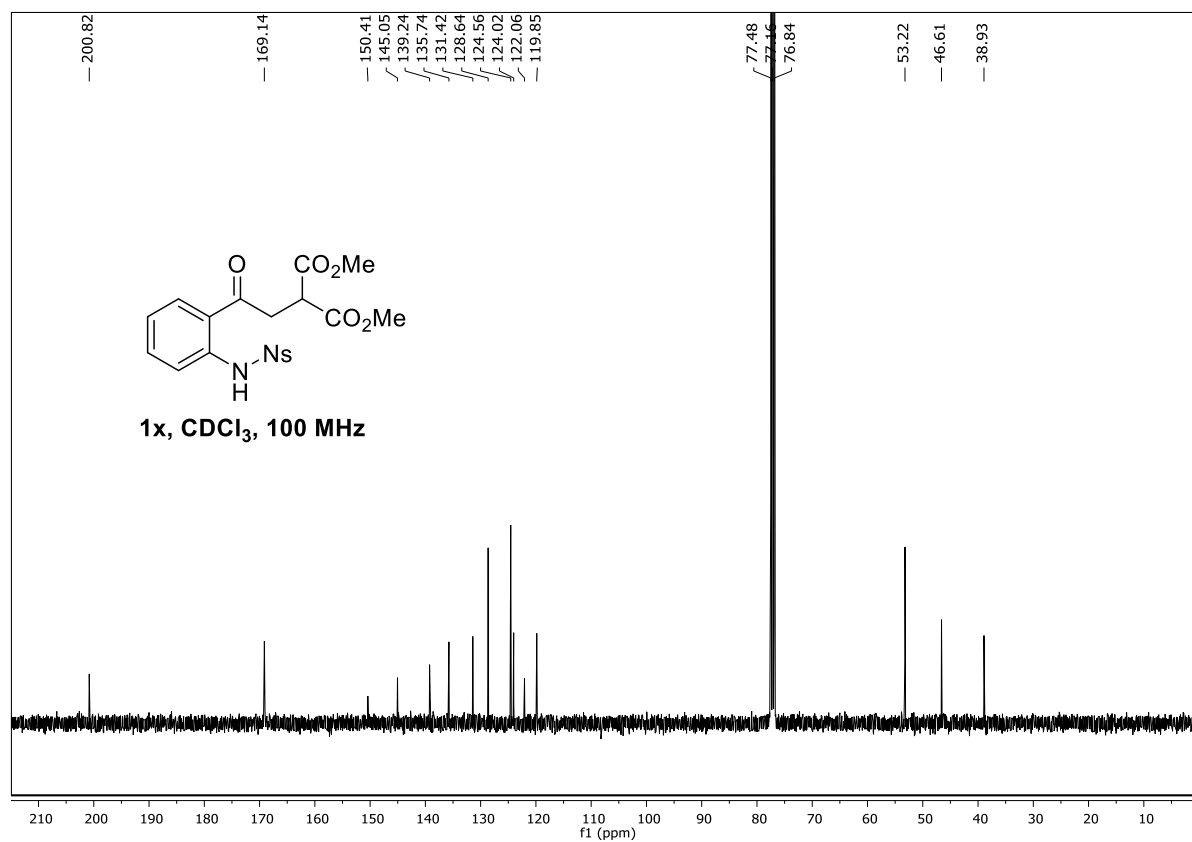
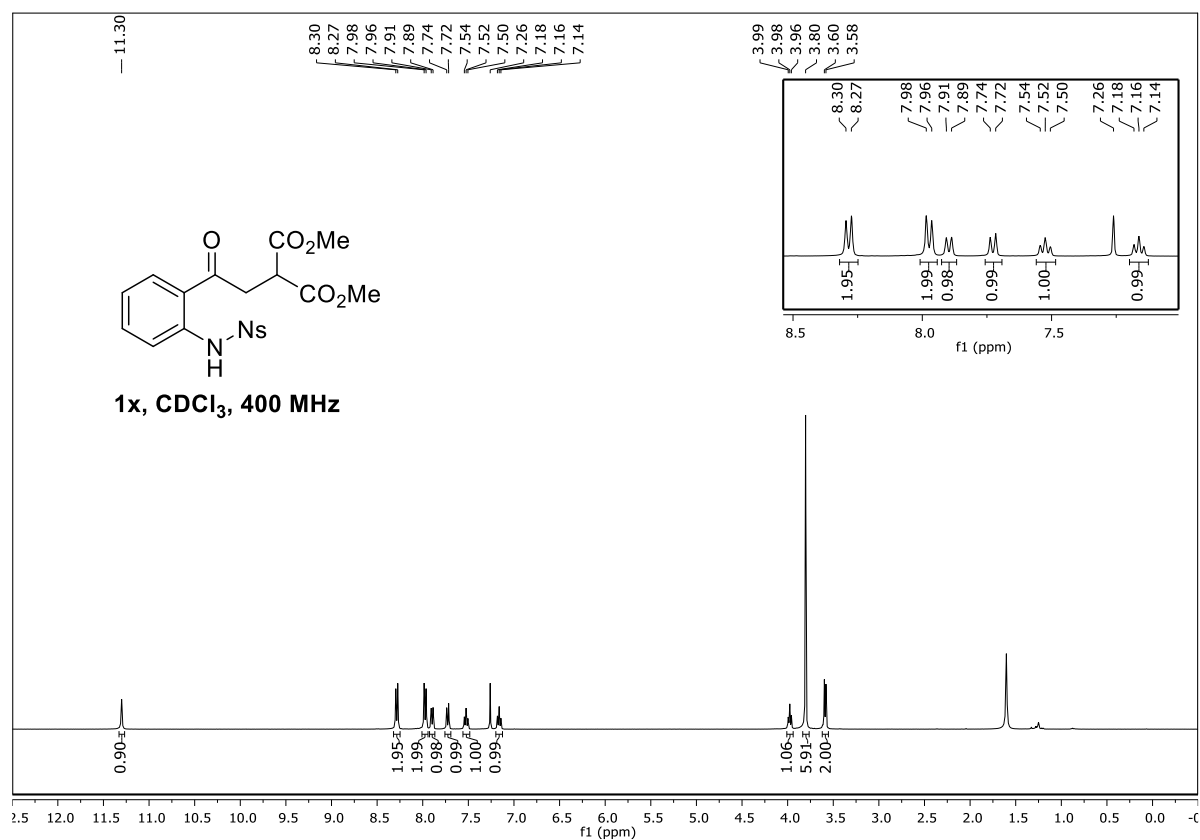
Dibenzyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1v)



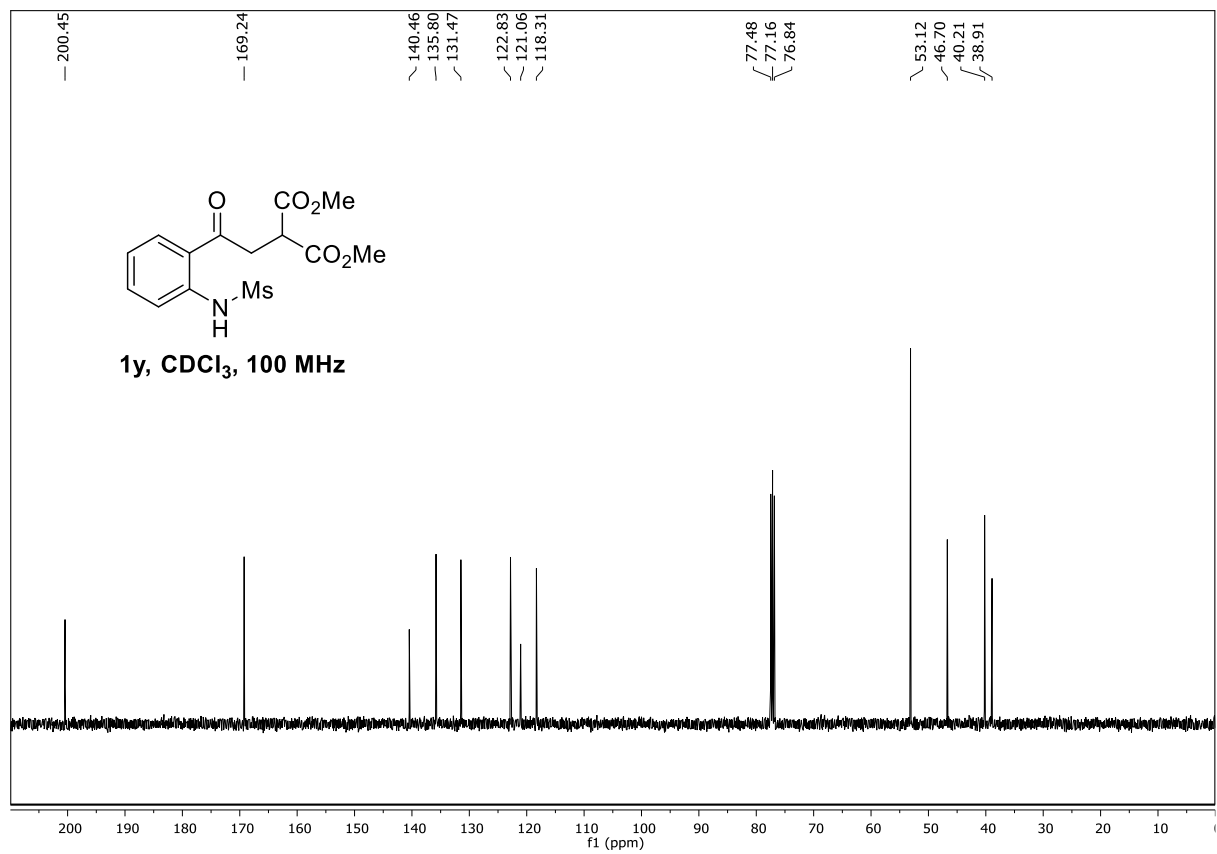
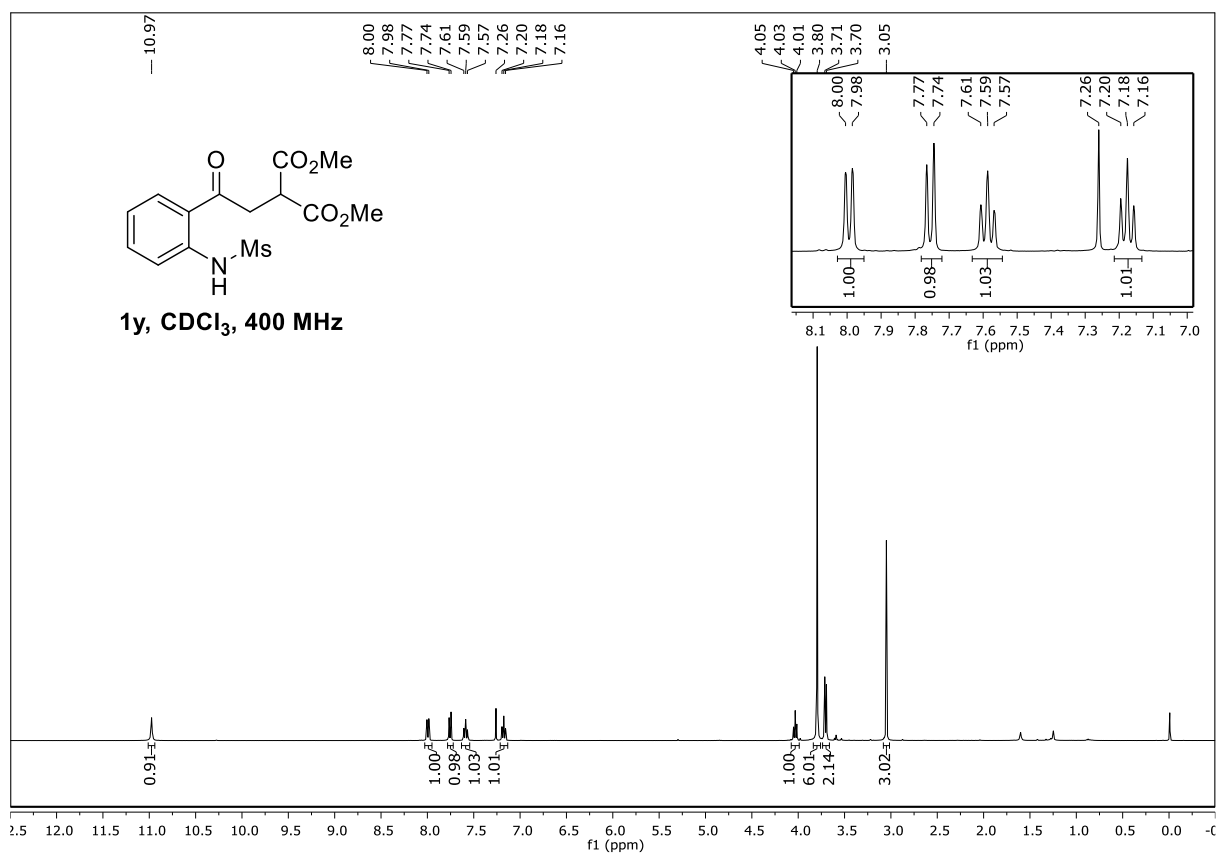
Diallyl 2-(2-(2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1w)



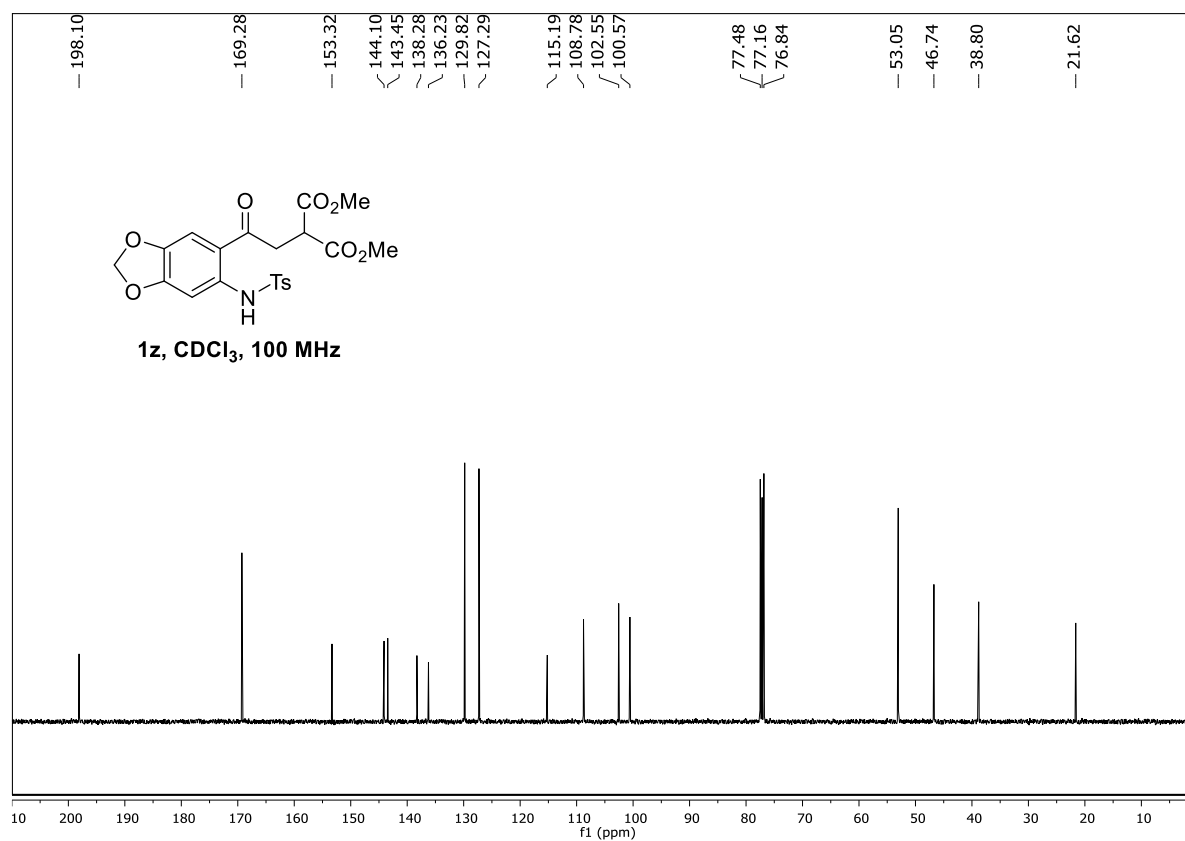
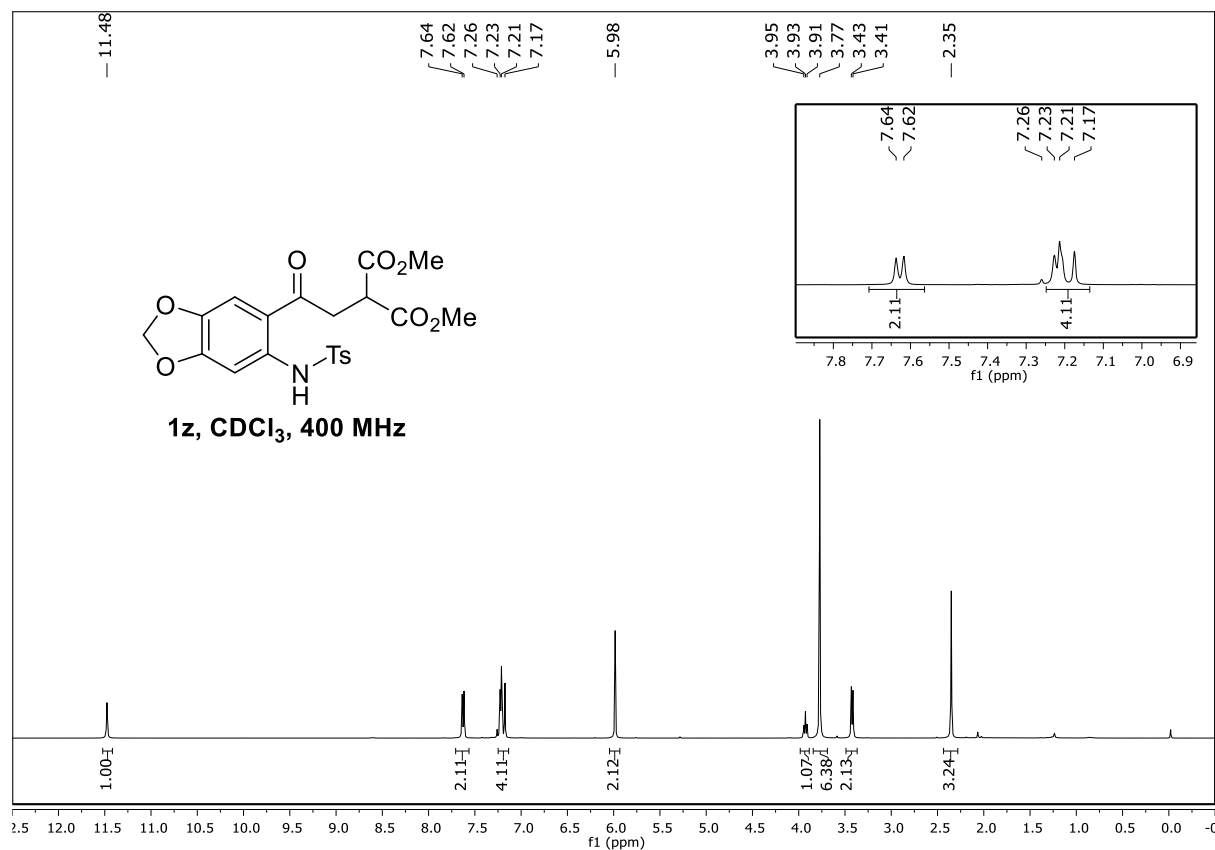
Dimethyl 2-(2-(2-((4-nitrophenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1x)



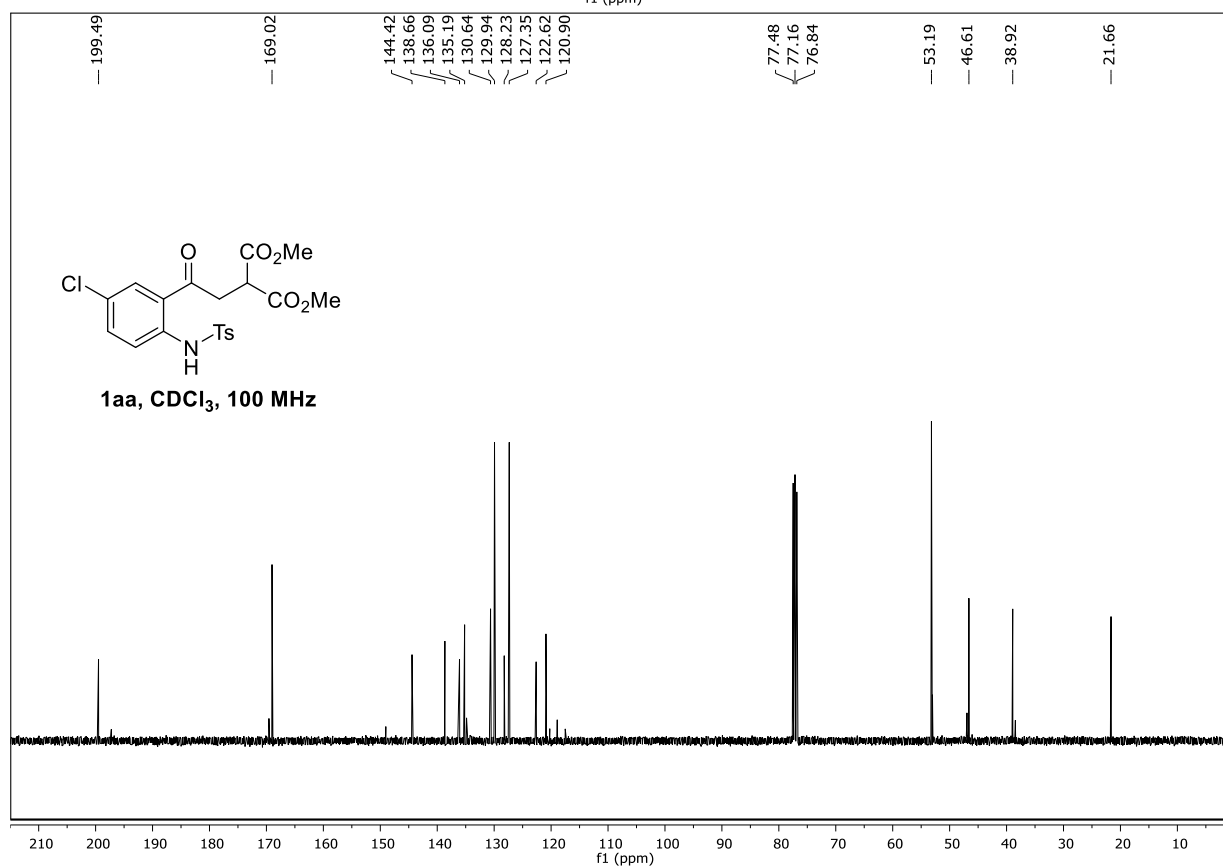
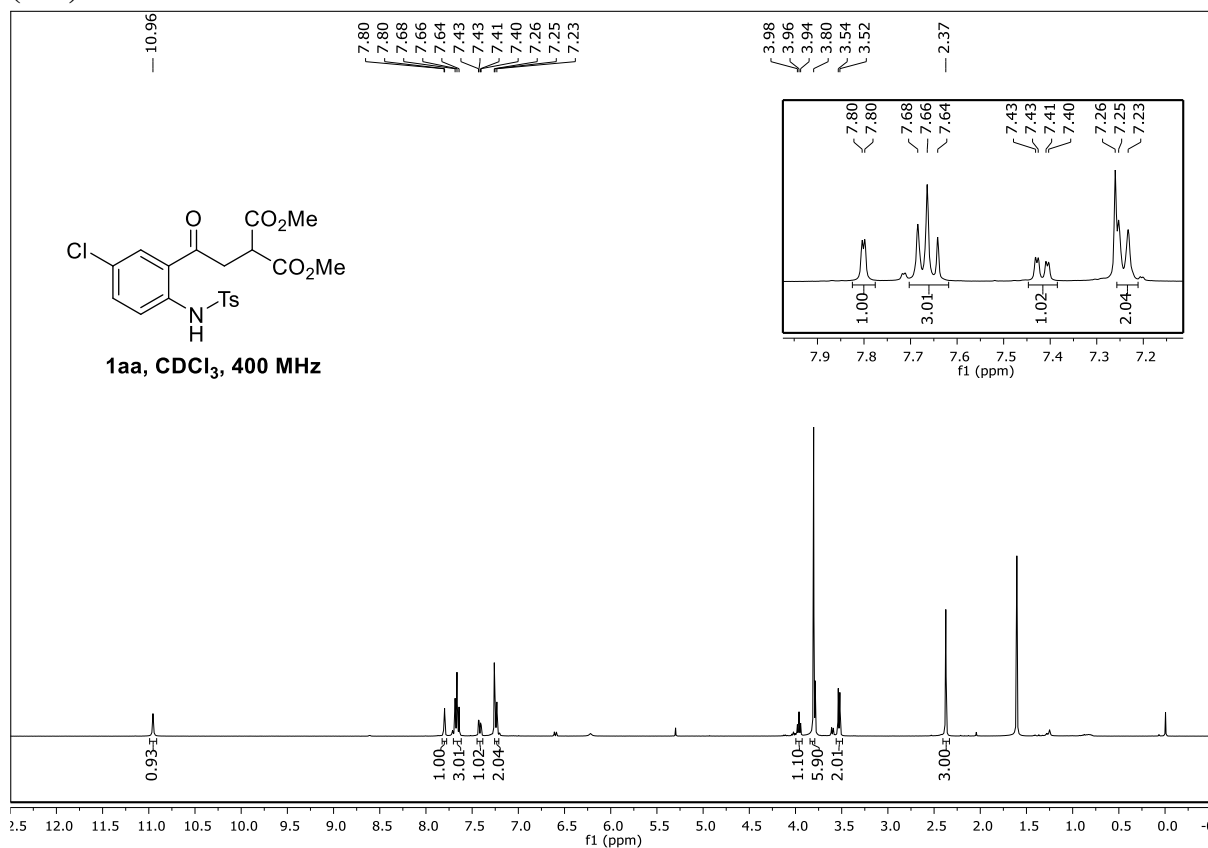
Dimethyl 2-(2-(2-(methylsulfonamido)phenyl)-2-oxoethyl)malonate (1y)



Dimethyl 2-(2-(6-((4-methylphenyl)sulfonamido)benzo[d][1,3]dioxol-5-yl)-2-oxoethyl)malonate (1z)

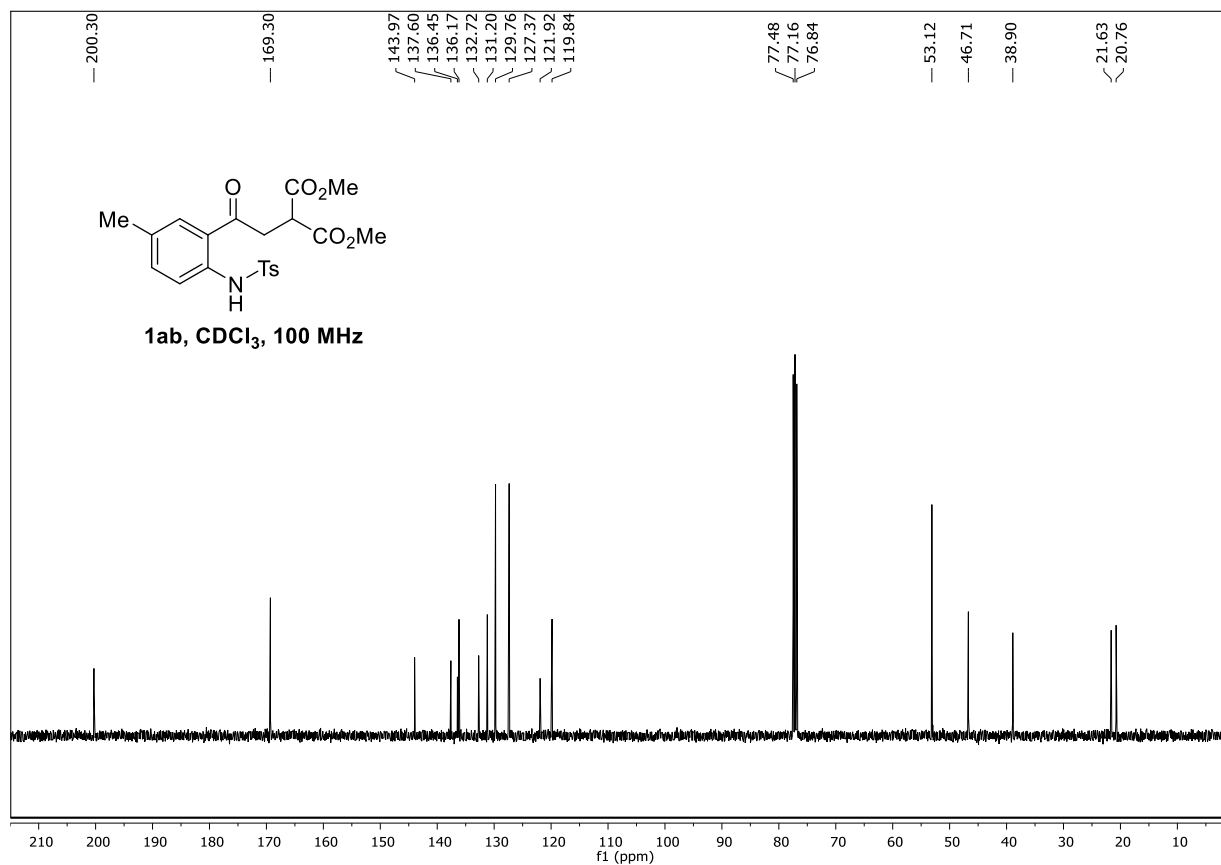
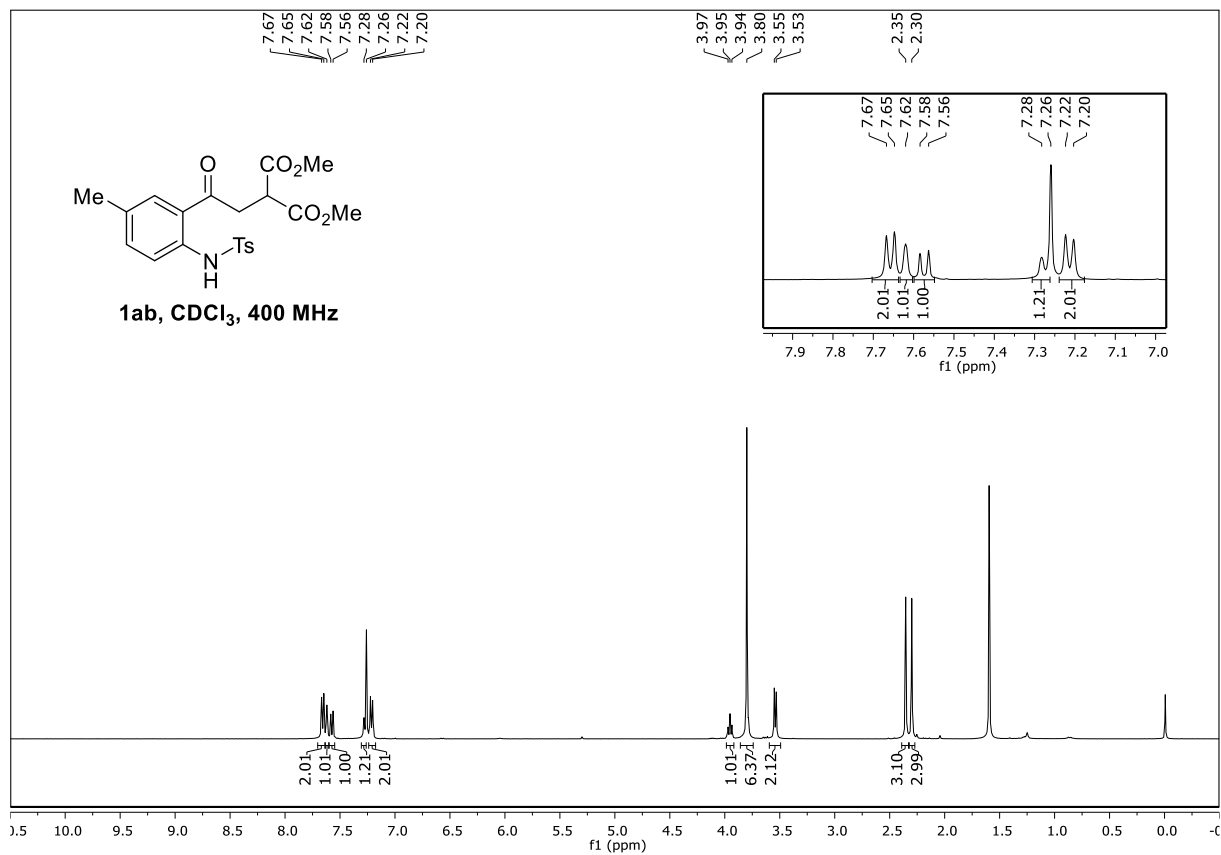


Dimethyl 2-(2-(5-chloro-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate (1aa)



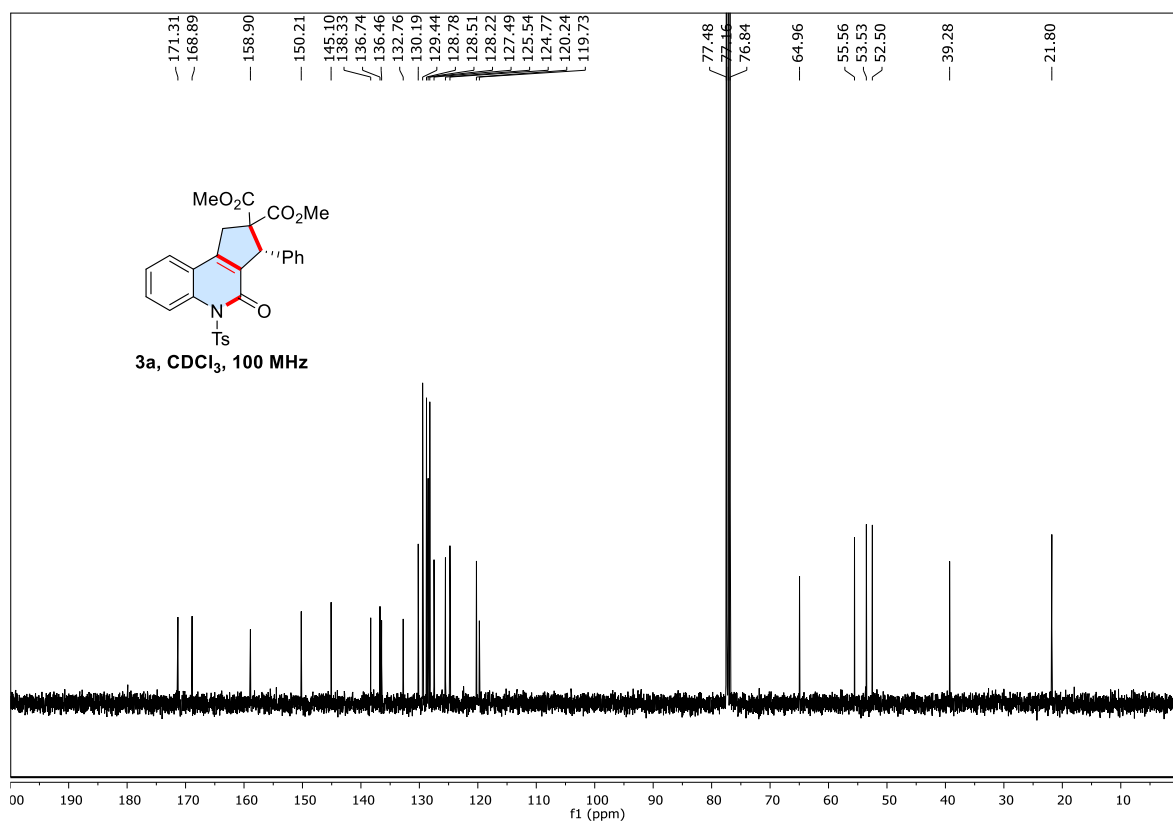
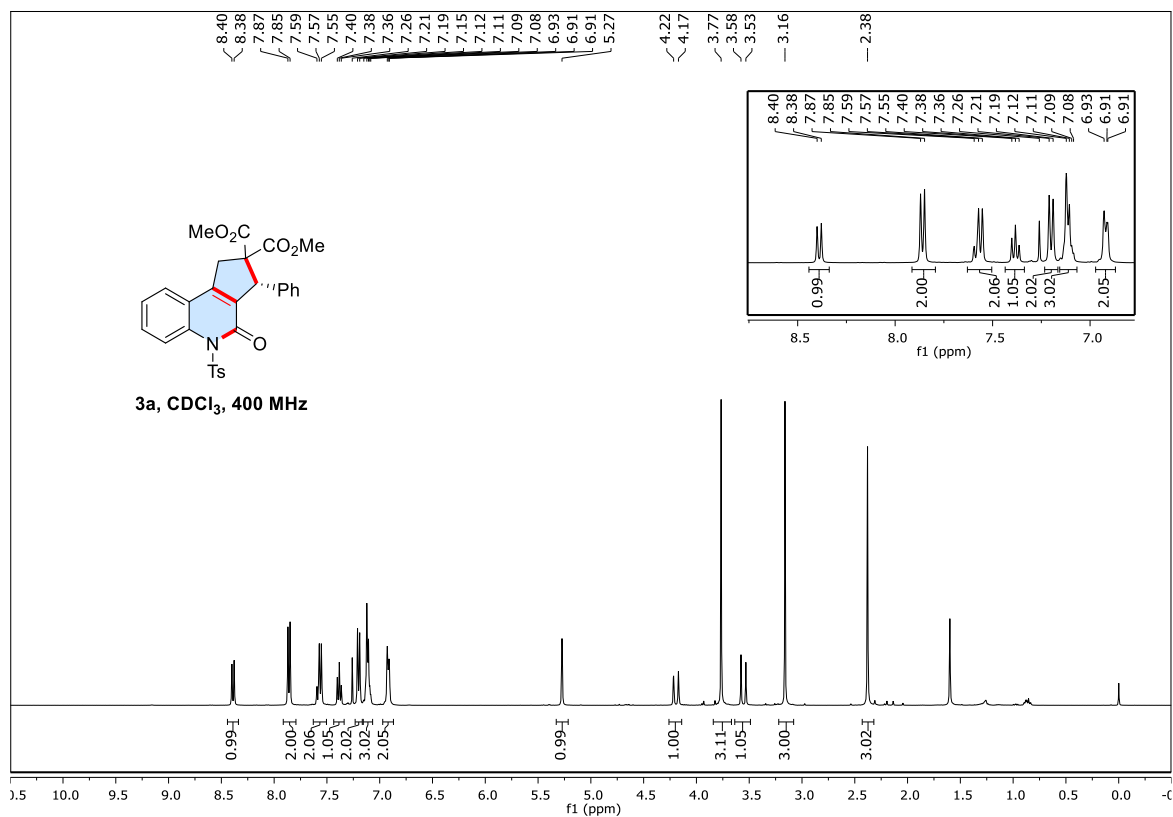
Dimethyl 2-(2-(5-methyl-2-((4-methylphenyl)sulfonamido)phenyl)-2-oxoethyl)malonate

(1ab)

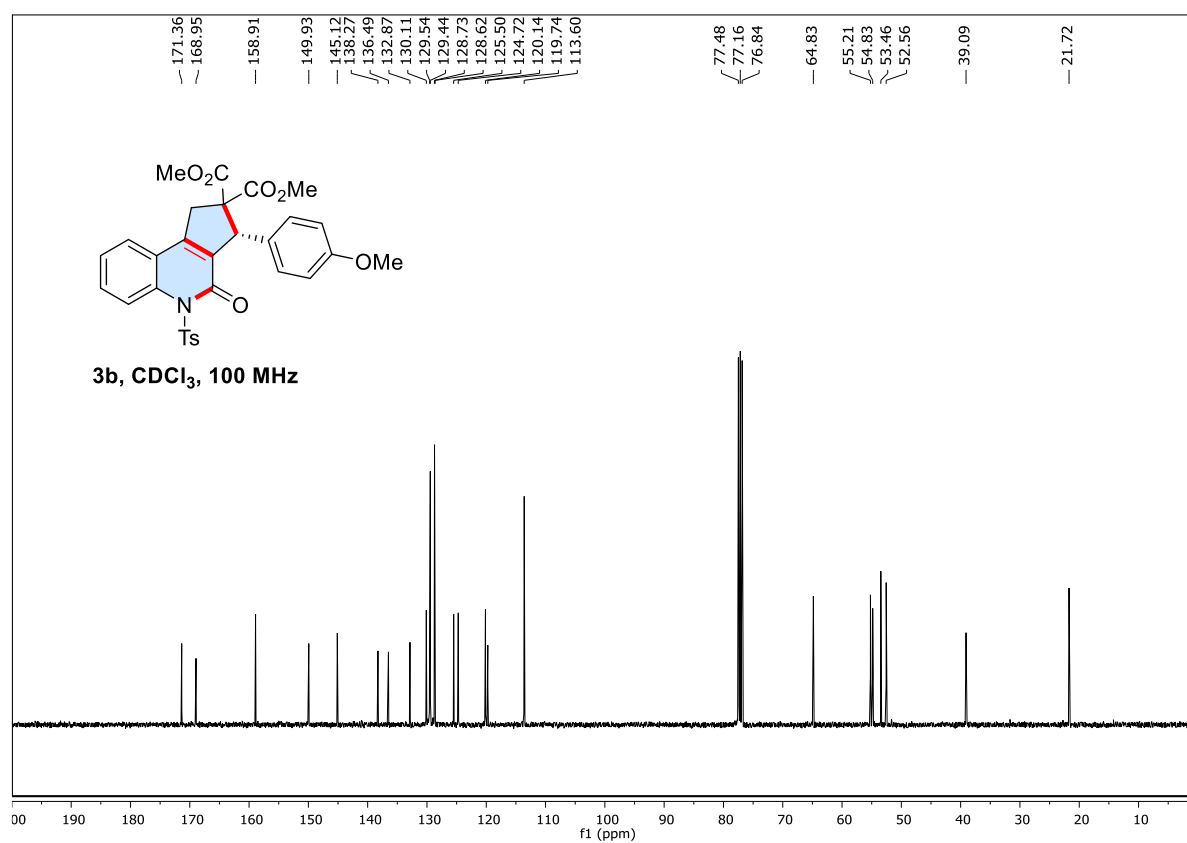
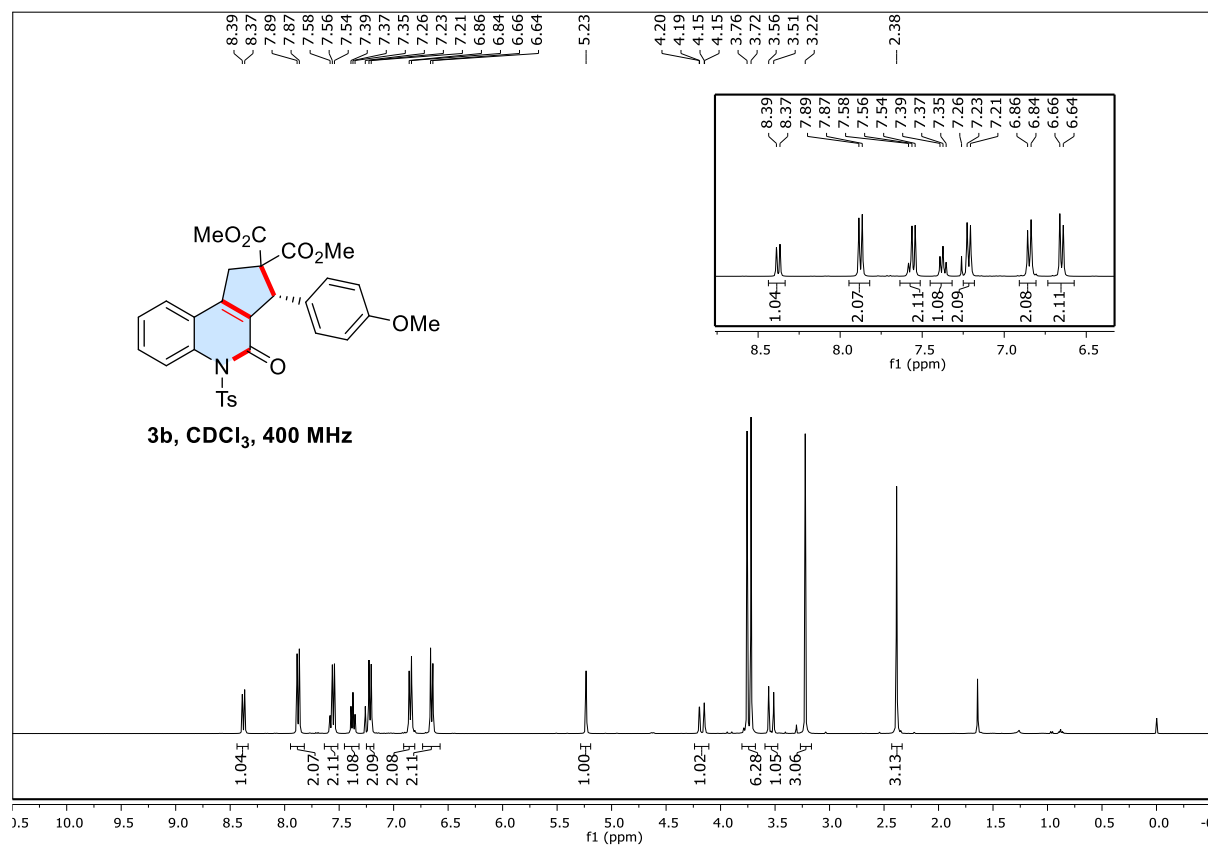


