Supporting Information

Palladium-Catalyzed Cascade Double Annulation Reaction to Access Unsymmetrical Di(heteroaryl)methanes

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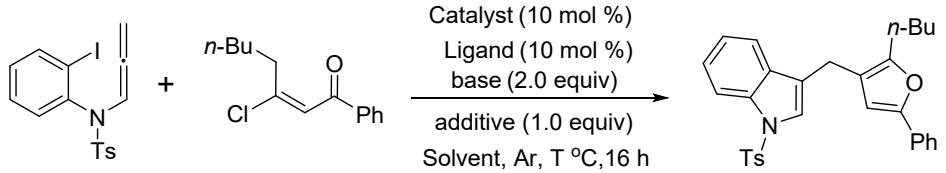
1) General considerations

Unless stated otherwise, all reactions were carried out under an inert atmosphere of dry argon, using oven-dried glassware (120 ^{o}C), while work-up and isolation of products from catalytic reactions were performed open to air on a benchtop using general techniques. Reaction monitoring was performed using thin-layer chromatography (TLC) on Merck KGaA TLC Silica Gel 60 F_{254} plates. The developed plates were visualized with UV light (254 nm) or $KMnO_4$. Solvent evaporation was carried out by a rotary evaporator at the appropriate temperature and pressure. Toluene was distilled over sodium (1% w:v) and benzophenone (1% w:v); 1,4-dioxane was purchased from Energy Chemical and stored with molecular sieves; THF was purchased from Energy Chemical and stored with molecular sieves; acetonitrile was purchased from Energy Chemical and stored with molecular sieves. Silica gel flash chromatography was performed on 200-300 mesh silica gel. NMR characterization data was collected at 298 K on a Bruker AVANCE III 500 operating at 500 MHz for ^{1}H-NMR, 126 MHz for ^{13}C-NMR, and 470 MHz for ^{19}F-NMR. ^{1}H-NMR chemical shifts were recorded in parts per million (ppm, δ) relative to TMS (δ = 0.00 ppm) with the solvent resonance as the internal standard ($CDCl_3$: δ = 7.26 ppm). Data for ^{1}H-NMR is reported as follows: chemical shift in ppm (δ), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constant (Hz) and integration. ^{13}C-NMR chemical shifts were reported in ppm with the solvent as the internal standard ($CDCl_3$: δ = 77.0 ppm). High-resolution mass spectra were obtained from the following spectrometers: AB Sciex Triple TOF 5600+. The X-ray diffraction data were collected on Bruker SMART APEX CCD diffractometer. Melting points were obtained on a SGW® X-4 Melting Point Apparatus and uncorrected.

2) Optimization of conditions

Table S1. Optimization of the reaction conditions[a]



1a **(*E*)-2a** **3aa**

entry	catalyst	ligand	base	additive	solvent	T (°C)	yield[b] (%)
1[c]	$PdCl(allyl)_2$	PPh_3	K_2CO_3	-	MeCN	100	15
2[d]	$Pd(acac)_2$	PPh_3	K_2CO_3	-	MeCN	100	29
3[d]	$Pd(OAc)_2$	PPh_3	K_2CO_3	-	MeCN	100	10
4[d]	$Pd(TFA)_2$	PPh_3	K_2CO_3	-	MeCN	100	19
5[d]	$Pd(PPh_3)_4$	PPh_3	K_2CO_3	-	MeCN	100	trace
6	$Pd(PPh_3)_4$	-	K_2CO_3	-	MeCN	100	-
7	$Pd(acac)_2$	P(*o*-tol)$_3$	K_2CO_3	-	MeCN	100	26
8[d]	$Pd(acac)_2$	CyJohnphos	K_2CO_3	-	MeCN	100	25
9[d]	$Pd(acac)_2$	$PhP(C_6F_5)_2$	K_2CO_3	-	MeCN	100	36
10[d]	$Pd(acac)_2$	P(4-F-C_6H_4)$_3$	K_2CO_3	-	MeCN	100	38
11	$Pd(acac)_2$	dppf	K_2CO_3	-	MeCN	100	26
12	$Pd(acac)_2$	dppe	K_2CO_3	-	MeCN	100	44
13	$Pd(acac)_2$	dppe	K_3PO_4	-	MeCN	100	10
14	$Pd(acac)_2$	dppe	$KHCO_3$	-	MeCN	100	11
15	$Pd(acac)_2$	dppe	Cs_2CO_3	-	MeCN	100	54
16	$Pd(acac)_2$	dppe	Cs_2CO_3	-	Toluene	100	31
17	$Pd(acac)_2$	dppe	Cs_2CO_3	-	THF	100	36
18	$Pd(acac)_2$	dppe	Cs_2CO_3	-	1,4-dioxane	100	40
19	$Pd(acac)_2$	dppe	Cs_2CO_3	-	Toluene: MeCN (1:1)	90	56
20[e]	$Pd(acac)_2$	dppe	Cs_2CO_3	-	Toluene: MeCN (2:1)	90	60
21[e]	$Pd(acac)_2$	dppe	Cs_2CO_3	-	Toluene: MeCN (1:2)	90	57
22[f]	$Pd(acac)_2$	dppe	Cs_2CO_3	-	Toluene: MeCN (3:1)	90	65
23[f]	$Pd(acac)_2$	dppe	CsOPiv	-	Toluene: MeCN (3:1)	90	46
24[f]	$Pd(acac)_2$	dppe	Cs_2CO_3	CsOPiv	Toluene: MeCN (3:1)	90	74
25[f,g]	$Pd(acac)_2$	dppe	Cs_2CO_3	CsOPiv	Toluene: MeCN (3:1)	90	76
26[f,h]	$Pd(acac)_2$	dppe	Cs_2CO_3	CsOPiv	Toluene: MeCN (3:1)	90	71

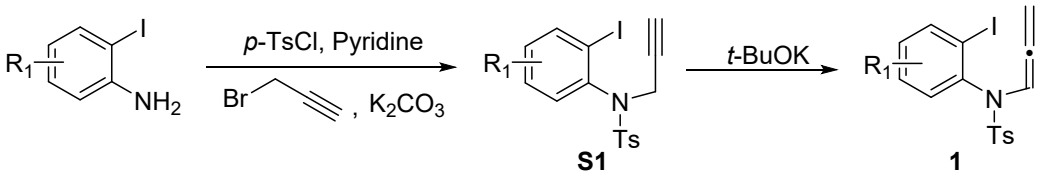
[a]Reaction conditions: **1a** (0.2 mmol), (*E*)-**2a** (0.4 mmol), catalyst (10 mol %), ligand (10 mol %), base (2.0 equiv.), additive (1.0 equiv.), solvent (2.0 mL) under an Ar atmosphere for 16 h. [b]Isolated

yield. [c]catalyst (5 mol %) and PPh_3 (20 mol %). [d]ligand (12 mol %). [e]Solvent (3.0 mL). [f]Solvent (4.0 mL). [g]Cs_2CO_3 (1.5 equiv.). [h]CsOPiv (0.5 equiv.).

3) Experimental procedures

All standard reagents were purchased from Sigma Aldrich, TCI, Aladdin, Energy Chemical, and were used without further purification. Allenamides **1**, *β*-chlorovinyl ketones **2**, allenamides, and allenethers **4** were prepared according to literature procedures.

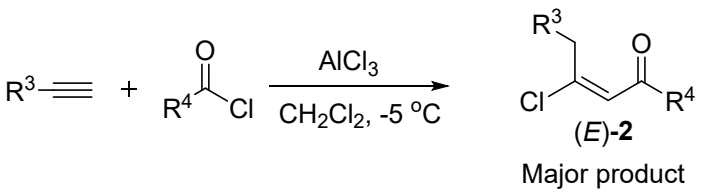
General Procedure 1 (GP1): Synthesis of allenamides **1**
All allenamides **1** were synthesized according to literature procedures.[1]



Step I: To a solution of *o*-iodoaniline (10.0 mmol, 1.0 equiv.) in pyridine (10.0 mL) was added *p*-TsCl (2.1 g, 10.5 mmol, 1.05 equiv.) at 0 °C. The reaction was stirred at room temperature for 8 h before being quenched with H_2O. The quenched mixture was extracted three times with DCM. The combined organic phase was first washed with 1.0 M HCl to remove excess pyridine, and then washed with saturated aqueous $NaHCO_3$, H_2O, brine, and dried over Na_2SO_4. The filtrate was concentrated under reduced pressure and crude tosylation product was afforded without further purification. To a solution of the crude tosylation product in DMF (20.0 mL), potassium carbonate (2.1 g, 15.0 mmol, 1.5 equiv) and 3-bromopropyne (1.8 g, 15.0 mmol, 1.5 equiv) was added. The mixture stirred in an oil bath at 60 °C for 8 h. After the reaction was complete, the mixture was filtered through silica gel. The filtrate was concentrated under reduced pressure and purified by flash column chromatography to give the propargyl amide **S1**.
Step II: To a solution of propargyl amide **S1** (10.0 mmol, 1.0 equiv.) in THF (10.0 mL) was added *t*-BuOK (0.34 g, 3.0 mmol, 0.3 equiv) at 0 °C. The reaction was stirred at room temperature for 1h before being concentrated under reduced pressure. Subsequently, the residue was suspended in DCM and then filtered through silica gel. The filtrate was concentrated under reduced pressure and the crude residue was purified by flash column chromatography to give the allenamides **1a-1j**.

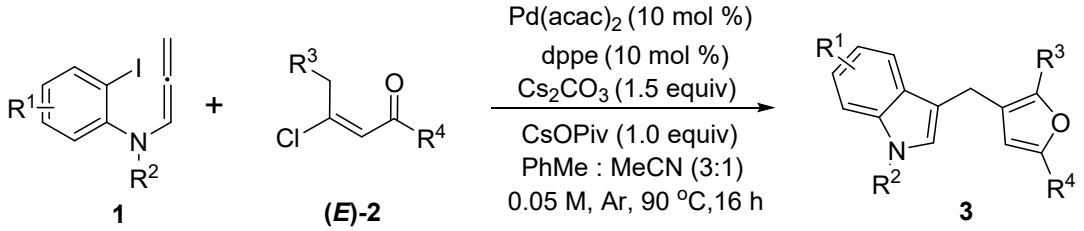
The allenamides **1k-1r** were prepared following General Procedure **1**.
The allenamide **1s** were prepared according to literature procedures.[1b]

General Procedure 2 (GP2): Synthesis of *β*-chlorovinyl ketones **2**
All *β*-chlorovinyl ketones **2** were synthesized according to literature procedures. [2]



To a stirred suspension of aluminum chloride (1.47 g, 11 mmol, 1.1 equiv.) in dry dichloromethane (10 mL) at -5 °C were added alkynes (10 mmol, 1.0 equiv.) and acyl chloride (10 mmol, 1.0 equiv.) dropwise at the same time. Stirring of the resulting solution was continued at the same temperature until the reaction was completed by TLC. The reaction was then quenched with H_2O, extracted with dichloromethane, and washed with brine. After drying over $MgSO_4$, the solution was concentrated under reduced pressure, and the crude product was purified by silica gel flash column chromatography (petroleum ether /DCM = 19:1) to afford *β*- chlorovinyl ketones **2**.

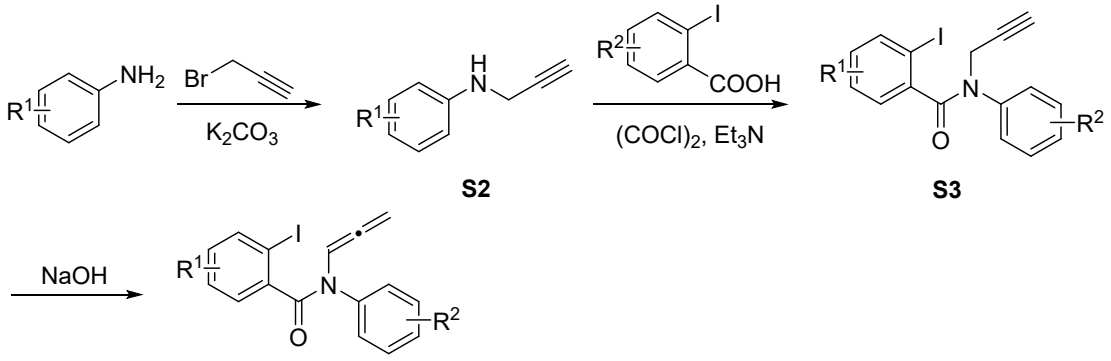
General Procedure 3 (GP3): Synthesis of unsymmetrical di(heteroaryl)methanes **3**



1 **(*E*)-2** **3**

To a flame dried, 3-dram vial under argon atmosphere were added allenamide **1** (0.2 mmol, 1.0 equiv.), $Pd(acac)_2$ (6.1 mg, 0.02 mmol, 10 mol %), dppe (7.97 mg, 0.02 mmol, 10 mol %), Cs_2CO_3 (97.7 mg, 0.3 mmol, 1.5 equiv.), CsOPiv (46.8 mg, 0.2 mmol, 1.0 equiv.) and then purged with argon for 5 minutes. Anhydrous and degassed toluene (3.0 mL) were added and the mixture was stirred at room temperature for 5 minutes. (*E*)-*β*-chlorovinyl ketone **2** (0.4 mmol, 2.0 equiv.) was dissolved in anhydrous MeCN (1.0 mL) and transferred to the vial via syringe, and the mixture was stirred under argon for 5 minutes. A Teflon lined screw cap was fitted on the 3-dram vial. The vial was sealed with Teflon tape and placed in a preheated oil bath at 90 °C for 16 hours. The reaction mixture was then cooled down to room temperature and was filtered through a plug of silica gel using EtOAc. The filtrate was concentrated under reduced pressure and the residue was purified by silica gel flash column chromatography using the indicated mobile phase to afford the products **3**.