9. ^1H and ^{13}C NMR spectra of Cyclopentane-fused Quinoline-2-one Derivatives

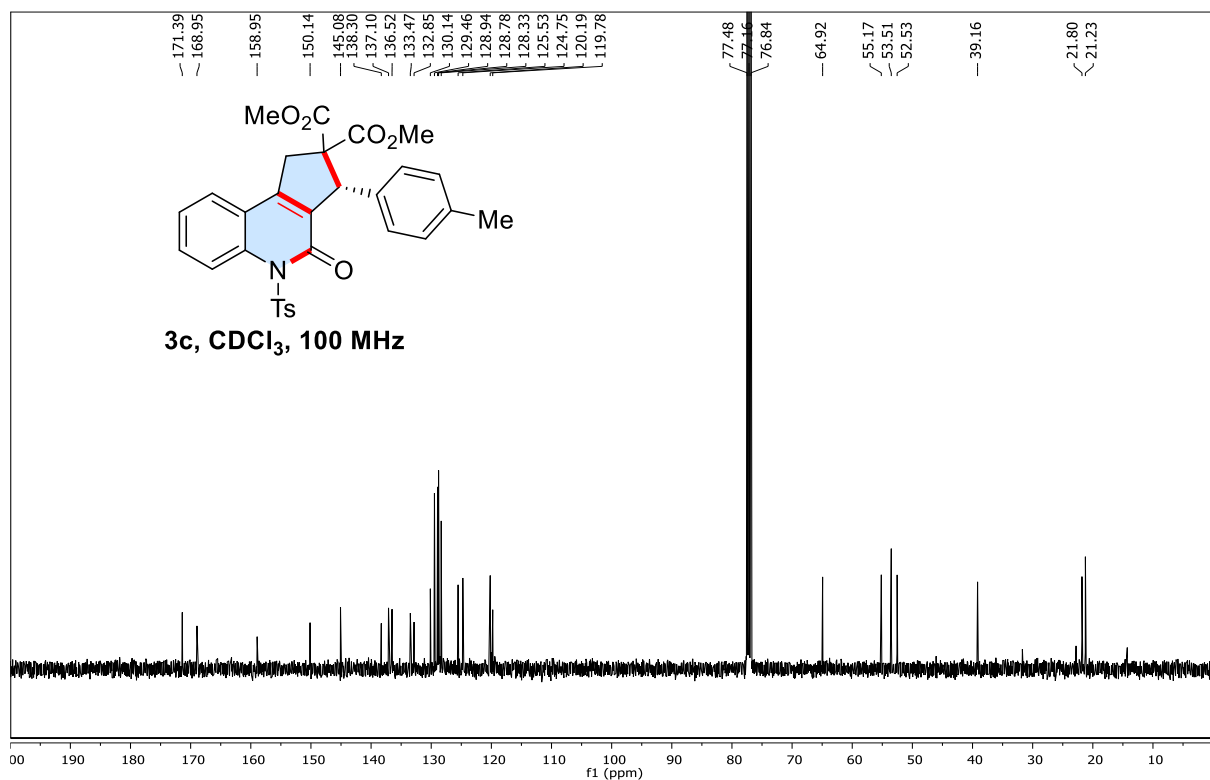
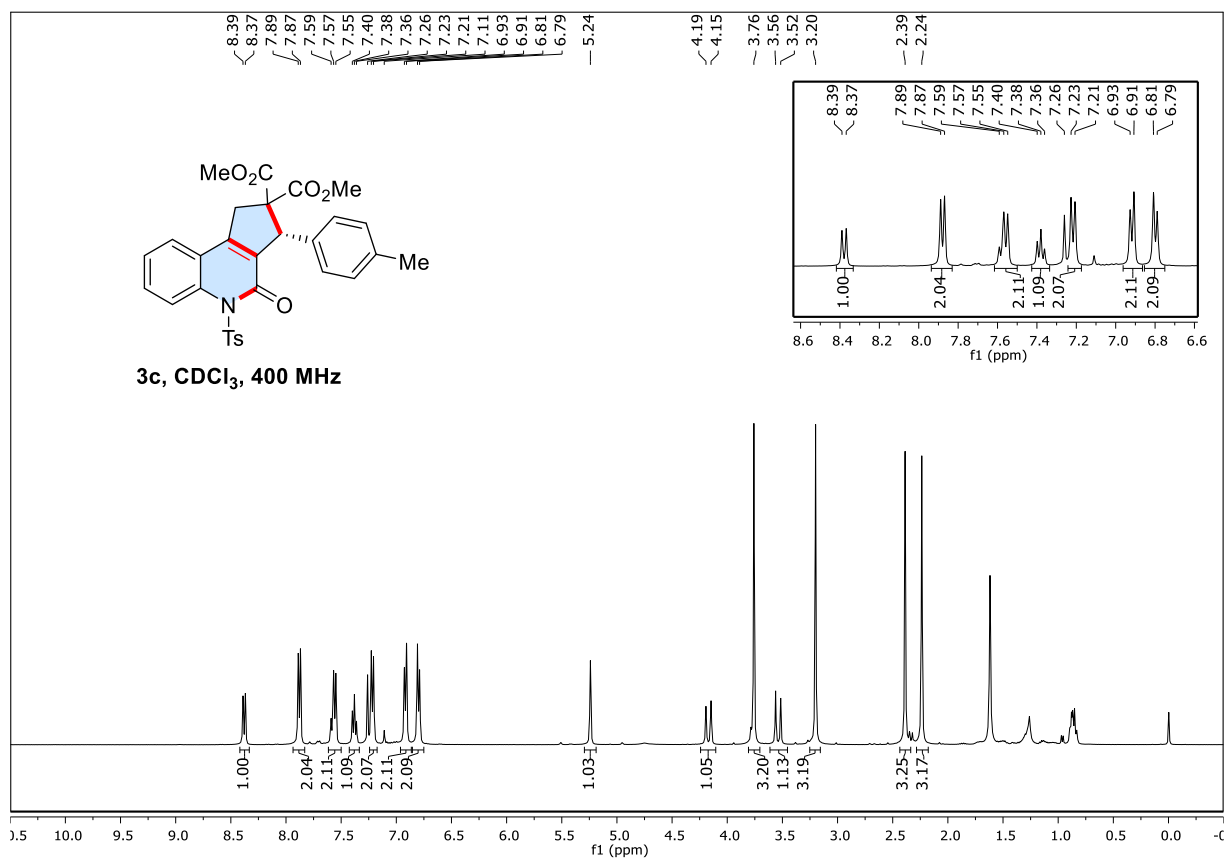
Dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (**3a**)



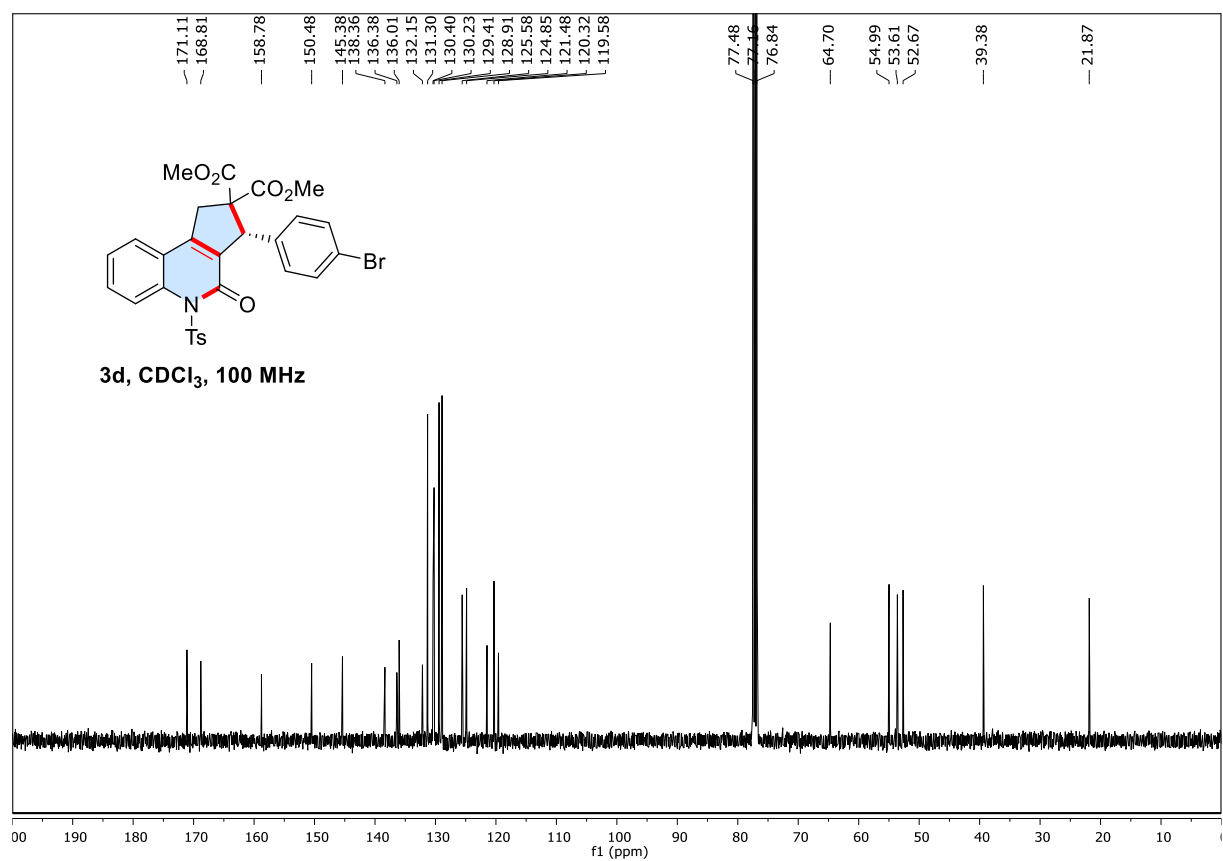
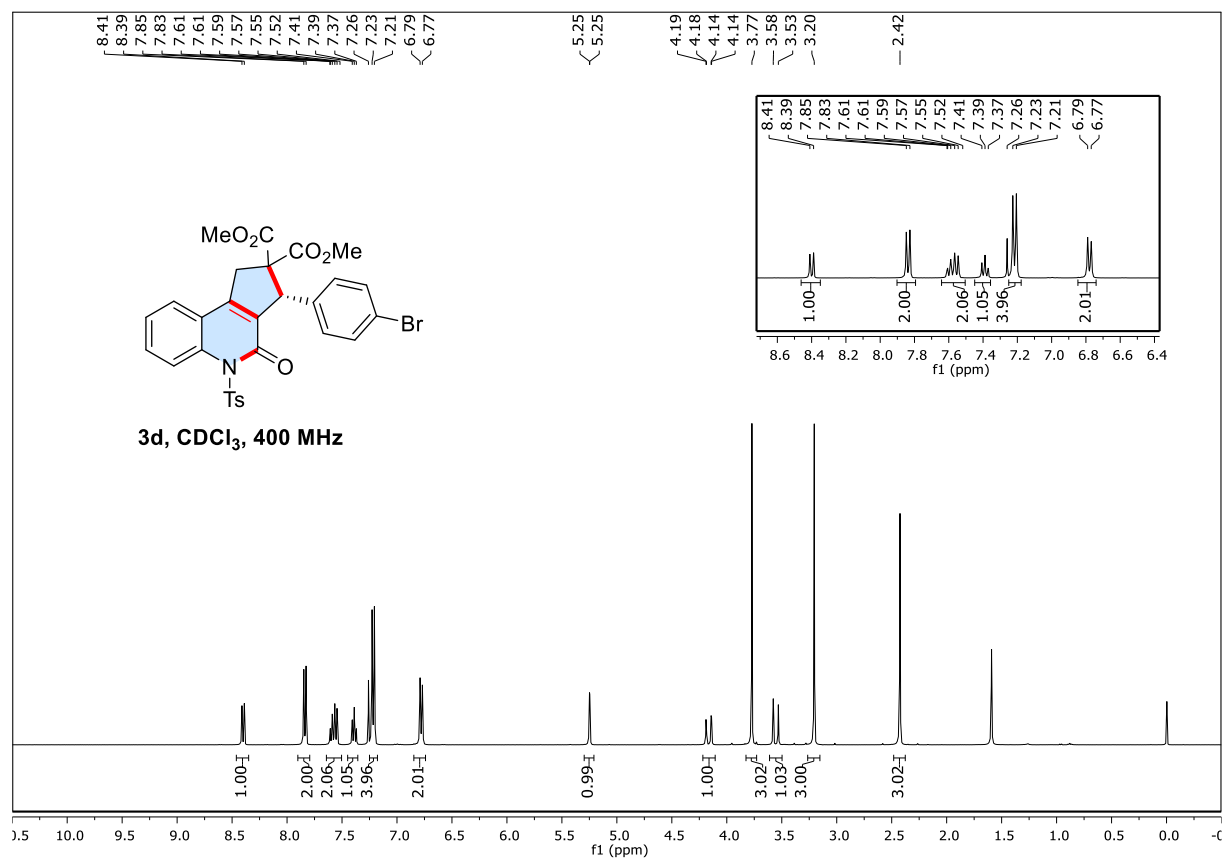
Dimethyl (*R*)-3-(4-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3b**)**



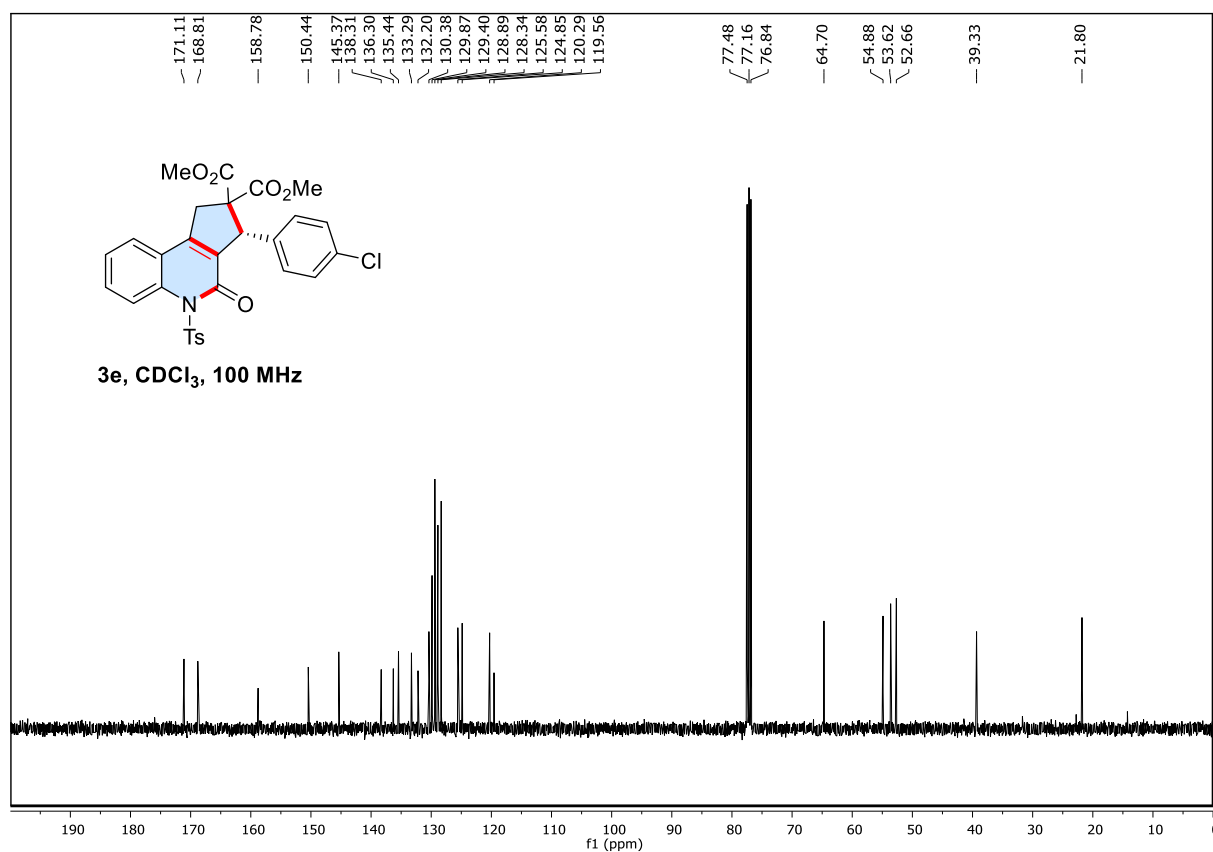
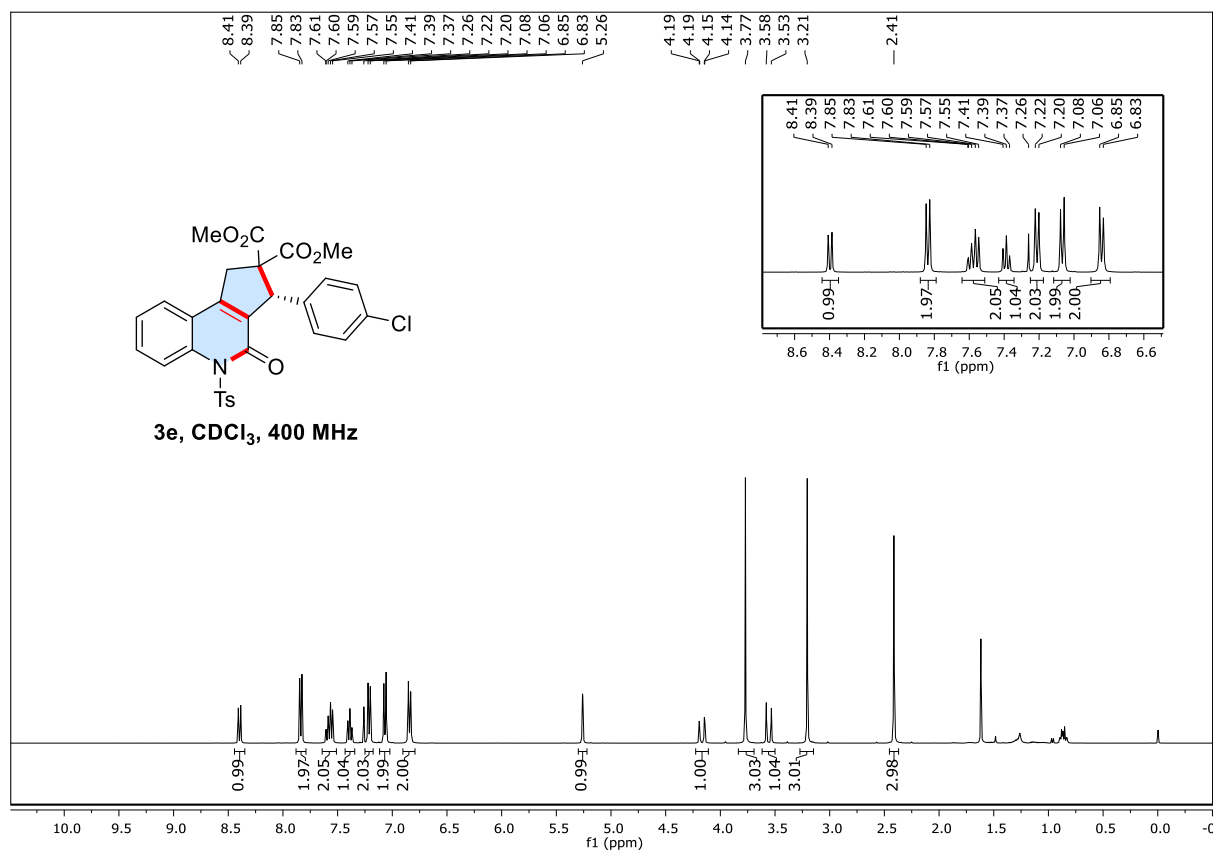
Dimethyl (*R*)-4-oxo-3-(*p*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3c**)**



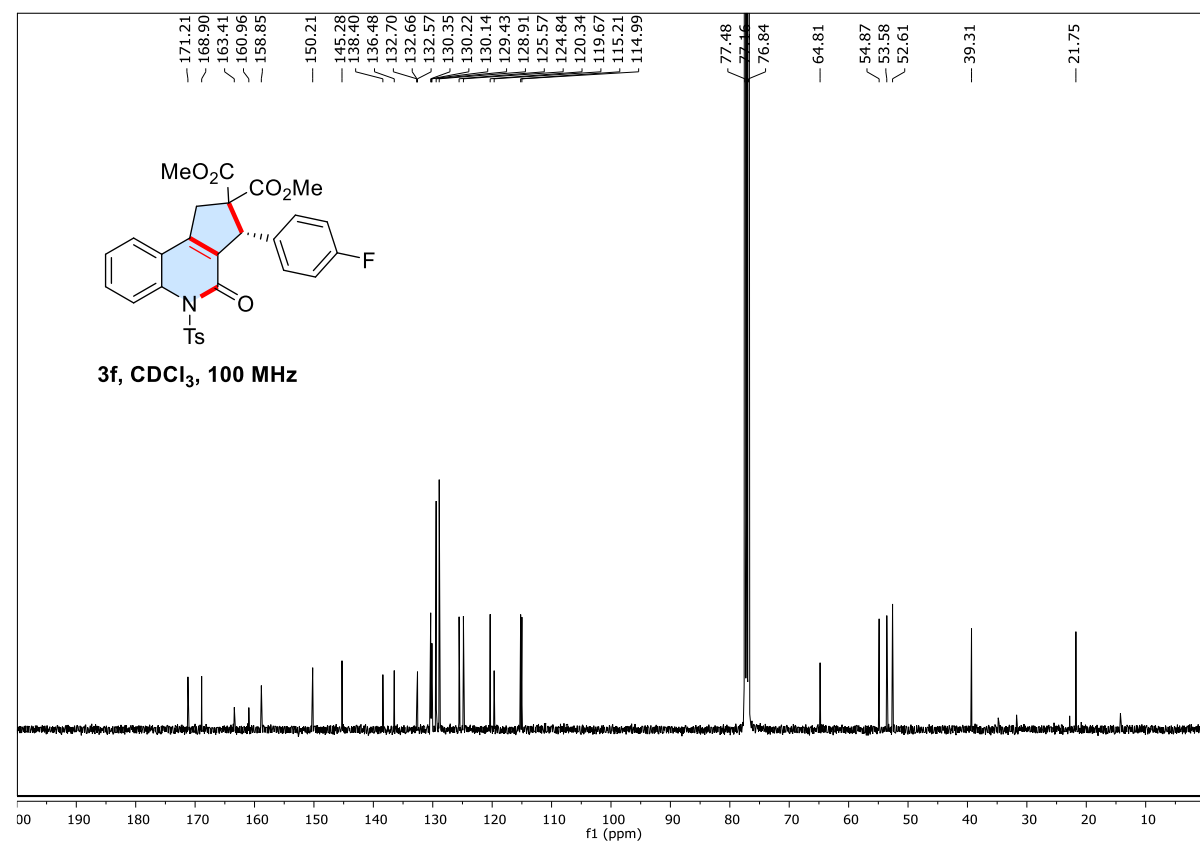
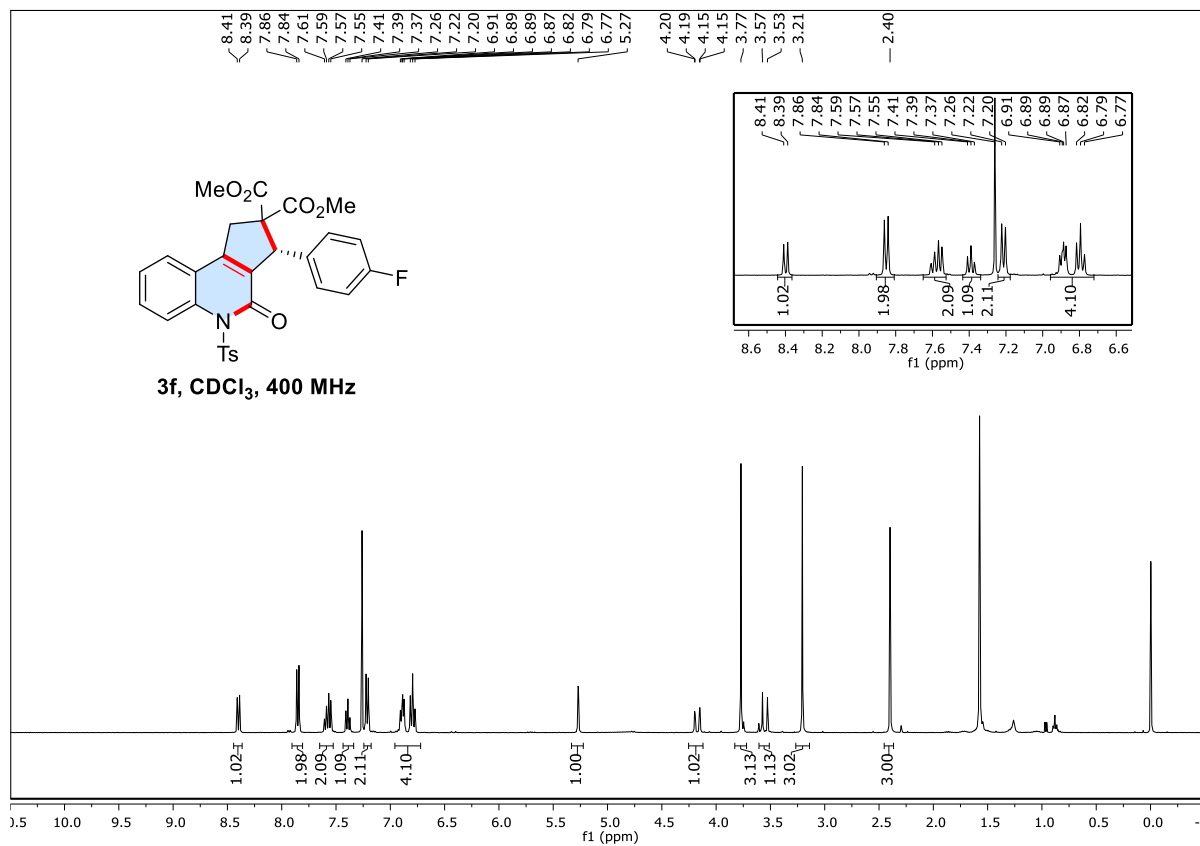
Dimethyl (*R*)-3-(4-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3d**)**



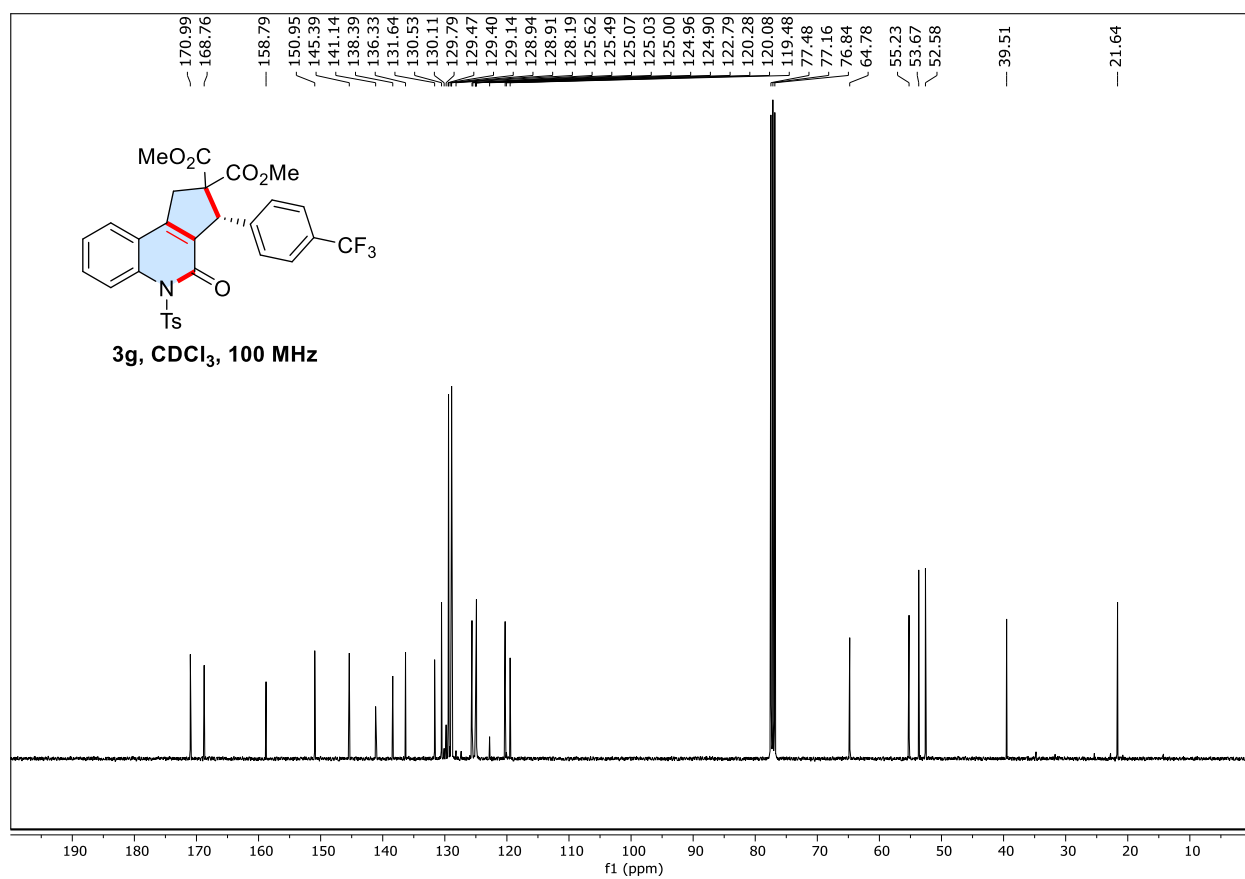
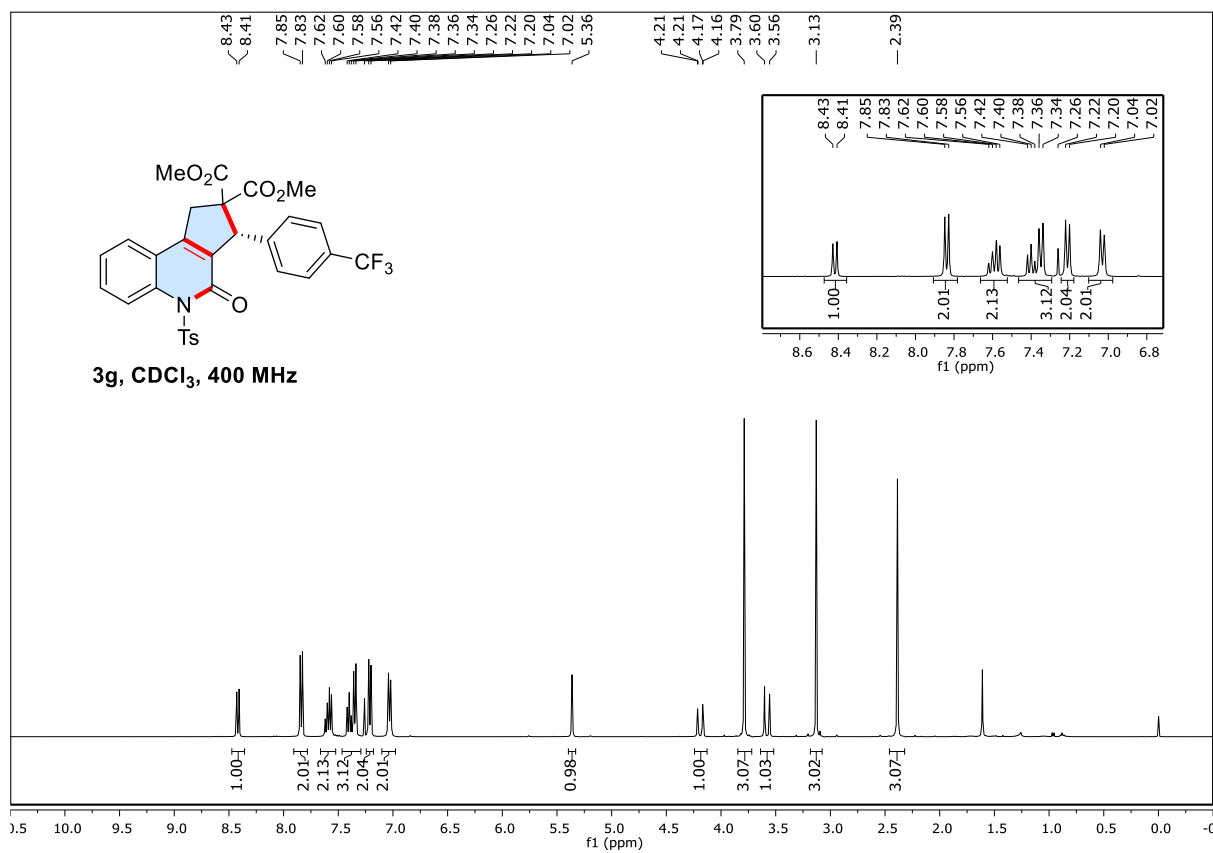
Dimethyl (*R*)-3-(4-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3e**)**



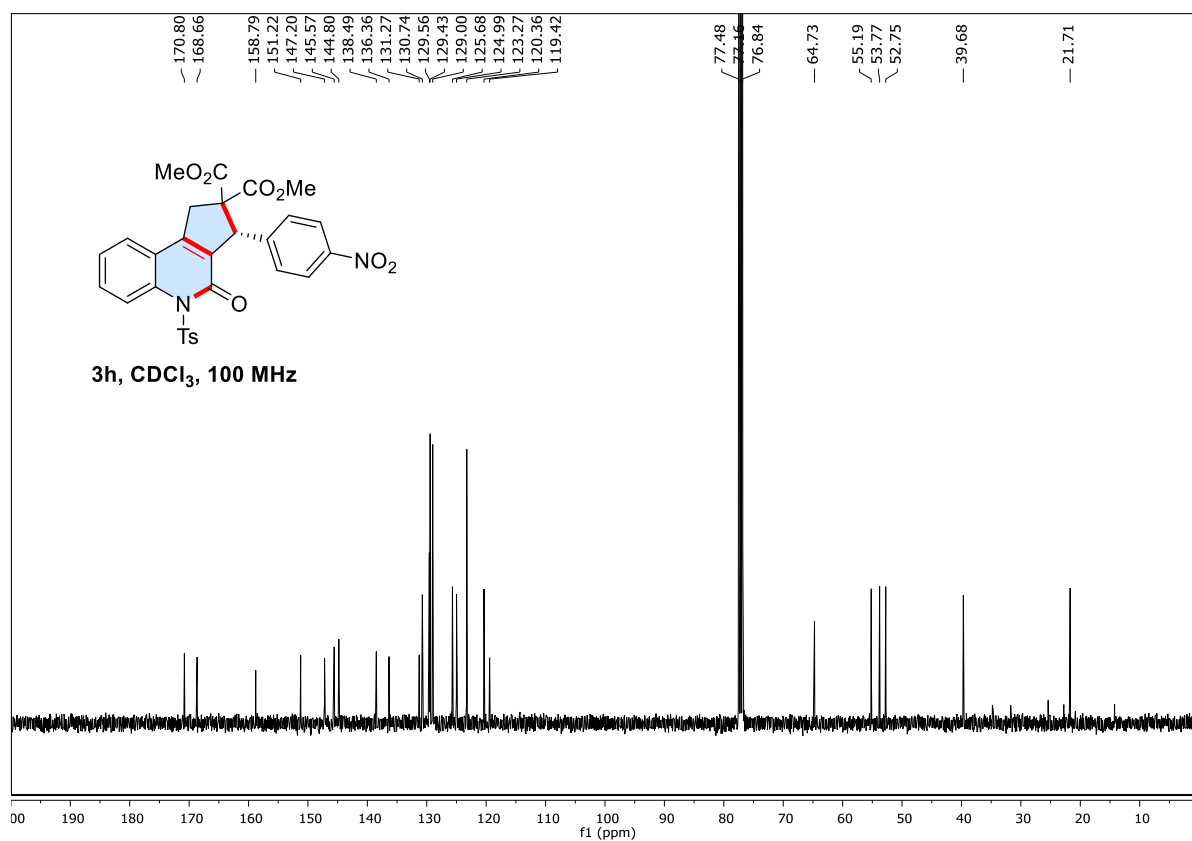
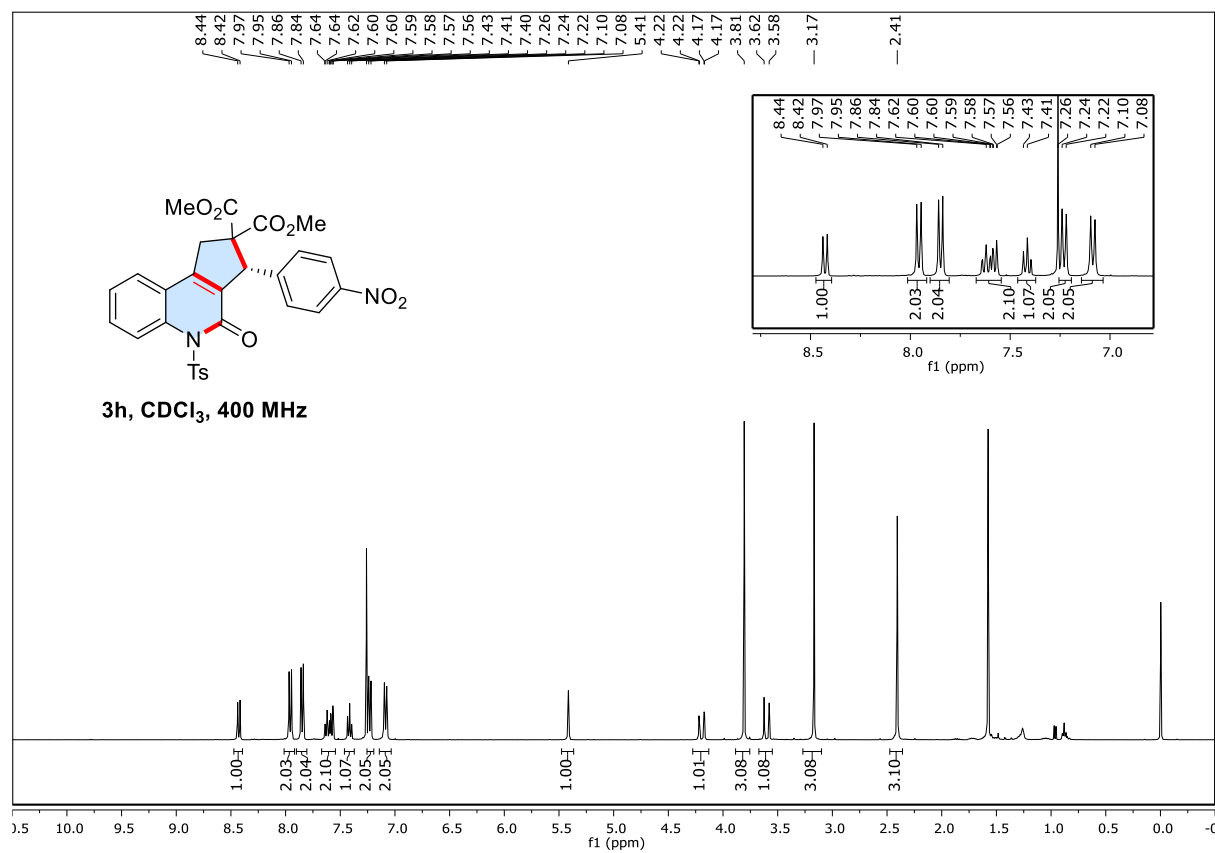
Dimethyl (*R*)-3-(4-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3f**)**



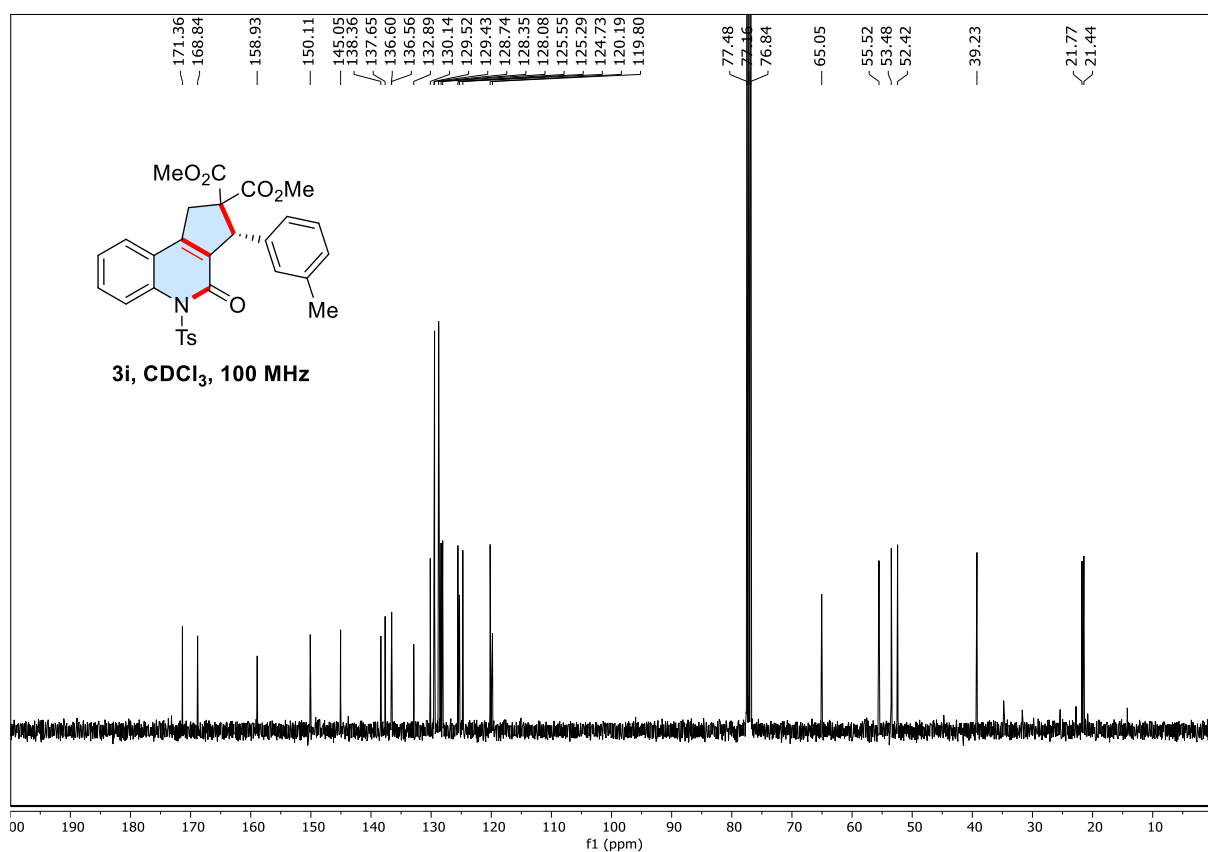
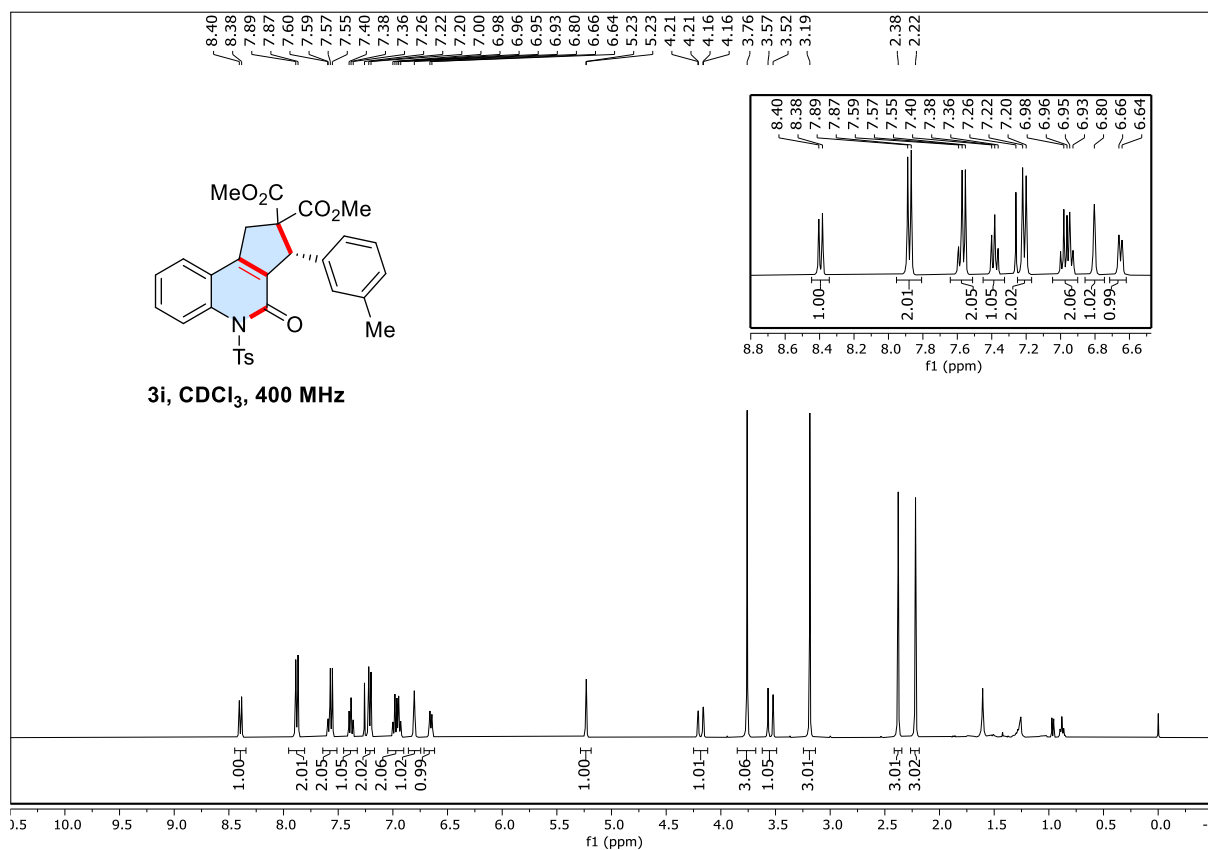
Dimethyl (*R*)-4-oxo-5-tosyl-3-(4-(trifluoromethyl)phenyl)-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3g**)**



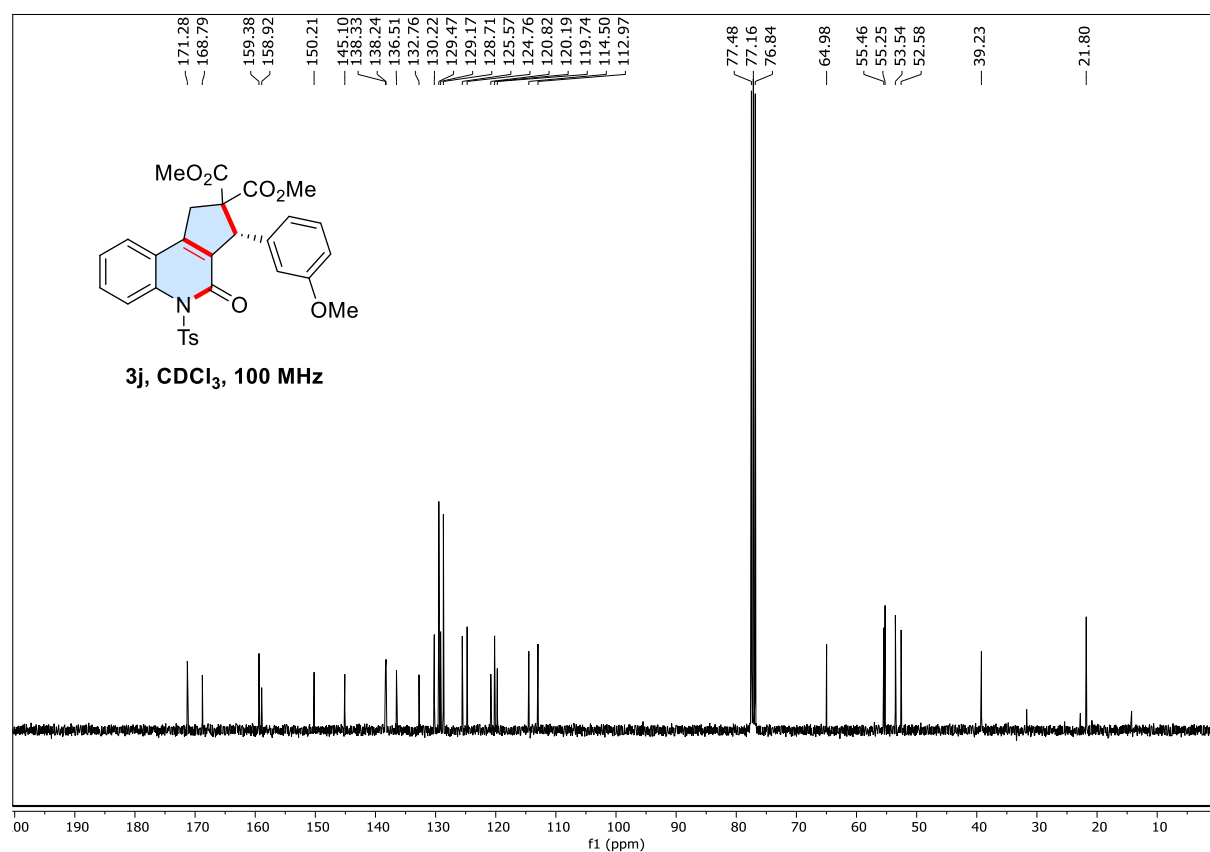
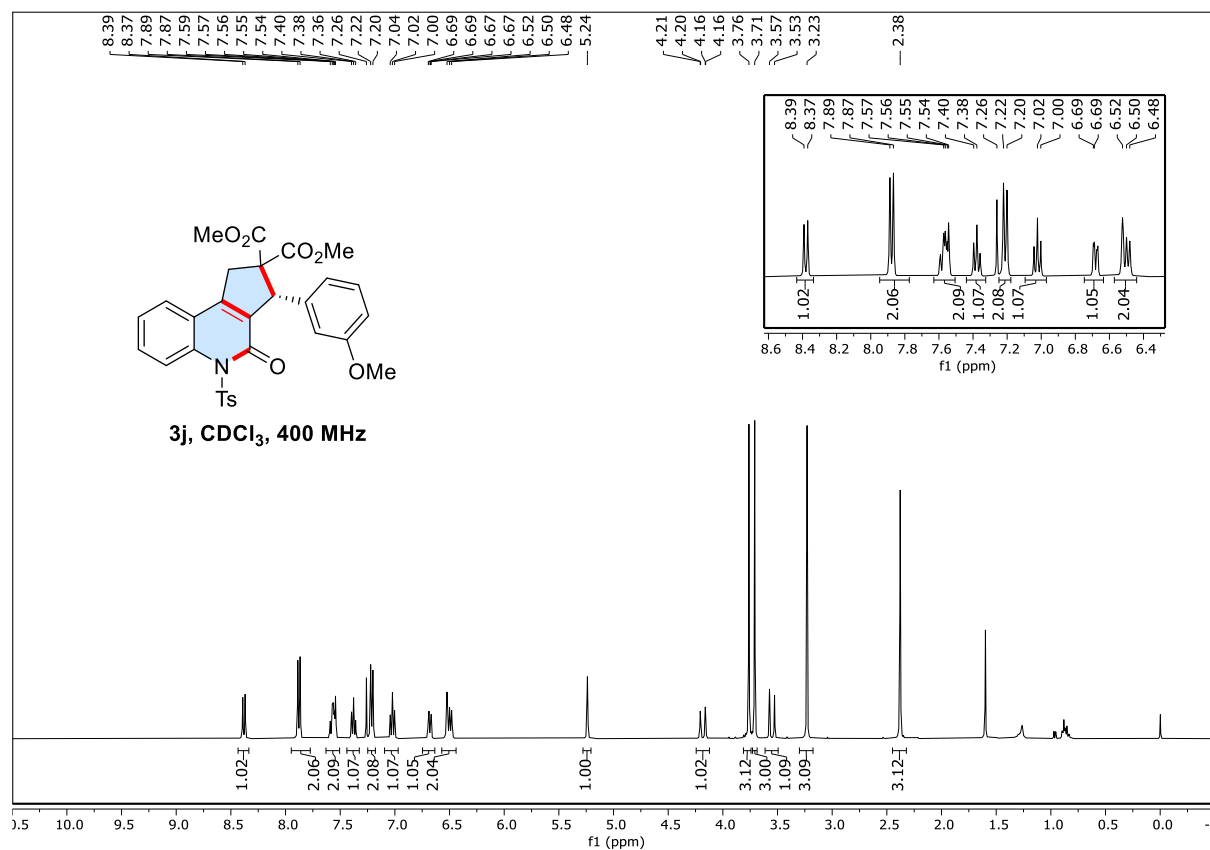
Dimethyl (*R*)-3-(4-nitrophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3h**)**



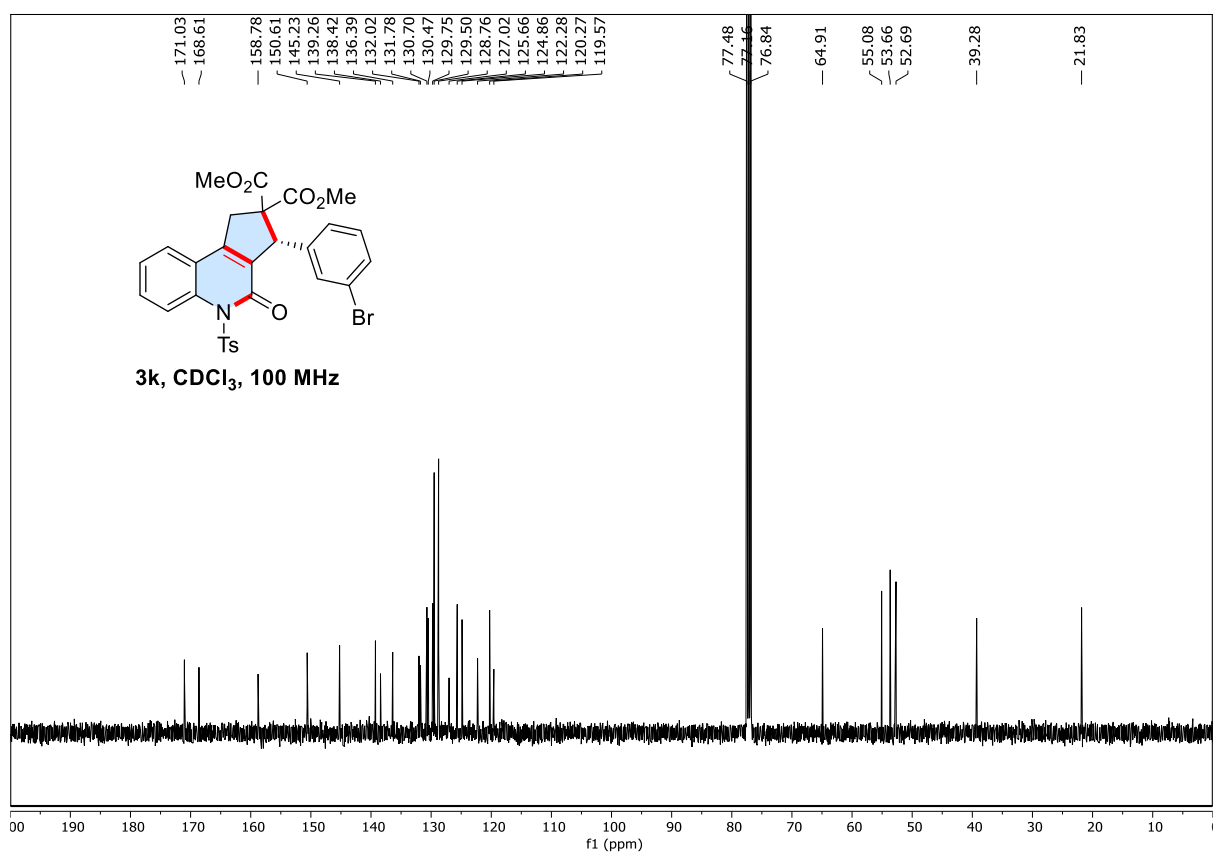
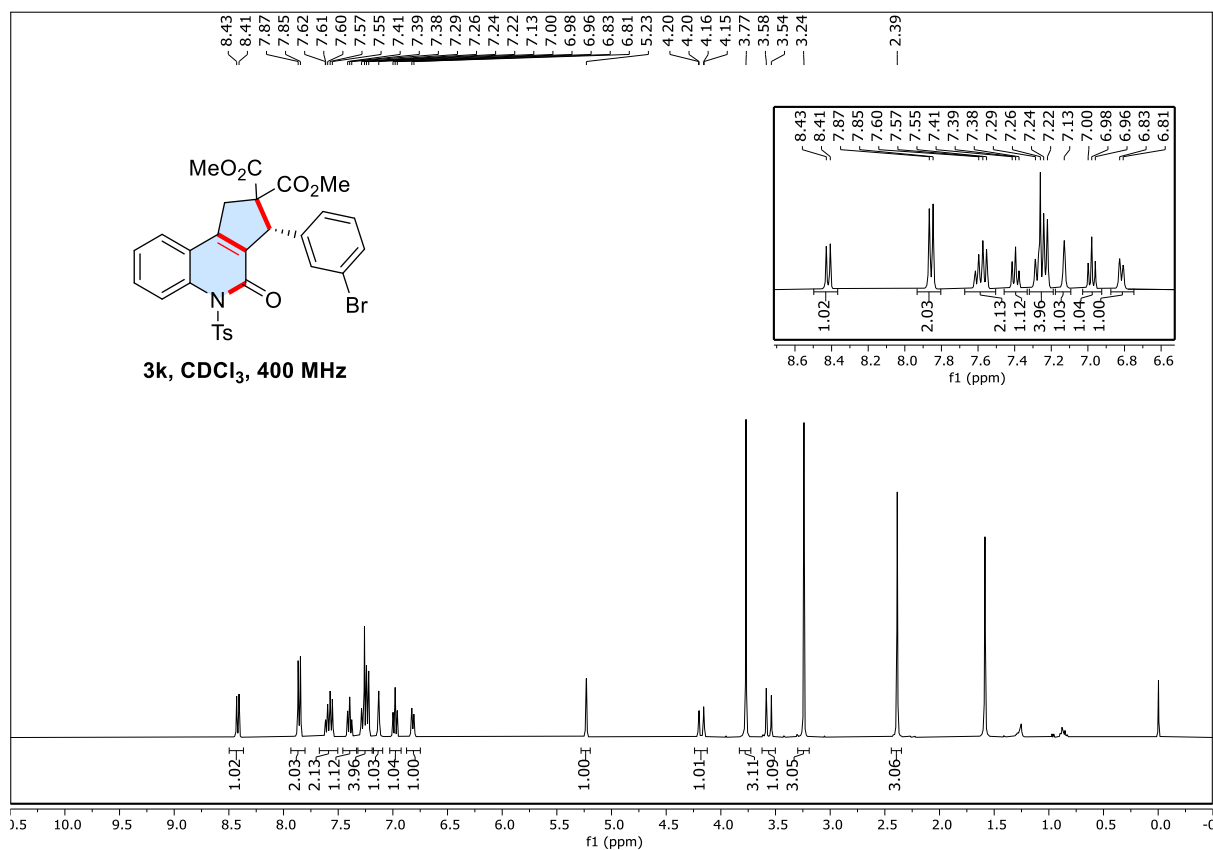
Dimethyl (*R*)-4-oxo-3-(*m*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3i**)**



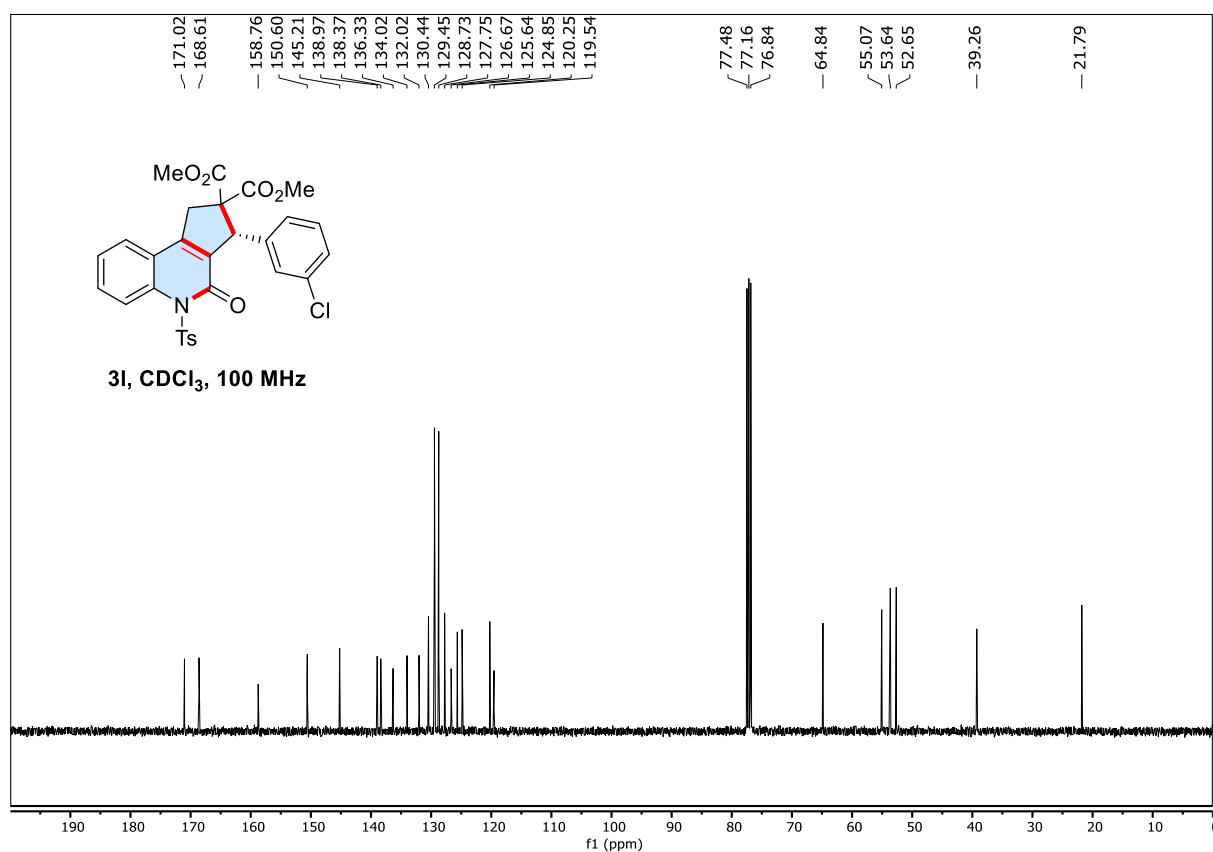
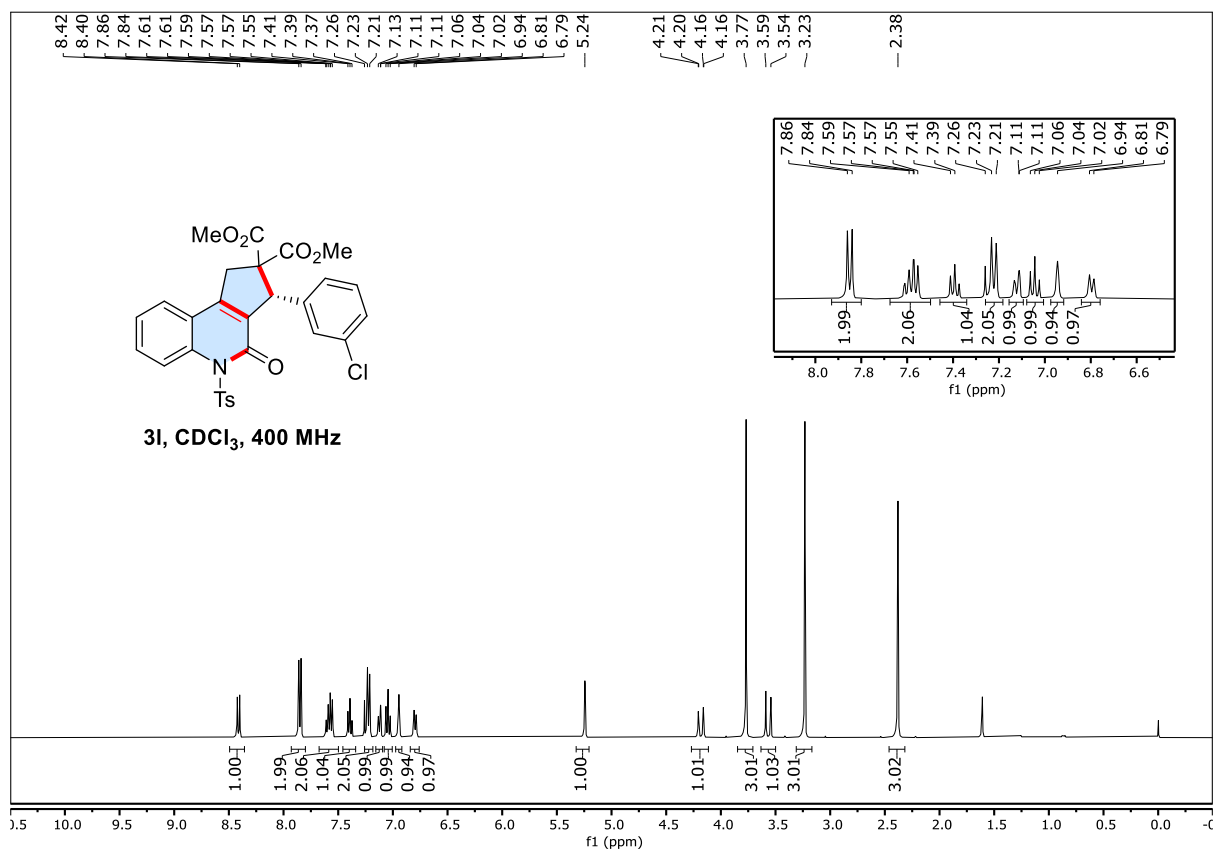
**Dimethyl (*R*)-3-(3-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopen-
ta[*c*]quinoline-2,2-dicarboxylate (**3j**)**



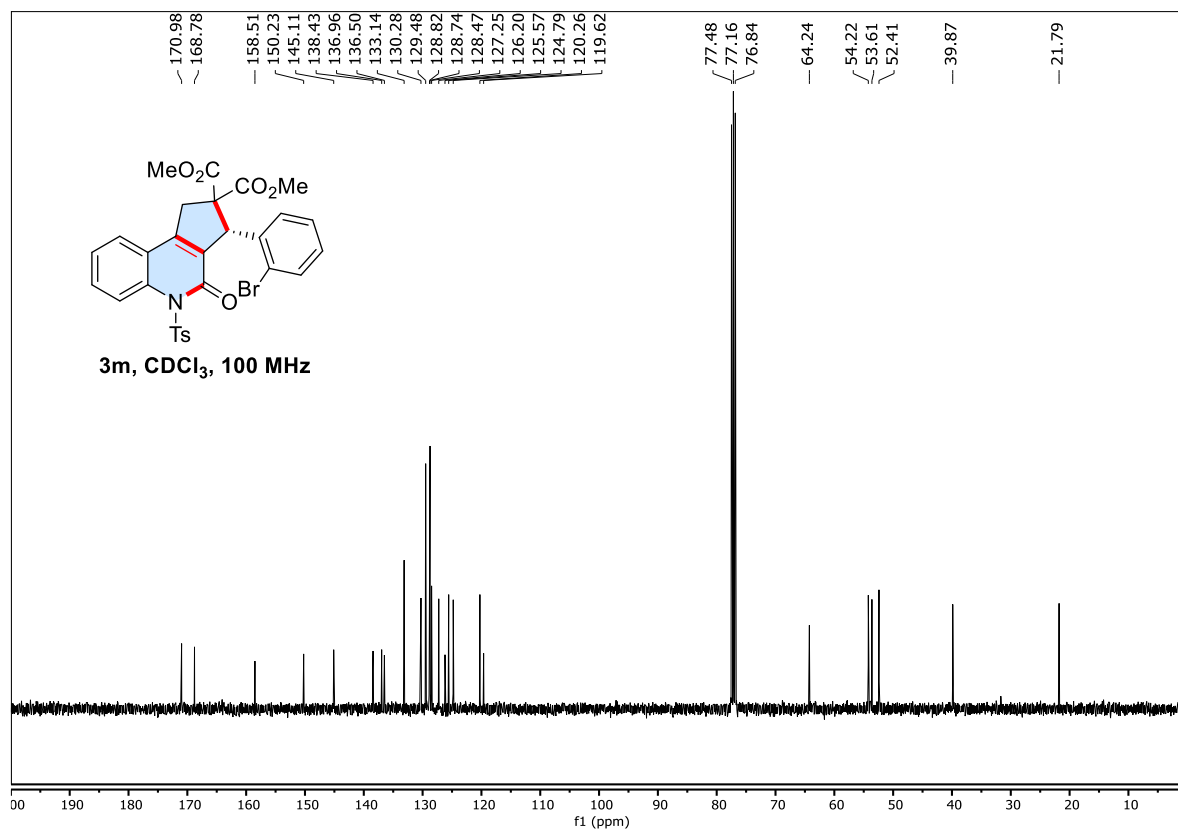
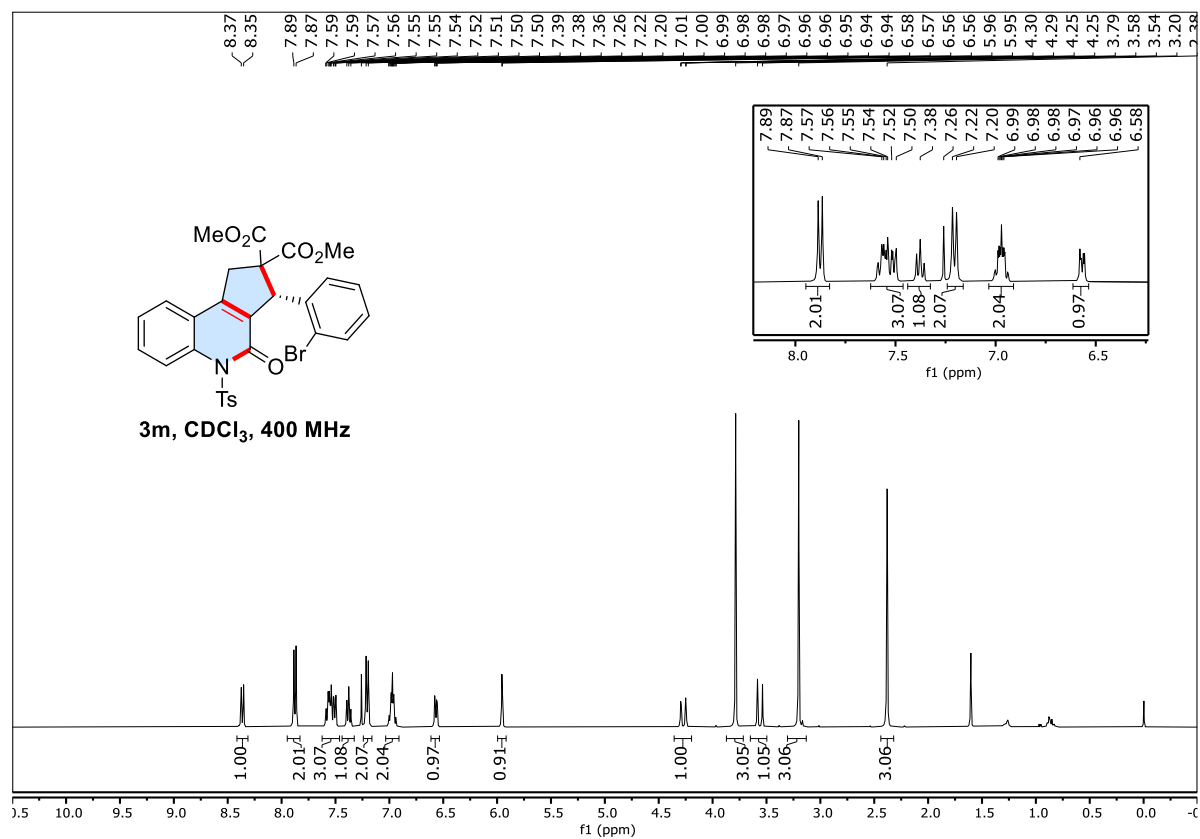
Dimethyl (*R*)-3-(3-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3k**)**



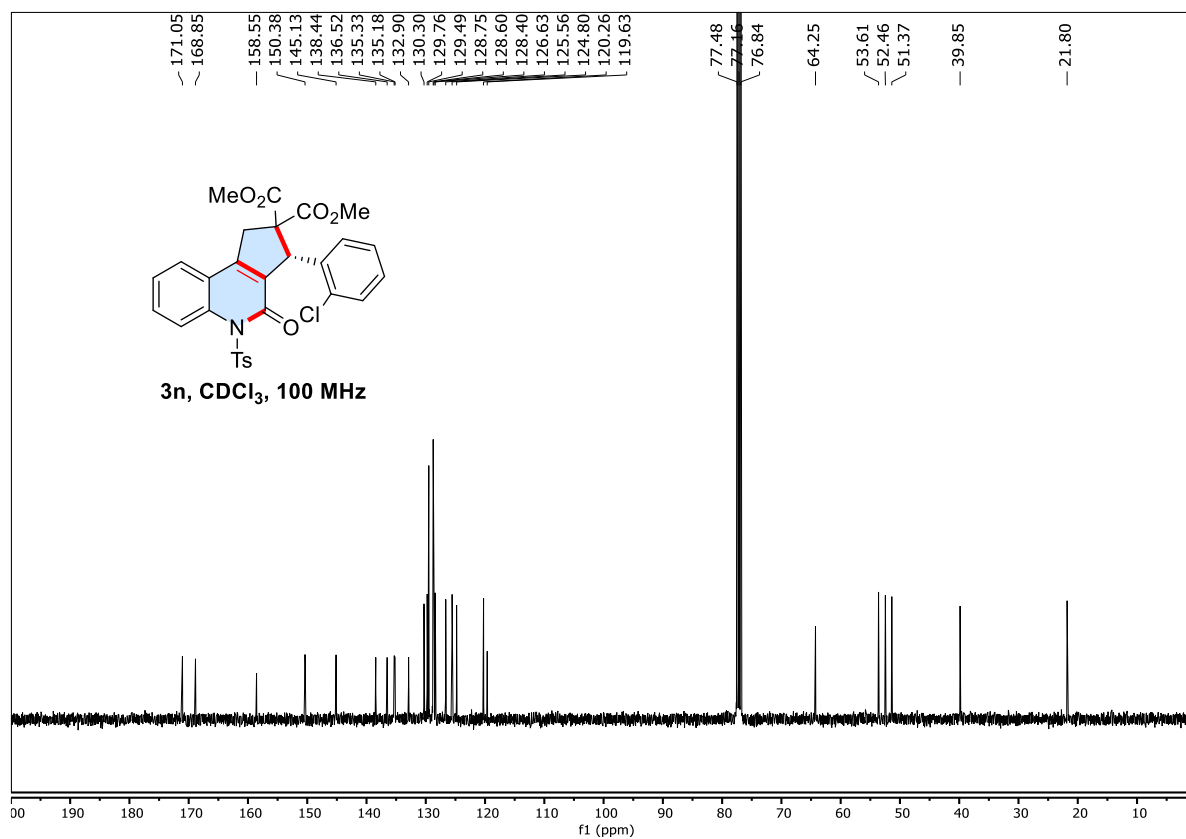
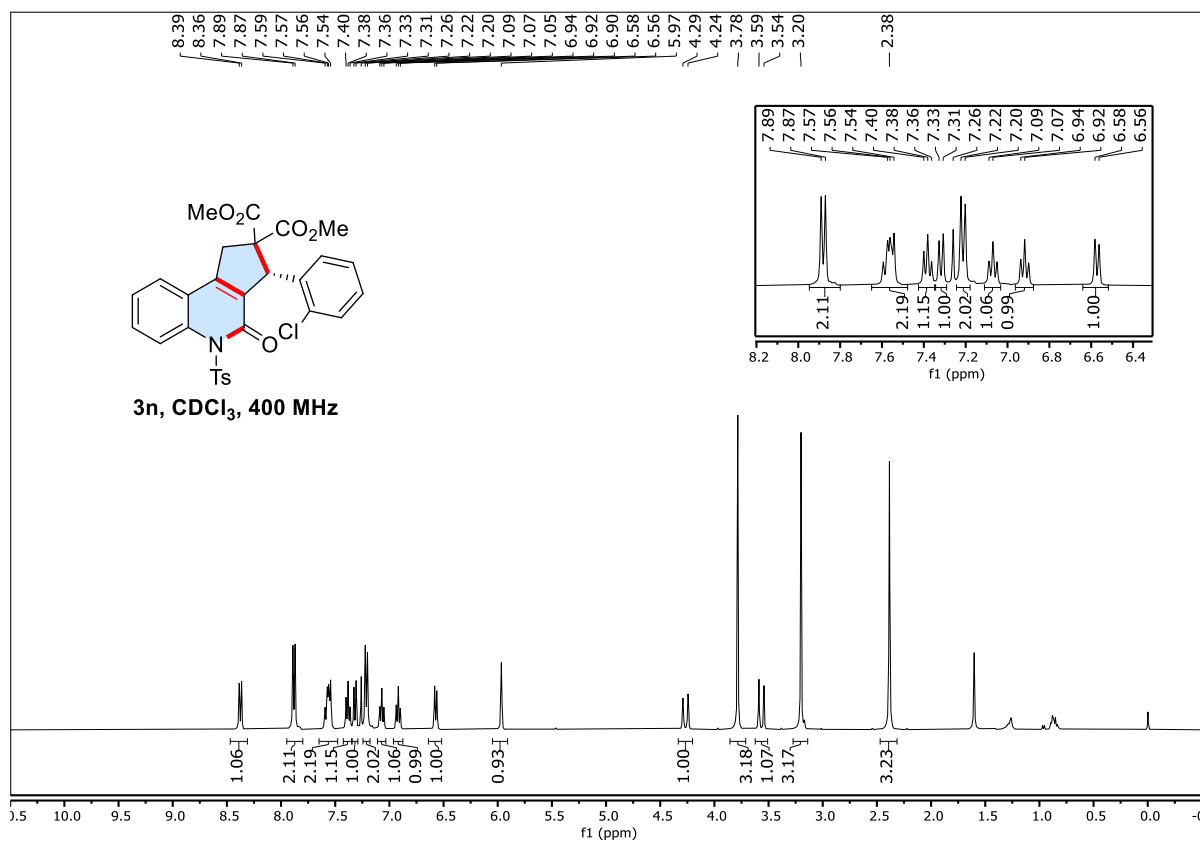
Dimethyl (*R*)-3-(3-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3I**)**



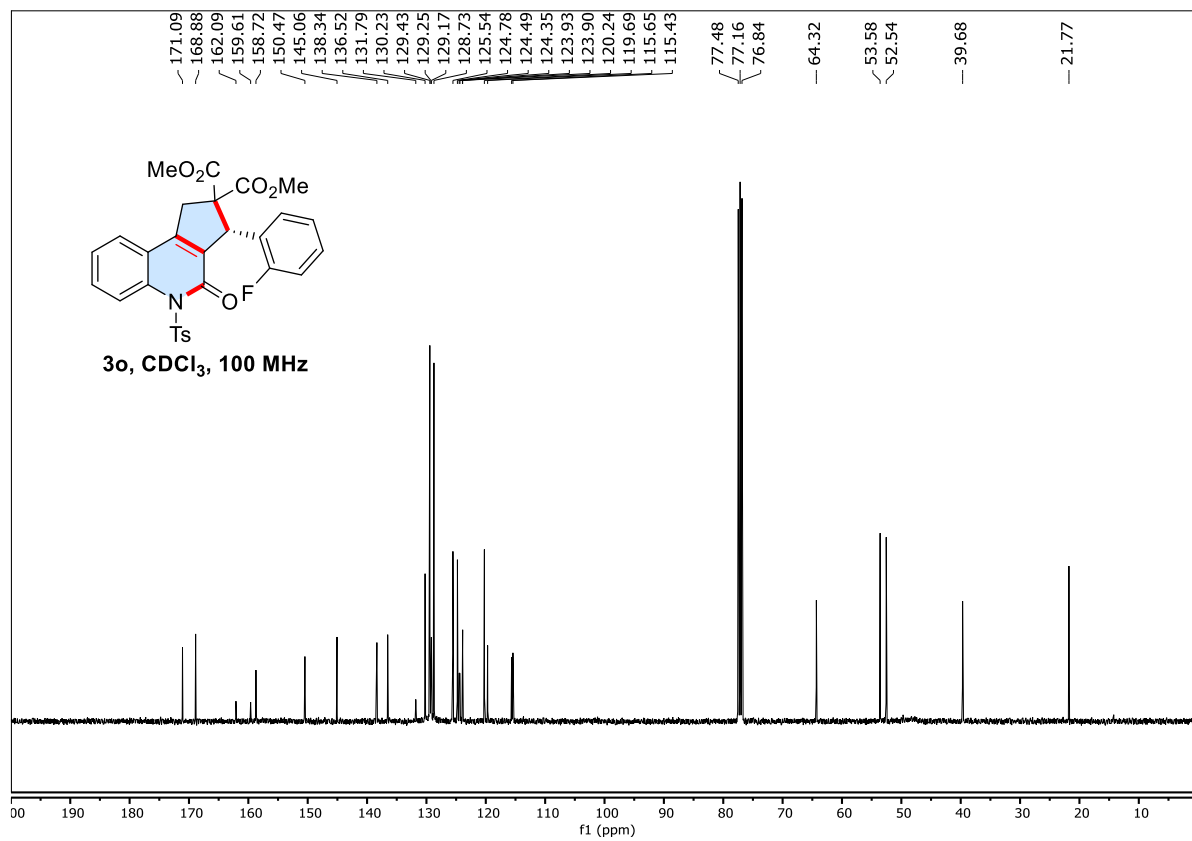
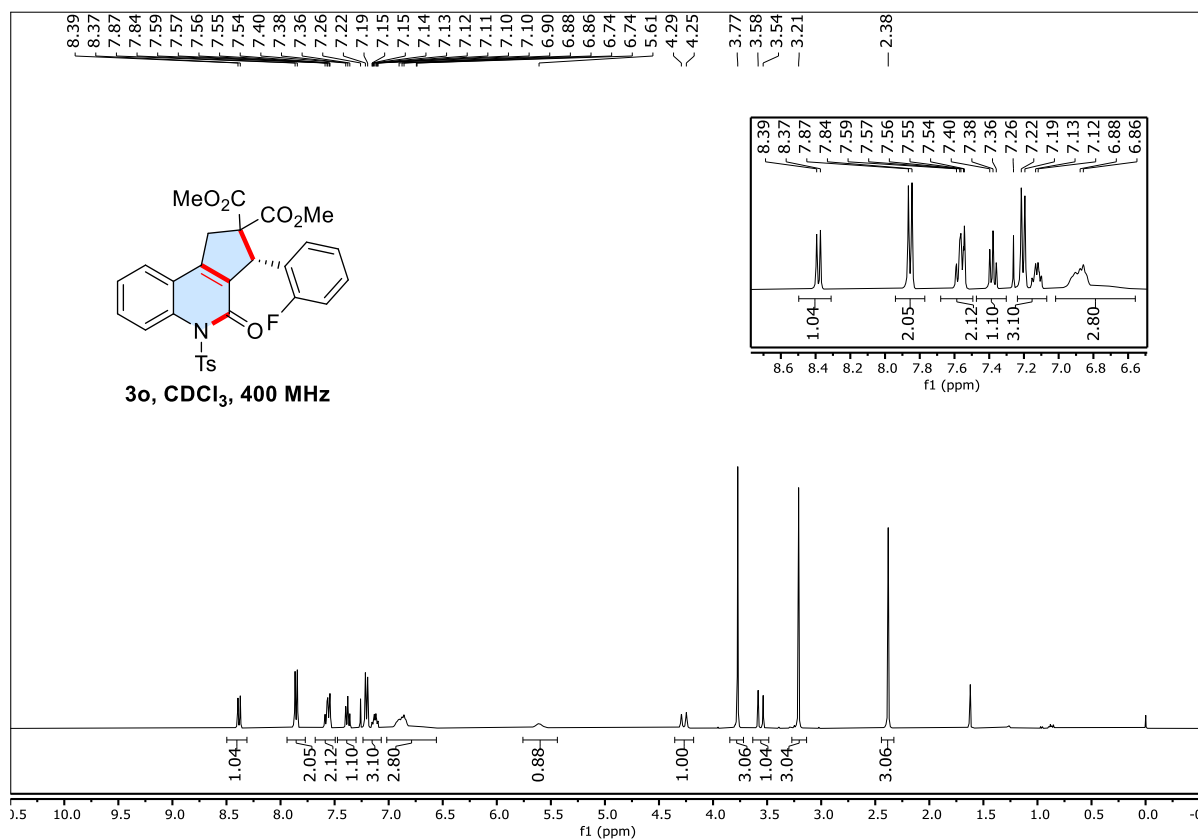
Dimethyl (*R*)-3-(2-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3m**)**



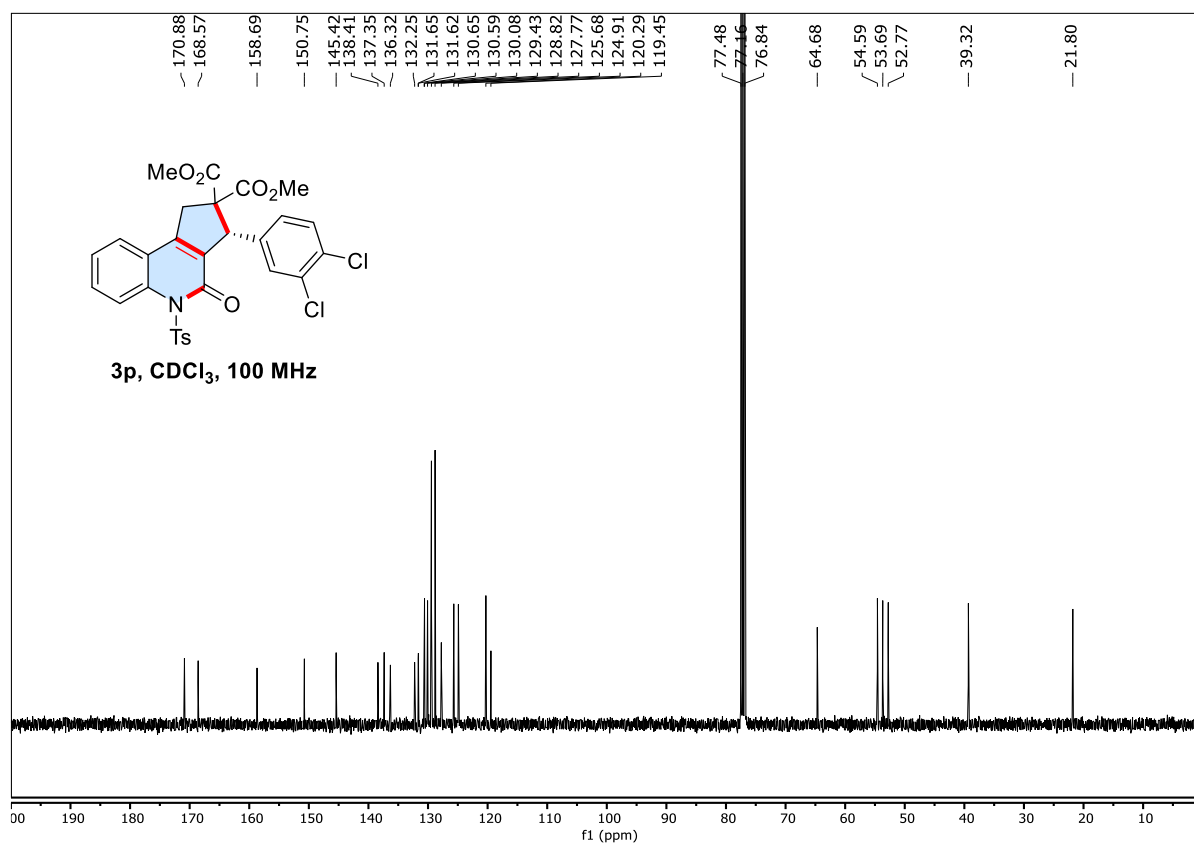
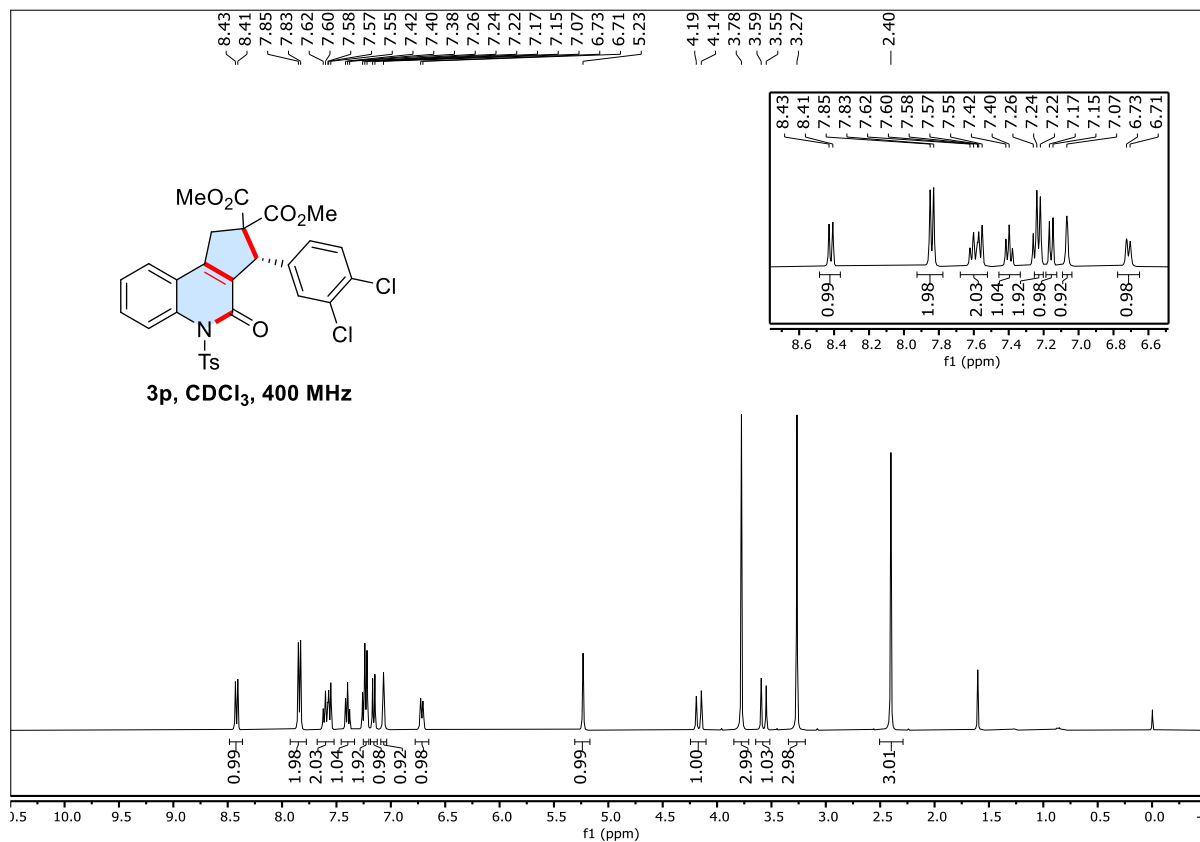
Dimethyl (*R*)-3-(2-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3n**)**



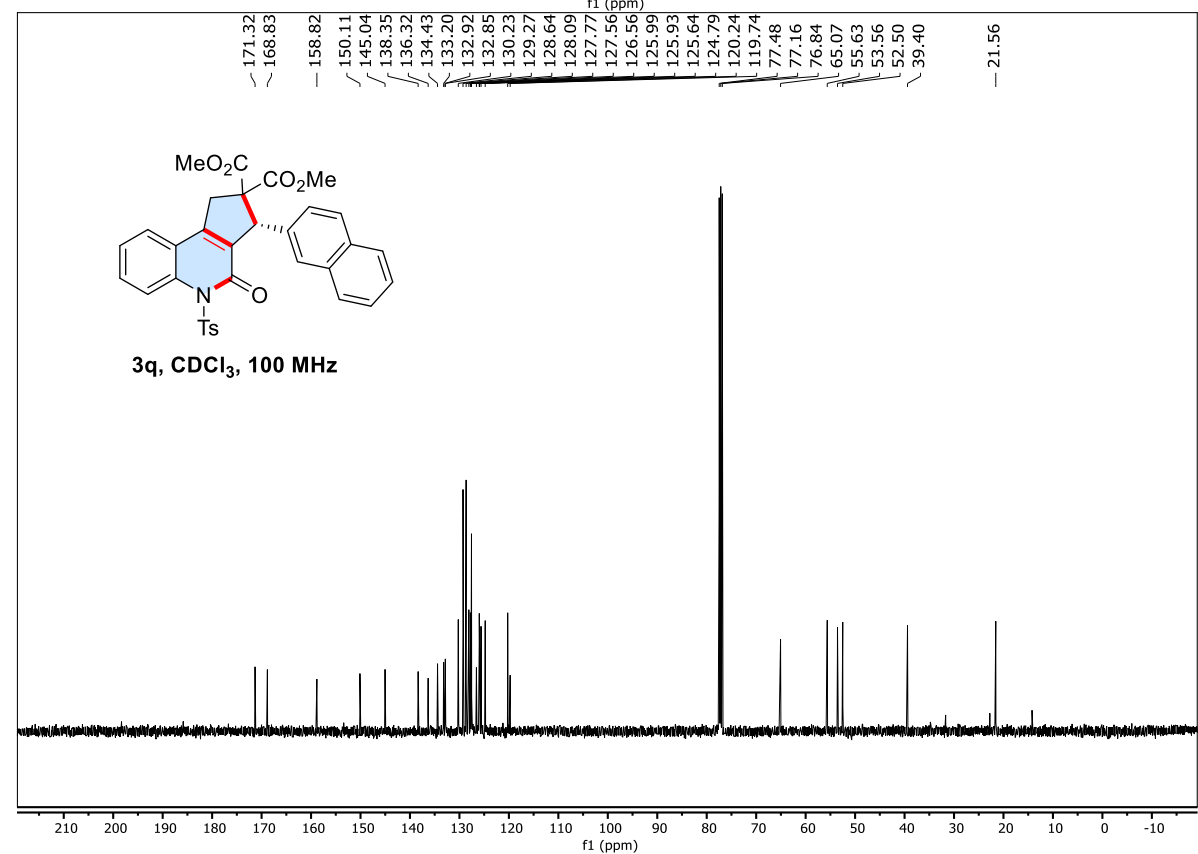
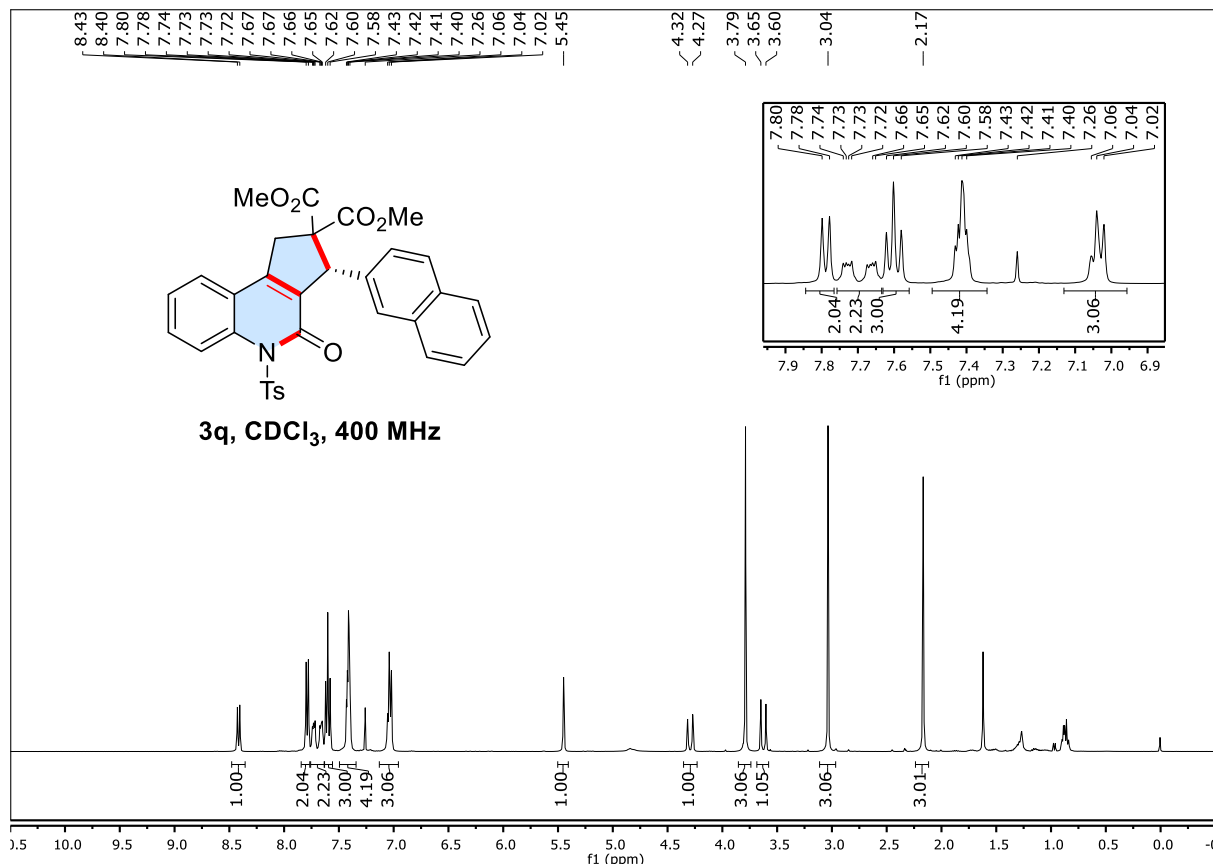
Dimethyl (*R*)-3-(2-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3o**)**