General Procedure 4 (GP4): Synthesis of allenamides **4a-4j**[3a-b]



S2 **S3**

4a-4i

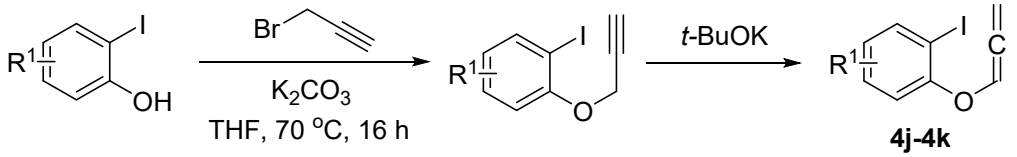
Step I: To a solution of aniline (20 mmol, 1.0 equiv.) in acetone (80.0 mL), 3-bromopropyne (2.4 g, 20.0 mmol, 1.0 equiv.) and potassium carbonate (4.2 g, 30 mmol, 1.5 equiv.) were added. Then the mixture was heated in an oil bath to reflux for 5h.

After the aniline was consumed completely, the mixture was filtered and washed with DCM for twice. The crude compound **S2** was concentrated in vacuo for next step without further purification.

Step II: To a suspension of 2-iodobenzoic acid (20.0 mmol, 1.0 equiv.) in DCM (20.0 mL), one drop of DMF was added, then oxalyl chloride (5.1 g, 40.0 mmol, 2.0 equiv) was added dropwise at 0 °C and stirred at room temperature until the acid was completely consumed. The solvent and excess oxalyl chloride were removed by vacuum. The residue was dissolved in DCM (10.0 mL) at 0 °C. Then the crude compound **S2** was added, followed by triethylamine (2.4 g, 24.0 mmol, 1.2 equiv.) in DCM (20.0 mL). After stirring at room temperature for 12 h, the reaction mixture was quenched with H_2O (50.0 mL). The organic phase was separated, dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purifed by flash column chromatography on silica gel to afford the compound **S3**.

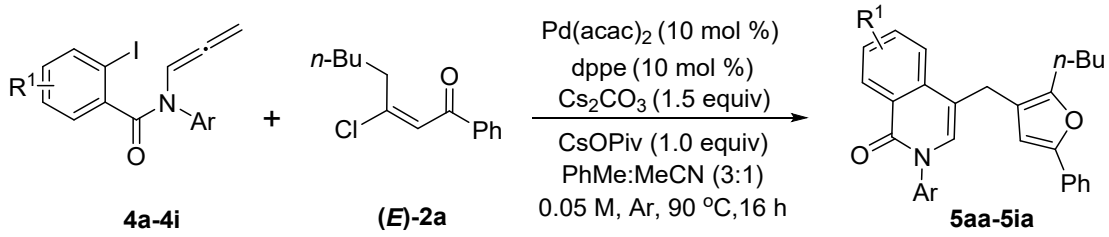
Step III: To a solution of 2-iodo-*N*-phenyl-*N*-(prop-2-yn-1-yl)benzamide **S3** (10.0 mmol, 1.0 equiv.) in DMF (10.0 mL), sodium hydroxide (480 mg, 12.0 mmol, 1.2 equiv.) was added. After stirring at room temperature for 12 h, DCM (50.0 mL) was added to the reaction mixture. The organic phase was washed with H_2O (25.0 mL) and aqueous lithium chloride (10 % w/w, 25.0 mL). The organic phase was then dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford the allenamides **4a-4i**.

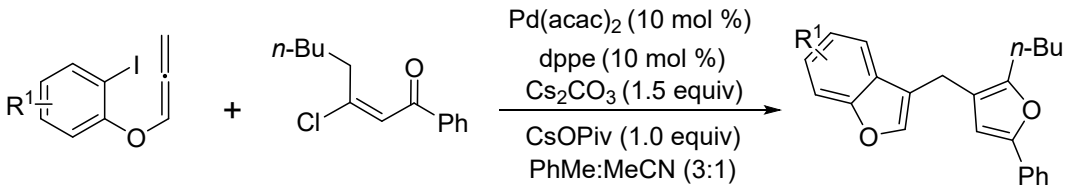
Allenethers **4j** and **4k** were synthesized according to literature procedures.[3c]



A suspension of 2-iodophenol (10 mmol), propargyl bromide (1.79 g, 12 mmol), and potassium carbonate (1.66 g, 12 mmol) in THF (25 mL) was boiled under reflux for 16 h. Water (50 mL) and ethyl acetate (20 mL) were then added, the organic layer was separated, dried over Na_2SO_4, and evaporated under reduced pressure, and the residue was dissolved in 3:1 v/v *tert*-butyl alcohol-THF (20 mL). Potassium *tert*-butoxide (1.35 g, 12 mmol) was then added, and the resulting mixture was stirred at room temperature for 16 h. Then the solvent was evaporated under reduced pressure, and dichloromethane (20 mL) was added. The organic layer was separated, washed with water (20 mL), dried with Na_2SO_4, and evaporated under reduced pressure. The residue was separated by column chromatography with petroleum ether/ethyl acetate as the eluent on a silica gel column to afford the corresponding product **4j** and **4k**.

General Procedure 5 (GP5): Synthesis of di(heteroaryl)methanes **5**



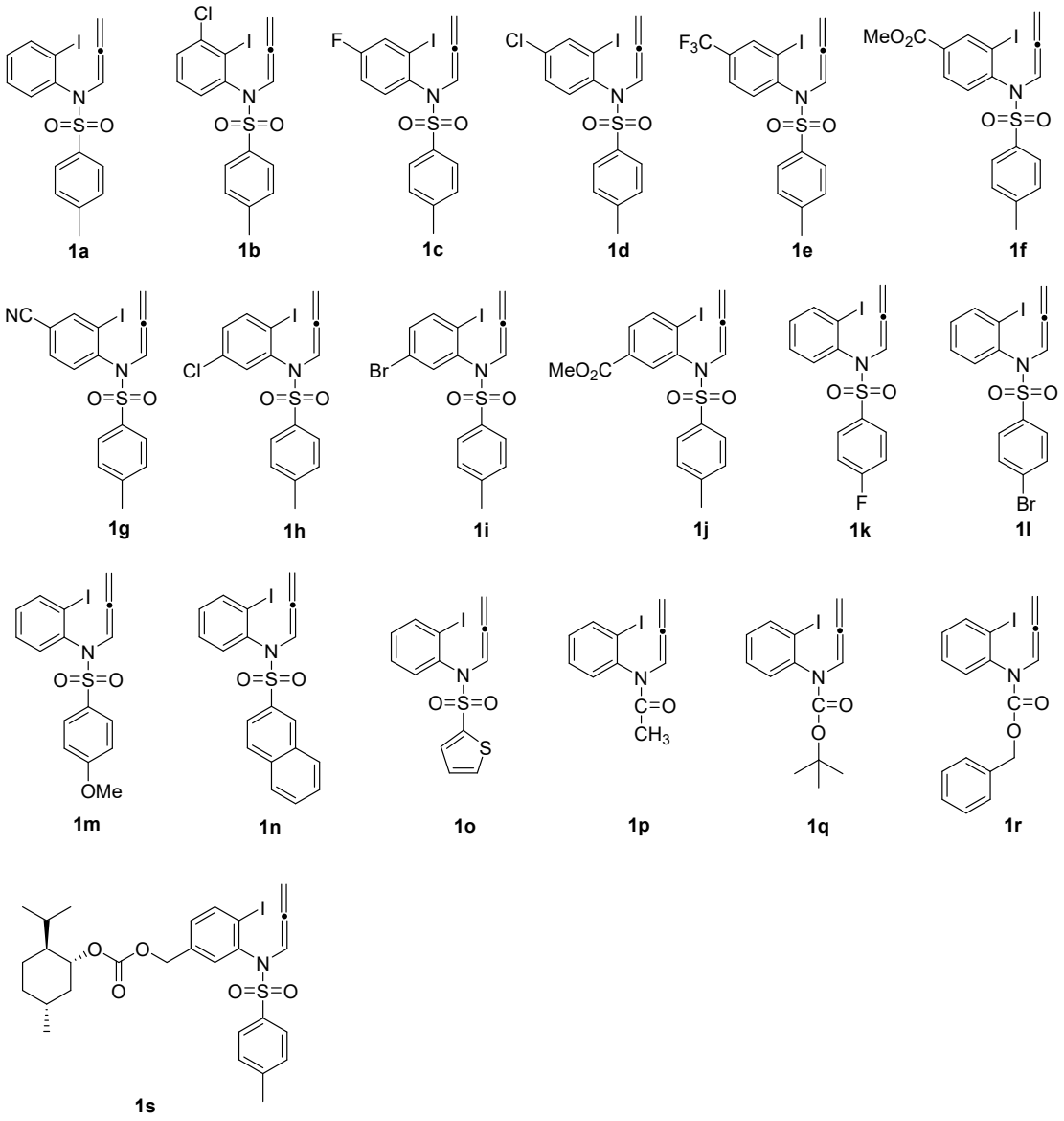


4j-4k **(*E*)-2a** **5j-5k**

To a flame dried, 3-dram vial under argon atmosphere were added allenamide or allenethers **4** (0.2 mmol, 1.0 equiv.), $Pd(acac)_2$ (6.1 mg, 0.02 mmol, 10 mol %), dppe (7.97 mg, 0.02 mmol, 10 mol %), Cs_2CO_3 (97.7 mg, 0.3 mmol, 1.5 equiv.), CsOPiv (46.8 mg, 0.2 mmol, 1.0 equiv.) and then purged with argon for 5 minutes. Anhydrous and degassed toluene (3.0 mL) were added and the mixture was stirred at room temperature for 5 minutes. (*E*)-*β*-chlorovinyl ketone **2a** (0.4 mmol, 2.0 equiv.) was dissolved in anhydrous MeCN (1.0 mL) and transferred to the vial via syringe, and the mixture was stirred under argon for 5 minutes. A Teflon lined screw cap was fitted on the 3-dram vial. The vial was sealed with Teflon tape and placed in a preheated oil bath at 90 ℃ for 16 hours. The reaction mixture was then cooled down to room temperature and was filtered through a plug of silica gel using EtOAc. The filtrate was concentrated under reduced pressure and the residue was purified by silica gel flash column chromatography using the indicated mobile phase to provide the products **5**.

4) Synthesis of starting materials

Synthesis of the allenamides 1



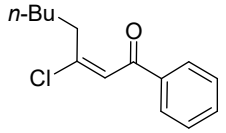
Known allenamides and allenethers 1

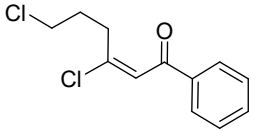
1a, **1b**, **1c**, **1d**, **1h**, **1k**, **1l**, **1m**, **1n**, **1o**, see: Q. Xue, Y. Pu, H. Zhao, X. Xie, H. Zhang, J. Wang, L. Yan and Y. Shang, *Chem. Commun*., **2024**, 60, 3794-3797.

1e, 1f, 1g, 1i, 1j, 1p, 1q, 1r, 1s, see：P. Li, Y. Zhang, Z. Liu, Q. Kong, L. Fu and X. Huo, *Org. Lett*., **2024**, 26, 10356-10363.

Synthesis of *β*-chlorovinyl ketones 2

Known *β*-chlorovinyl ketones


(*E*)-**2a**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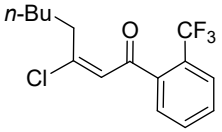
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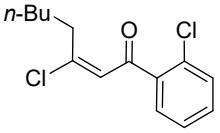
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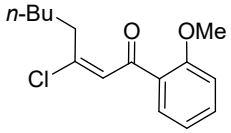
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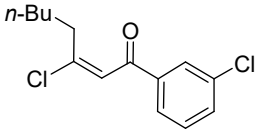
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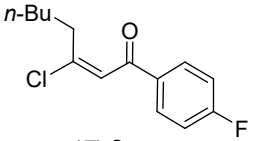
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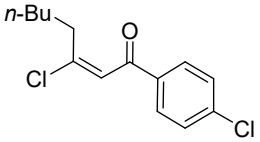
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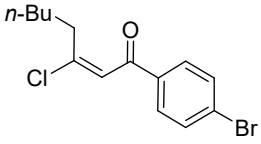
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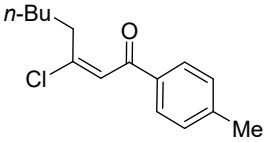
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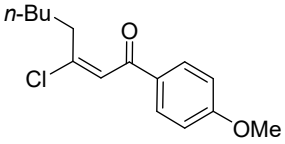
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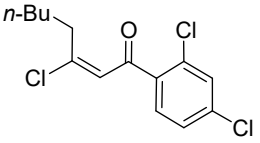
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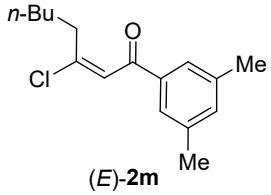
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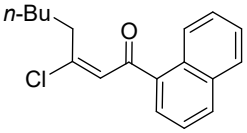
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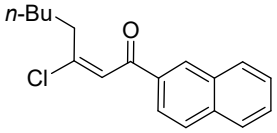
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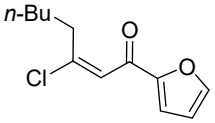
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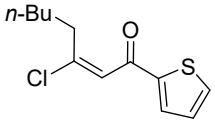
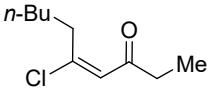
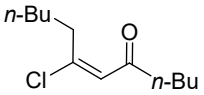
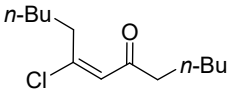