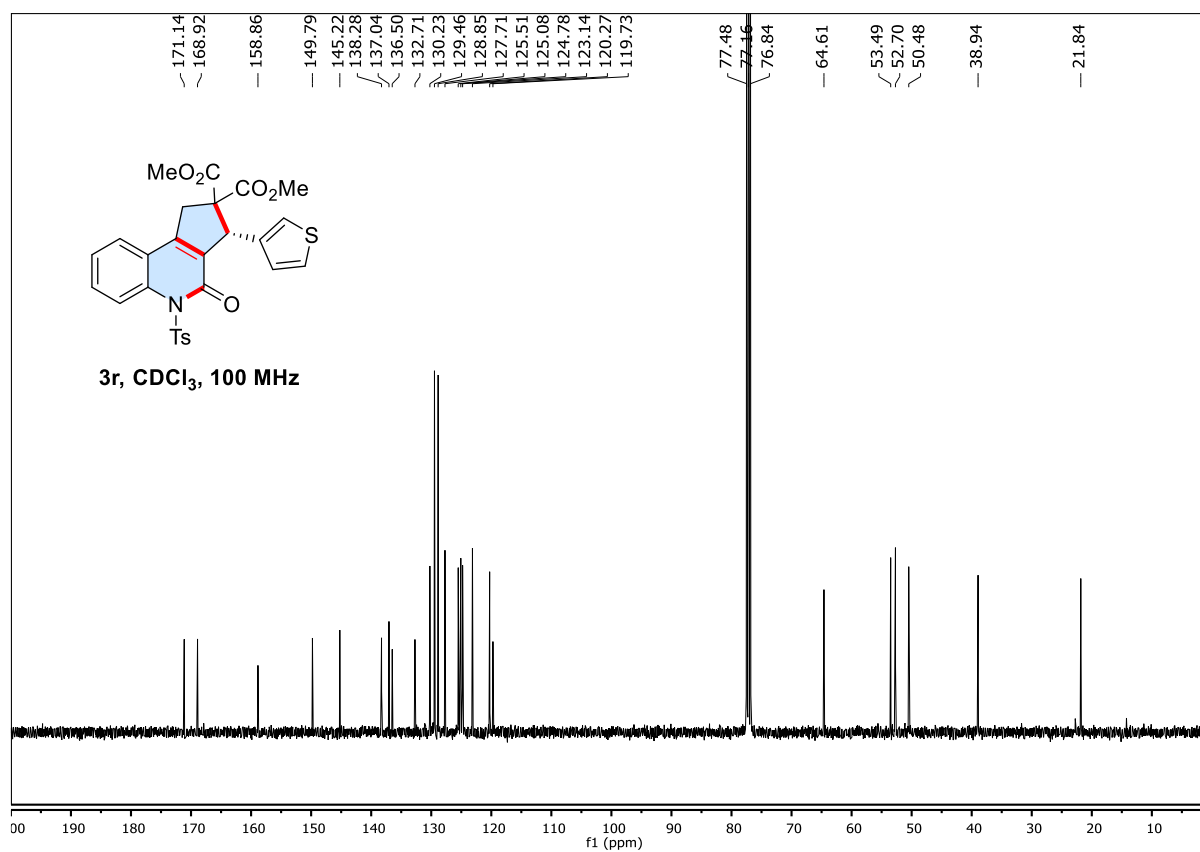
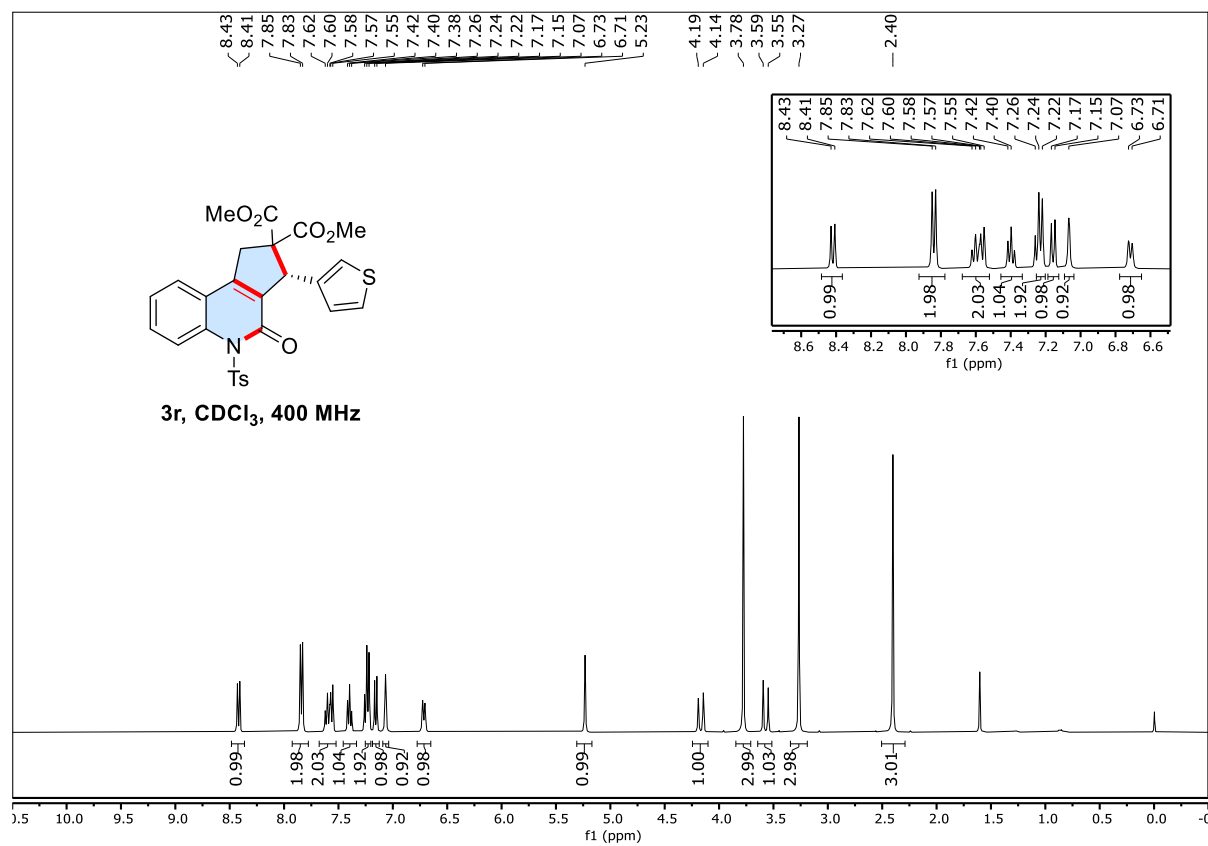
Dimethyl (*R*)-3-(3,4-dichlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3p**)**



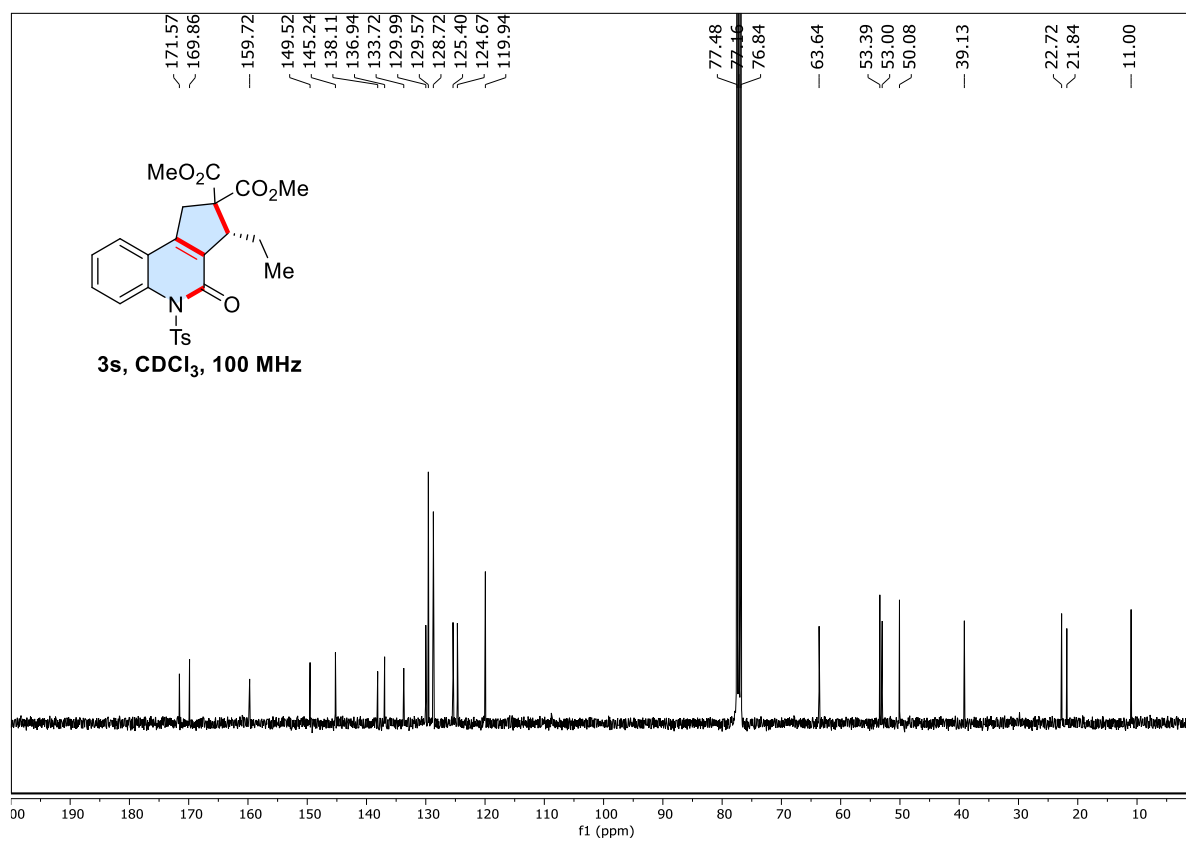
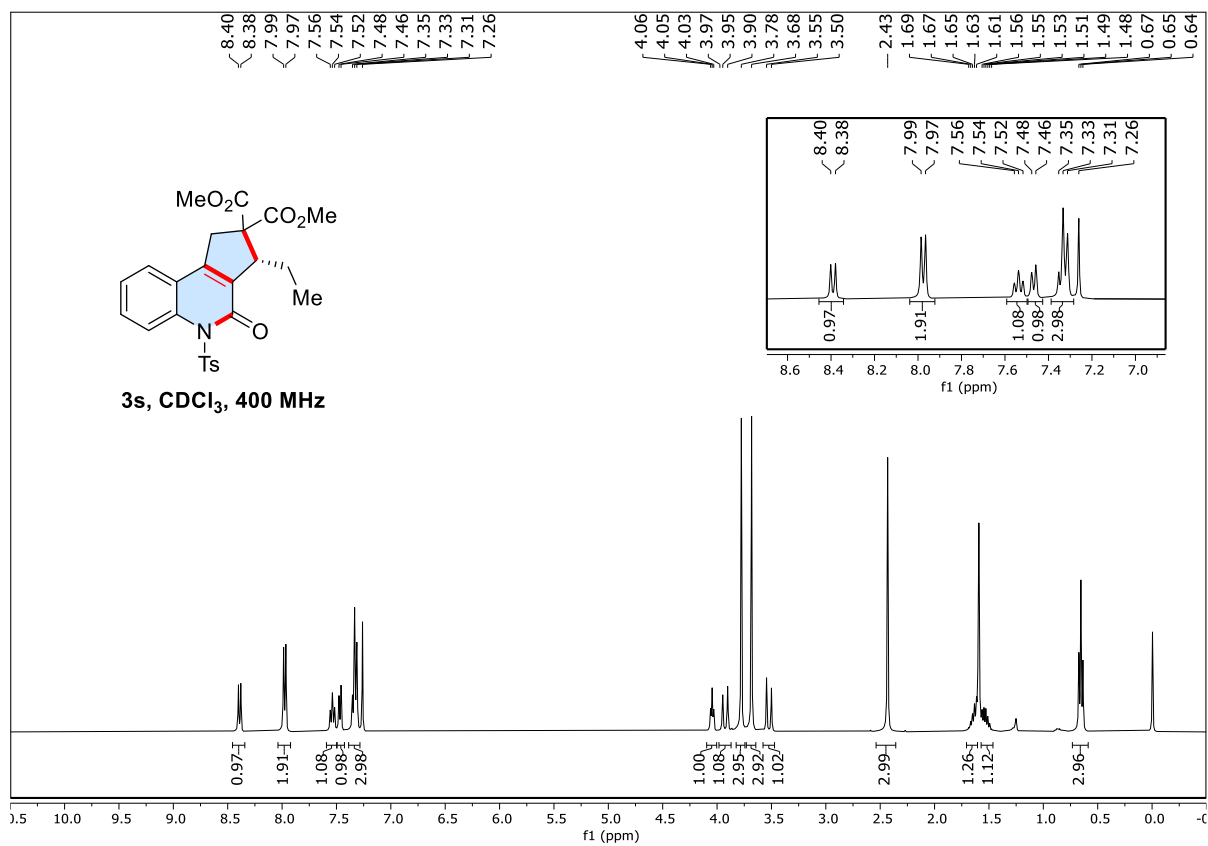
Dimethyl (*R*)-3-(naphthalen-2-yl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3q**)**



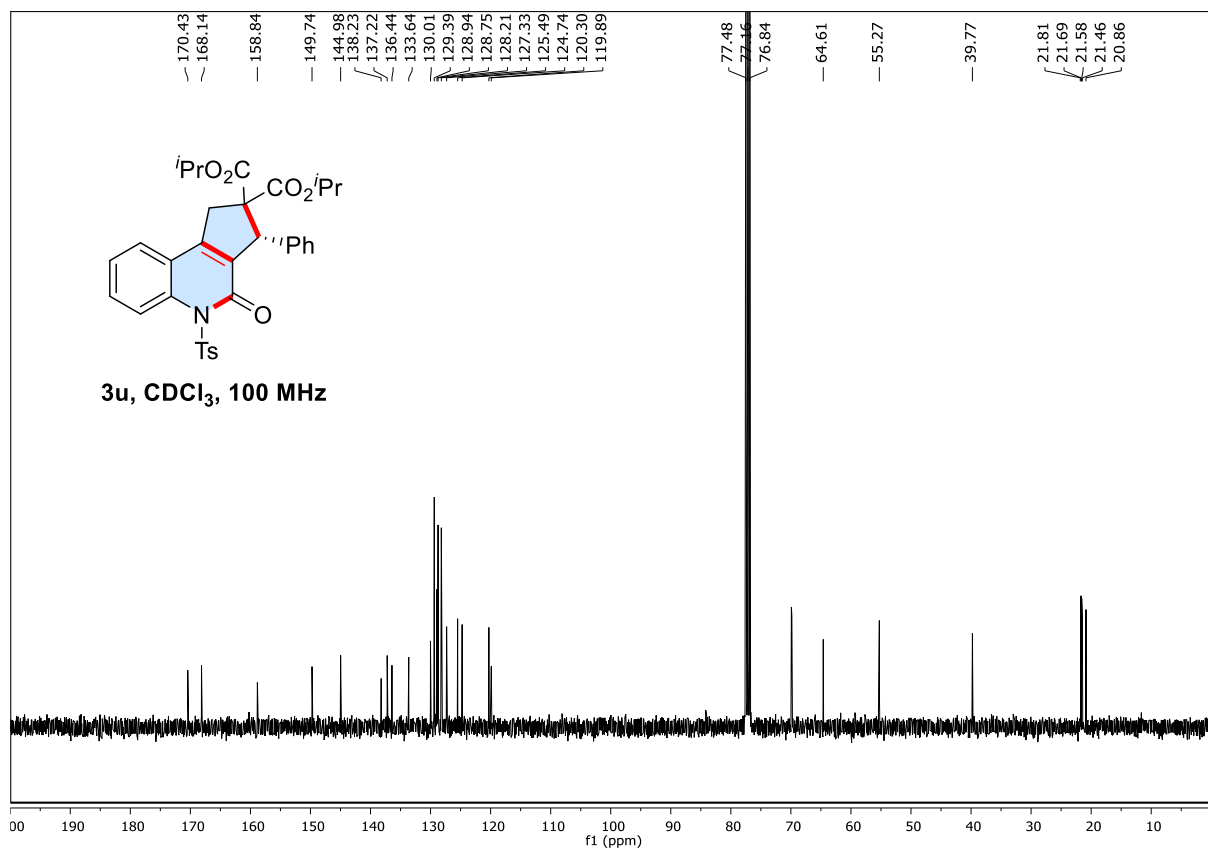
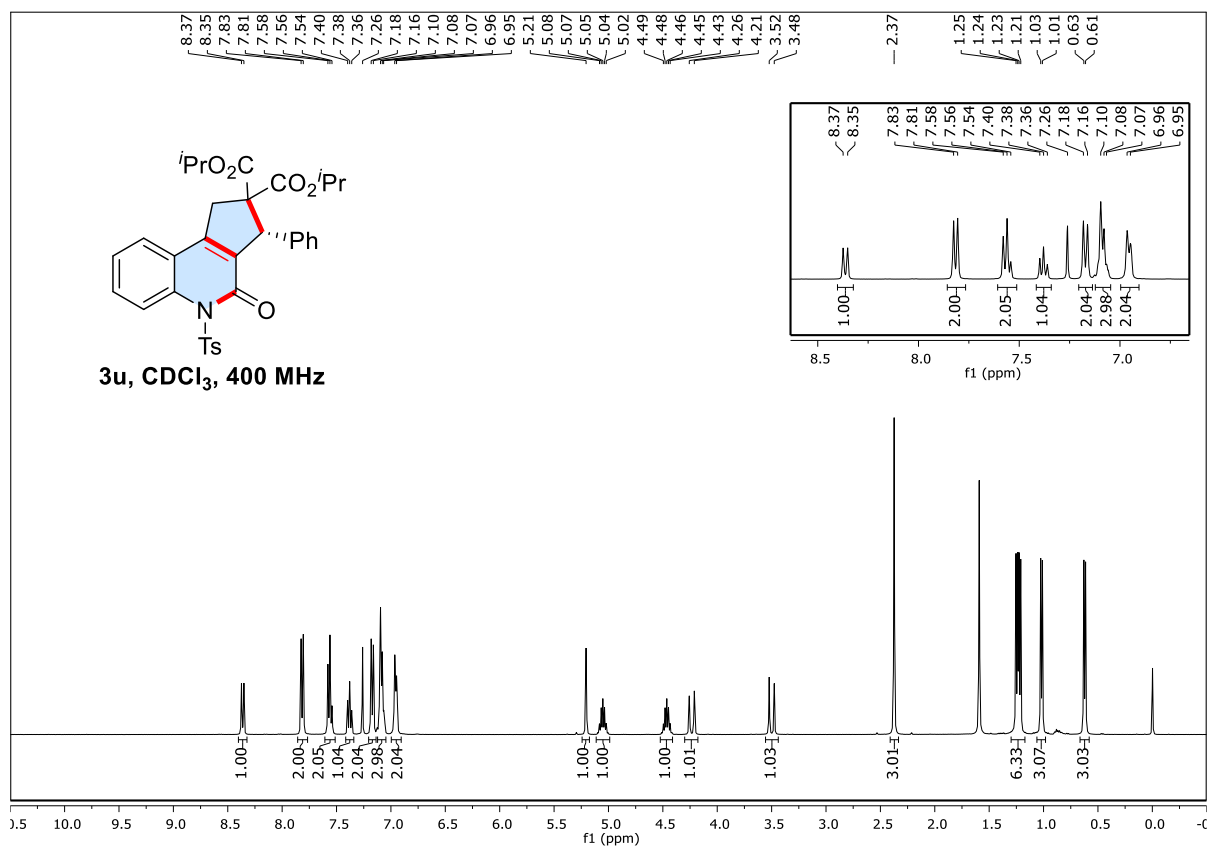
Dimethyl (*R*)-4-oxo-3-(thiophen-3-yl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3r**)**



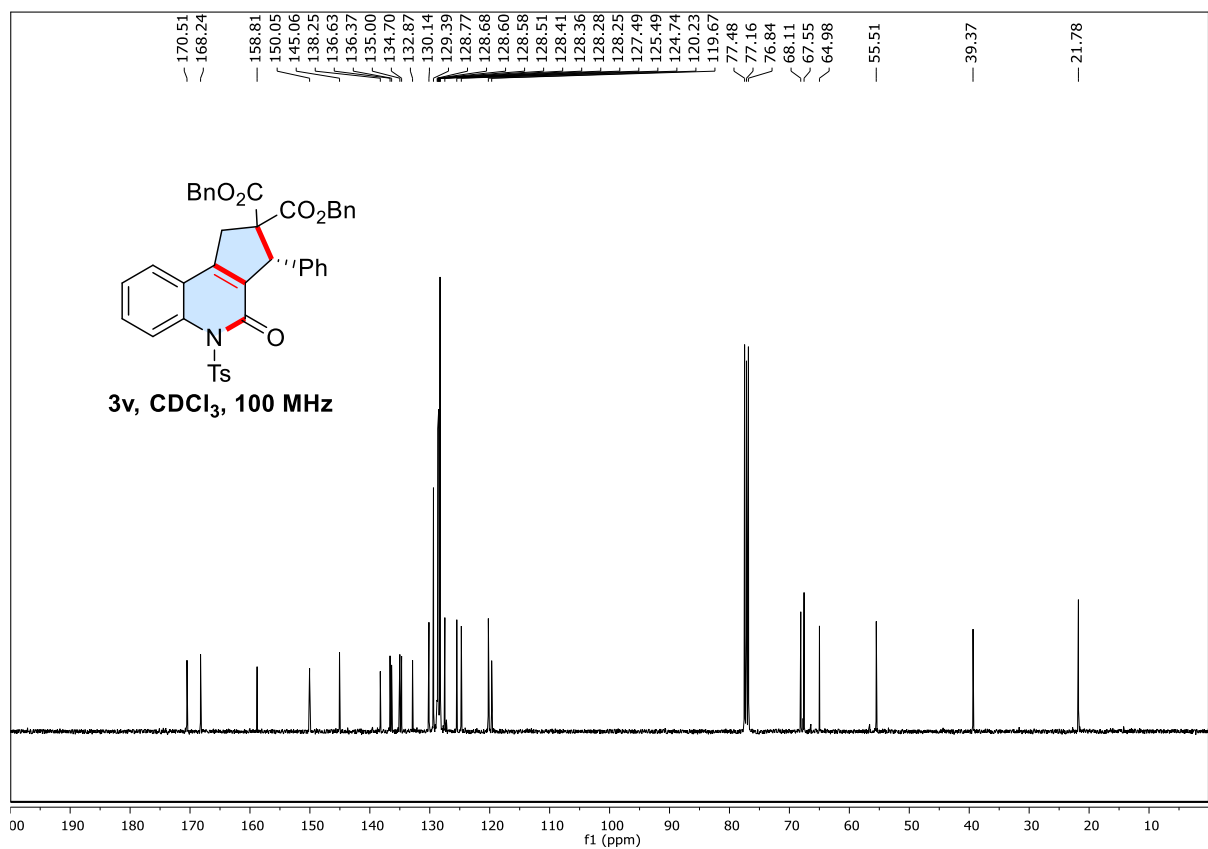
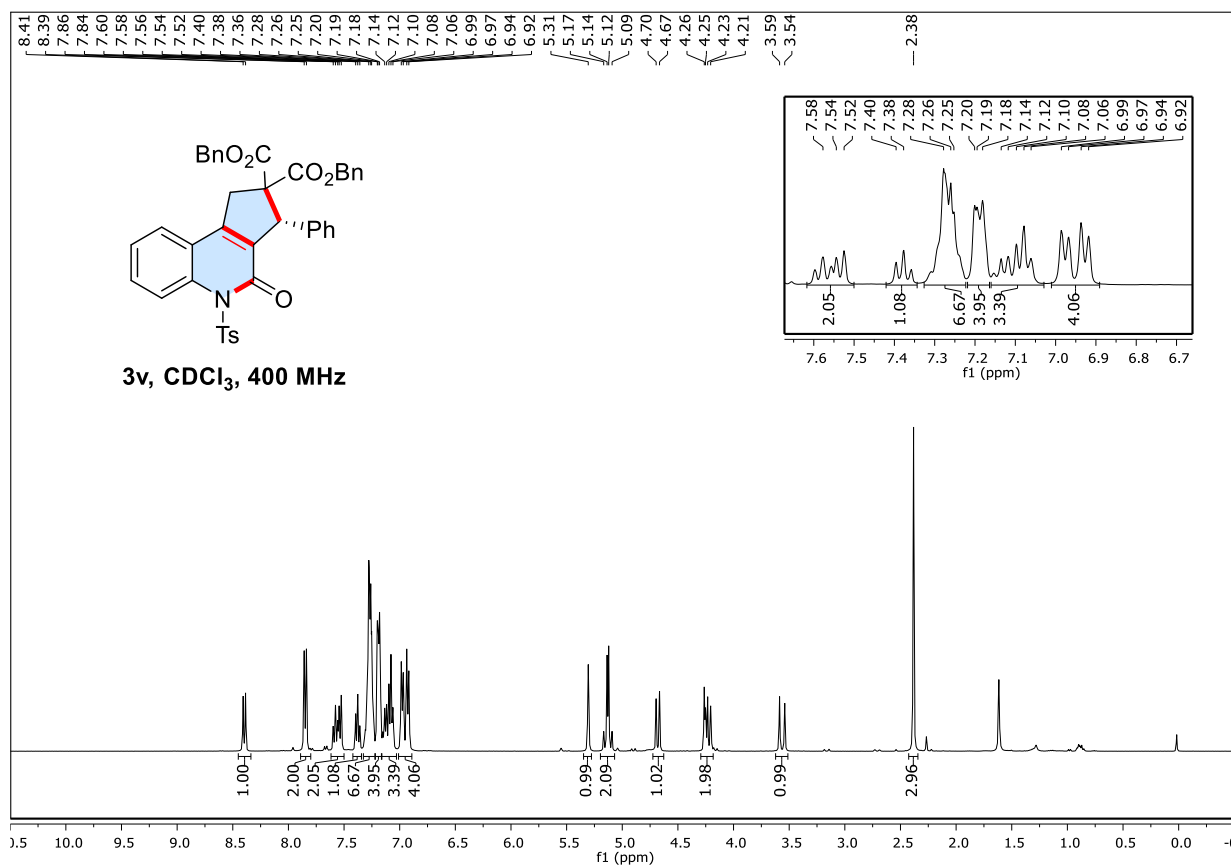
Dimethyl (*R*)-3-ethyl-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3s**)**



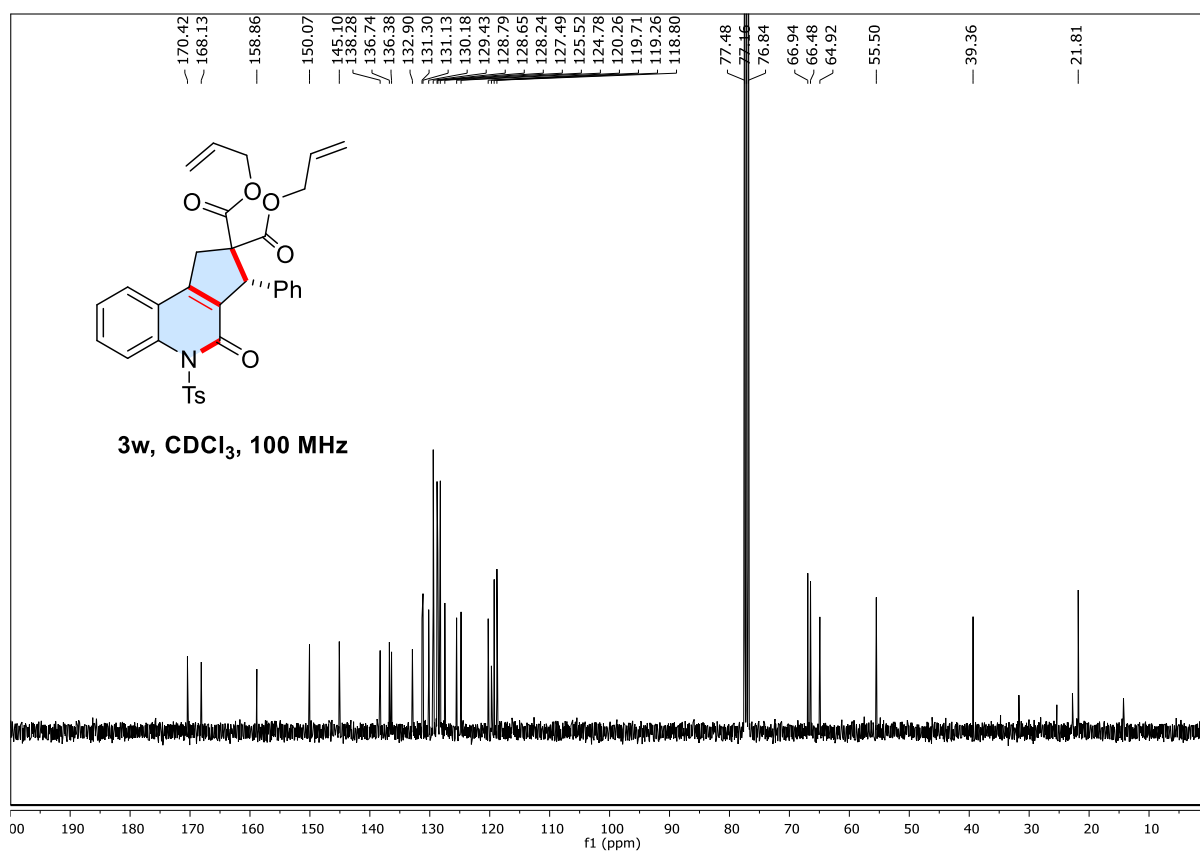
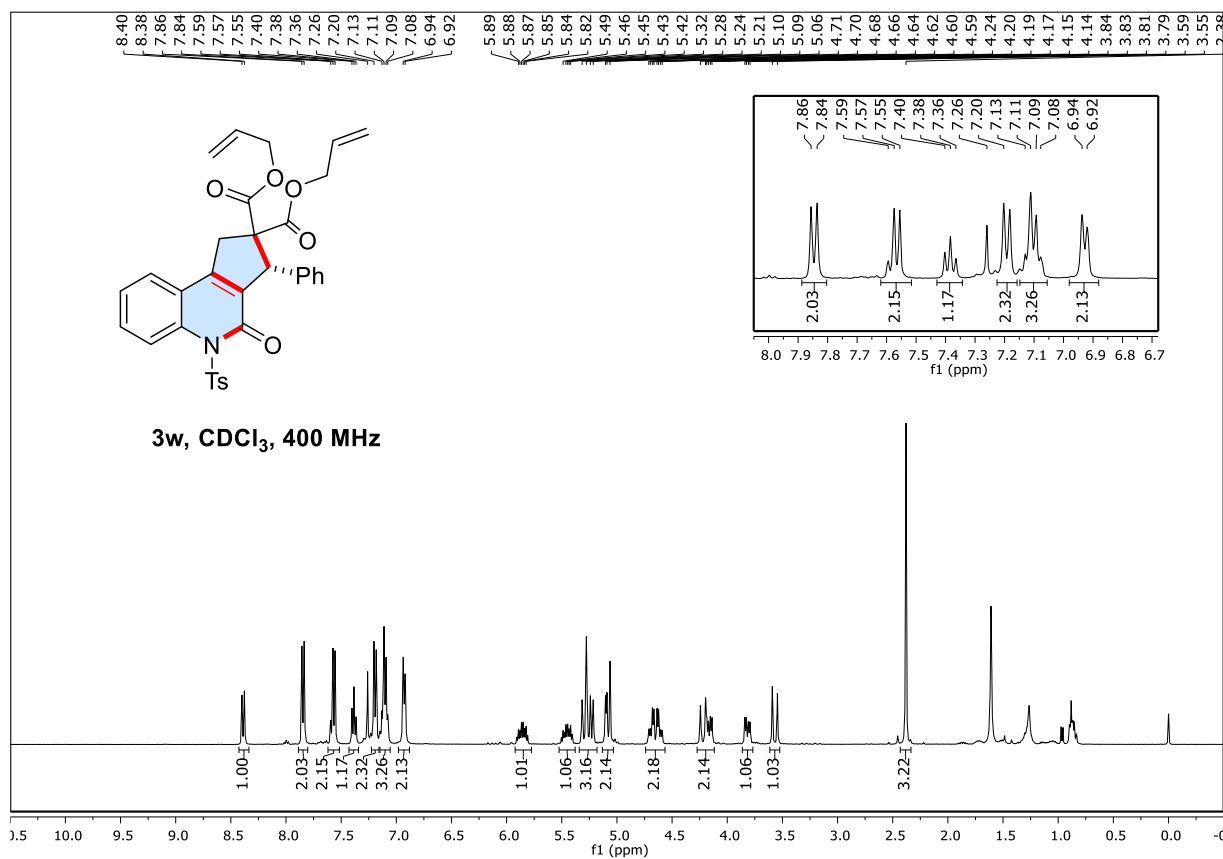
Diisopropyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3u**)**



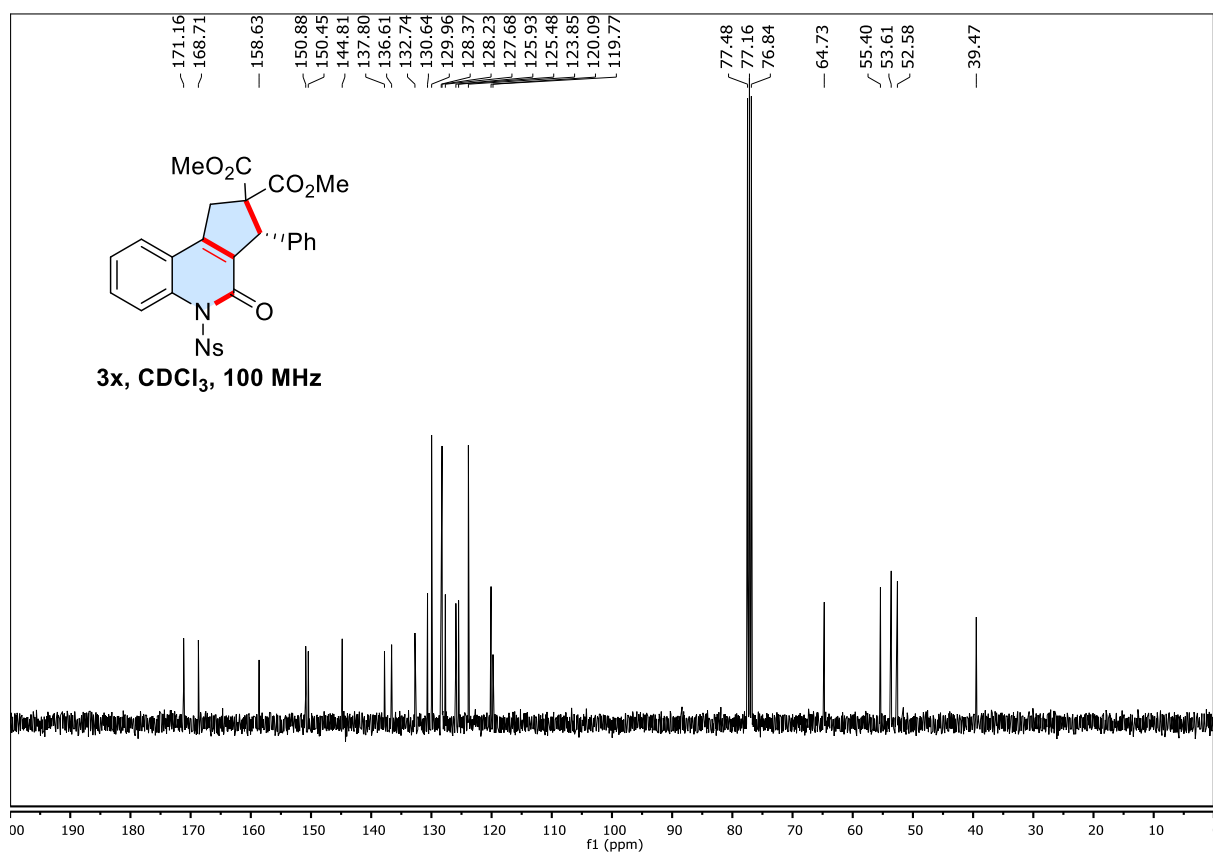
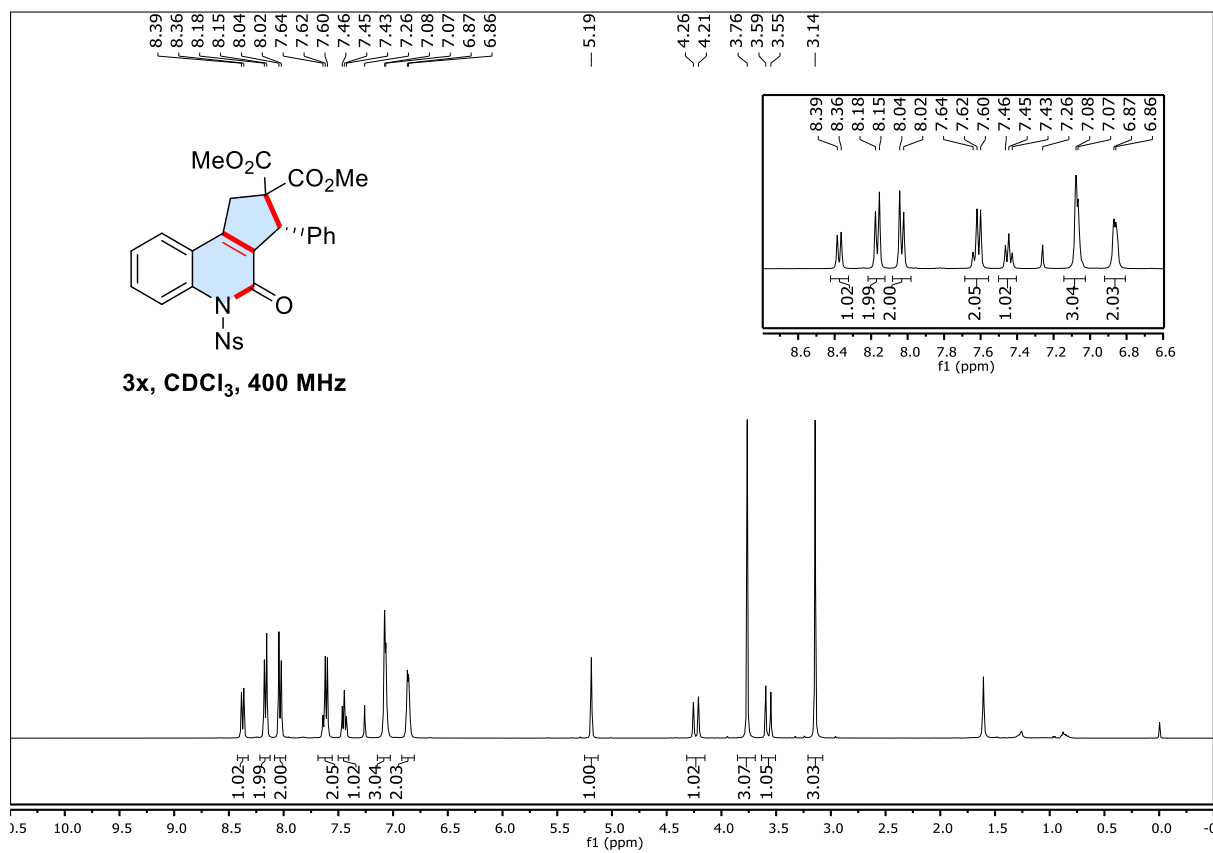
Dibenzyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3v**)**



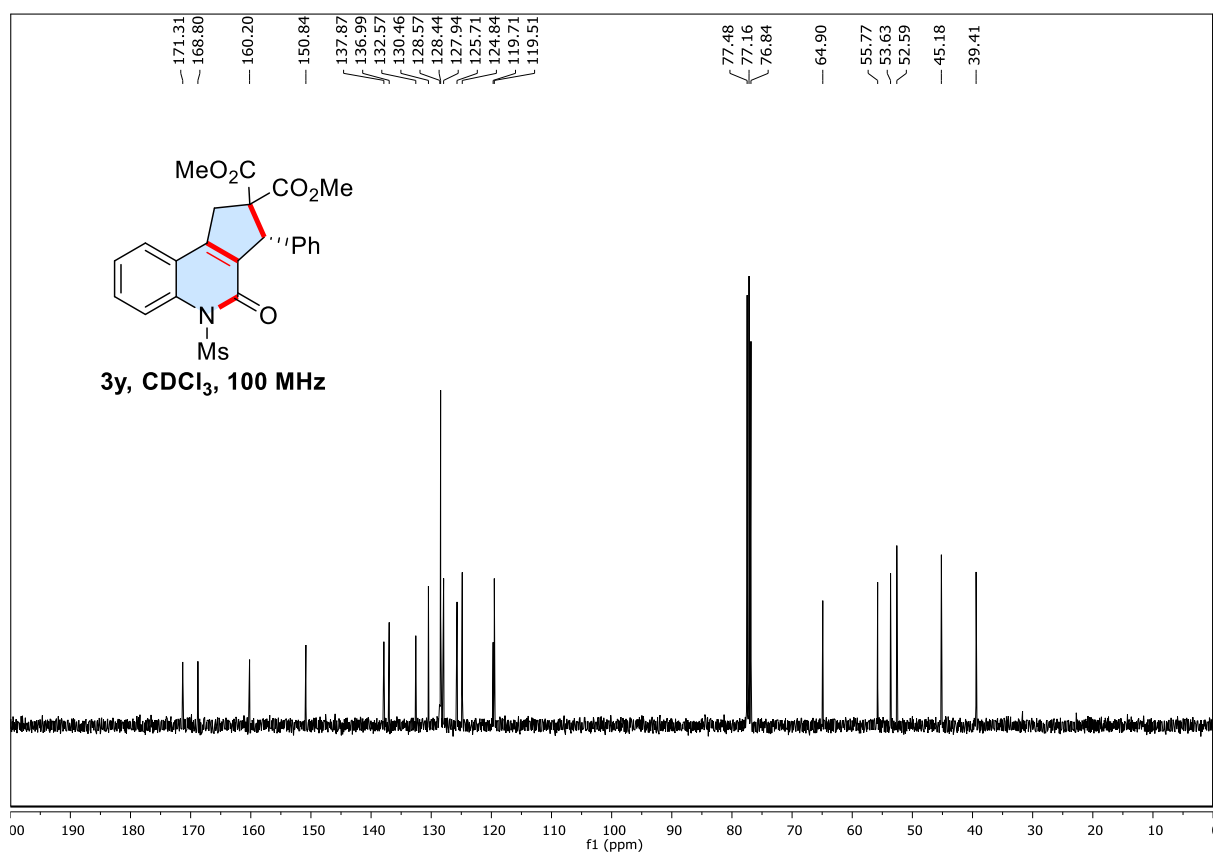
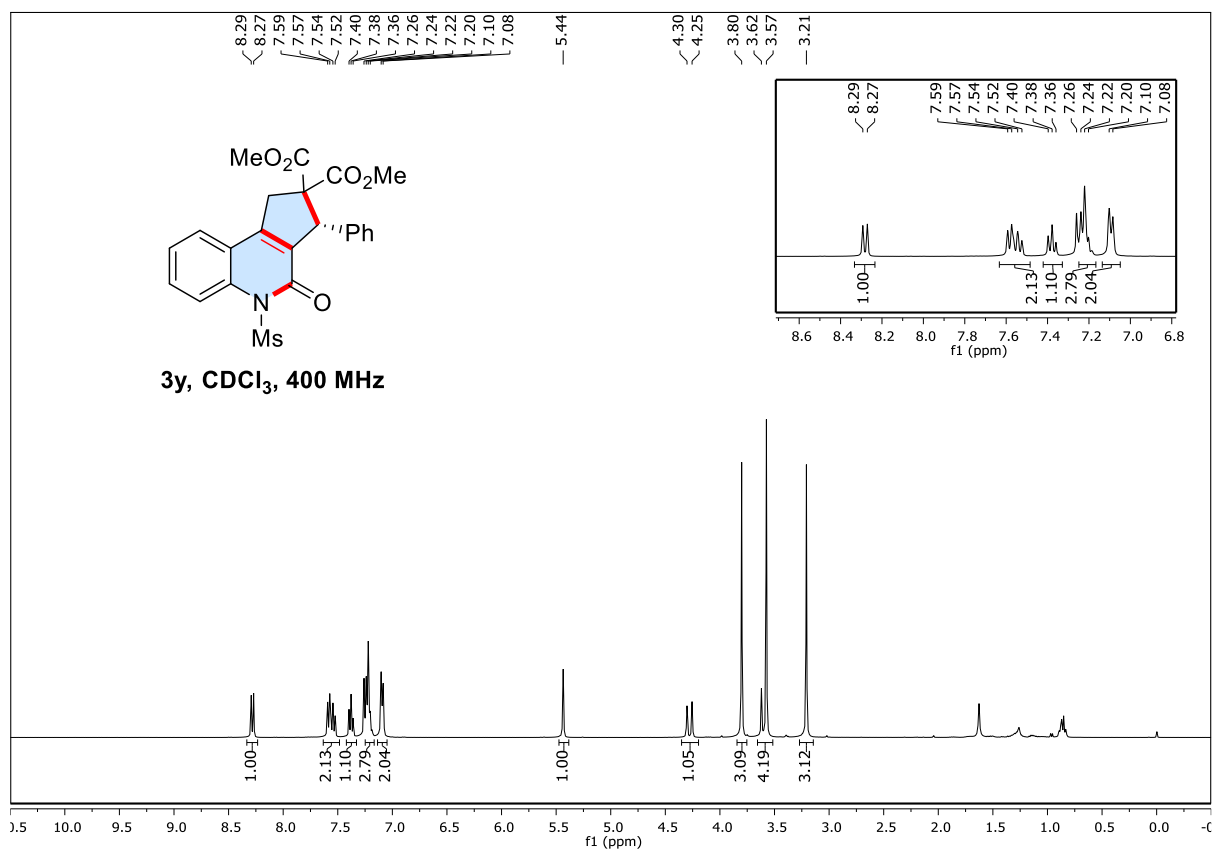
Diallyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3w**)**