(*E*)-**2q**


(*E*)-**2r**

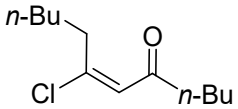

(*E*)-**2s**


(*E*)-**2t**

(*E*)-**2a**, (*E*)-**2b**, (*E*)-**2c**, (*E*)-**2f**, (*E*)-**2g**, (*E*)-**2h**, (*E*)-**2j**, (*E*)-**2k**, (*E*)-**2m**, (*E*)-**2n**, (*E*)-**2o**, (*E*)-**2p**, (*E*)-**2q**, (*E*)-**2r**, (*E*)-**2t**, see: F. Li, Y. Yuan, D. Lyu, Y. Yi, J. Zhang, T. Sun and G. Gao, *J. Org. Chem.*, **2024**, *89*, 7552-7560.

(*E*)-**2d**, (*E*)-**2e**, (*E*)-**2i**, (*E*)-**2l**, see: Y. Bai, X, Qi, H. Li, Y. Ban, R. Zhao, Y. Wang, J. Zhang, T. Sun and G. Gao, *Org. Biomol. Chem*., 2025, **23**, 3307-3313.

Unknown *β*-chlorovinyl ketone

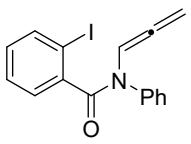


(*E*)-7-Chlorododec-6-en-5-one (2s)

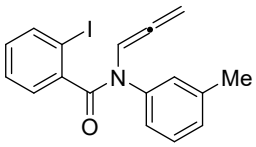
Synthesized according to **GP2** on a 5.0 mmol scale. Isolated by a flash column chromatography (PE: DCM = 19:1). (*E*)-**2s** was obtained as a pale yellow oil (605 mg, 56% yield).

^{1}H NMR (500 MHz, $CDCl_3$) δ 6.43 (s, 1H), 2.90 (t, *J* = 7.5 Hz, 2H), 2.41 (t, *J* = 7.3 Hz, 2H), 1.62-1.51 (m, 4H), 1.34-1.26 (m, 6H), 0.91-0.84 (m, 6H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 198.3, 156.6, 125.7, 44.5, 36.1, 31.1, 27.5, 26.2, 22.5, 22.4, 14.0, 13.9.
HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_{22}ClO$ 217.1354; found 217.1364.

Synthesis of the allenamides and allenethers 4



4a



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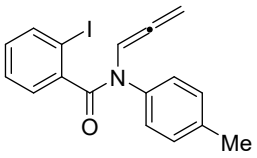

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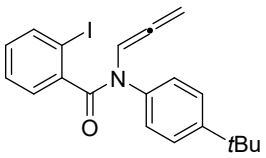

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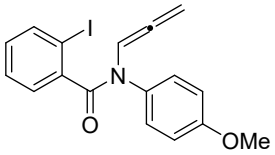

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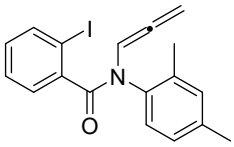

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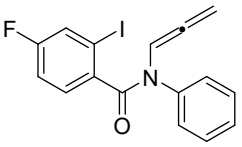
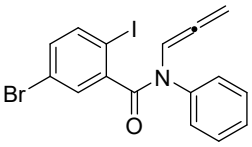
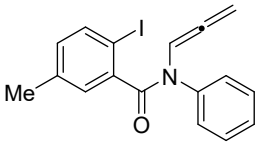


4g



4h



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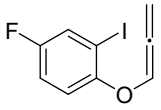
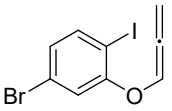


4j

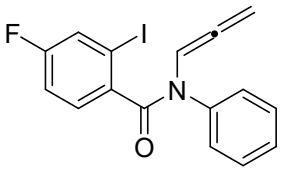


4k

Known allenamides 4

4a, **4b**, **4c**, **4d**, **4e**, **4f**, see: Q. Xue, Y. Pu, H. Zhao, X. Xie, H. Zhang, J. Wang, L. Yan and Y. Shang, *Chem. Commun*., **2024**, 60, 3794-3797.
4i, see: X. Zhu, R. Li, H. Yao and A. Lin, *Org. Lett.*, **2021**, 23, 4630-4634.
4j, **4k**, see: G. Deng, M Li, K. Yu, C. Liu, Z. Liu, S. Duan, W. Chen, X. Yang, H. Zhang, and P. J. Walsh, *Angew. Chem. Int. Ed*., **2019**, 58, 2826-2830.

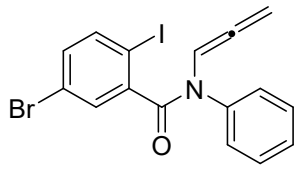
Unknown allenamides 4



4-Fluoro-2-iodo-*N*-phenyl-*N*-(propa-1,2-dien-1-yl)benzamide (4g)

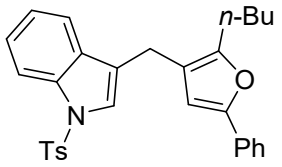
Synthesized according to **GP4** on a 5.0 mmol scale. The product was purified by column chromatography (petroleum ether/EtOAc = 15:1), 374 mg, 19% yield, yellow solid, m.p. 121-123 °C.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82-7.73 (m, 1H), 7.37 (d, *J* = 6.5 Hz, 1H), 7.23-7.12 (m, 5H), 7.04-6.97 (m, 1H), 6.84-6.76 (m, 1H), 5.07 (d, *J* = 5.5 Hz, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 202.8, 167.3, 161.3 (d, *J* = 254.5 Hz), 138.9, 137.9, 129.7 (d, *J* = 8.4 Hz), 128.9, 128.5, 128.2, 126.4 (d, *J* = 23.9 Hz), 114.7 (d, *J* = 21.8 Hz), 101.0, 93.5, 87.1.
^{19}F NMR (471 MHz, $CDCl_3$) δ -109.75-(109.95) (m, 1F).
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{16}H_{11}FINONa$ 401.9762; found 401.9771.



5-Bromo-2-iodo-*N*-phenyl-*N*-(propa-1,2-dien-1-yl)benzamide (4h)
Synthesized according to **GP4** on a 5.0 mmol scale. The product was purified by column chromatography (petroleum ether/EtOAc = 15:1), 273 mg, 12% yield, yellow solid, m.p. 119-121 °C.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.75 (t, *J* = 6.3 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.43-7.40 (m, 1H), 7.25-7.21 (m, 3H), 7.17 (d, *J* = 1.5 Hz, 2H), 6.96 (dd, *J* = 8.5, 1.0 Hz, 1H), 5.10 (d, *J* = 6.0 Hz, 2H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 203.0, 166.5, 143.4, 140.6, 138.6, 133.2, 131.6, 129.0, 128.7, 128.6, 121.7, 100.9, 91.6, 87.3.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{16}H_{11}BrINONa$ 461.8961; found 461.8957.

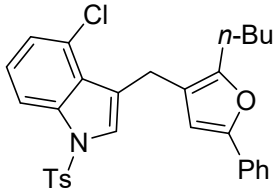
5) Characterization data of products 3



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indole (3aa)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 73.6 mg, 76% yield, yellow oil.

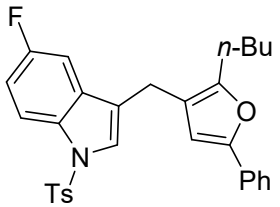
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 8.0 Hz, 2H), 7.60 (d, *J* = 7.5 Hz, 2H), 7.47 (d, *J* = 7.5 Hz, 1H), 7.39-7.31 (m, 3H), 7.28 (s, 1H), 7.25-7.16 (m, 4H), 6.39 (s, 1H), 3.75 (s, 2H), 2.66 (t, *J* = 7.3 Hz, 2H), 2.33 (s, 3H), 1.70-1.63 (m, 2H), 1.41-1.34 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.0, 151.5, 144.8, 135.7, 135.4, 131.2, 130.9, 129.9, 128.7, 126.9, 126.9, 124.9, 123.7, 123.4, 123.3, 122.5, 119.6, 118.0, 114.0, 107.4, 30.9, 26.1, 22.5, 21.6, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{29}NO_3SNa$ 506.1760; found 506.1771.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-4-chloro-1-tosyl-1*H*-indole(3ba)

Prepared according to **GP3** using starting material **1b** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 68.3 mg, 66% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (dd, *J* = 6.5, 2.5 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 2H), 7.62 (d, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.5 Hz, 2H), 7.24-7.18 (m, 5H), 7.16 (s, 1H), 6.40 (s, 1H), 4.04 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.34 (s, 3H), 1.69-1.62 (m, 2H), 1.41-1.33 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.3, 151.6, 145.2, 137.1, 135.1, 131.3, 130.0, 128.7, 127.7, 127.1, 126.9, 125.4, 125.3, 124.5, 123.5, 122.9, 118.2, 112.6, 107.4, 31.0, 26.1, 23.0, 22.5, 21.7, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{29}ClNO_3S$ 518.1551; found 518.1565.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-5-fluoro-1-tosyl-1*H*-indole (3ca)

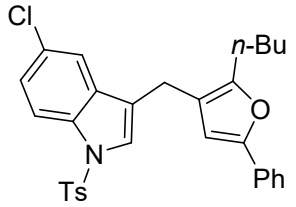
Prepared according to **GP3** using starting material **1c** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 55.1 mg, 55% yield, yellow solid, m.p. 116-117 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (dd, *J* = 9.0, 4.5 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 2H), 7.59 (d, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.5 Hz, 2H), 7.30 (s, 1H), 7.24-7.18 (m, 3H), 7.09 (d, *J* = 8.5 Hz, 1H), 7.04 (td, *J* = 9.0, 1.5 Hz, 1H), 6.34 (s, 1H), 3.69 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.34 (s, 3H), 1.70-1.60 (m, 2H), 1.40-1.32 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.7 (d, *J* = 241.0 Hz), 152.1, 151.7, 145.1, 135.2, 132.0, 132.0 (d, *J* = 9.6 Hz), 131.1, 130.0, 128.7, 127.0, 126.9, 125.4, 123.4, 122.4 (d, *J* = 4.1 Hz), 117.7, 115.1 (d, *J* = 9.3 Hz), 112.9 (d, *J* = 25.6 Hz), 107.2, 105.4 (d, *J* = 24.1 Hz), 30.9, 26.1, 22.5, 21.7, 21.1, 14.0.

^{19}F NMR (470 MHz, $CDCl_3$) δ -119.32-(-119.44).

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{28}FNO_3SNa$ 524.1666; found 524.1673.



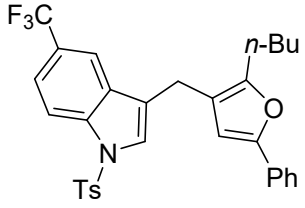
3-((2-Butyl-5-phenylfuran-3-yl)methyl)-5-chloro-1-tosyl-1*H*-indole (3da)

Prepared according to **GP3** using starting material **1d** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 70.9 mg, 69% yield, yellow solid, m.p. 150-151 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (d, *J* = 9.0 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 7.5 Hz, 2H), 7.40 (s, 1H), 7.33 (t, *J* = 8.0 Hz, 2H), 7.27-7.22 (m, 2H), 7.22-7.16 (m, 3H), 6.32 (s, 1H), 3.67 (s, 2H), 2.63 (t, *J* = 7.5 Hz, 2H), 2.32 (s, 3H), 1.67-1.60 (m, 2H), 1.39-1.30 (m, 2H), 0.90 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.7, 145.2, 135.1, 134.1, 132.1, 131.1, 130.0, 129.2, 128.7, 127.0, 126.8, 125.1, 125.1, 123.4, 122.0, 119.4, 117.6, 115.1, 107.1, 30.9, 26.1, 22.5, 21.7, 21.0, 14.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{29}ClNO_3S$ 518.1551; found 518.1555.

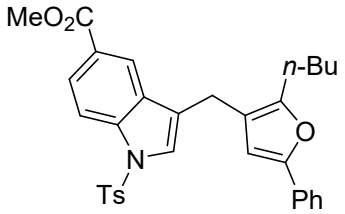


3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-5-(trifluoromethyl)-1*H*-indole (3ea)

Prepared according to **GP3** using starting material **1e** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1),

80.3 mg, 73% yield, yellow solid, m.p. 129-131 °C.