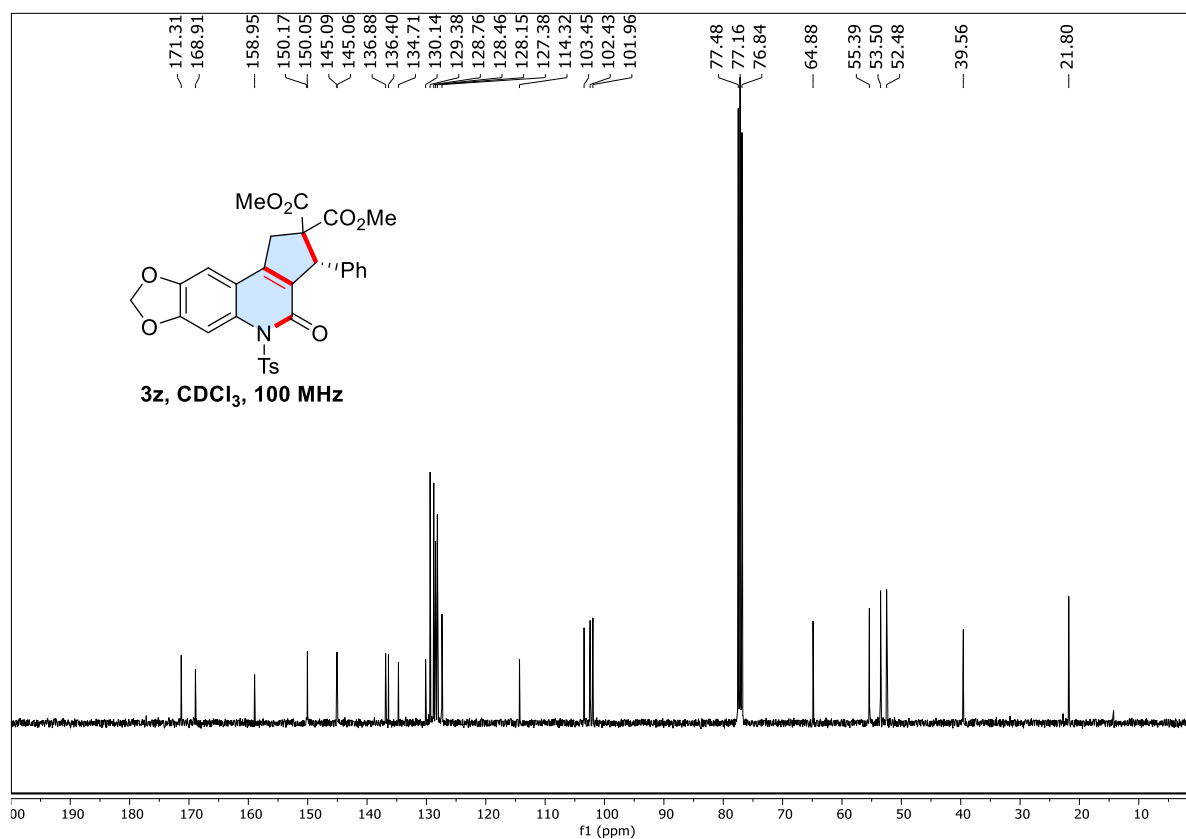
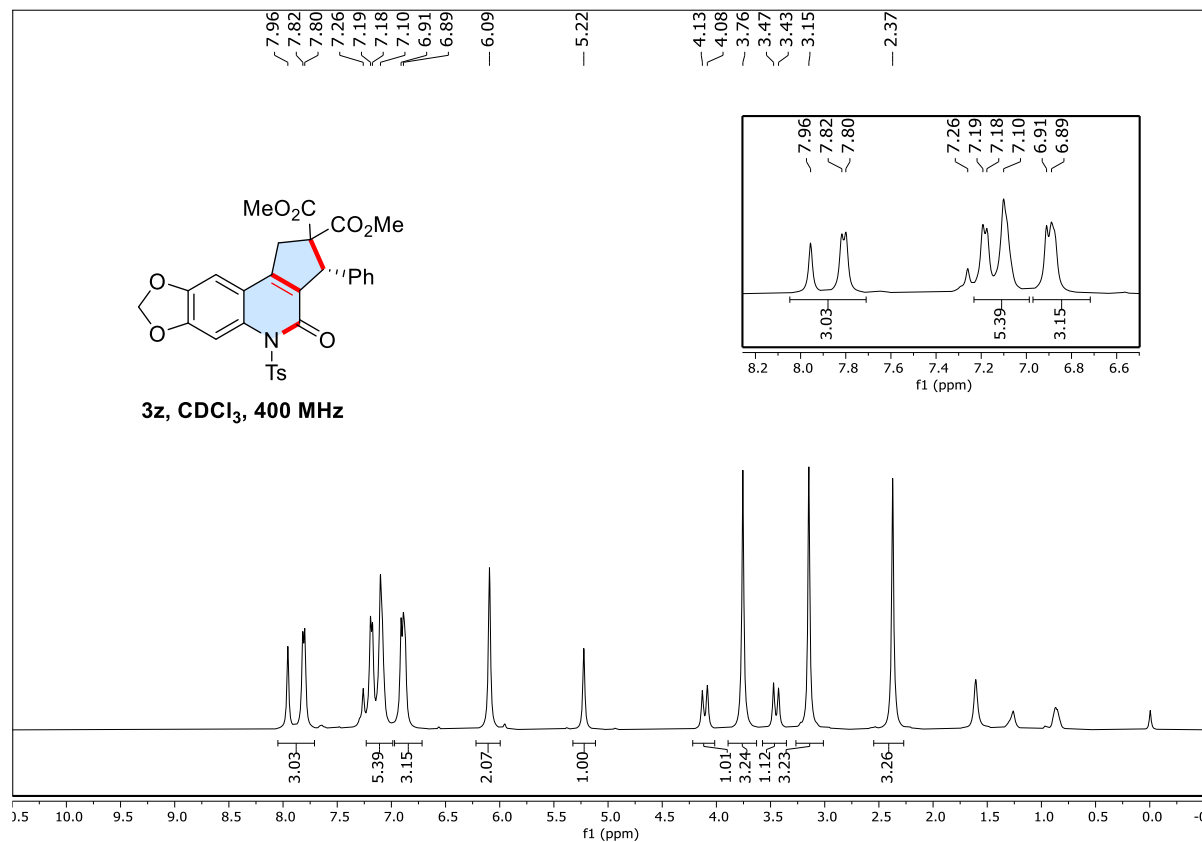
Dimethyl (*R*)-5-((4-nitrophenyl)sulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3x**)**



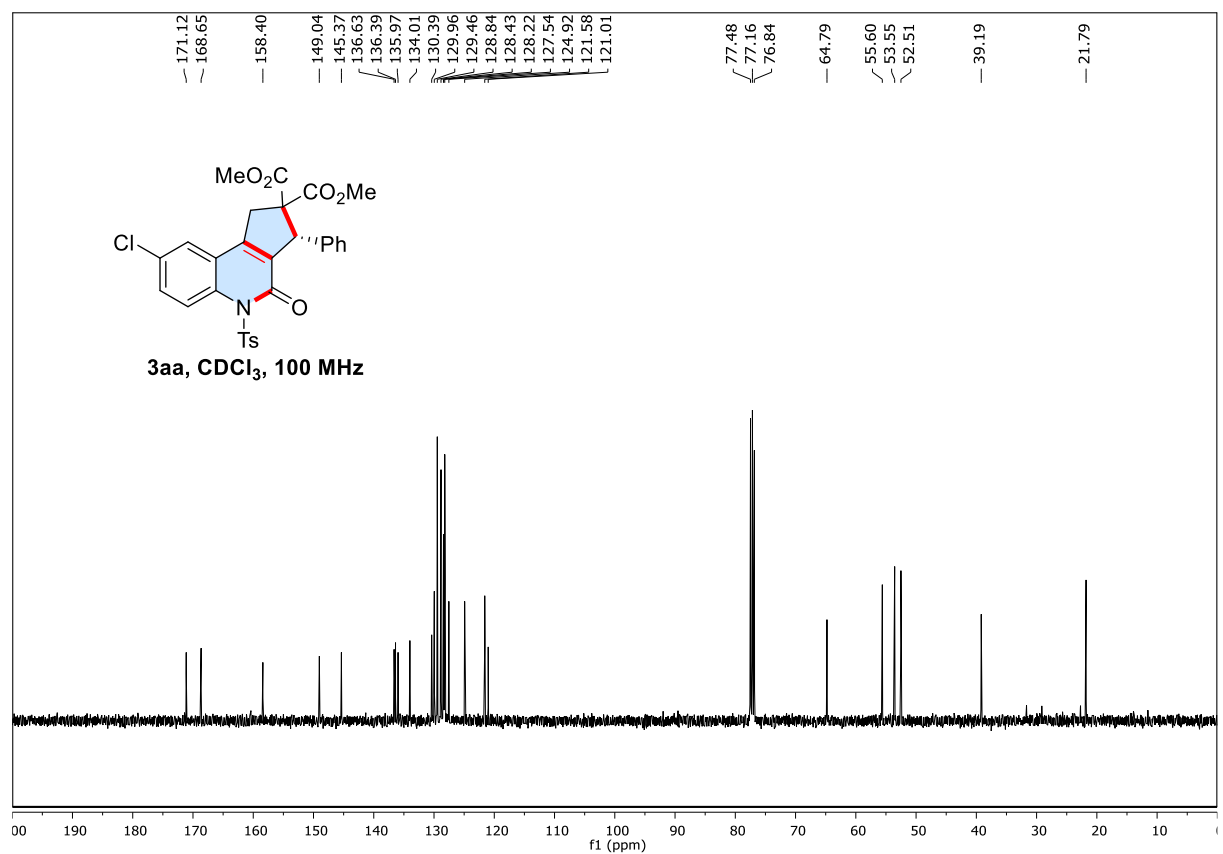
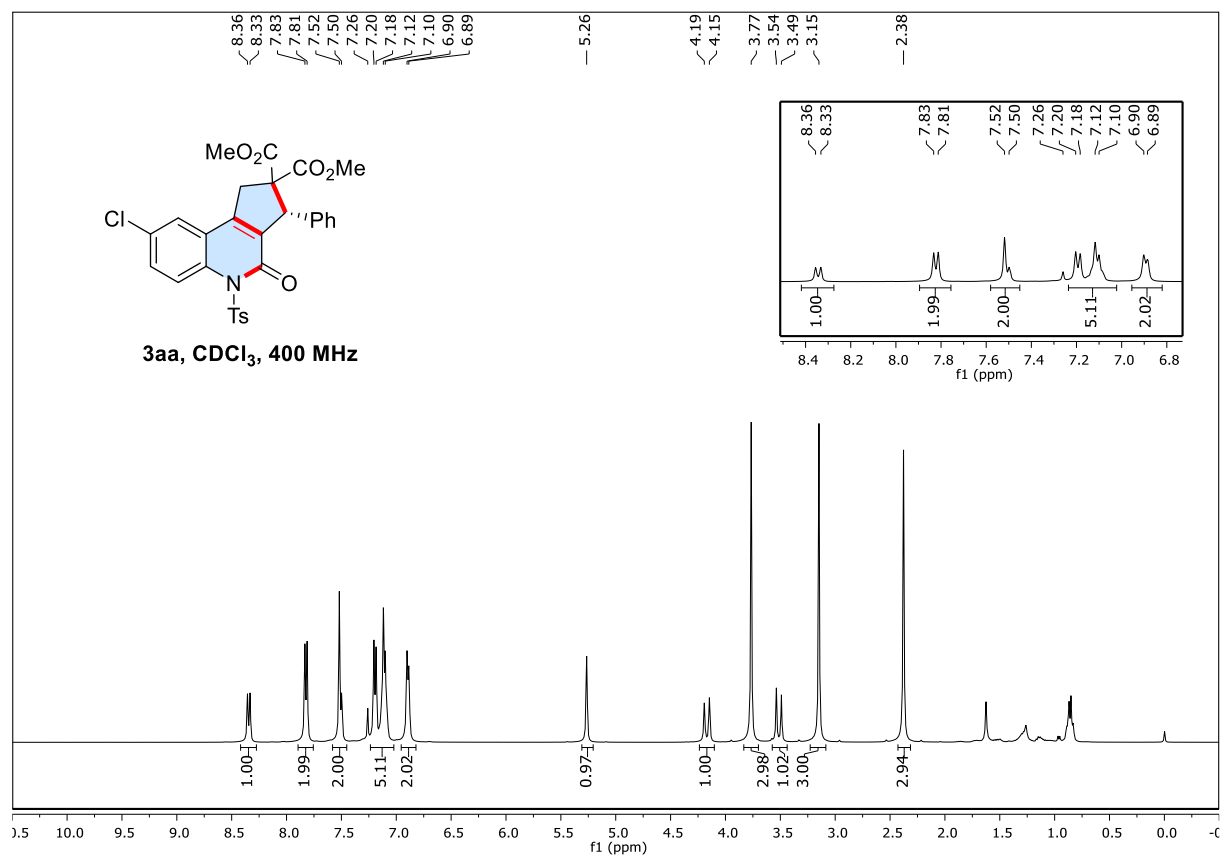
Dimethyl (*R*)-5-(methylsulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3y**)**



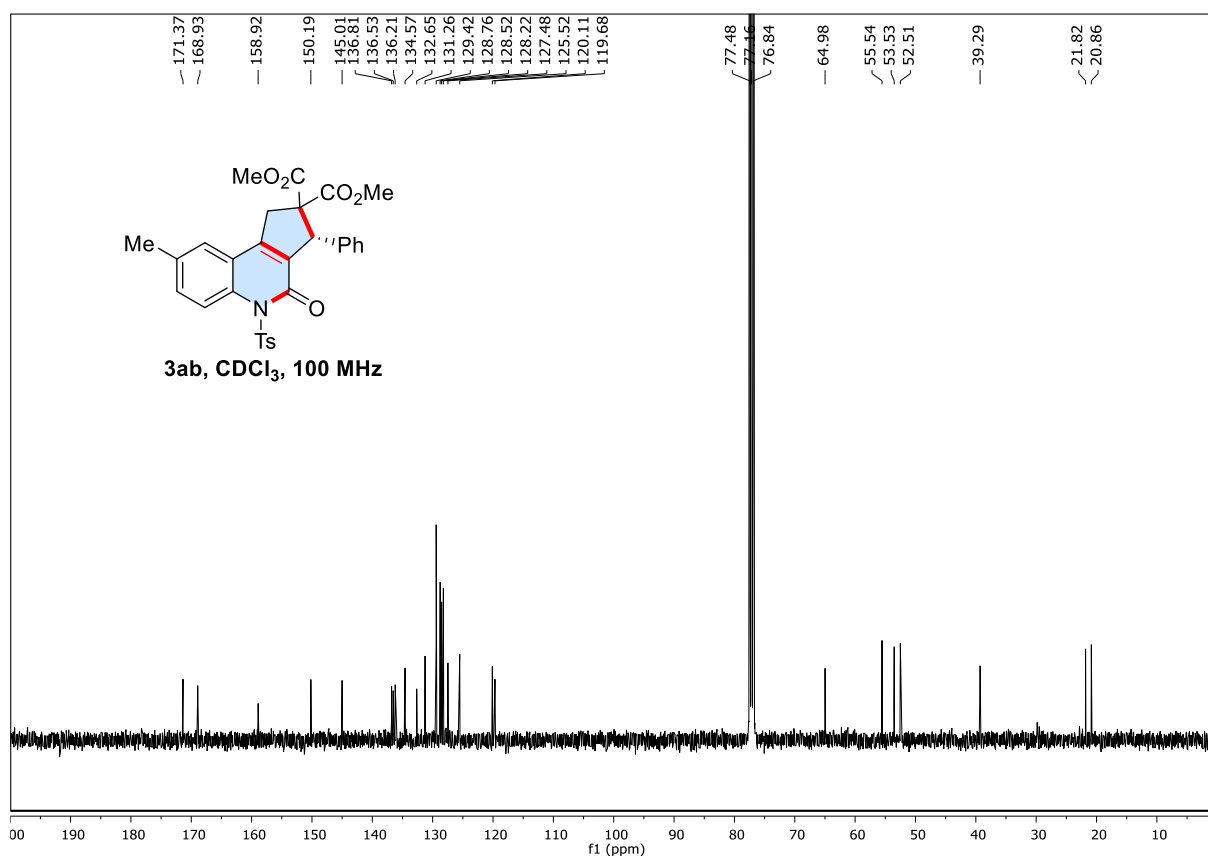
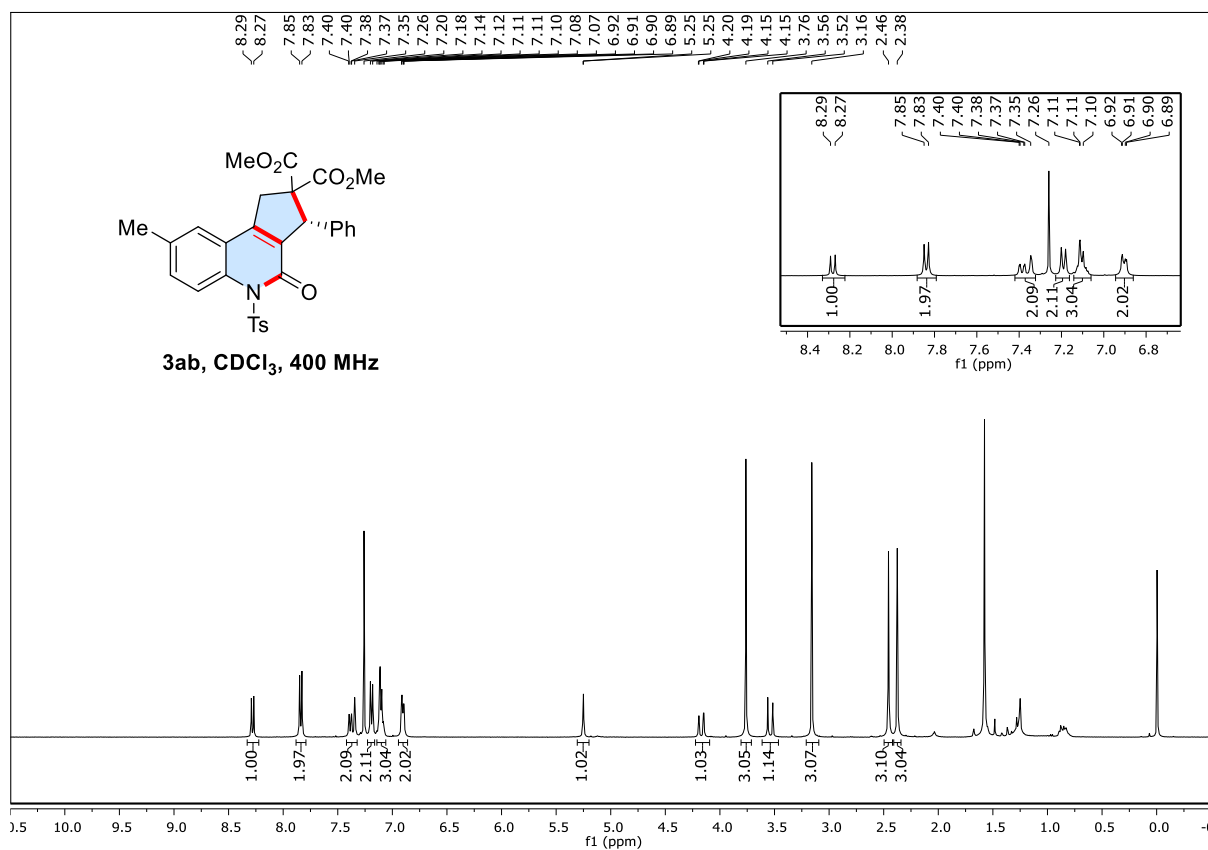
Dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*][1,3]dioxolo [4,5-*g*]quinoline-2,2-dicarboxylate (3z**)**



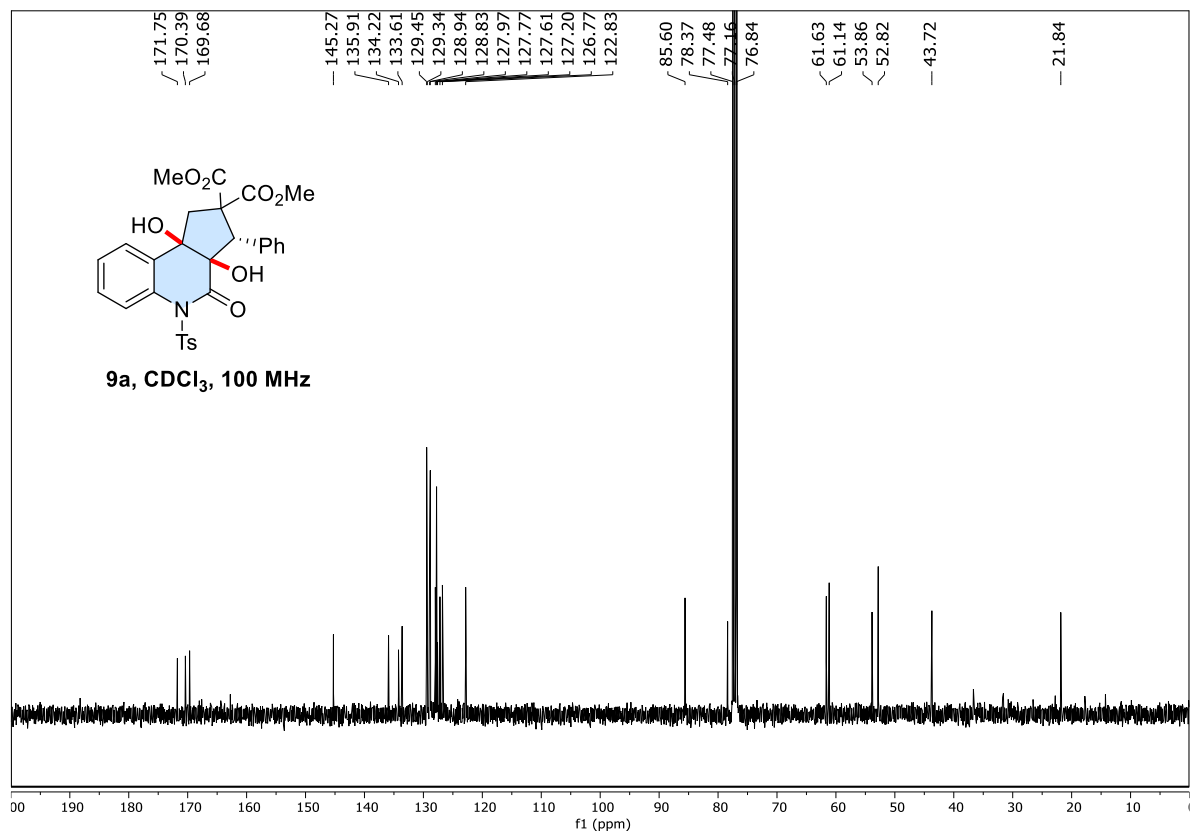
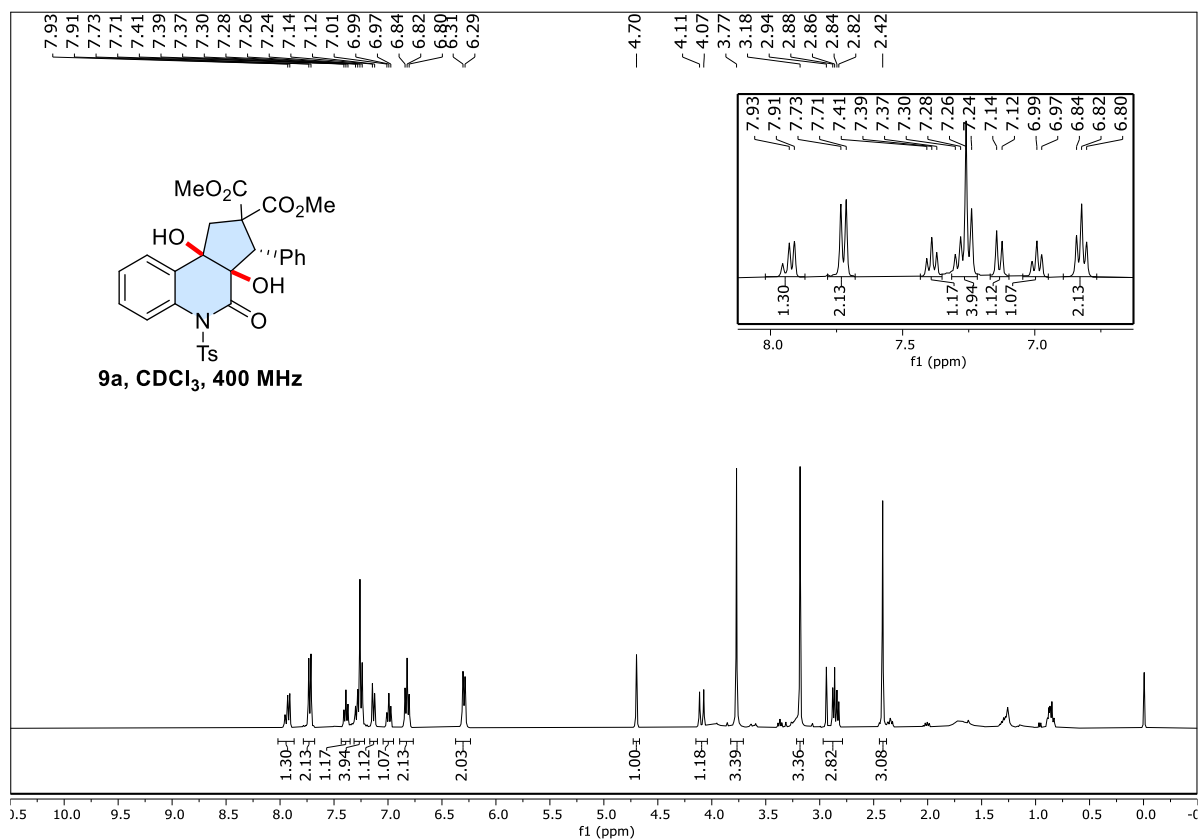
Dimethyl (*R*)-8-chloro-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3aa**)**



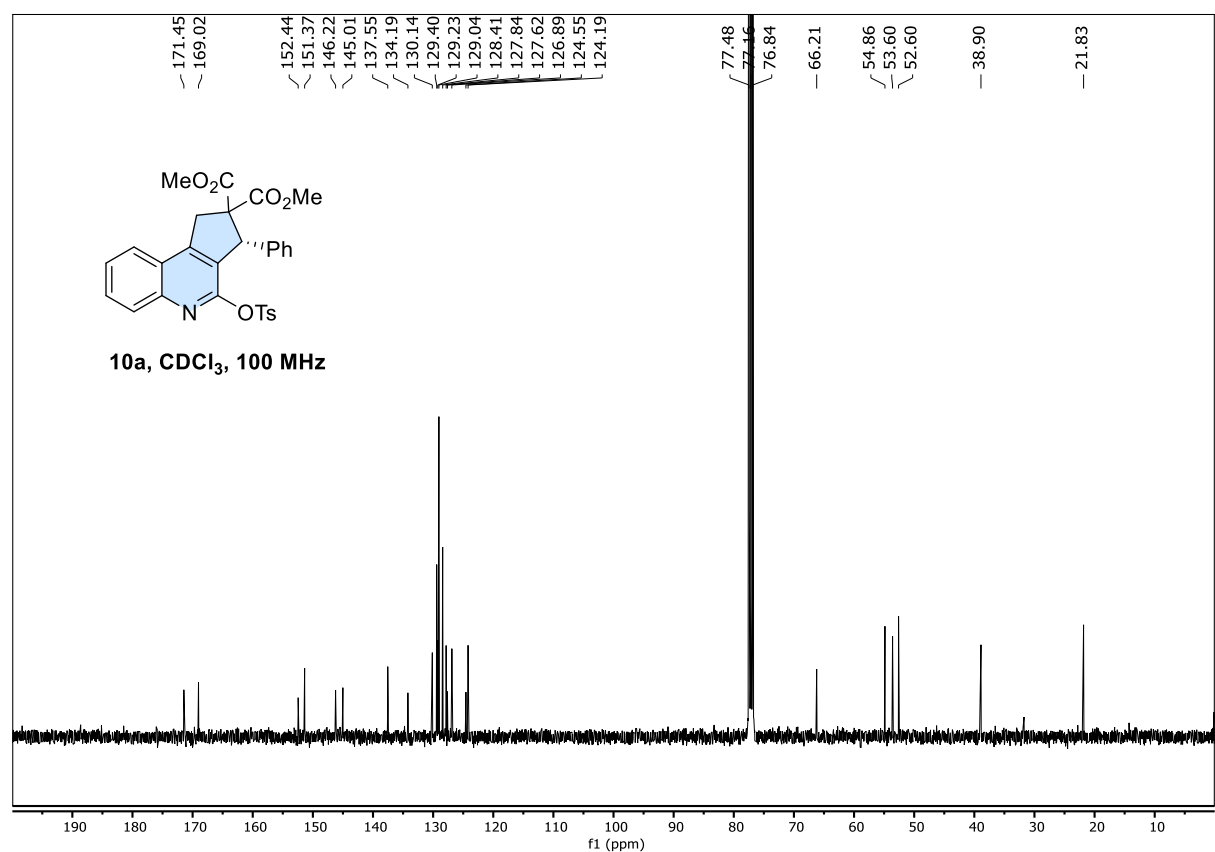
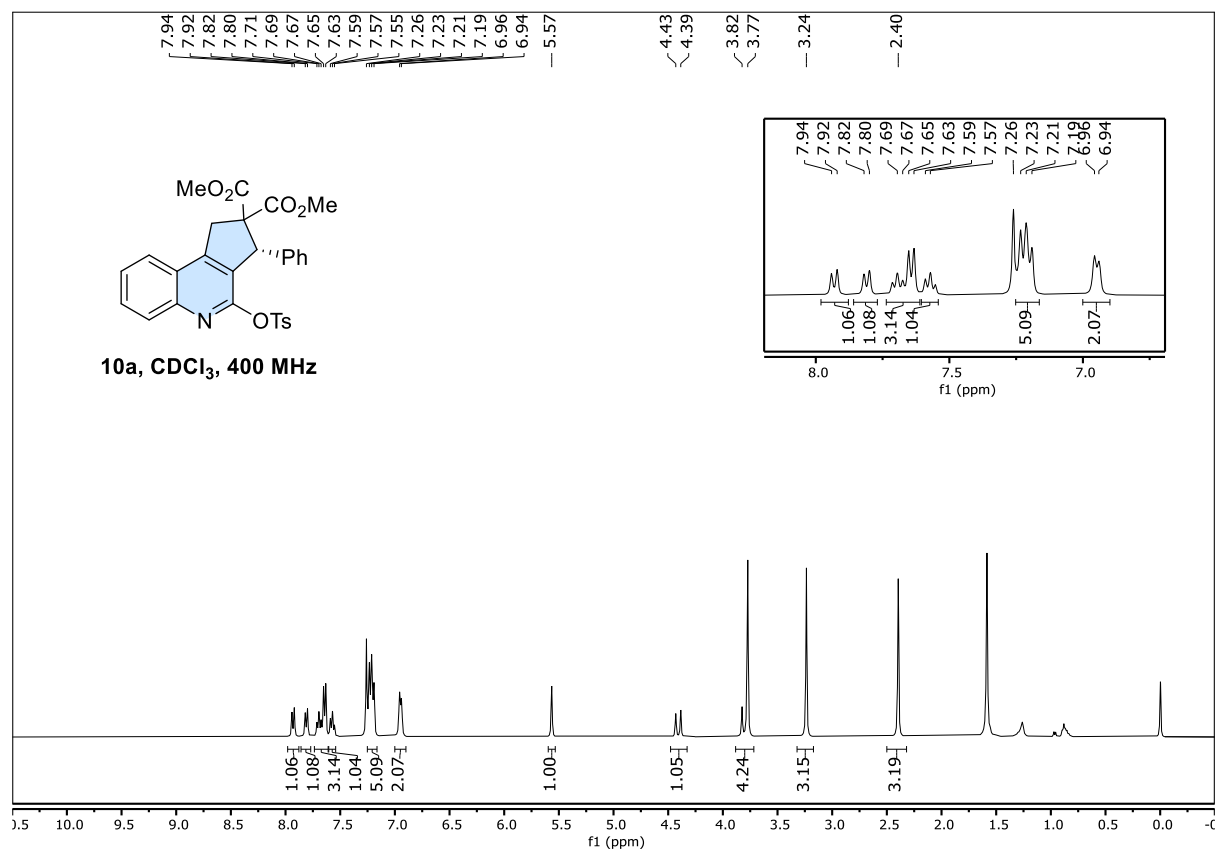
Dimethyl (*R*)-8-methyl-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3ab**)**



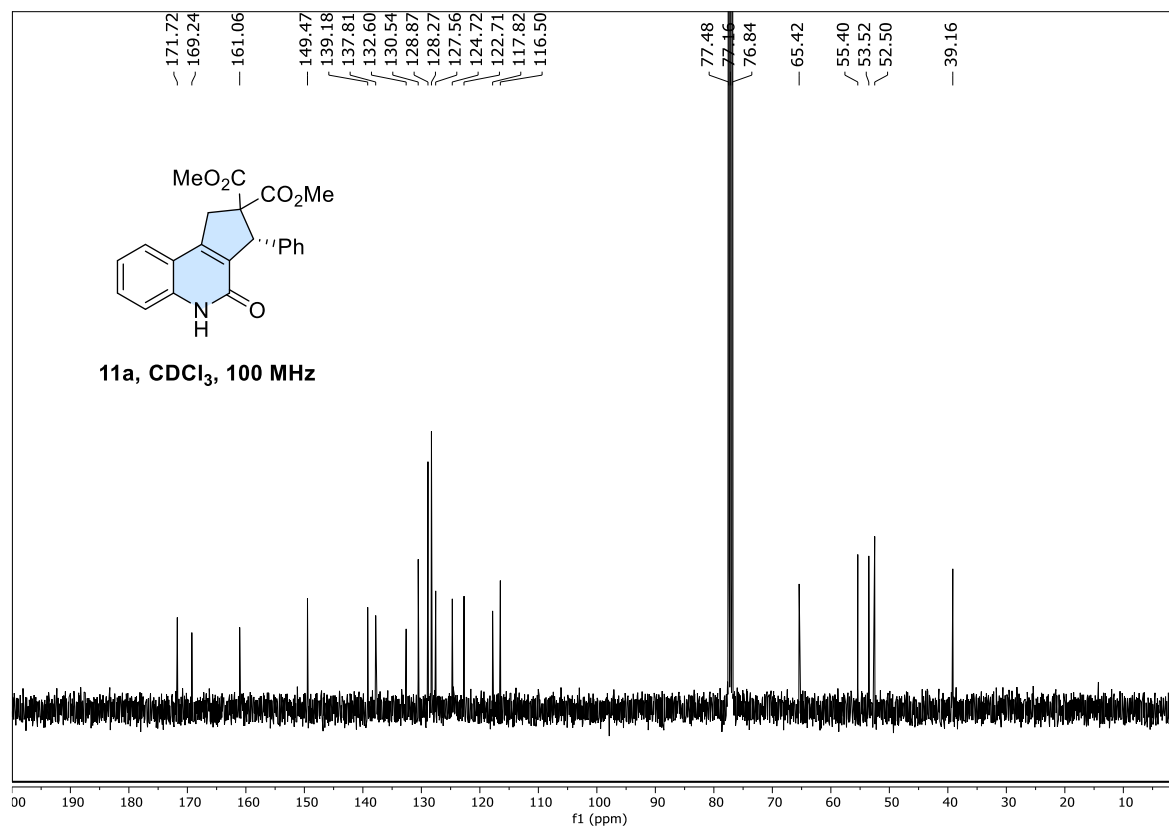
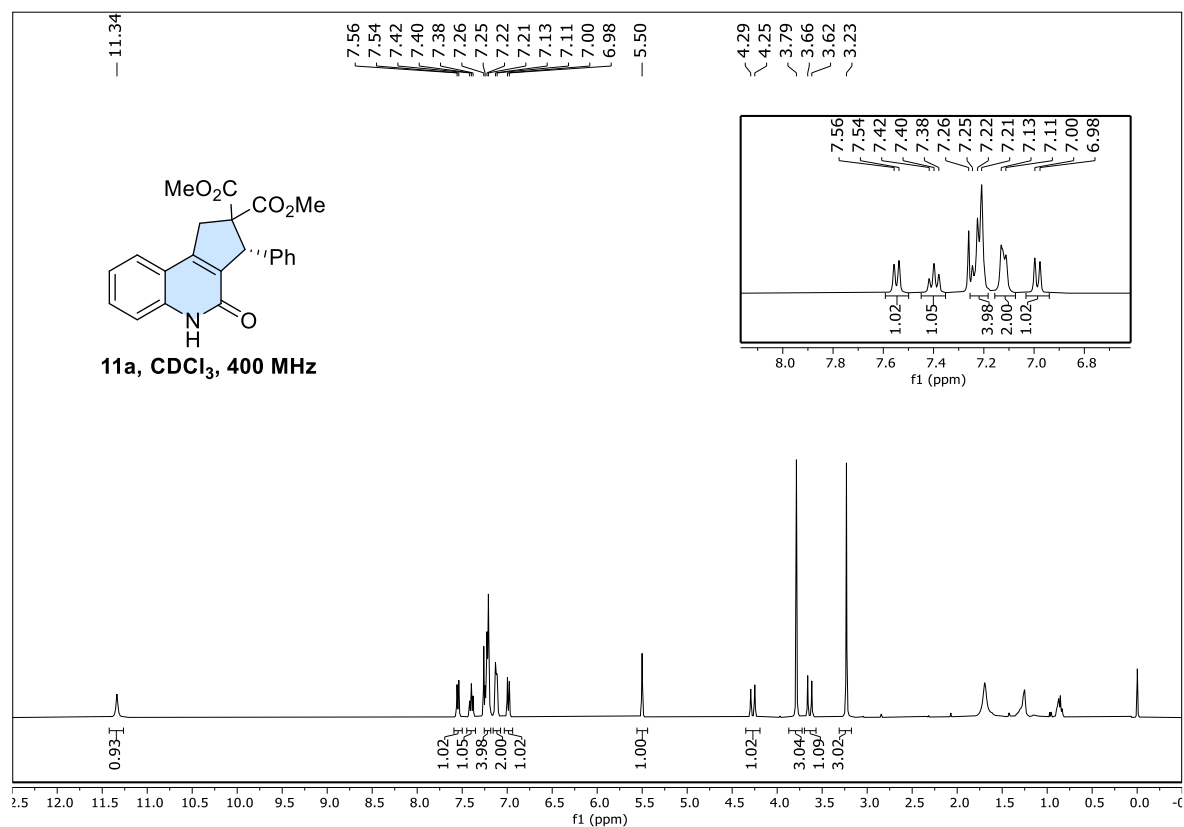
Dimethyl (3*S*)-3a,9b-dihydroxy-4-oxo-3-phenyl-5-tosyl-1,3,3a,4,5,9b-hexahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (9a)



Dimethyl (*R*)-3-phenyl-4-(tosyloxy)-1,3-dihydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (10a)

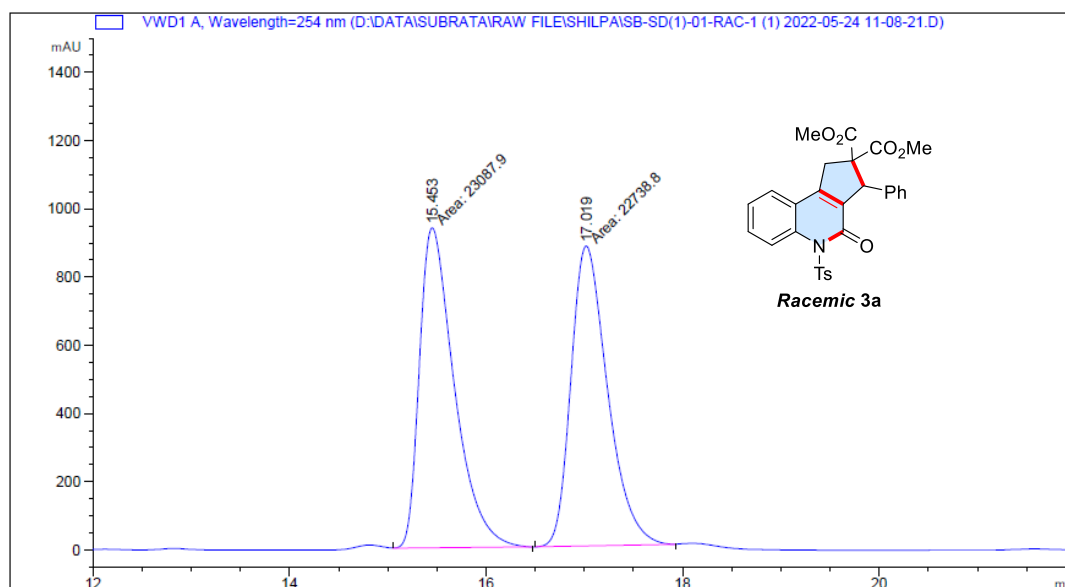


Dimethyl (*R*)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (11a)

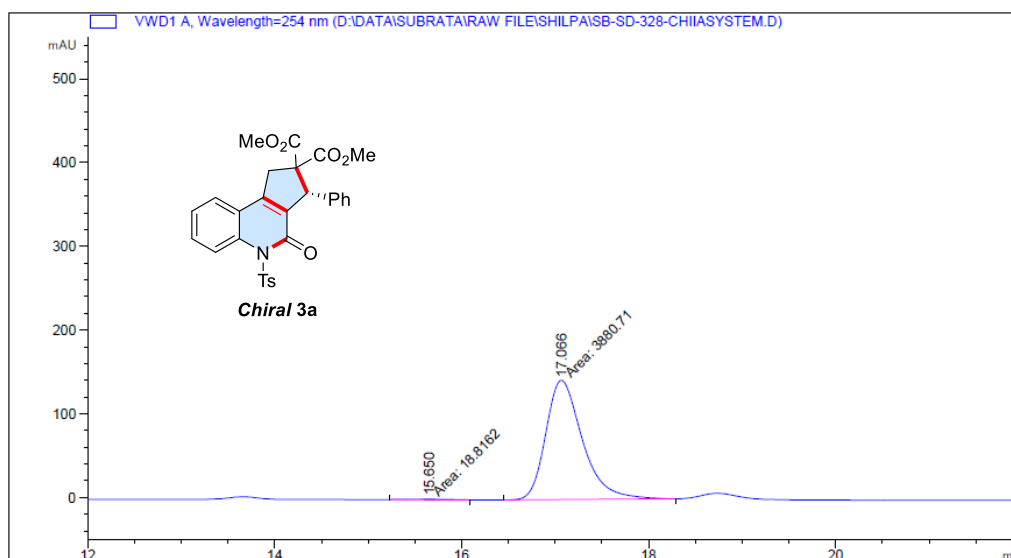


10. HPLC Data of Cyclopentane-Fused Quinoline-2-one Derivatives

Dimethyl (R)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2H-cyclopenta[c]quinoline-2,2-dicarboxylate (3a)



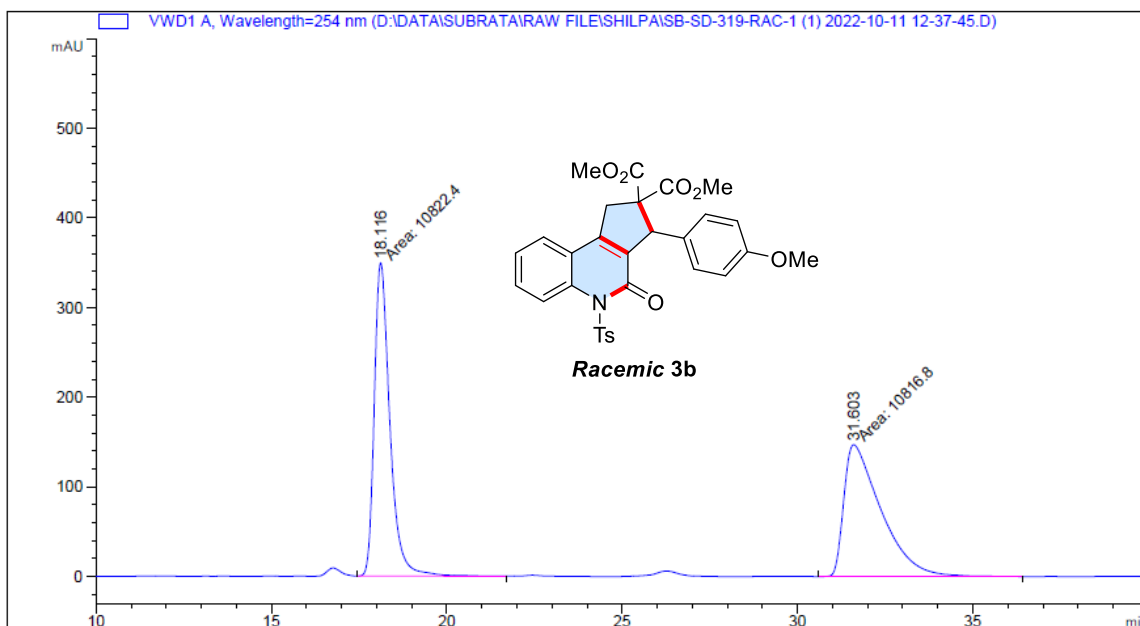
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.453	MM	0.4101	2.30879e4	938.27374	50.3810
2	17.019	MM	0.4310	2.27388e4	879.29468	49.6190