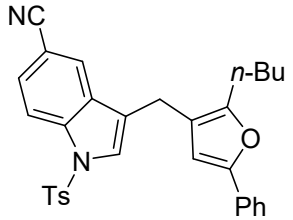
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (d, *J* = 9.0 Hz, 1H), 7.78 (s, 1H), 7.75 (d, *J* = 8.5 Hz, 2H), 7.61 (d, *J* = 7.5 Hz, 2H), 7.58 (d, *J* = 9.0 Hz, 1H), 7.40-7.34 (m, 3H), 7.25-7.20 (m, 3H), 6.38 (s, 1H), 3.78 (s, 2H), 2.67 (t, *J* = 7.5 Hz, 2H), 2.35 (s, 3H), 1.71-1.64 (m, 2H), 1.42-1.34 (m, 2H), 0.93 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.8, 145.4, 137.1, 135.1, 131.1, 130.5, 130.1, 128.7, 127.1, 126.9, 125.7 (q, *J* = 32.3 Hz), 125.3, 124.7 (q, *J* = 272.1 Hz), 123.5, 122.6, 121.7 (q, *J* = 3.5 Hz), 117.5, 117.2 (q, *J* = 4.5 Hz), 114.2, 107.1, 30.9, 26.1, 22.5, 21.7, 20.9, 13.9.
^{19}F NMR (470 MHz, $CDCl_3$) δ -61.08 (s, 3F).
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{29}F_3NO_3S$ 552.1815; found 552.1823.



Methyl 3-((2-butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indole-5-carboxylate (3fa)

Prepared according to **GP3** using starting material **1f** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 55.1 mg, 51% yield, yellow solid, m.p. 136-138 °C.

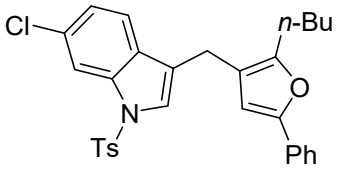
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.21 (s, 1H), 8.02 (t, *J* =9.0 Hz, 2H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.34 (t, *J* = 7.5 Hz, 2H), 7.30 (s, 1H), 7.23-7.18 (m, 3H), 6.37 (s, 1H), 3.91 (s, 3H), 3.77 (s, 2H), 2.66 (t, *J* = 7.5 Hz, 2H), 2.33 (s, 3H), 1.70-1.62 (m, 2H), 1.41-1.33 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 167.2, 152.1, 151.7, 145.3, 138.2, 135.2, 131.1, 130.7, 130.0, 128.7, 127.0, 126.9, 126.2, 125.4, 124.8, 123.5, 123.0, 121.9, 117.7, 113.7, 107.2, 52.3, 30.9, 26.1, 22.5, 21.7, 20.9, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{32}H_{31}NO_5SNa$ 564.1815; found 564.1830.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indole-5-carbonitrile (3ga)

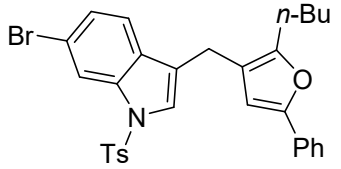
Prepared according to **GP3** using starting material **1g** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 61.9 mg, 61% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.5 Hz, 1H), 7.78 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.60-7.54 (m, 3H), 7.38 (s, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 7.26-7.21 (m, 3H), 6.33 (s, 1H), 3.74 (s, 2H), 2.64 (t, *J* = 7.3 Hz, 2H), 2.36 (s, 3H), 1.68-1.61 (m, 2H), 1.39-1.32 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.2, 151.9, 145.7, 137.4, 135.0, 131.0, 130.8, 130.2, 128.8, 127.9, 127.1, 127.0, 125.7, 124.7, 123.5, 122.1, 119.5, 117.3, 114.7, 107.0, 106.9, 30.9, 26.1, 22.5, 21.8, 20.9, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{31}H_{28}N_2O_3SNa$ 531.1713; found 531.1714.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-6-chloro-1-tosyl-1*H*-indole(3ha)
Prepared according to **GP3** using starting material **1h** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 69.1 mg, 67% yield, yellow solid, m.p. 122-124 °C.

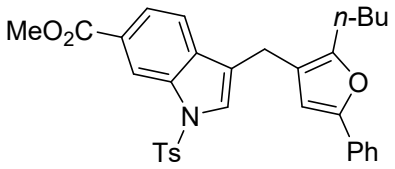
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.38-7.32 (m, 3H), 7.27-7.23 (m, 4H), 7.19 (d, *J* = 8.5 Hz, 1H), 6.34 (s, 1H), 3.71 (s, 2H), 2.63 (t, *J* = 7.5 Hz, 2H), 2.36 (s, 3H), 1.68-1.60 (m, 2H), 1.38-1.32 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.7, 145.2, 136.0, 135.2, 131.1, 131.0, 130.1, 129.4, 128.7, 127.0, 126.9, 124.2, 124.0, 123.4, 122.2, 120.5, 117.8, 114.2, 107.2, 30.9, 26.1, 22.5, 21.7, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{29}ClNO_3S$ 518.1551; found 518.1560.



6-Bromo-3-((2-butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indole (3ia)
Prepared according to **GP3** using starting material **1i** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 60.9 mg, 54% yield, yellow solid, m.p. 133-135 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.39-7.32 (m, 3H), 7.30 (d, *J* = 8.0 Hz, 1H), 7.25-7.19 (m, 4H), 6.34 (s, 1H), 3.71 (s, 2H), 2.63 (t, *J* = 7.3 Hz, 2H), 2.36 (s, 3H), 1.69-1.60 (m, 2H), 1.39-1.32 (m, 2H), 0.91 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.6, 145.2, 136.3, 135.2, 131.1, 130.1, 129.7, 128.7, 127.0, 126.9, 126.6, 124.1, 123.4, 122.3, 120.9, 118.6, 117.7, 117.0, 107.2, 30.9, 26.1, 22.5, 21.7, 21.0, 14.0.

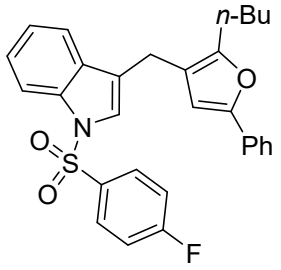
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{29}BrNO_3S$ 562.1046; found 562.1047.



Methyl 3-((2-butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indole-6-carboxylate (3ja)

Prepared according to **GP3** using starting material **1j** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 89.4 mg, 83% yield, yellow oil.