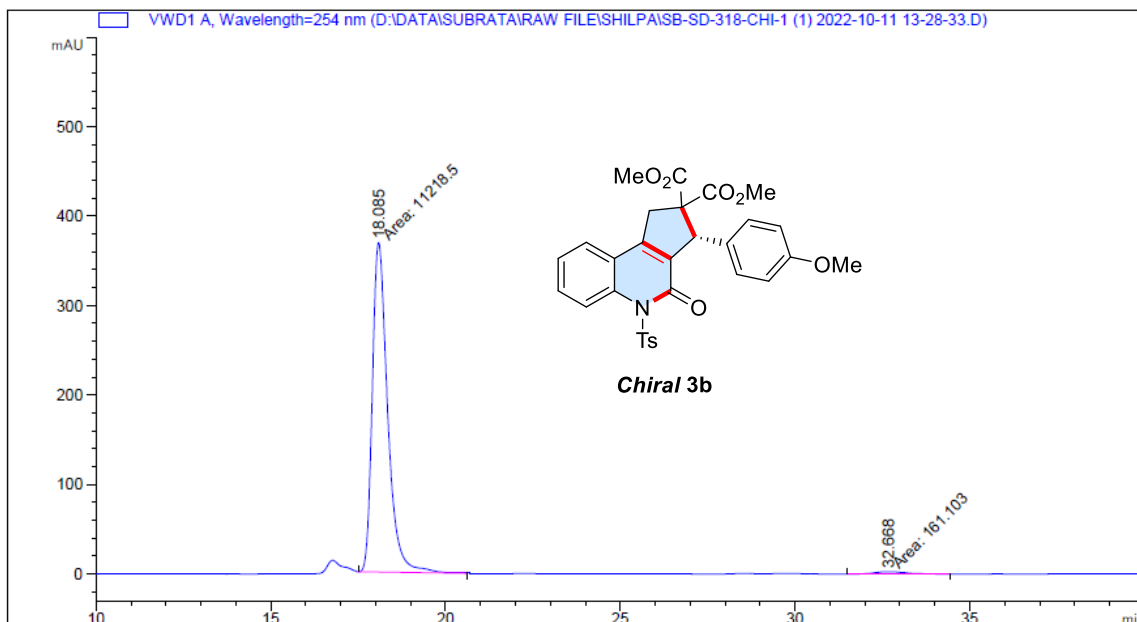
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.650	MM	0.4338	18.81618	7.22915e-1	0.4825
2	17.066	MM	0.4546	3880.70508	142.28844	99.5175

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(4-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3b**)**



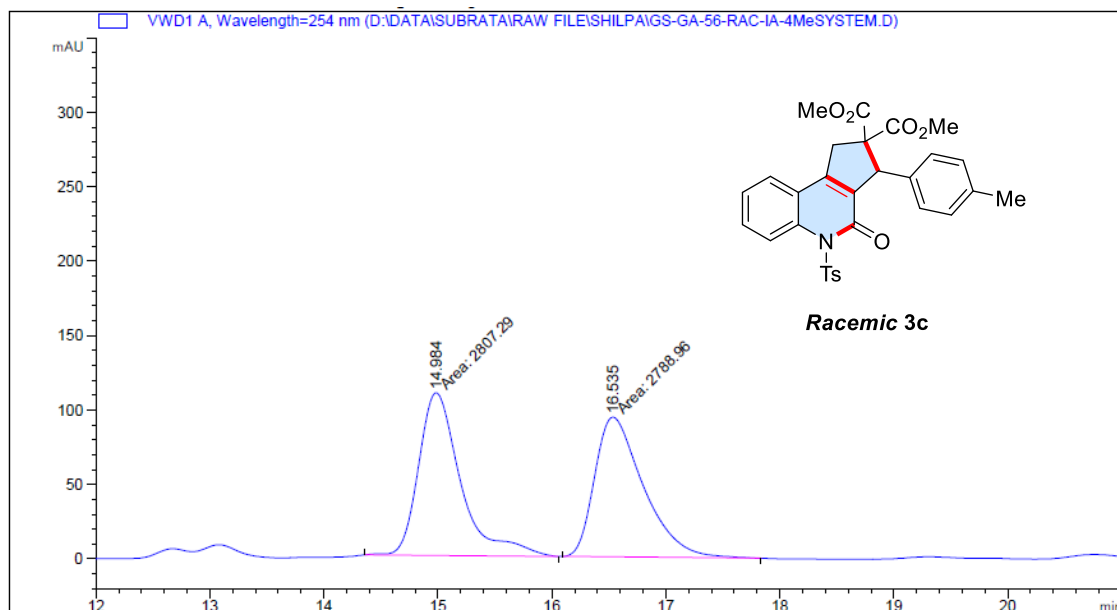
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.116	MM	0.5167	1.08224e4	349.08395	50.0128
2	31.603	MM	1.2272	1.08168e4	146.90825	49.9872



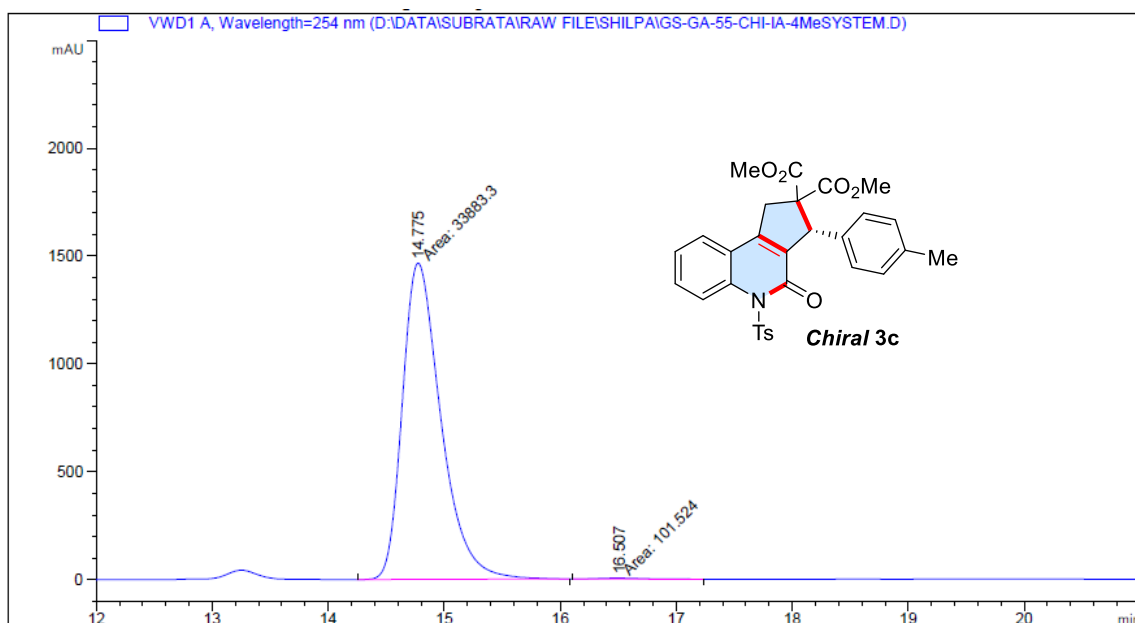
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.085	MM	0.5077	1.12185e4	368.27432	98.5843
2	32.668	MM	1.0158	161.10349	2.64319	1.4157

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-4-oxo-3-(*p*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3c**)**



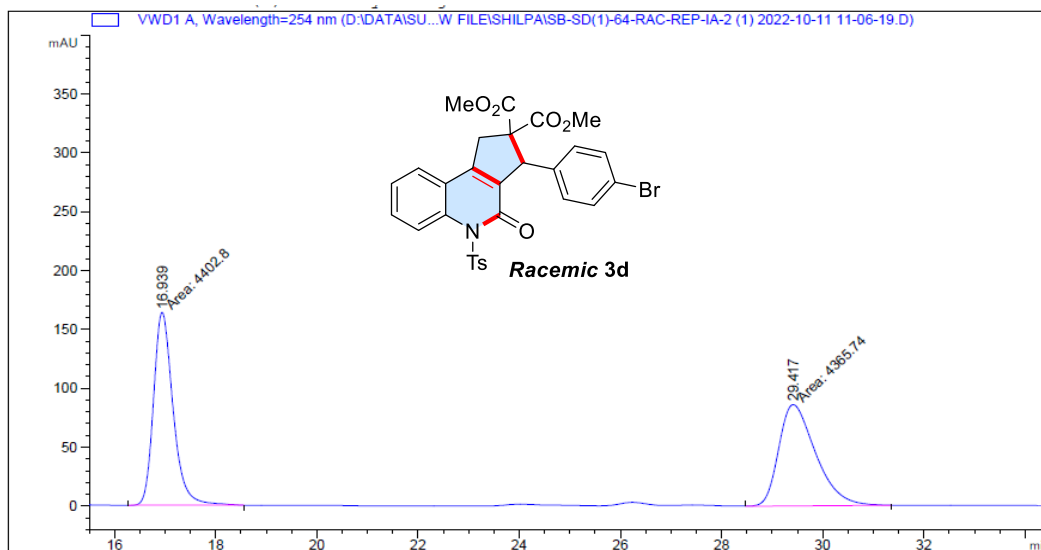
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.984	MM	0.4285	2807.28711	109.19985	50.1637
2	16.535	MM	0.4956	2788.96265	93.78875	49.8363



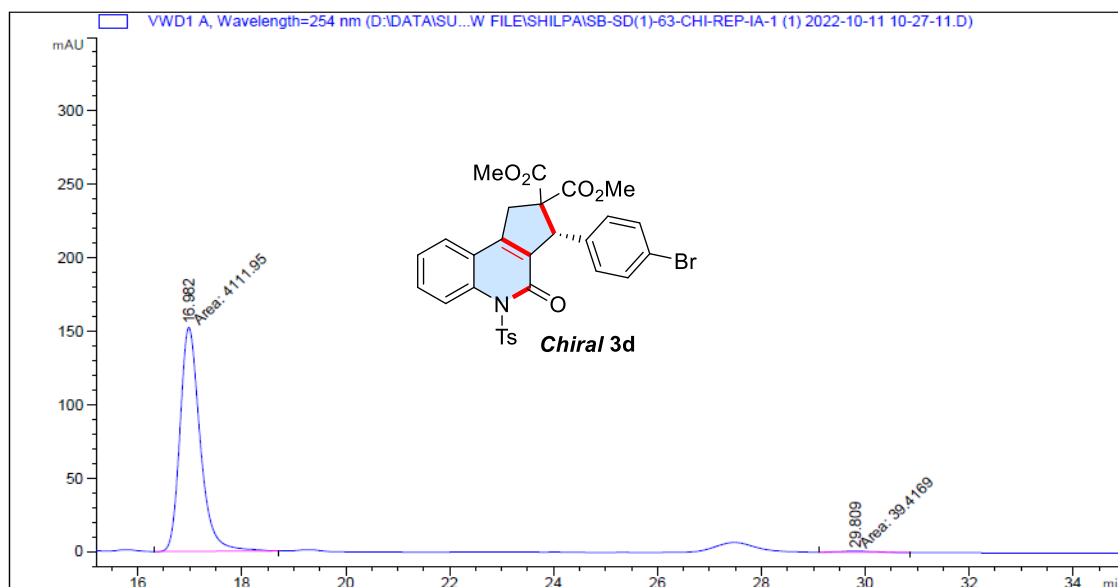
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.775	MM	0.3851	3.38833e4	1466.32703	99.7013
2	16.507	MM	0.4628	101.52441	3.65592	0.2987

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(4-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3d)



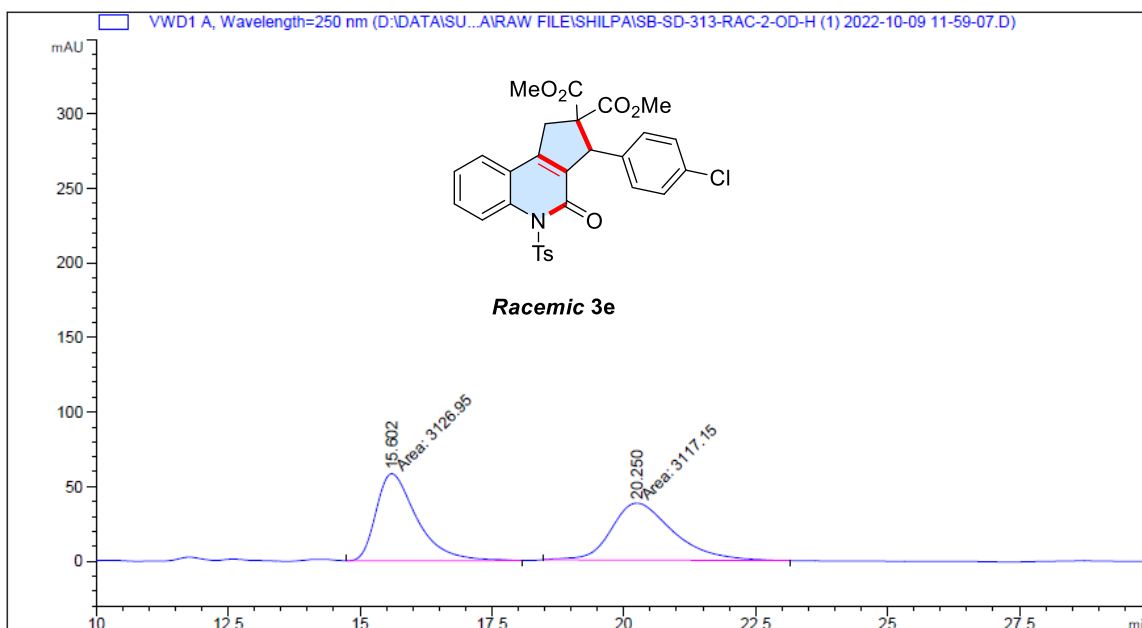
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.939	MM	0.4487	4412.60449	163.91437	50.2451
2	29.417	MM	0.8469	4369.56055	85.98800	49.7549



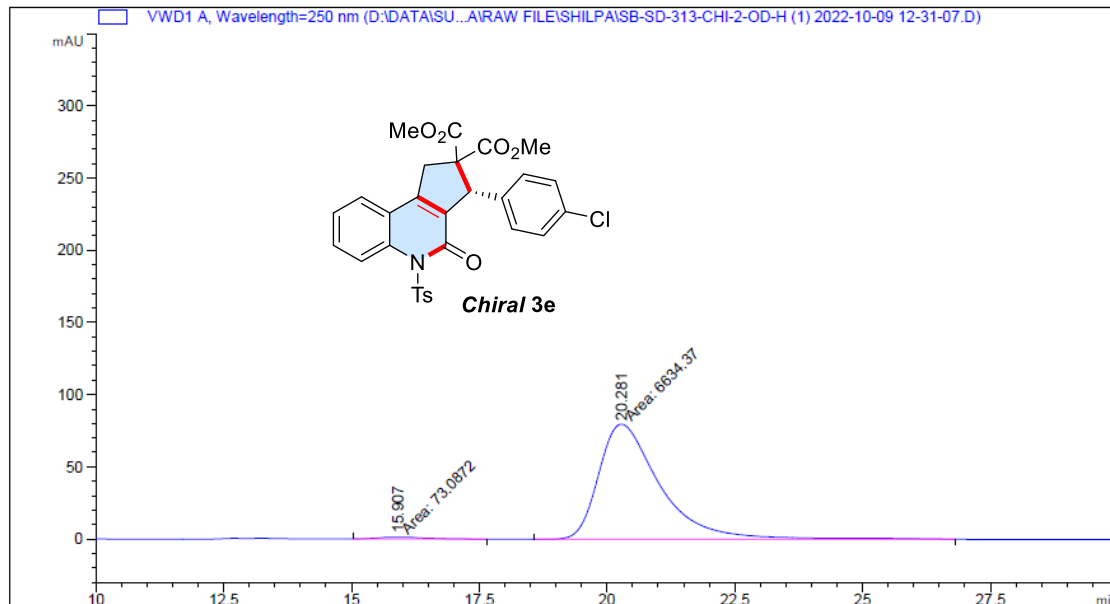
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.982	MM	0.4496	4111.94531	152.41422	99.0505
2	29.809	MM	0.7517	39.41693	8.73976e-1	0.9495

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(4-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3e**)**



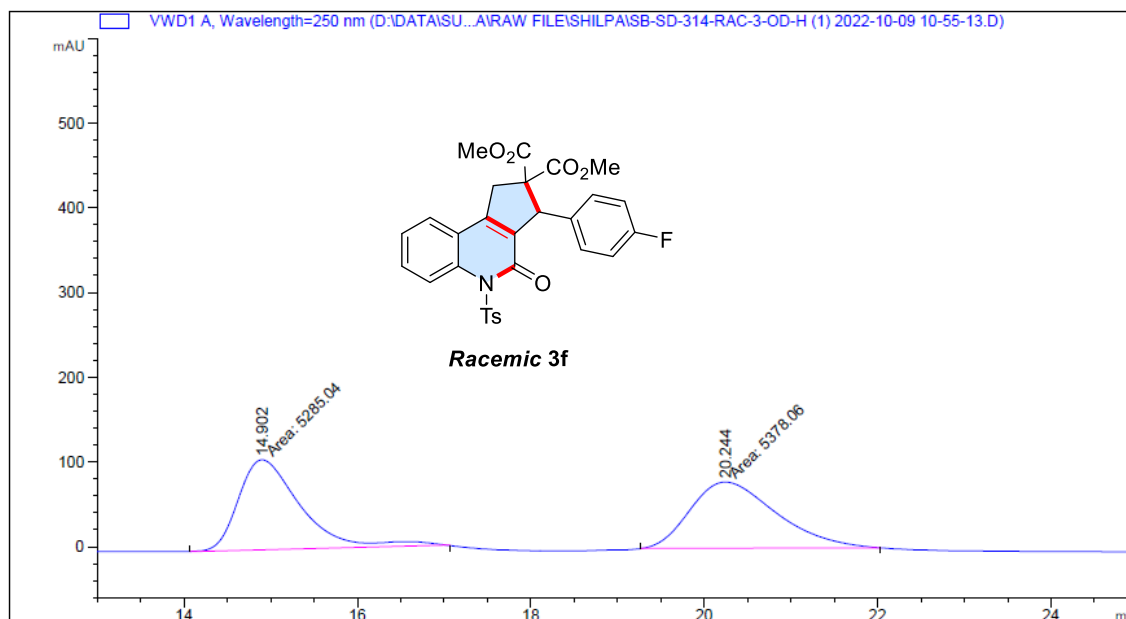
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.602	MM	0.8942	3126.94775	58.28323	50.0785
2	20.250	MM	1.3600	3117.14771	38.19904	49.9215



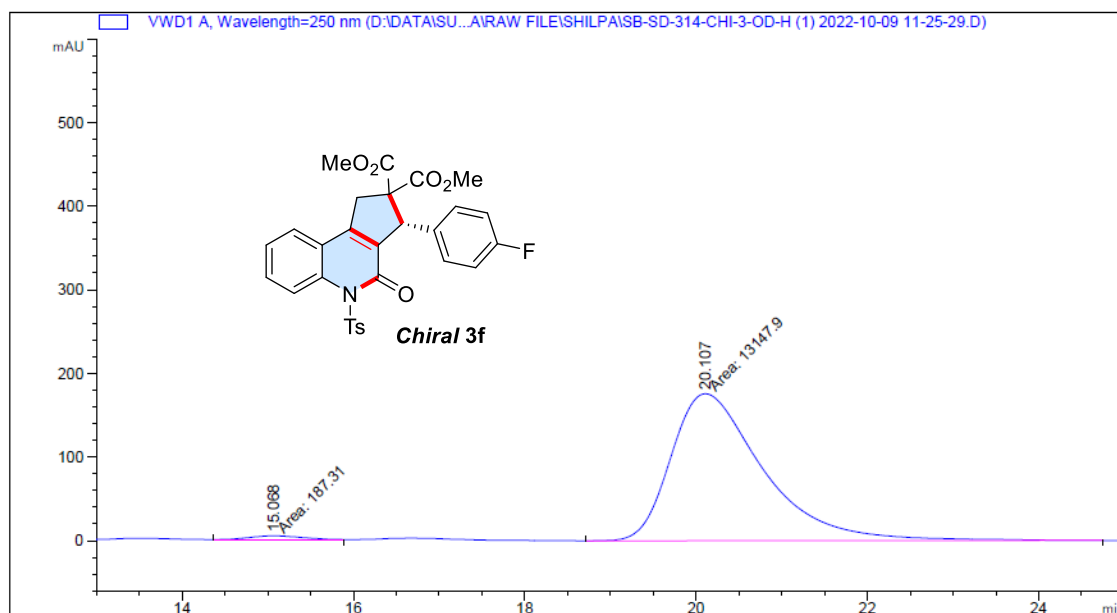
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.907	MM	0.9637	73.08722	1.26407	1.0896
2	20.281	MM	1.3887	6634.37109	79.62185	98.9104

Sample Info : CHIRALCEL-OD-H, 30% IPA:HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(4-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3f)



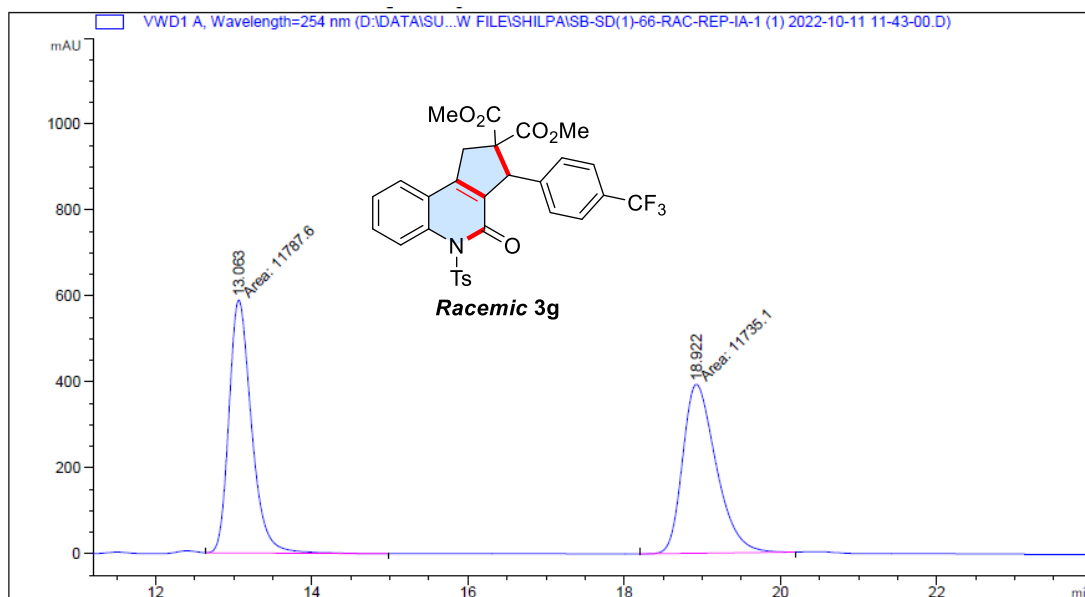
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.902	MM	0.8293	5285.04199	106.22025	49.5639
2	20.244	MM	1.1490	5378.05518	78.01295	50.4361



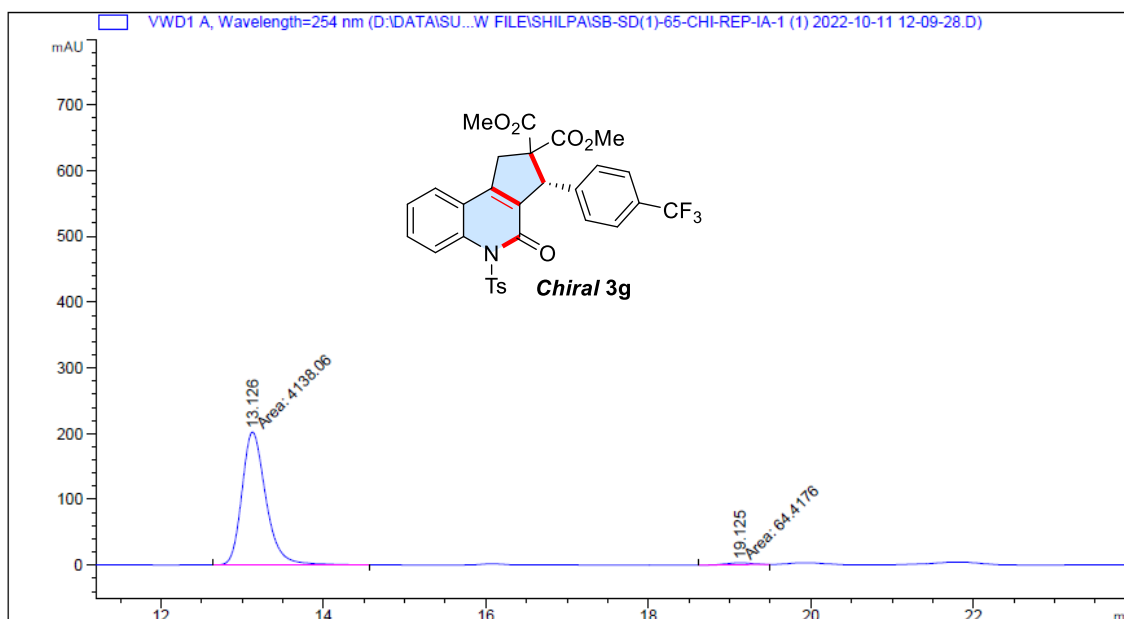
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.068	MM	0.7075	187.31029	4.41219	1.4046
2	20.107	MM	1.2473	1.31479e4	175.68561	98.5954

Sample Info : CHIRALCEL-OD-H, 30% IPA:HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-4-oxo-5-tosyl-3-(4-(trifluoromethyl)phenyl)-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3g**)**



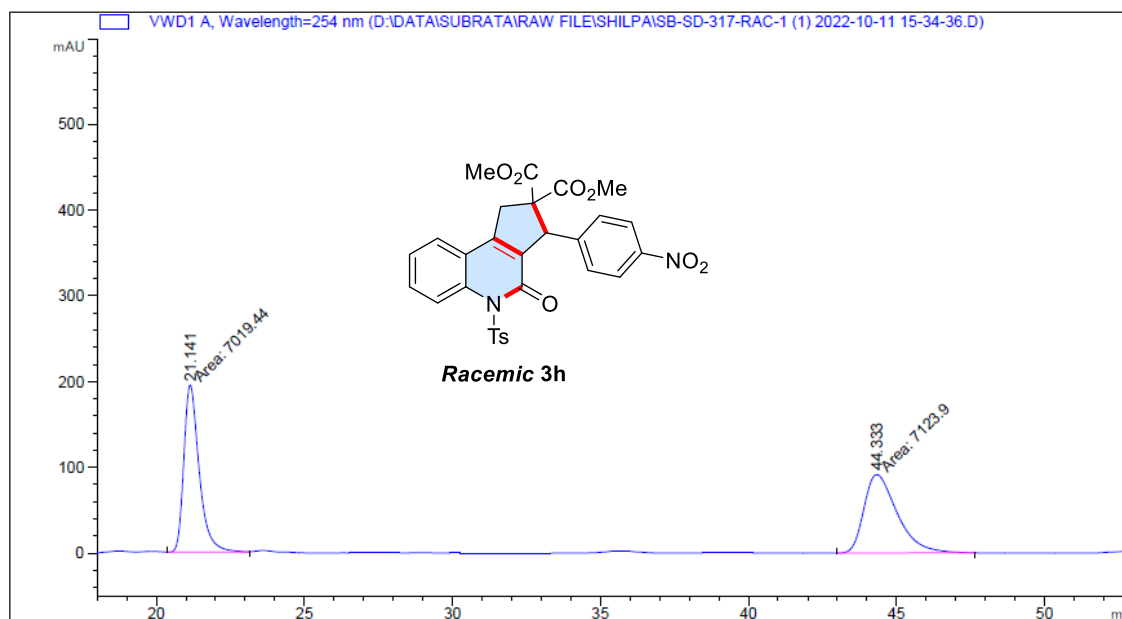
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.063	MM	0.3340	1.17876e4	588.11884	50.1115
2	18.922	MM	0.4975	1.17351e4	393.10907	49.8885



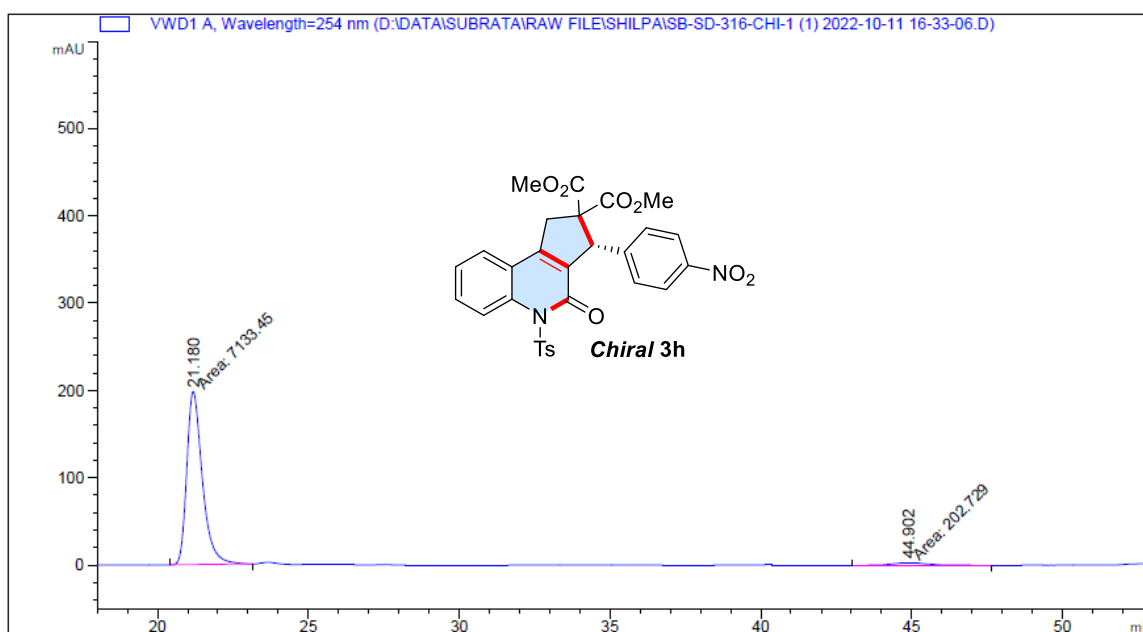
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.126	MM	0.3414	4138.06494	202.01782	98.4672
2	19.125	MM	0.3935	64.41763	2.72828	1.5328

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(4-nitrophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3h**)**



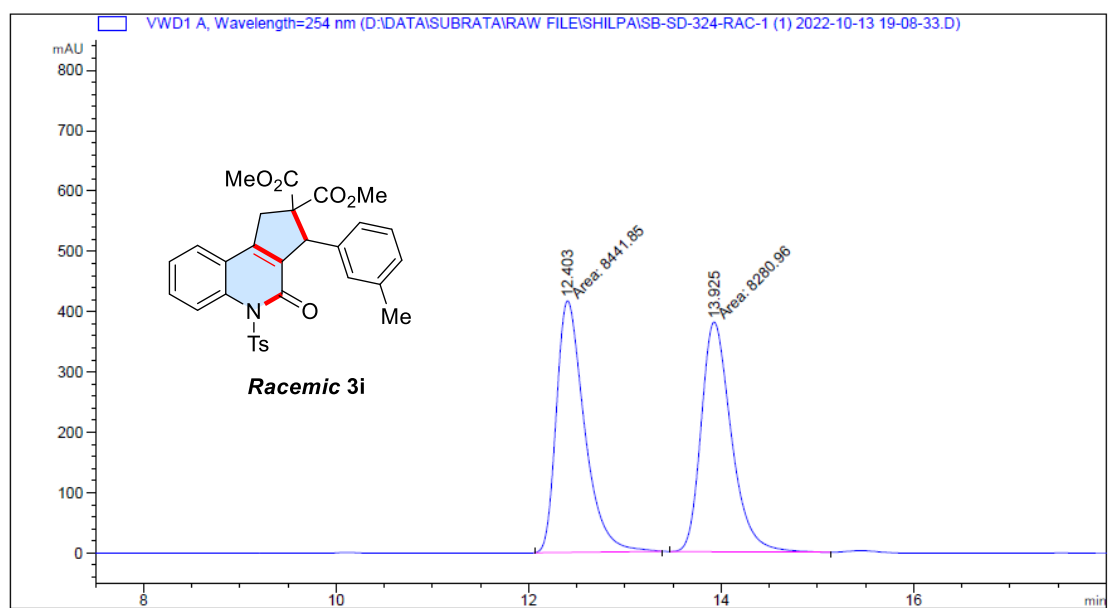
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.141	MM	0.6007	7019.44141	194.75829	49.6307
2	44.333	MM	1.2990	7123.90283	91.40277	50.3693



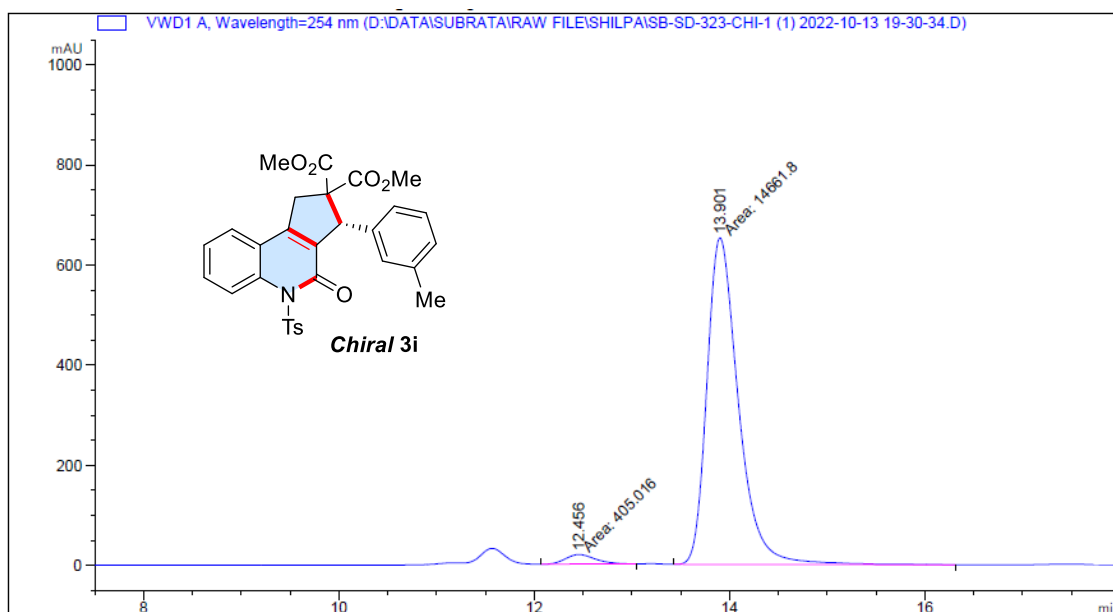
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.180	MM	0.5996	7133.44922	198.29237	97.2366
2	44.902	MM	1.2606	202.72905	2.68039	2.7634

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-4-oxo-3-(*m*-tolyl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3i**)**



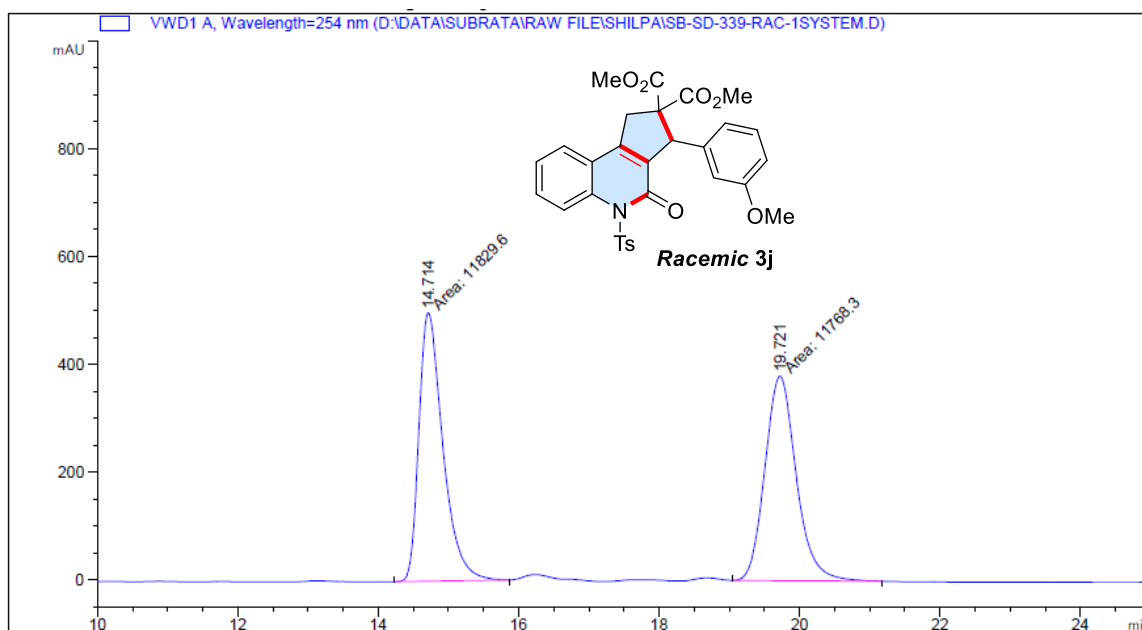
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.403	MM	0.3376	8441.84570	416.76416	50.4810
2	13.925	MM	0.3625	8280.96387	380.75479	49.5190



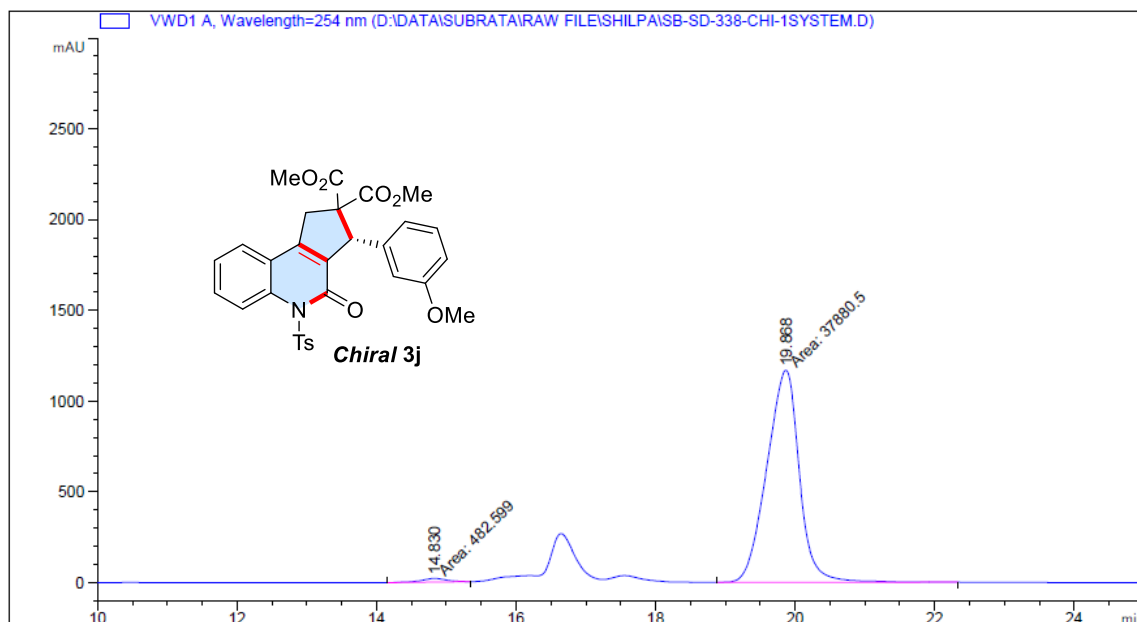
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.456	MM	0.3547	405.01599	19.03202	2.6881
2	13.901	MM	0.3745	1.46618e4	652.42090	97.3119

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

**Dimethyl (*R*)-3-(3-methoxyphenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopen-
ta[*c*]quinoline-2,2-dicarboxylate (**3j**)**



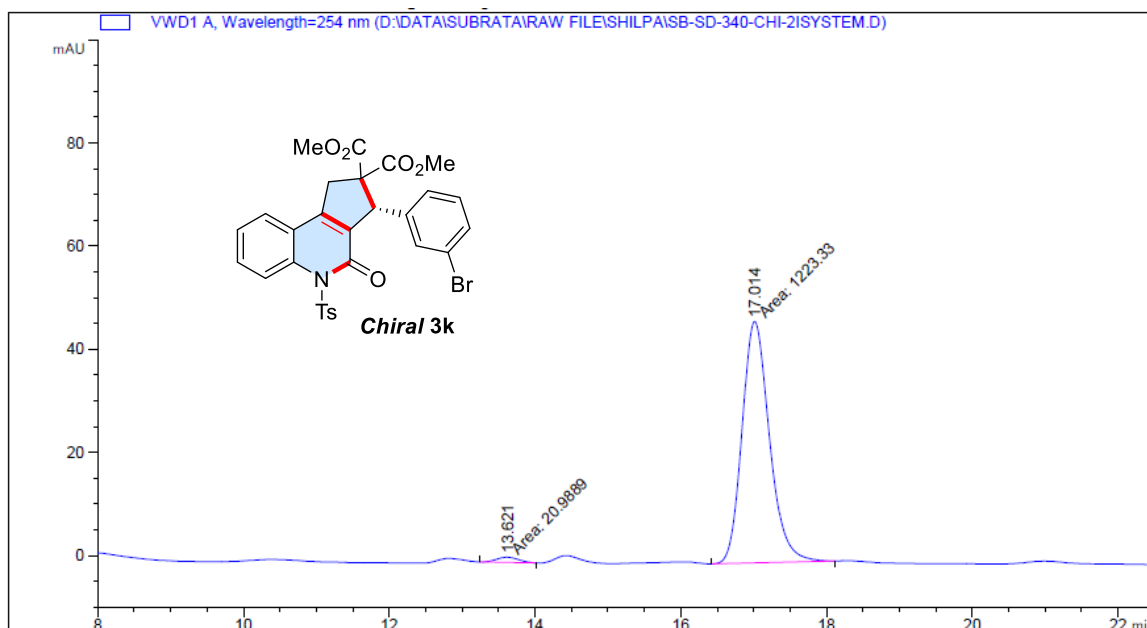
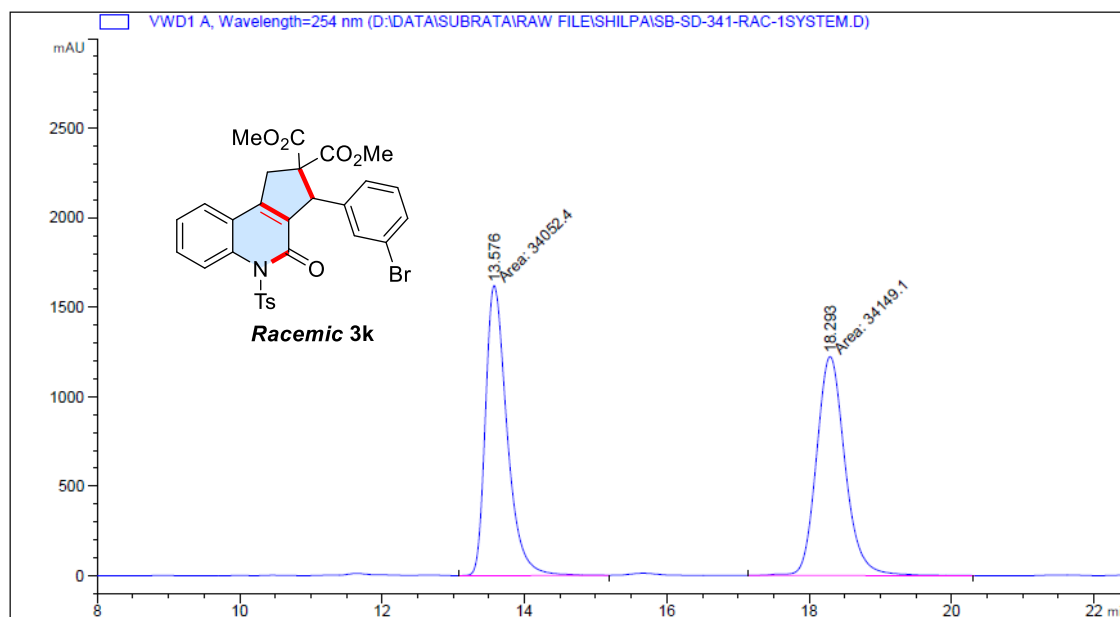
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.714	MM	0.3961	1.18296e4	497.71097	50.1297
2	19.721	MM	0.5172	1.17683e4	379.25208	49.8703



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.830	MM	0.4088	482.59869	19.67612	1.2580
2	19.868	MM	0.5400	3.78805e4	1169.20581	98.7420

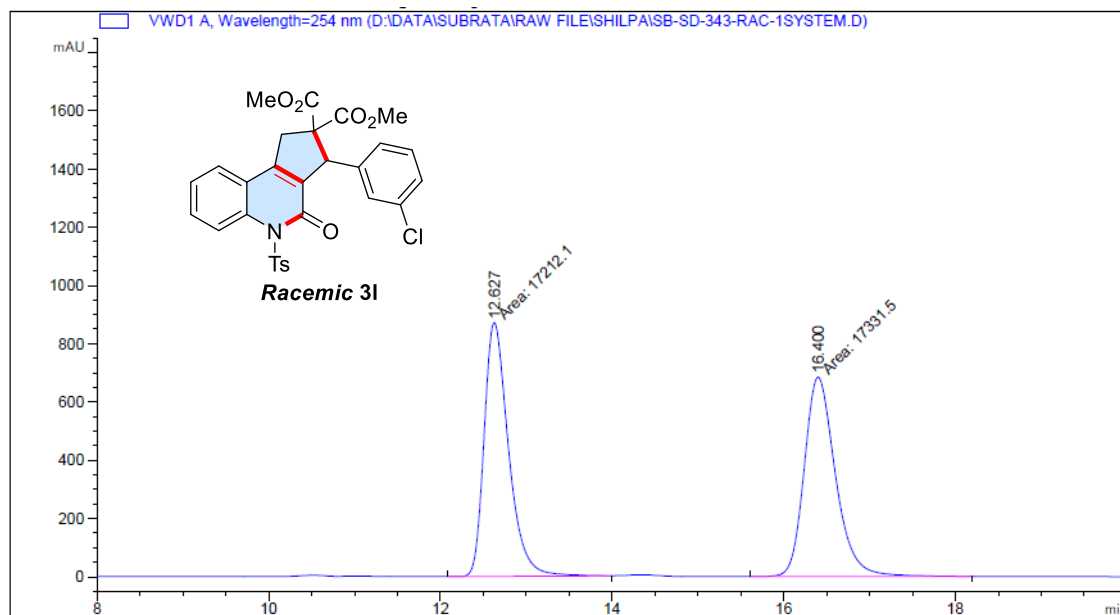
Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (R)-3-(3-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2H-cyclopenta[c]quinoline-2,2-dicarboxylate (3k)

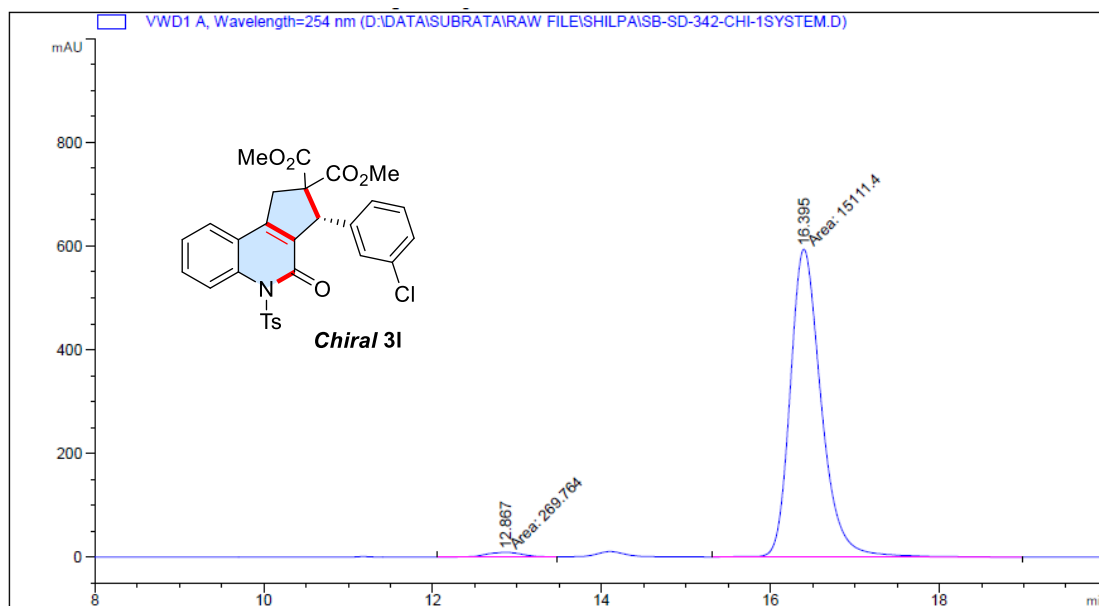


Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(3-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3I)



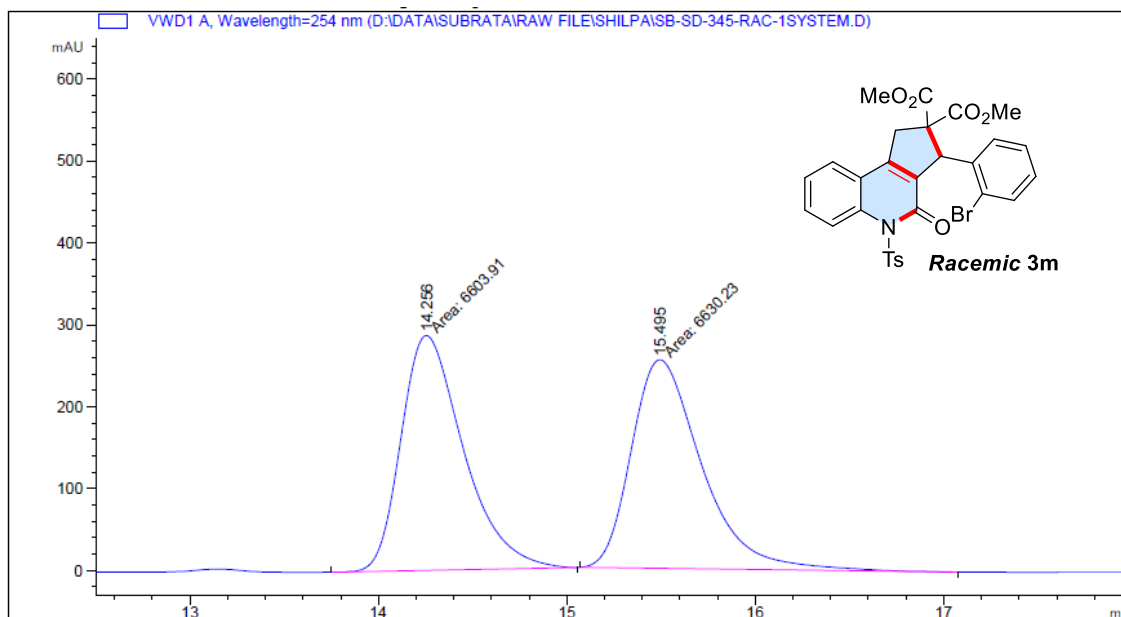
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.627	MM	0.3291	1.72121e4	871.72314	49.8272
2	16.400	MM	0.4221	1.73315e4	684.39404	50.1728



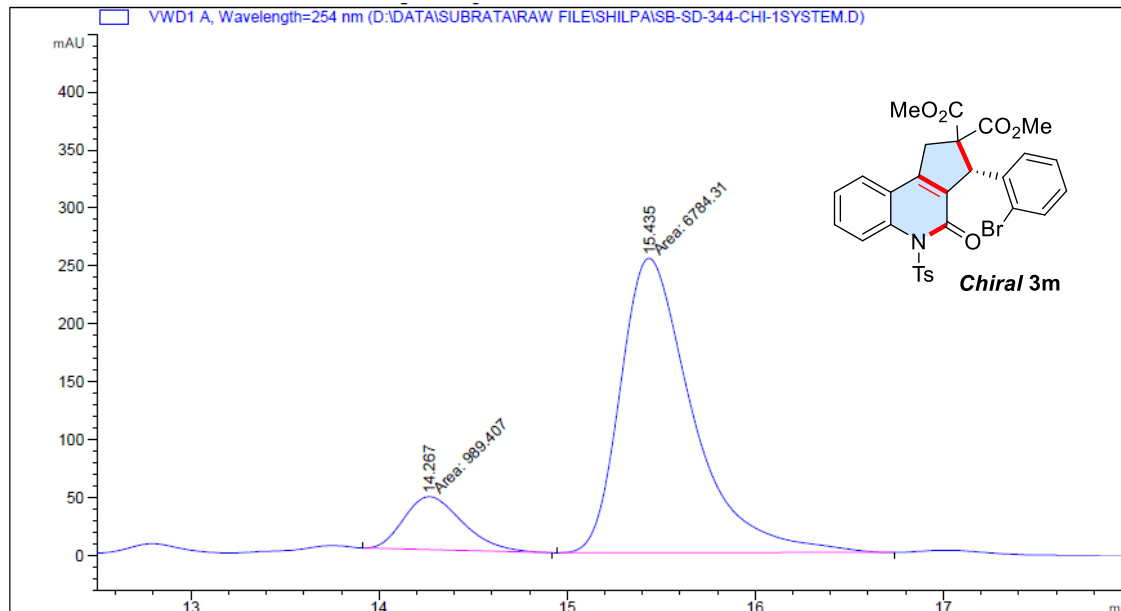
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.867	MM	0.4980	269.76379	9.02857	1.7539
2	16.395	MM	0.4246	1.51114e4	593.21155	98.2461

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(2-bromophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3m)



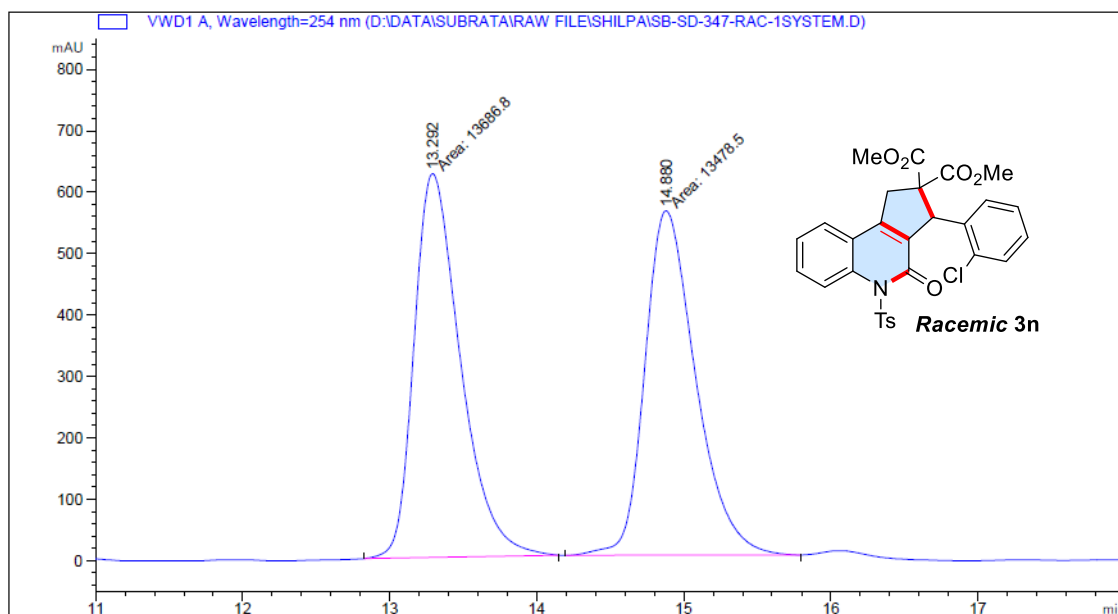
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.256	MM	0.3838	6603.91064	286.75345	49.9006
2	15.495	MM	0.4338	6630.22900	254.70618	50.0994



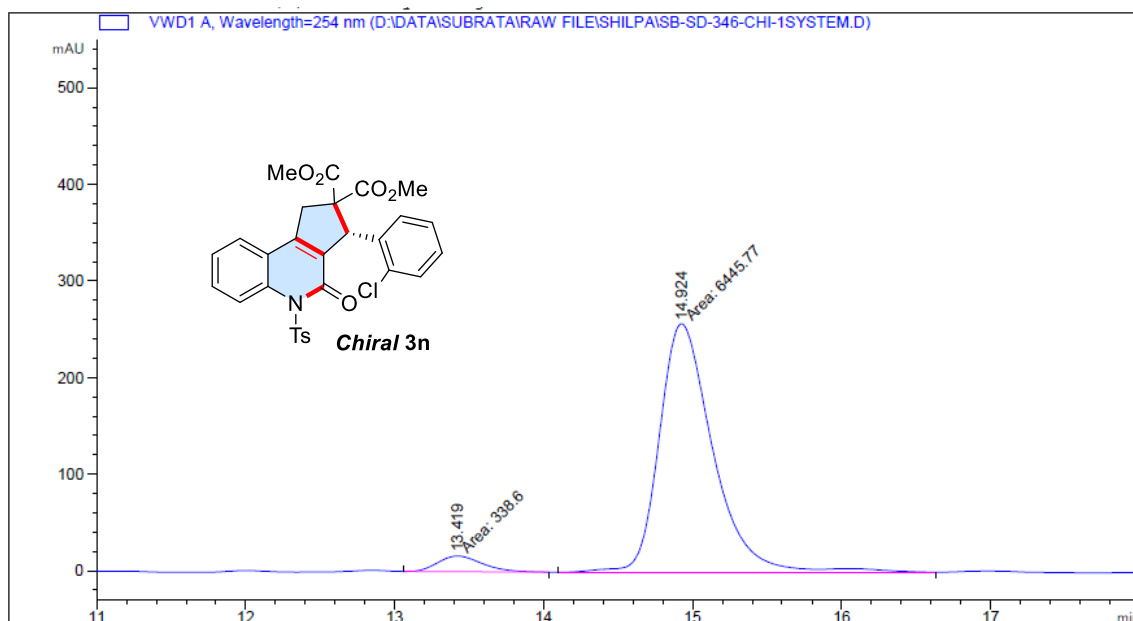
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.267	MM	0.3611	989.40686	45.66132	12.7276
2	15.435	MM	0.4450	6784.30811	254.08867	87.2724

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(2-chlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3n**)**



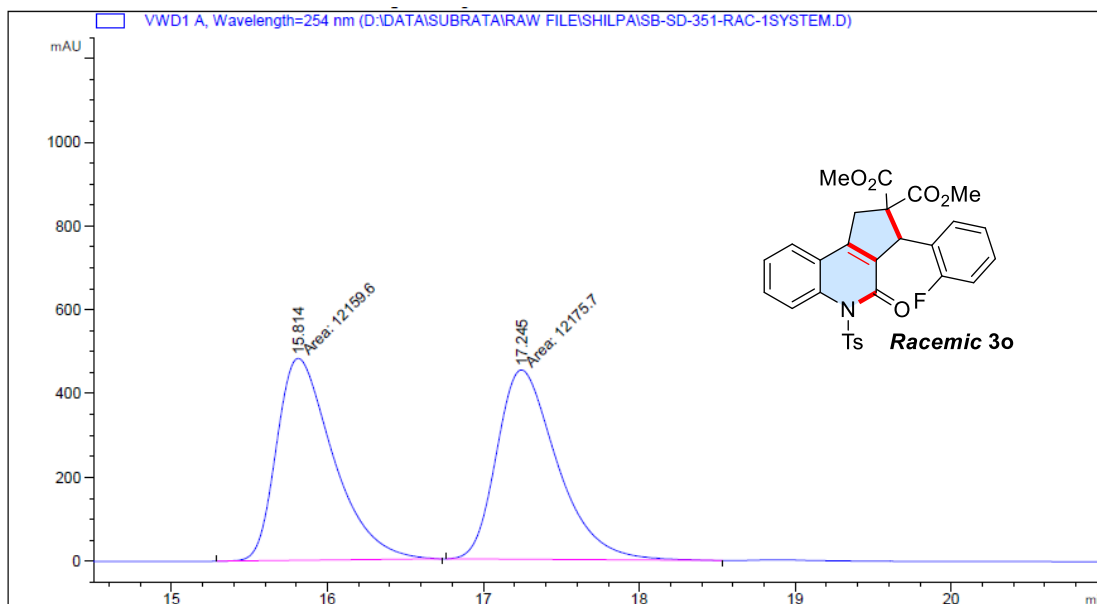
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.292	MM	0.3651	1.36868e4	624.87201	50.3834
2	14.880	MM	0.4005	1.34785e4	560.92548	49.6166



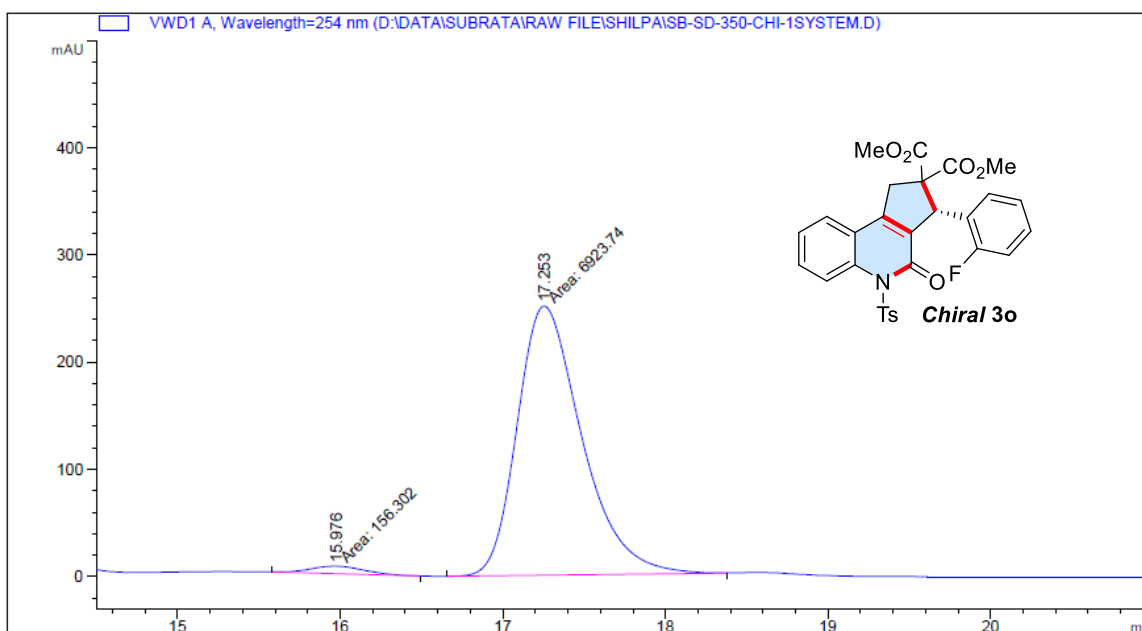
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.419	MM	0.3469	338.60004	16.26587	4.9909
2	14.924	MM	0.4177	6445.77344	257.18408	95.0091

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(2-fluorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3o**)**



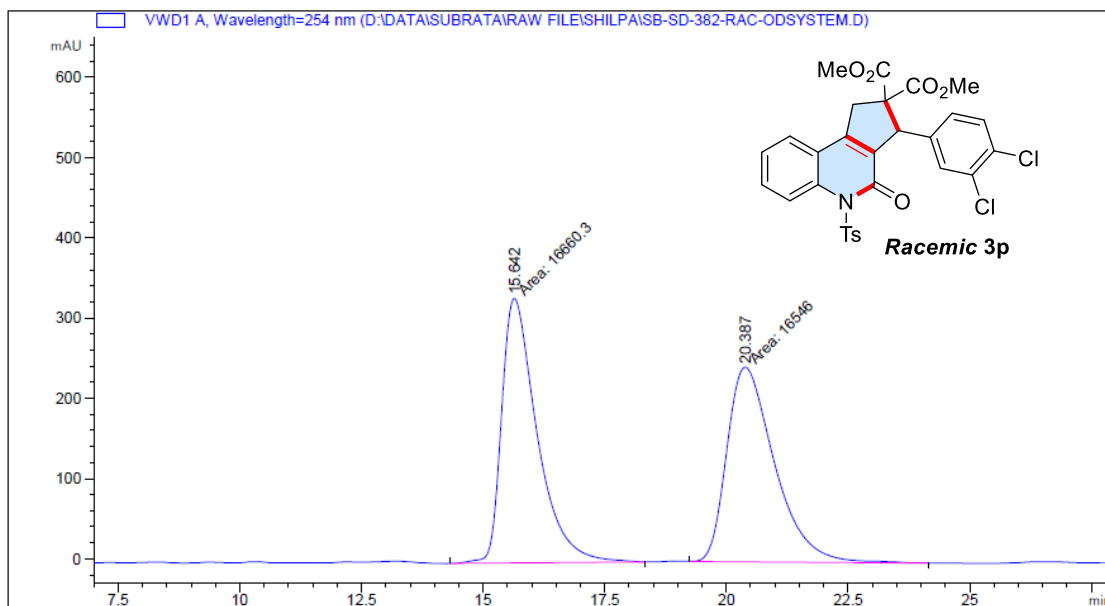
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.814	MM	0.4205	1.21596e4	481.93762	49.9669
2	17.245	MM	0.4492	1.21757e4	451.71497	50.0331



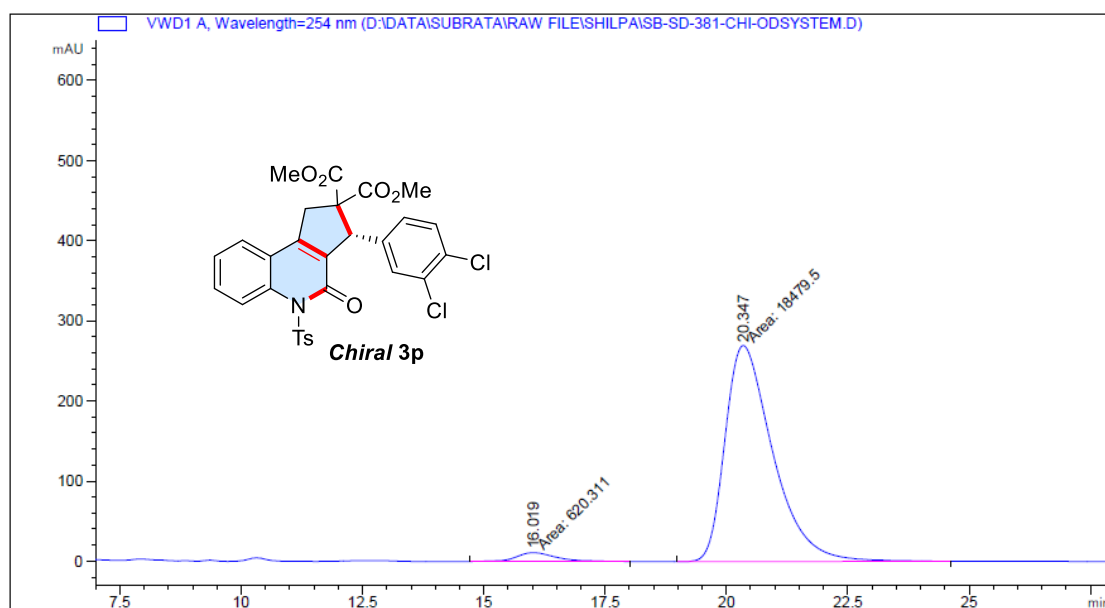
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.976	MM	0.3717	156.30159	7.00905	2.2076
2	17.253	MM	0.4600	6923.74170	250.84380	97.7924

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (R)-3-(3,4-dichlorophenyl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2H-cyclopenta[c]quinoline-2,2-dicarboxylate (3p)



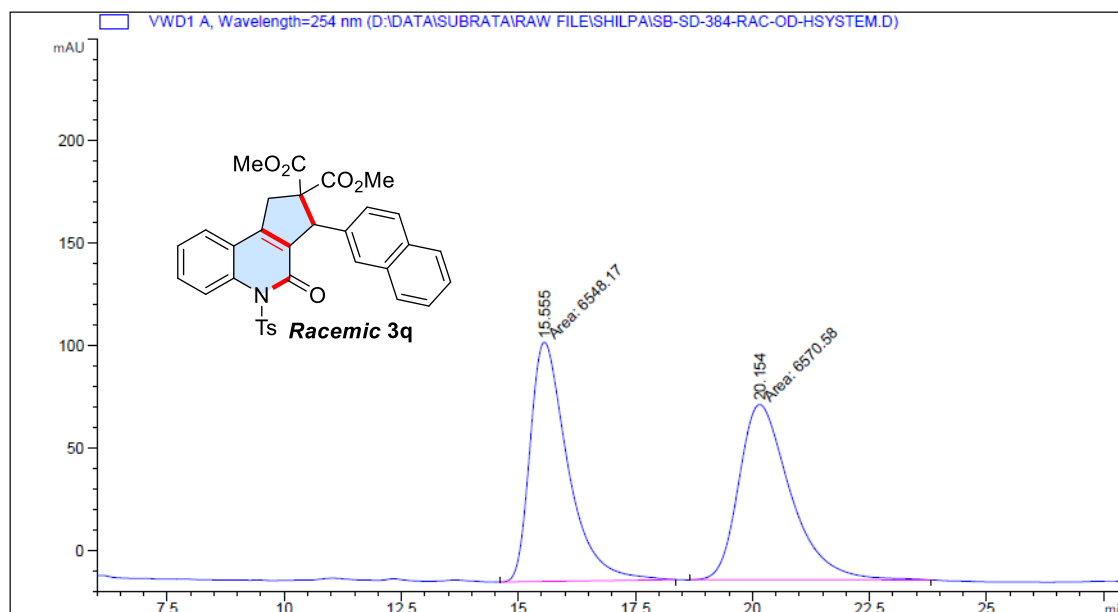
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.642	MM	0.8430	1.66603e4	329.39282	50.1722
2	20.387	MM	1.1373	1.65460e4	242.48463	49.8278



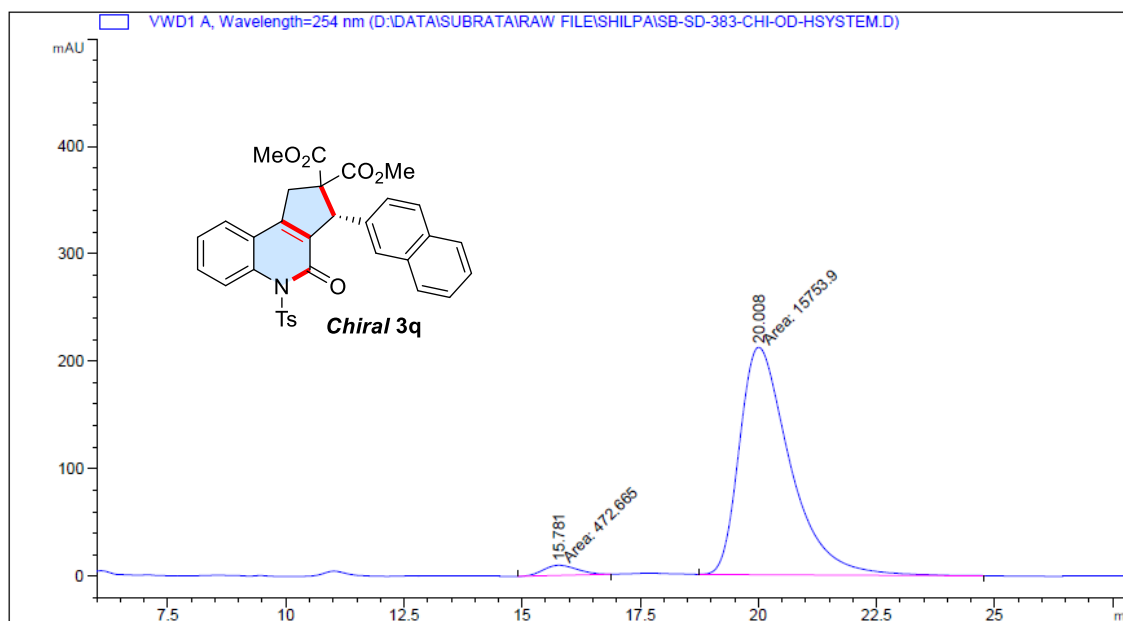
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.019	MM	0.9355	620.31110	11.05087	3.2477
2	20.347	MM	1.1425	1.84795e4	269.56940	96.7523

Sample Info : CHIRALCEL OD-H 30% IPA:HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-(naphthalen-2-yl)-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3q**)**



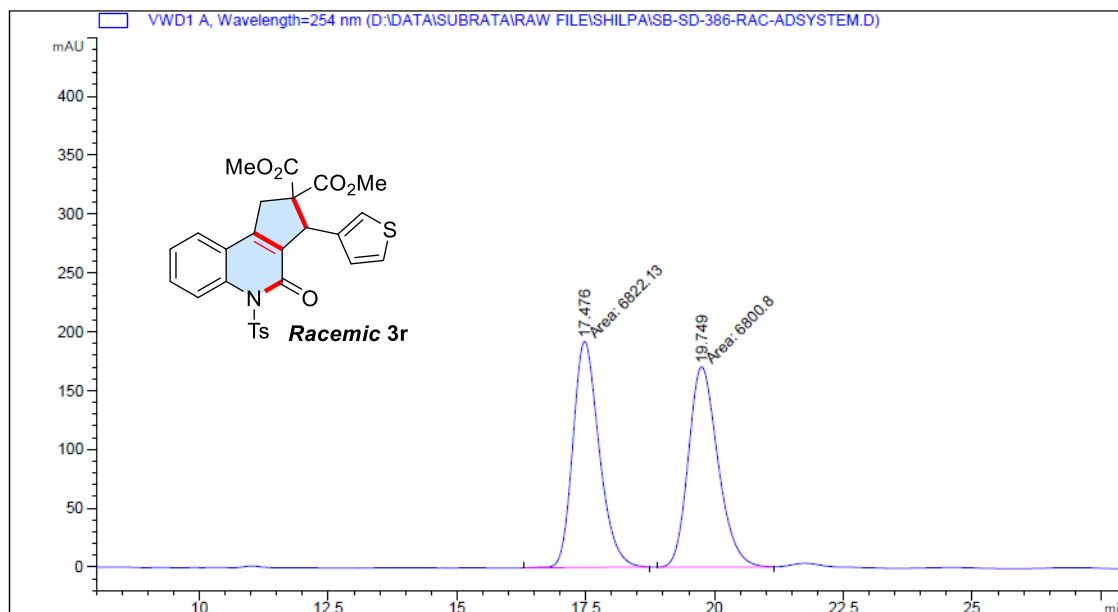
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.555	MM	0.9338	6548.16699	116.87029	49.9146
2	20.154	MM	1.2790	6570.58252	85.61803	50.0854



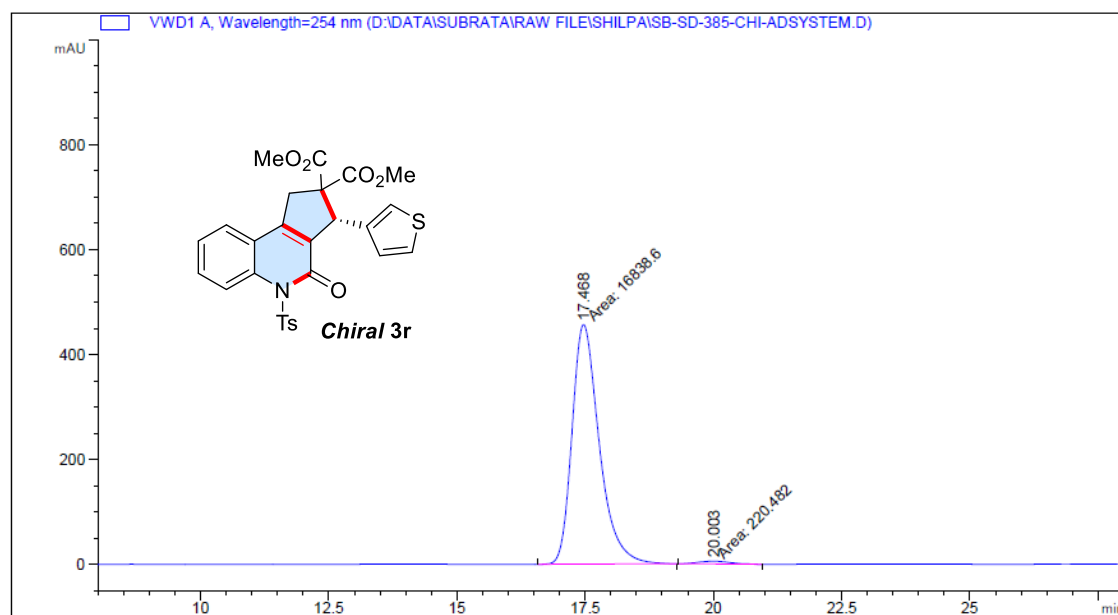
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.781	MM	0.8300	472.66464	9.49153	2.9129
2	20.008	MM	1.2405	1.57539e4	211.65868	97.0871

Sample Info : CHIRALCEL OD-H, 30% IPA:HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-4-oxo-3-(thiophen-3-yl)-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3r**)**



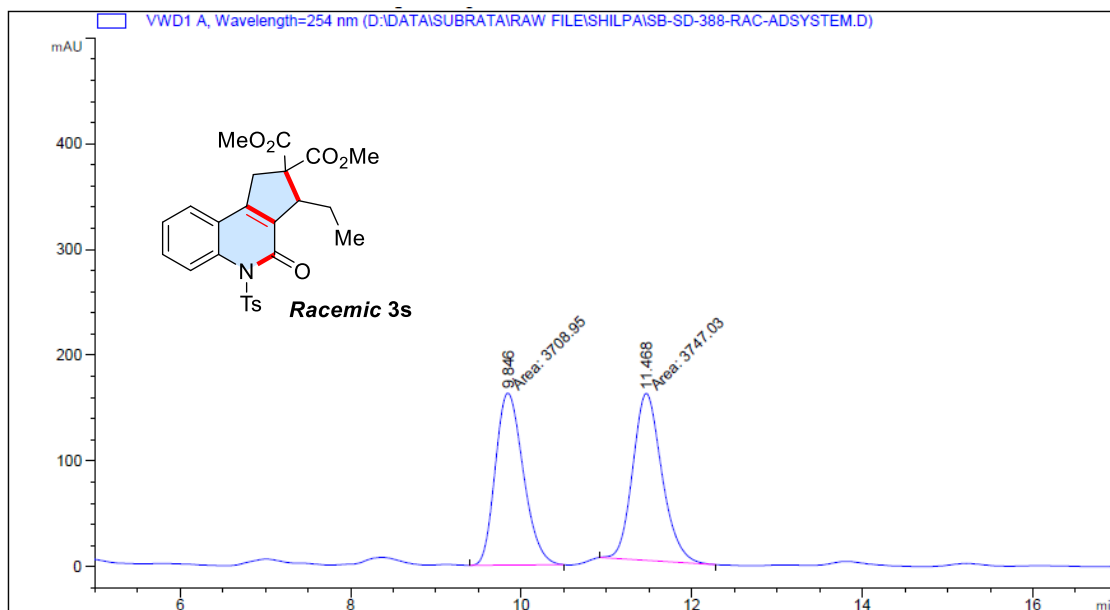
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.476	MM	0.5922	6822.13232	191.98679	50.0783
2	19.749	MM	0.6663	6800.79932	170.11754	49.9217



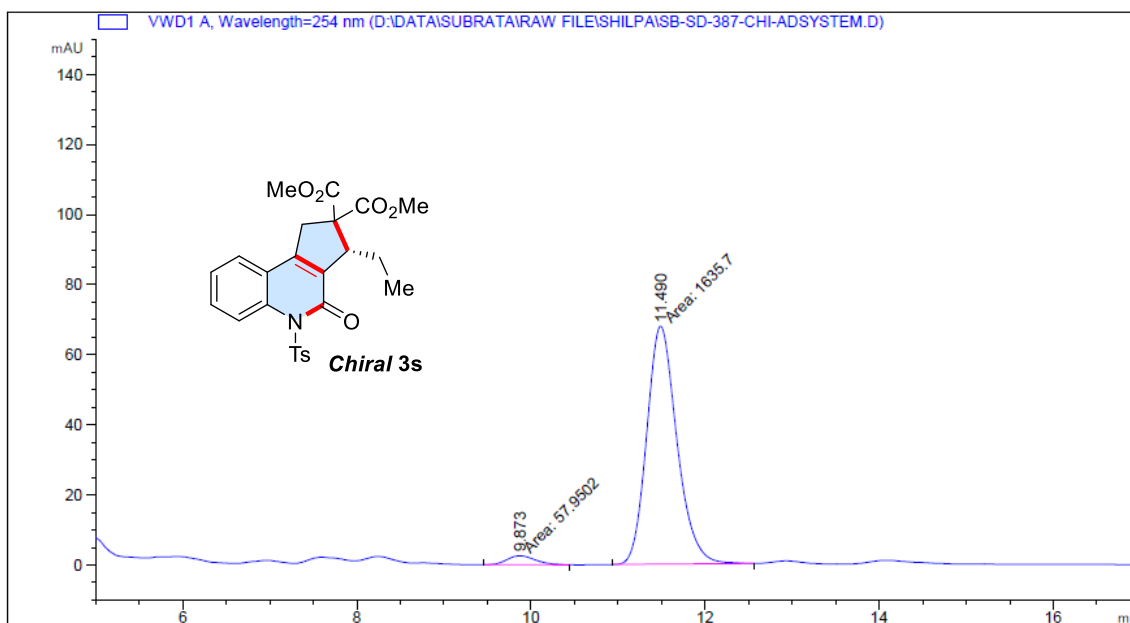
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.468	MM	0.6151	1.68386e4	456.25592	98.7075
2	20.003	MM	0.7100	220.48221	5.17535	1.2925

Sample Info : CHIRALPAK AD, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-ethyl-4-oxo-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3s**)**



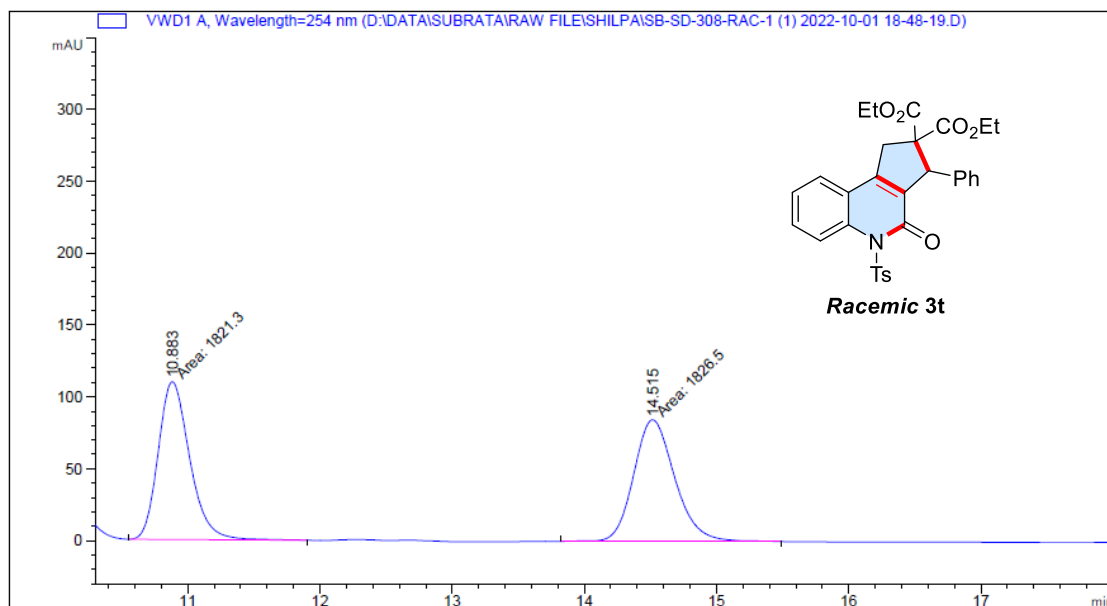
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.846	MM	0.3803	3708.94873	162.55838	49.7446
2	11.468	MM	0.3959	3747.02905	157.73689	50.2554



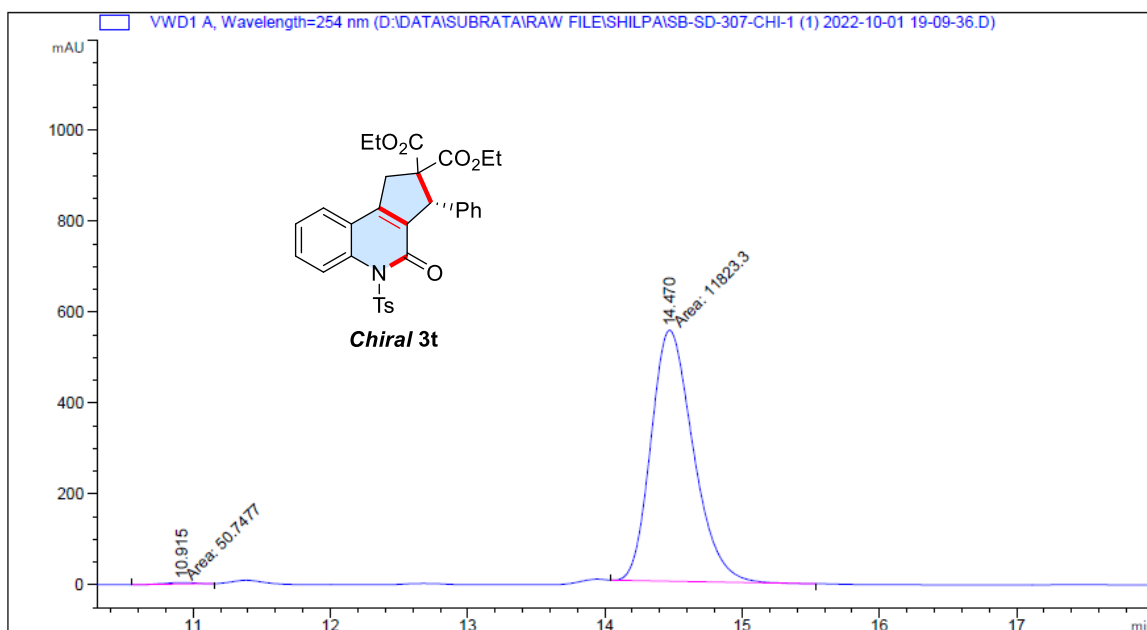
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.873	MM	0.3778	57.95025	2.55617	3.4216
2	11.490	MM	0.4017	1635.70447	67.86875	96.5784

Sample Info : CHIRALPAK AD, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Diethyl (R)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2H-cyclopenta[c]quinoline-2,2-dicarboxylate (3t)



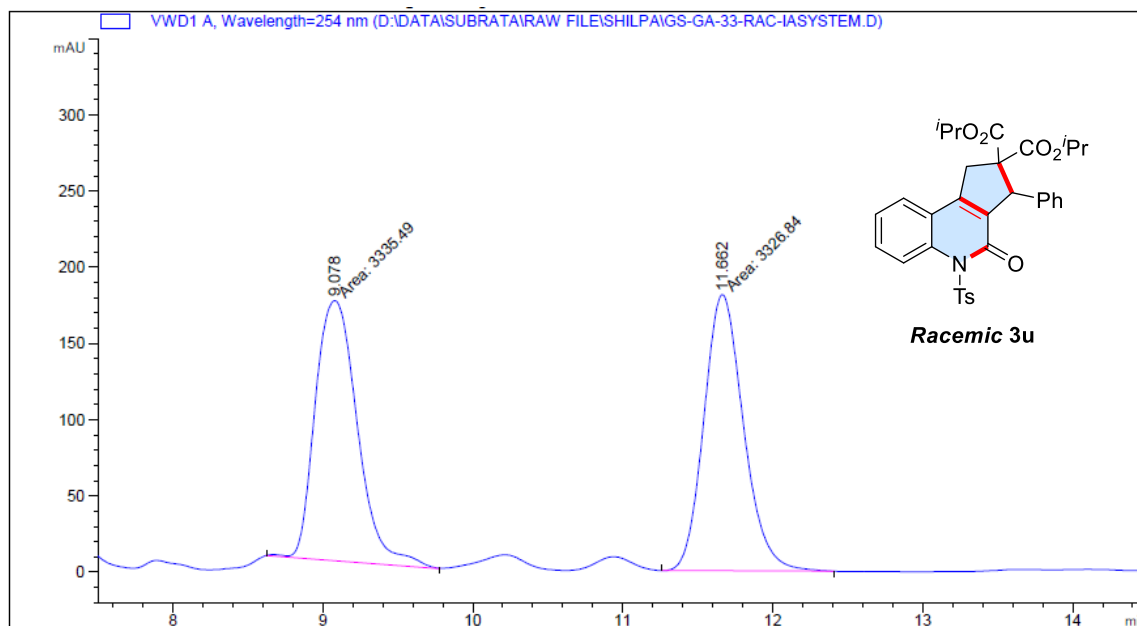
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.883	MM	0.2766	1821.29785	109.72702	49.9286
2	14.515	MM	0.3602	1826.50342	84.50465	50.0714



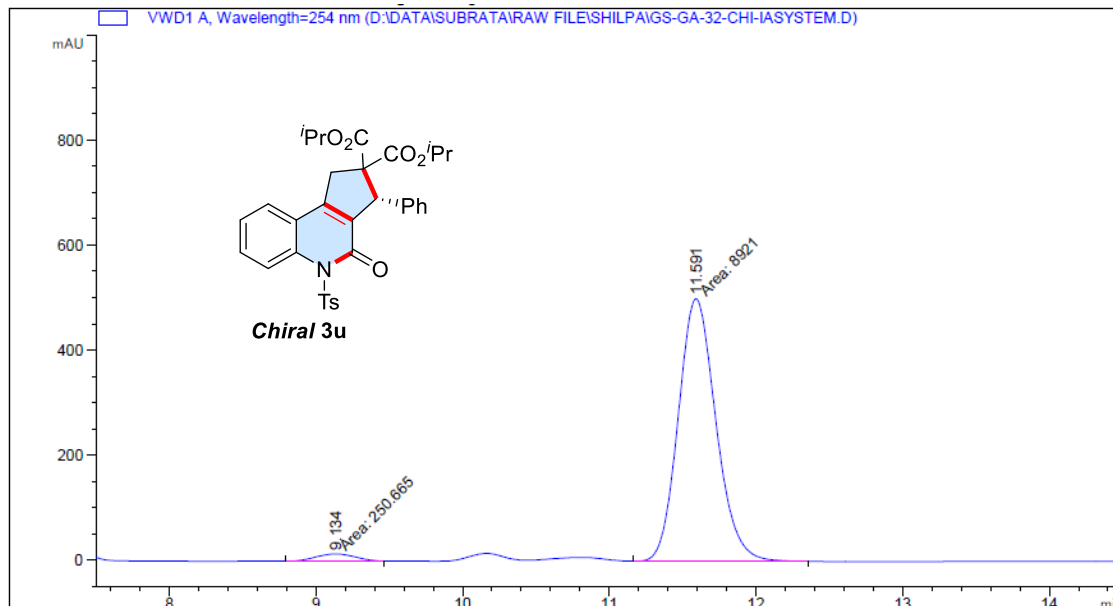
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.915	MM	0.2697	50.74766	3.13606	0.4274
2	14.470	MM	0.3568	1.18233e4	552.24878	99.5726

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Diisopropyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3u**)**



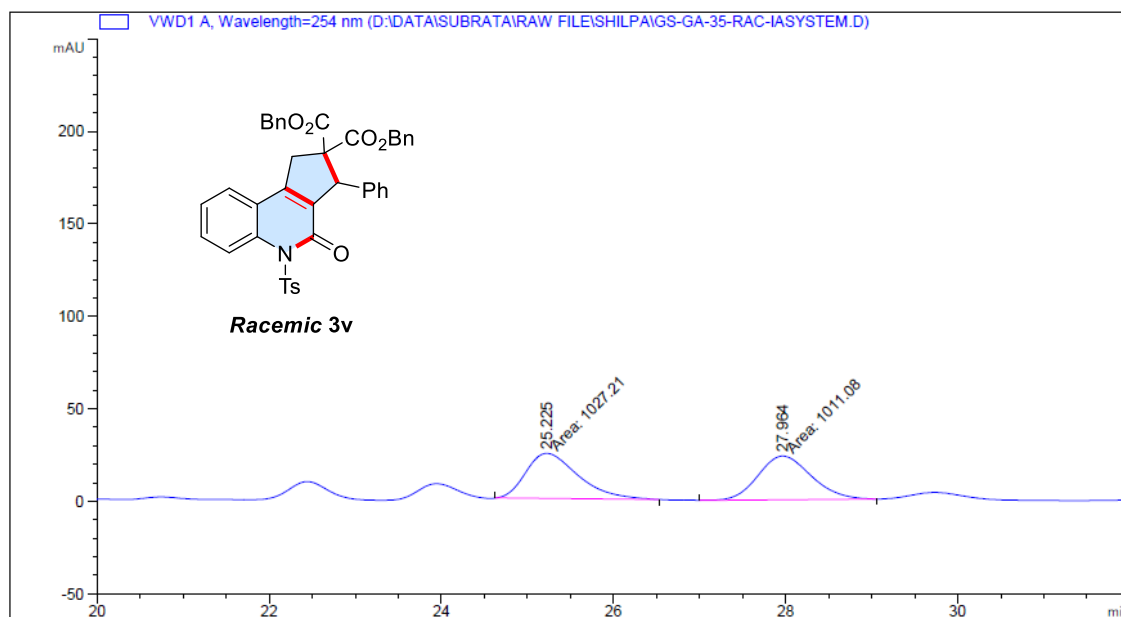
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.078	MM	0.3259	3335.48926	170.56017	50.0649
2	11.662	MM	0.3062	3326.84399	181.07590	49.9351



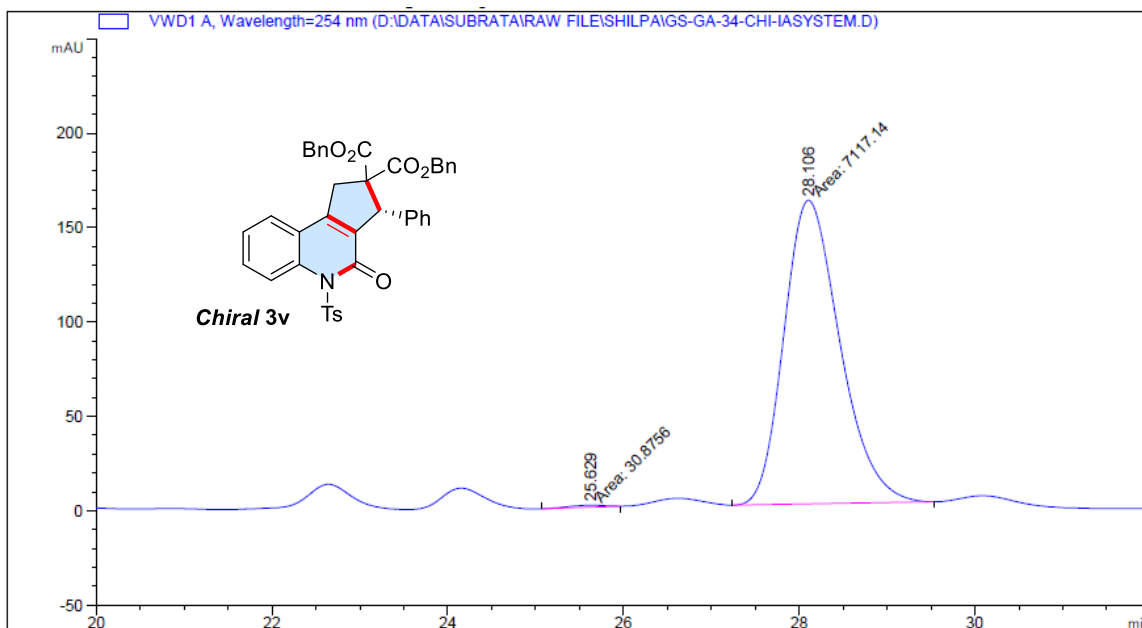
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.134	MM	0.3086	250.66473	13.53794	2.7330
2	11.591	MM	0.2975	8921.00195	499.79984	97.2670

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dibenzyl (R)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2H-cyclopenta[c]quinoline-2,2-dicarboxylate (3v)



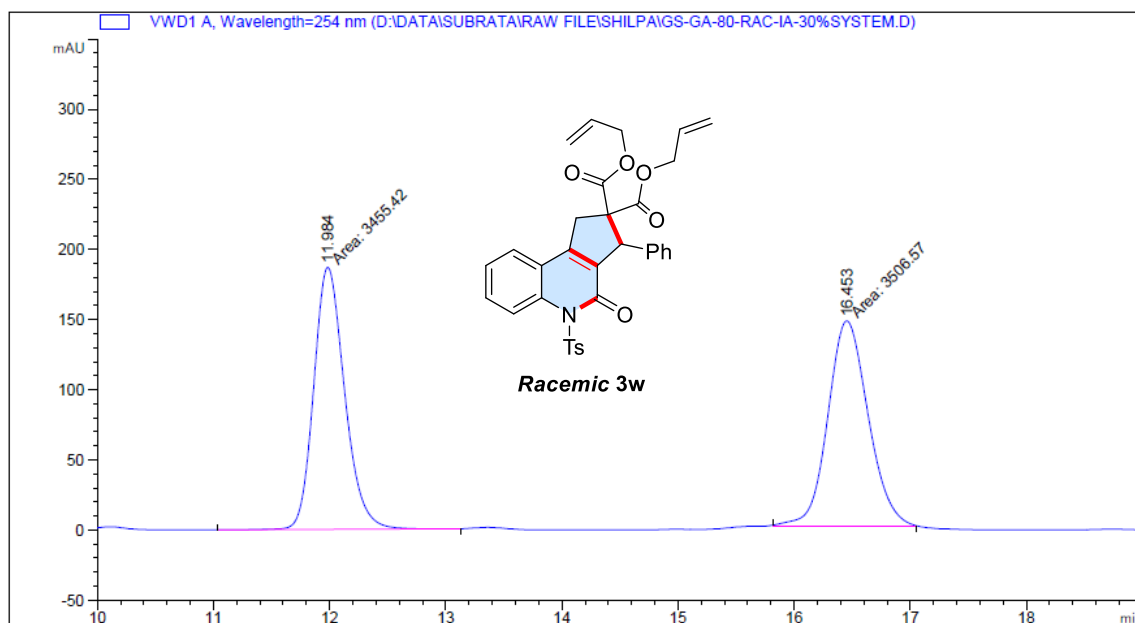
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.225	MM	0.7032	1027.20715	24.34580	50.3957
2	27.964	MM	0.7141	1011.07660	23.59720	49.6043



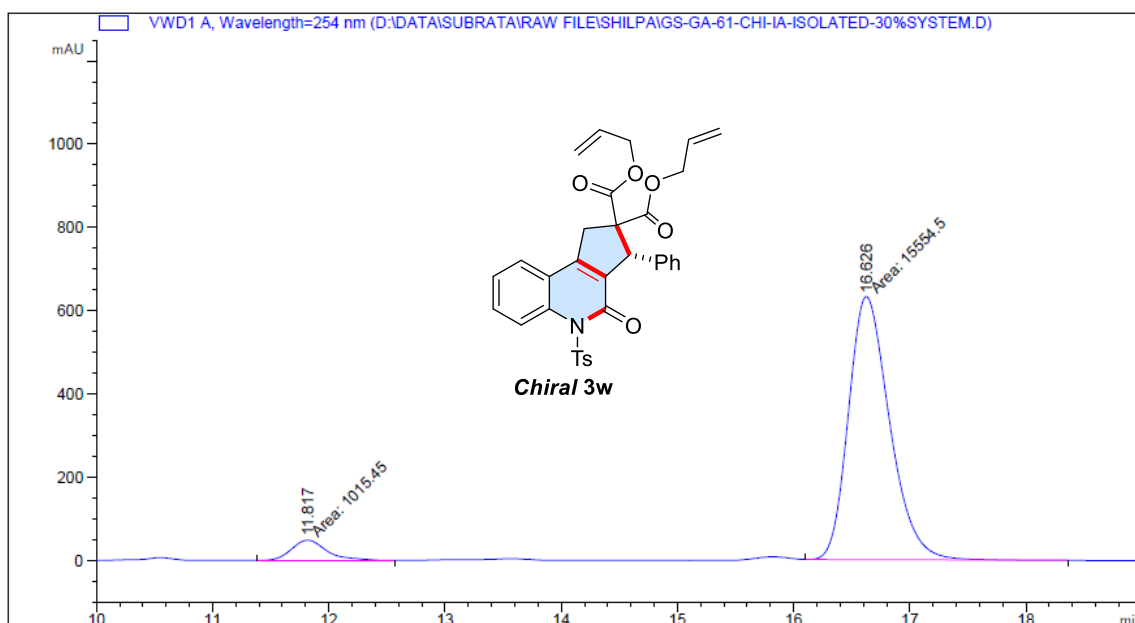
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.629	MM	0.4995	30.87560	1.03021	0.4319
2	28.106	MM	0.7377	7117.13672	160.79282	99.5681

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Diallyl (R)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2H-cyclopenta[c]quinoline-2,2-dicarboxylate (3w)



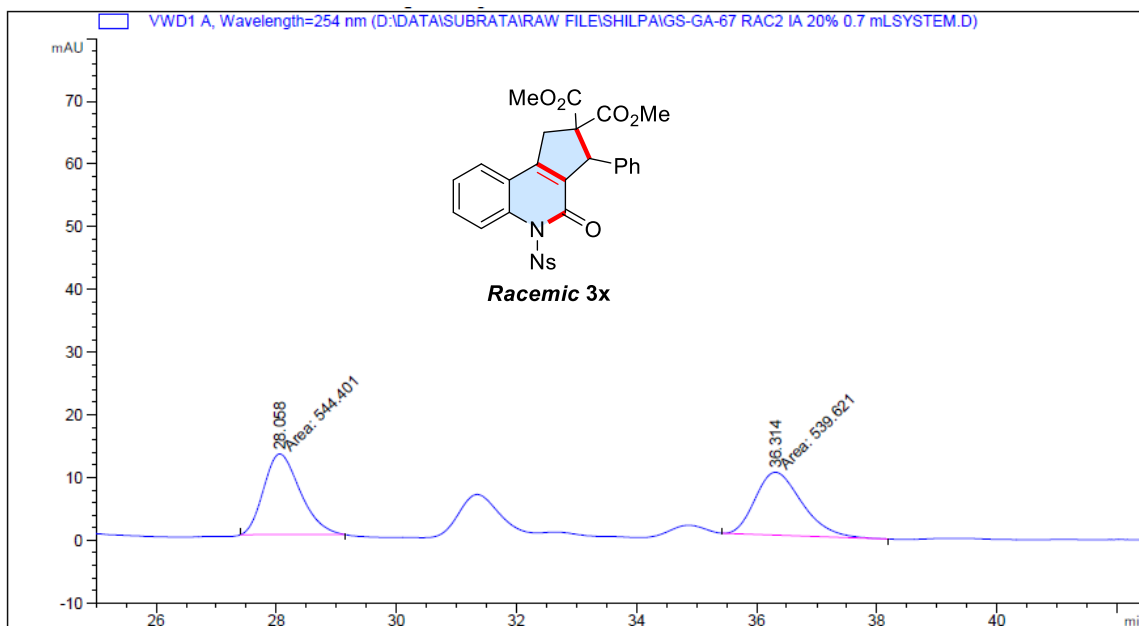
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.984	MM	0.3083	3455.41626	186.81927	49.6326
2	16.453	MM	0.4005	3506.57104	145.92384	50.3674



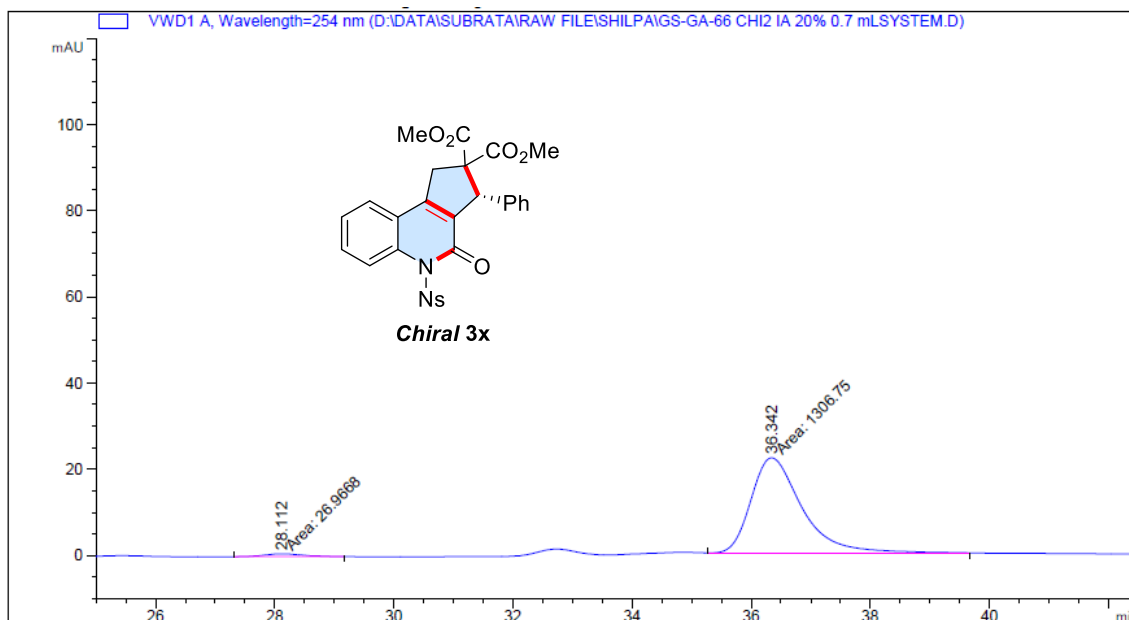
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.817	MM	0.3502	1015.45123	48.32890	6.1283
2	16.626	MM	0.4101	1.55545e4	632.15192	93.8717

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-5-((4-nitrophenyl)sulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3x**)**



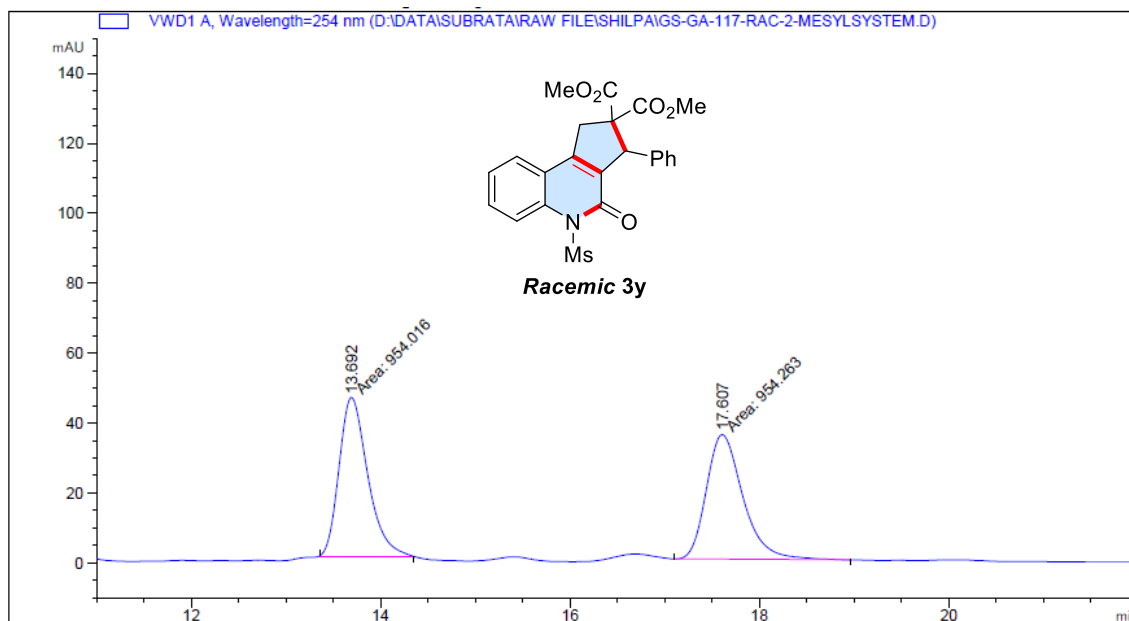
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	28.058	MM	0.7054	544.40063	12.86260	50.2204
2	36.314	MM	0.8980	539.62146	10.01543	49.7796



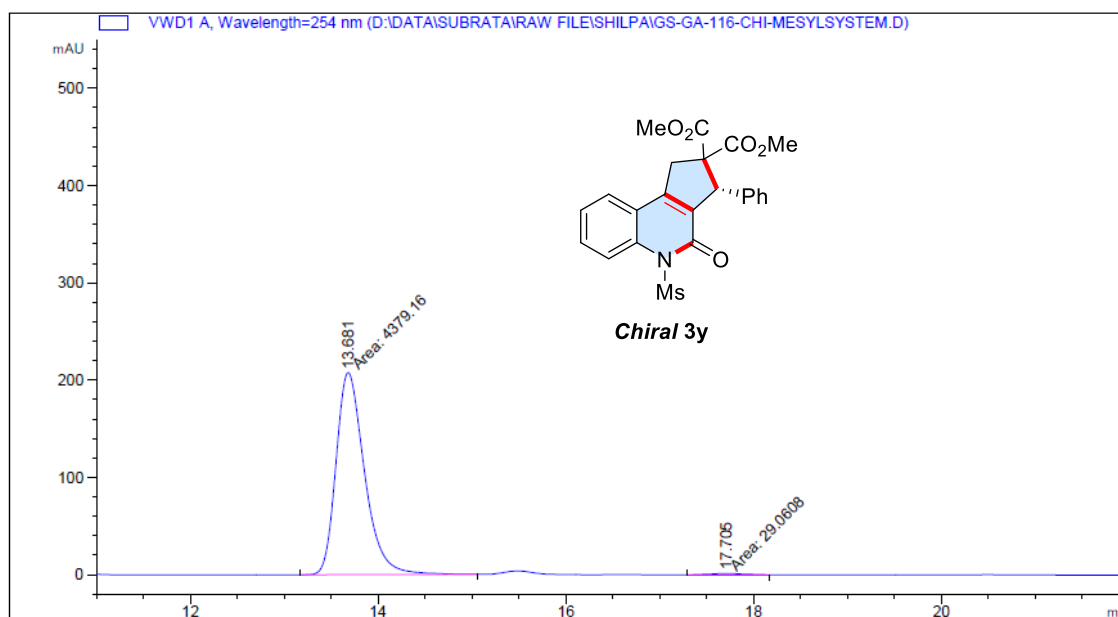
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	28.112	MM	0.7288	26.96685	6.16723e-1	2.0219
2	36.342	MM	0.9876	1306.75012	22.05247	97.9781

Sample Info : CHIRALPAK IA , 20% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-5-(methylsulfonyl)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3y**)**



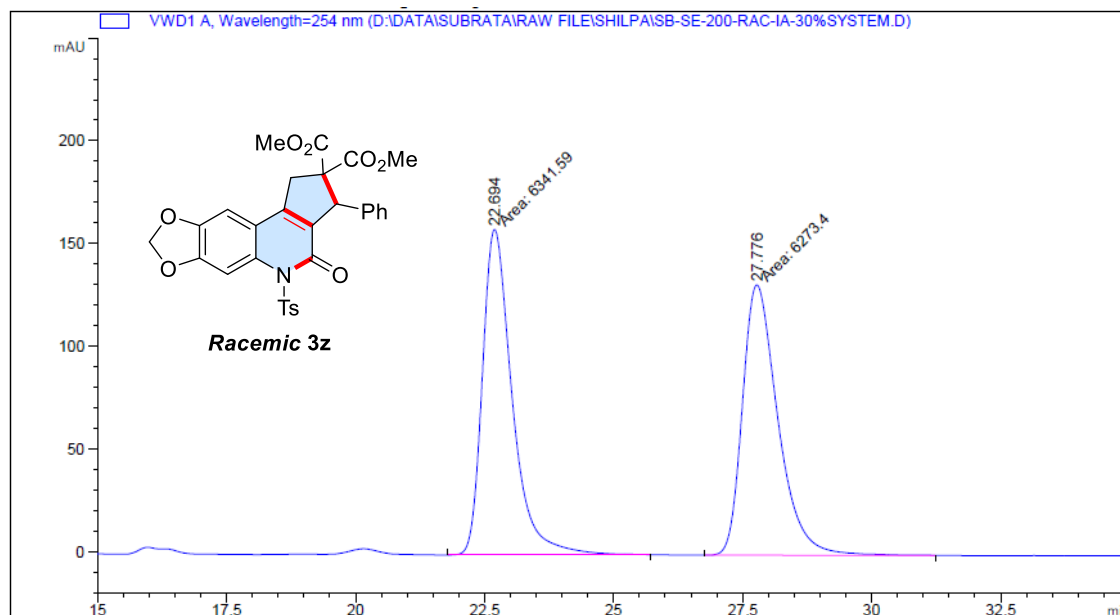
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.692	MM	0.3501	954.01648	45.42110	49.9935
2	17.607	MM	0.4471	954.26270	35.57198	50.0065



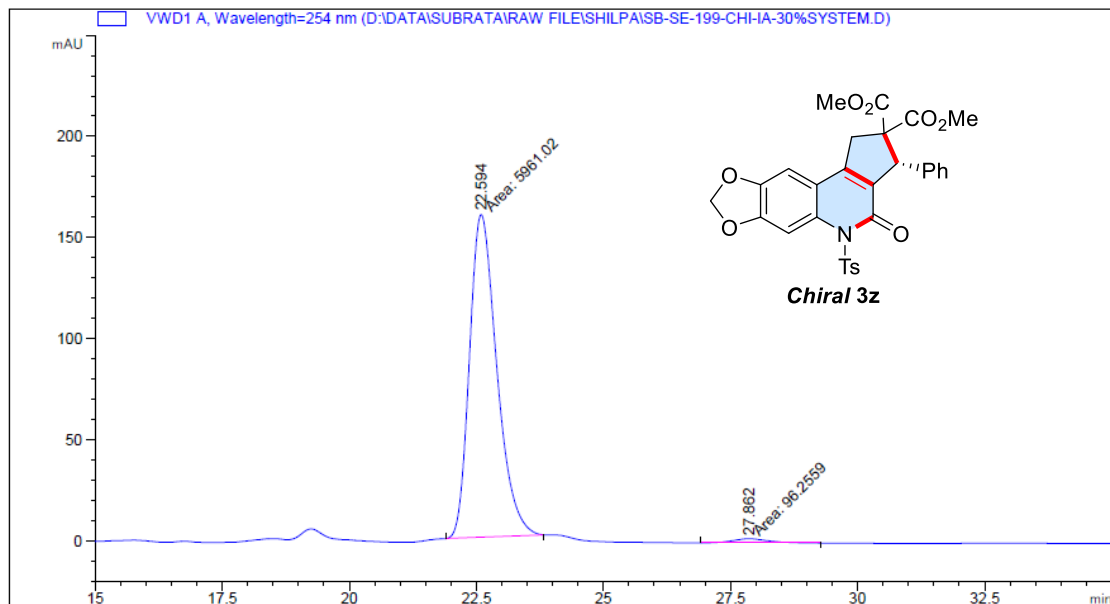
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.681	MM	0.3515	4379.16309	207.65285	99.3408
2	17.705	MM	0.4050	29.06082	1.19582	0.6592

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*][1,3]dioxolo [4,5-*g*]quinoline-2,2-dicarboxylate (3z**)**



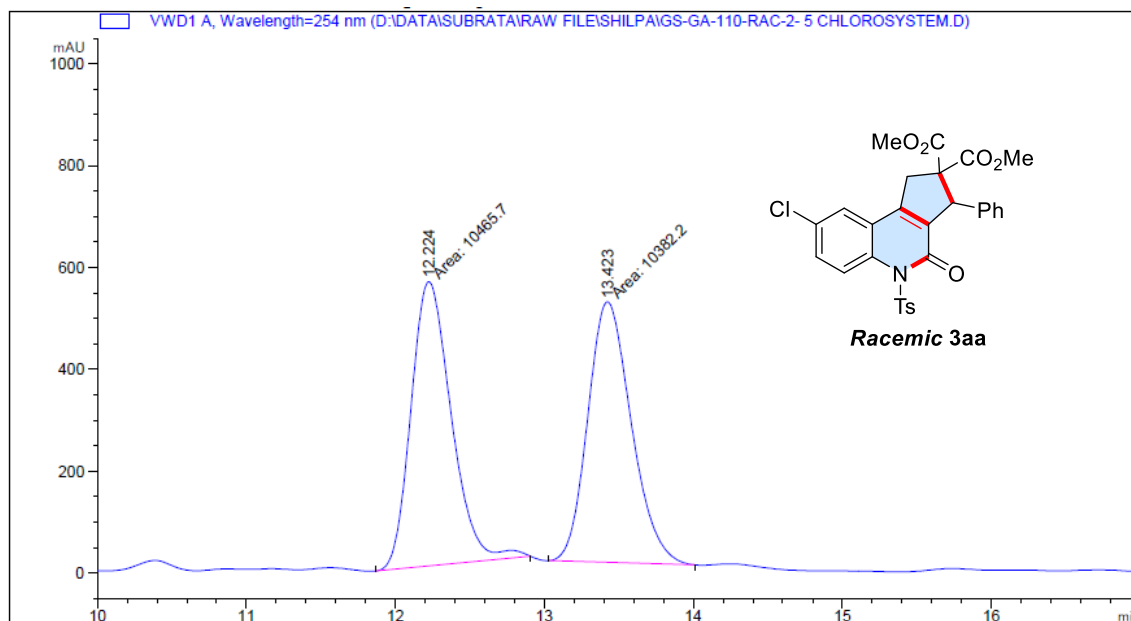
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.694	MM	0.6676	6341.58838	158.30623	50.2703
2	27.776	MM	0.7949	6273.40186	131.52705	49.7297



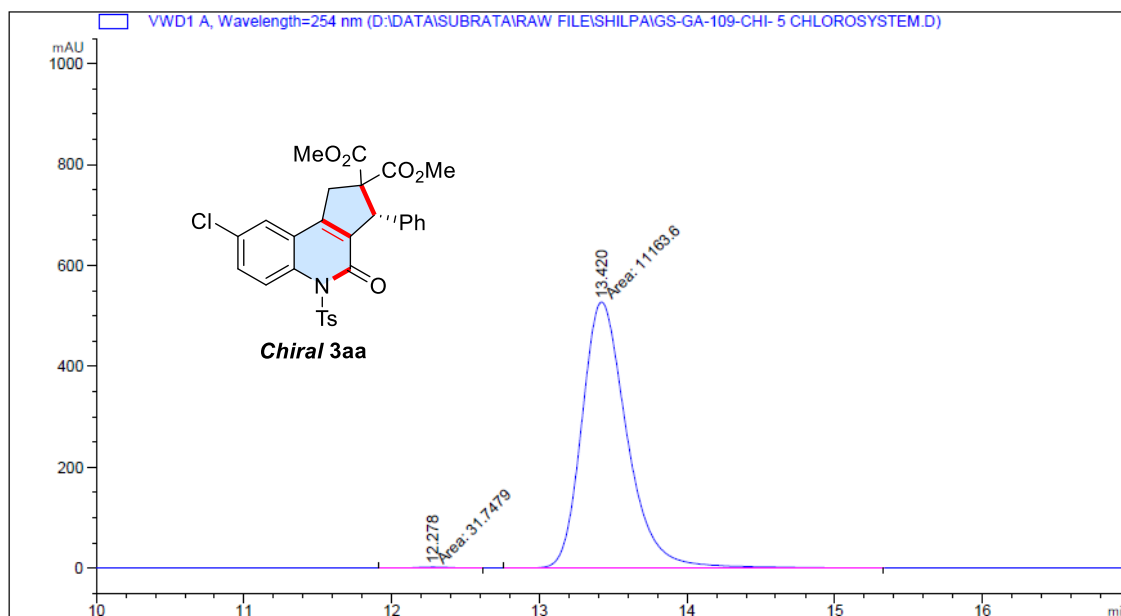
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.594	MM	0.6228	5961.02393	159.51743	98.4109
2	27.862	MM	0.8021	96.25594	1.99996	1.5891

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-8-chloro-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3aa**)**



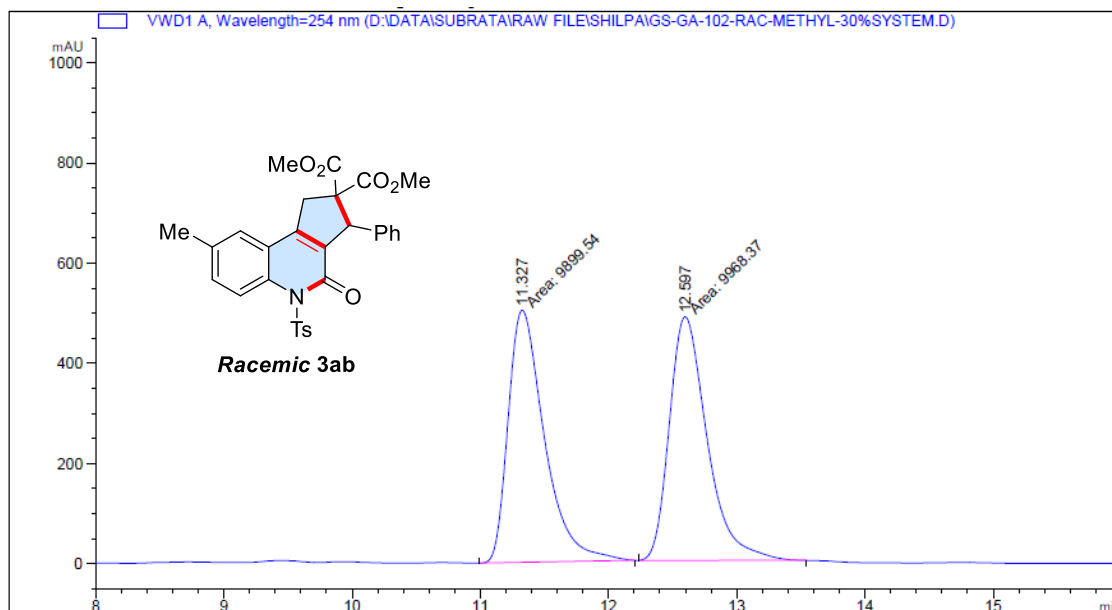
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.224	MM	0.3124	1.04657e4	558.32367	50.2002
2	13.423	MM	0.3382	1.03822e4	511.61185	49.7998



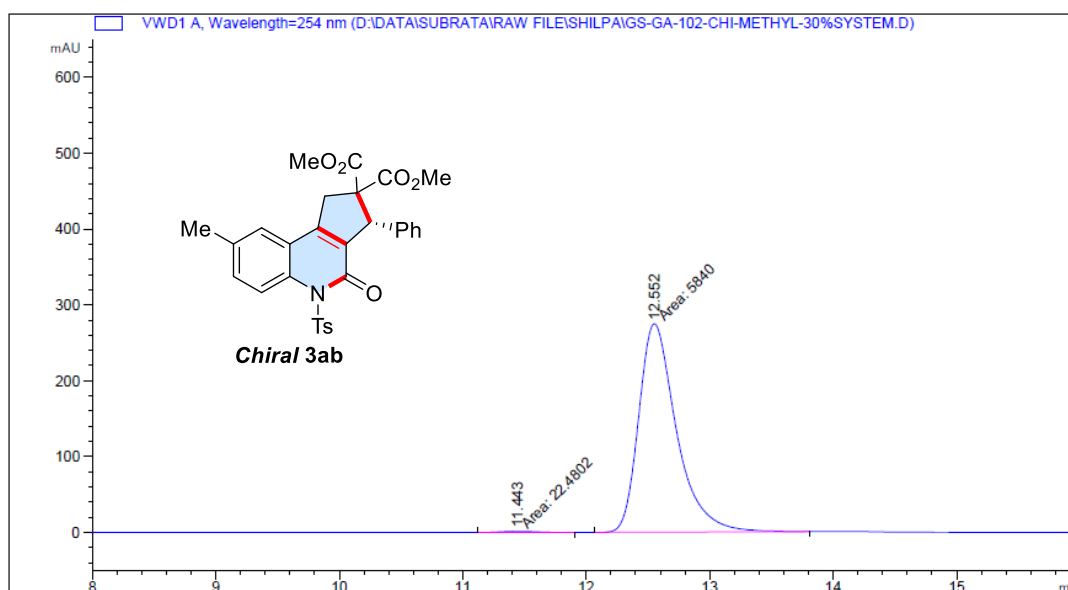
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.278	MM	0.2943	31.74793	1.79792	0.2836
2	13.420	MM	0.3529	1.11636e4	527.16571	99.7164

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-8-methyl-4-oxo-3-phenyl-5-tosyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (3ab**)**



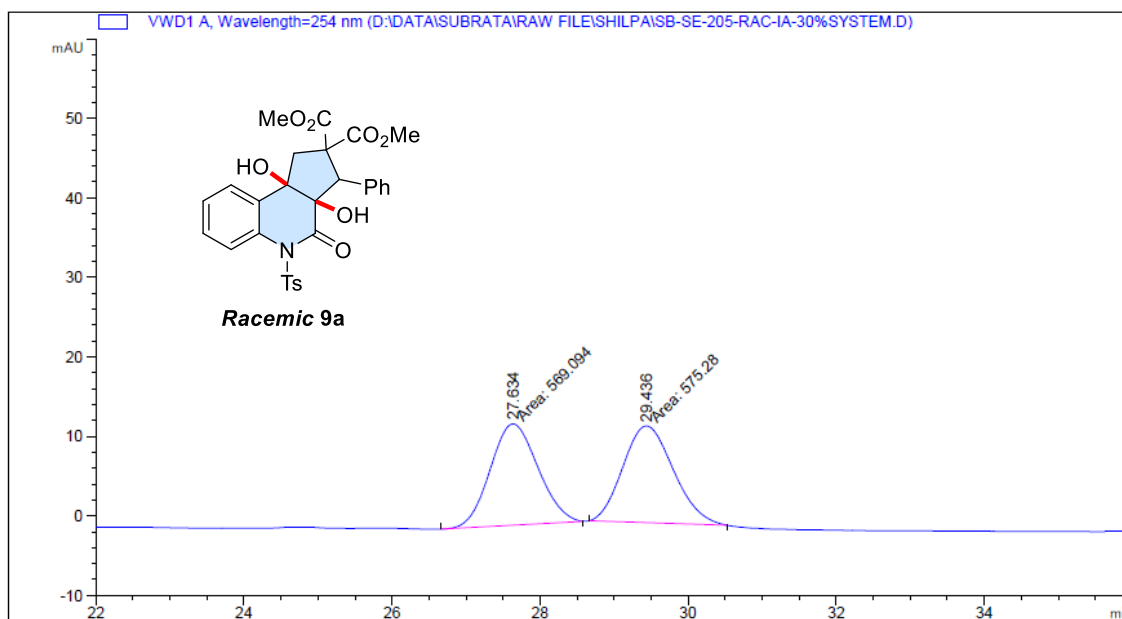
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.327	MM	0.3277	9899.53613	503.50262	49.8268
2	12.597	MM	0.3416	9968.37012	486.38901	50.1732



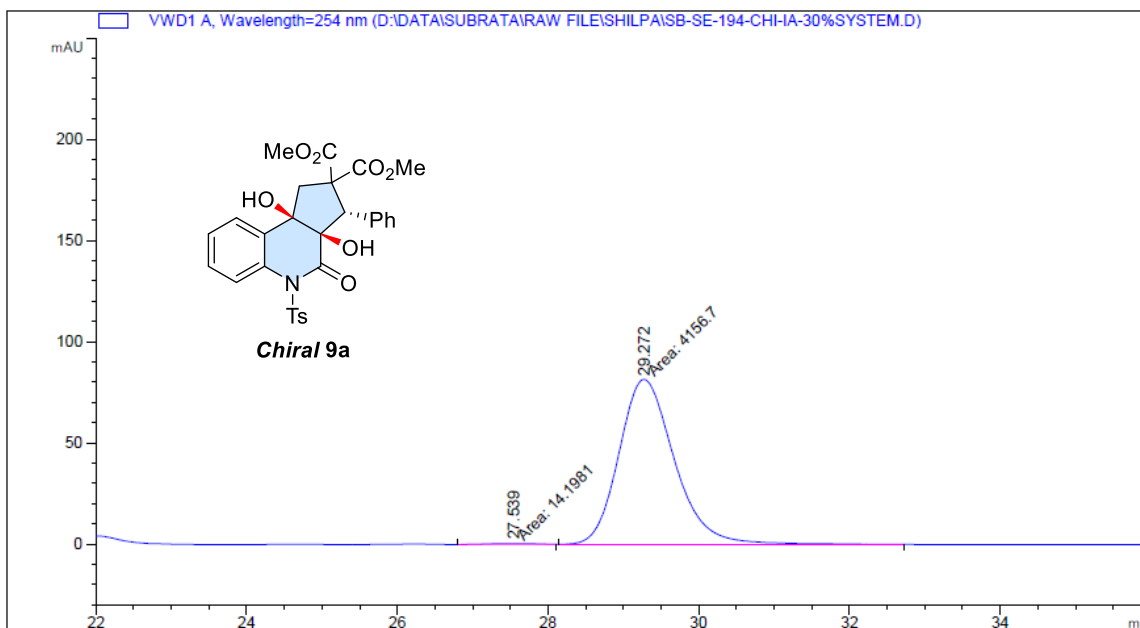
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.443	MM	0.3204	22.48019	1.16949	0.3835
2	12.552	MM	0.3542	5840.00488	274.78635	99.6165

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (3*S*,3*aR*,9*bR*)-3*a*,9*b*-dihydroxy-4-oxo-3-phenyl-5-tosyl-1,3,3*a*,4,5,9*b*-hexahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (9*a*)



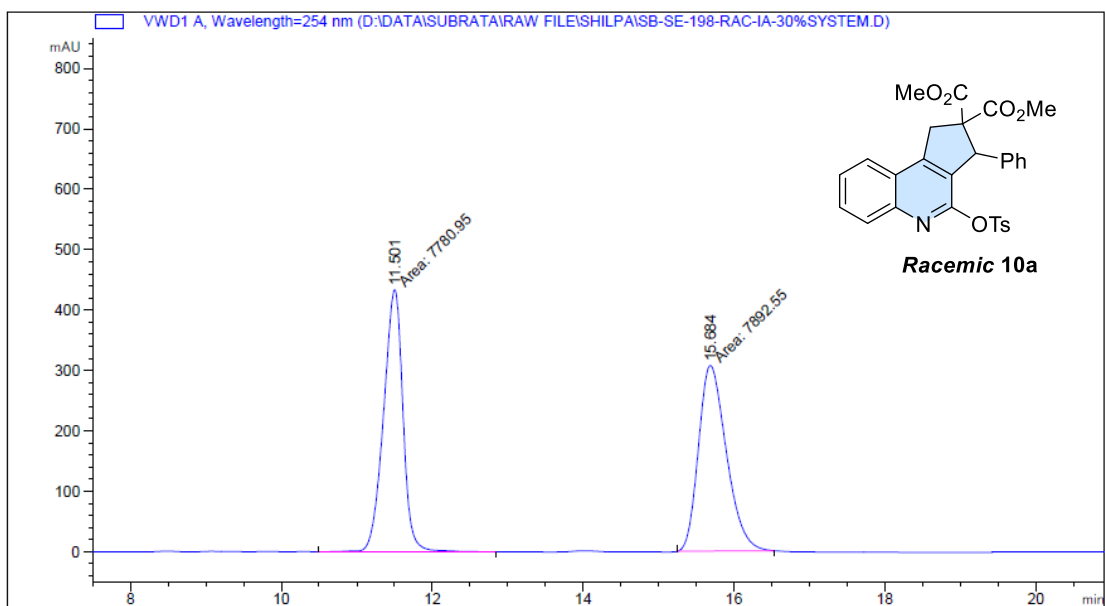
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	27.634	MM	0.7451	569.09448	12.72990	49.7298
2	29.436	MM	0.7889	575.27960	12.15399	50.2702



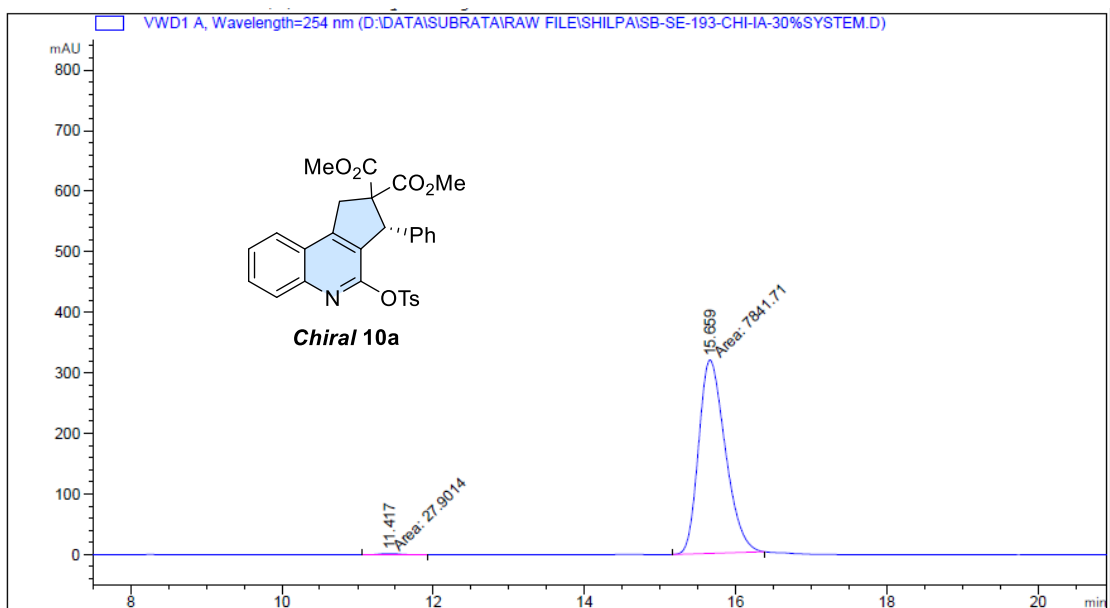
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	27.539	MM	0.6494	14.19809	3.64413e-1	0.3404
2	29.272	MM	0.8510	4156.70215	81.40401	99.6596

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-3-phenyl-4-(tosyloxy)-1,3-dihydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (10a**)**



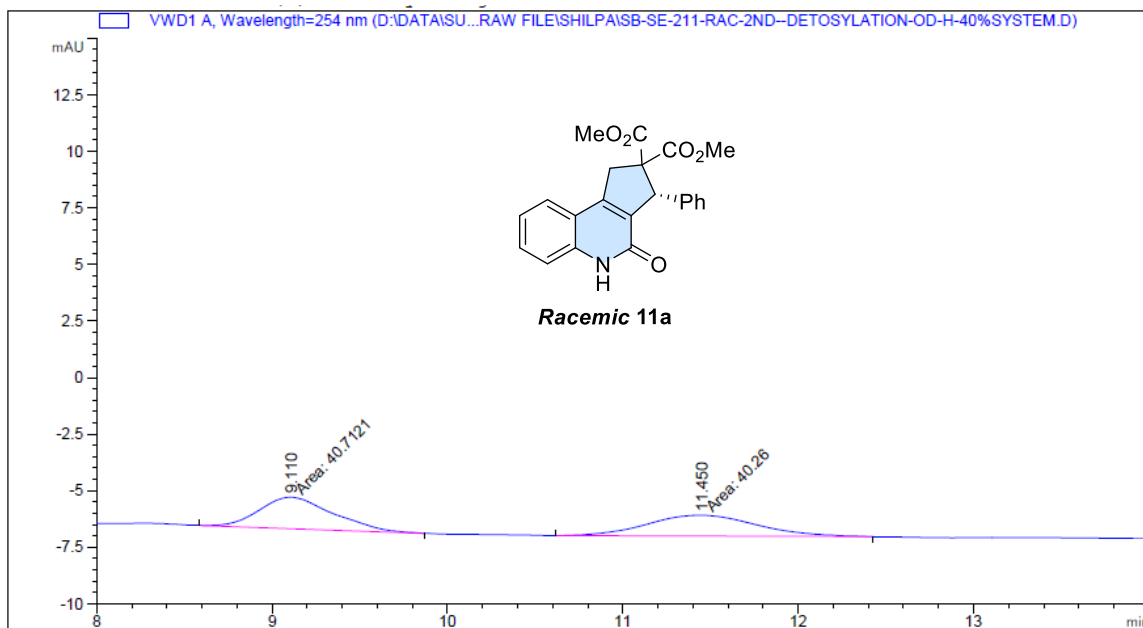
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.501	MM	0.2989	7780.95361	433.84683	49.6440
2	15.684	MM	0.4281	7892.55273	307.30032	50.3560



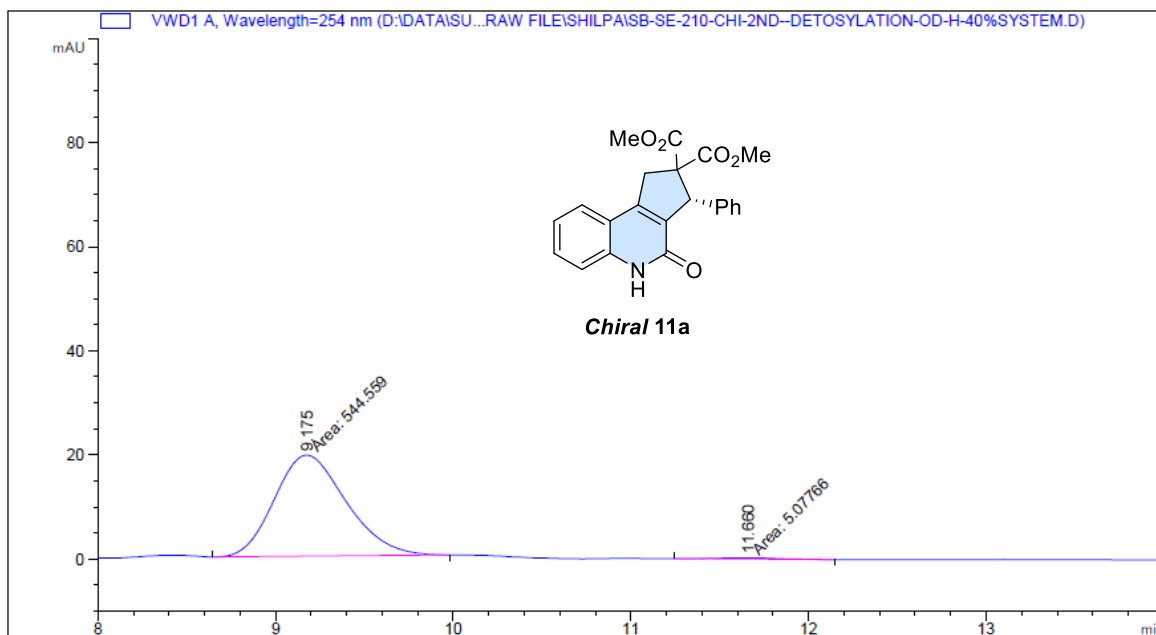
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.417	MM	0.2740	27.90139	1.69728	0.3545
2	15.659	MM	0.4094	7841.71045	319.21915	99.6455

Sample Info : CHIRALPAK IA, 30% IPA-HEXANE, 0.7 mL/min, 254 nm

Dimethyl (*R*)-4-oxo-3-phenyl-1,3,4,5-tetrahydro-2*H*-cyclopenta[*c*]quinoline-2,2-dicarboxylate (11a)



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.110	MM	0.4890	40.71211	1.38759	50.2792
2	11.450	MM	0.7314	40.25999	9.17388e-1	49.7208



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.175	MM	0.4678	544.55878	19.40111	99.0762
2	11.660	MM	0.4017	5.07766	2.10675e-1	0.9238

Sample Info : CHIRALCEL OD-H, 40% IPA-HEXANE, 0.7 mL/min, 254 nm