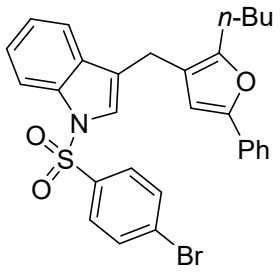
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.93 (d, *J* = 8.5 Hz, 1H), 7.76 (d, *J* = 8.5 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.40 (s, 1H), 7.35 (t, *J* = 7.5 Hz, 2H), 7.24-7.19 (m, 3H), 6.35 (s, 1H), 3.96 (s, 3H), 3.75 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.34 (s, 3H), 1.69-1.63 (m, 2H), 1.38-1.32 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 167.4, 152.1, 151.7, 145.2, 135.2, 135.2, 134.4, 131.1, 130.1, 128.7, 127.0, 127.0, 126.8, 126.6, 124.5, 123.4, 122.4, 119.4, 117.7, 115.7, 107.2, 52.4, 30.9, 26.1, 22.5, 21.7, 21.0, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{32}H_{31}NO_5SNa$ 564.1815; found 564.1811.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-((4-fluorophenyl)sulfonyl)-1*H*-indole (3ka)

Prepared according to **GP3** using starting material **1k** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 73.9 mg, 76% yield, yellow solid, m.p. 141-143 °C.

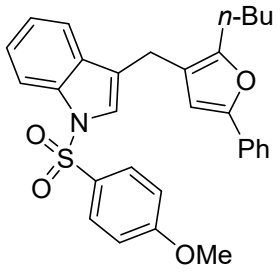
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.0 Hz, 1H), 7.87 (dd, *J* = 7.0, 5.0 Hz, 2H), 7.59 (d, *J* = 7.5 Hz, 2H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.39-7.32 (m, 3H), 7.28-7.20 (m, 3H), 7.09 (t, *J* = 7.8 Hz, 2H), 6.37 (s, 1H), 3.75 (s, 2H), 2.66 (t, *J* = 7.3 Hz, 2H), 1.70-1.62 (m, 2H), 1.40-1.33 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 165.8 (d, *J* = 257.3 Hz), 152.1, 151.6, 135.7, 134.4 (d, *J* = 3.3 Hz), 131.1, 131.0, 129.7 (d, *J* = 9.7 Hz), 128.7, 127.0, 125.2, 123.5 (d, *J* = 8.9 Hz), 123.4, 123.2, 119.8, 117.9, 116.7, 116.6, 113.9, 107.3, 30.9, 26.1, 22.5, 21.1, 14.0.
^{19}F NMR (470 MHz, $CDCl_3$) δ -102.97-(-103.02) (m, 1F).
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{27}FNO_3S$ 488.1690; found 488.1697.



1-((4-Bromophenyl)sulfonyl)-3-((2-butyl-5-phenylfuran-3-yl)methyl)-1*H*-indole (3la)

Prepared according to **GP3** using starting material **1l** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 53.2 mg, 49% yield, yellow sticky oil.

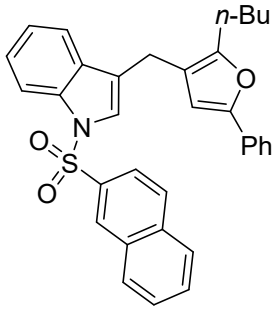
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* = 8.5 Hz, 2H), 7.59 (d, *J* = 8.5 Hz, 2H), 7.55 (d, *J* = 8.0 Hz, 2H), 7.48 (d, *J* = 8.5 Hz, 1H), 7.39-7.33 (m, 3H), 7.28-7.20 (m, 3H), 6.37 (s, 1H), 3.75 (s, 2H), 2.66 (t, *J* = 7.5 Hz, 2H), 1.69-1.62 (m, 2H), 1.41-1.33 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.7, 137.4, 135.7, 132.6, 131.2, 131.0, 129.1, 128.8, 128.3, 127.0, 125.2, 123.7, 123.5, 123.5, 123.4, 119.9, 117.9, 114.0, 107.3, 30.9, 26.1, 22.5, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{27}BrNO_3S$ 548.0890; found 548.0891.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-((4-methoxyphenyl)sulfonyl)-1*H*-indole (3ma)

Prepared according to **GP3** using starting material **1m** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 62.8 mg, 63% yield, yellow solid, m.p. 107-108 °C.

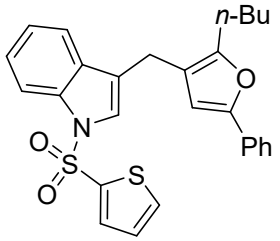
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.5 Hz, 1H), 7.79 (d, *J* = 8.5 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.35 (t, *J* = 8.0 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 1H), 7.29-7.20 (m, 3H), 6.86 (d, *J* = 8.5 Hz, 2H), 6.37 (s, 1H), 3.78 (s, 3H), 3.74 (s, 2H), 2.65 (t, *J* = 7.3 Hz, 2H), 1.70-1.64 (m, 2H), 1.39-1.34 (m, 2H), 0.92 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 163.8, 152.0, 151.5, 135.7, 131.2, 130.9, 130.0, 129.1, 128.7, 126.9, 124.9, 123.7, 123.4, 123.2, 122.4, 119.7, 118.1, 114.5, 114.0, 107.4, 55.7, 30.9, 26.1, 22.5, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{29}NO_4SNa$ 522.1710; found 522.1707.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-(naphthalen-2-ylsulfonyl)-1*H*-indole (3na)

Prepared according to **GP3** using starting material **1n** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 71.3 mg, 69% yield, yellow solid, m.p. 133-136 °C.

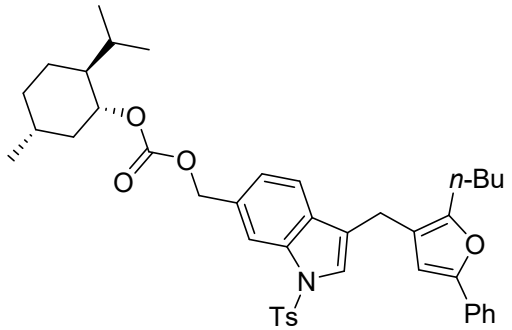
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.51 (s, 1H), 8.12 (d, *J* = 8.0 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.82 (d, *J* = 6.5 Hz, 2H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.64-7.56 (m, 4H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.39-7.32 (m, 4H), 7.23 (t, *J* = 7.5 Hz, 2H), 6.37 (s, 1H), 3.75 (s, 2H), 2.65 (t, *J* = 7.5 Hz, 2H), 1.69-1.63 (m, 2H), 1.38-1.33 (m, 2H), 0.90 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.5, 135.8, 135.3, 132.0, 131.2, 130.9, 129.6, 129.6, 129.4, 128.7, 128.5, 128.0, 127.8, 126.9, 125.0, 123.8, 123.4, 123.4, 122.8, 121.6, 119.7, 118.0, 114.0, 107.3, 30.9, 26.1, 22.5, 21.1, 13.9.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{33}H_{30}NO_3S$ 520.1941; found 520.1940.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-(thiophen-2-ylsulfonyl)-1*H*-indole(3oa)

Prepared according to **GP3** using starting material **1o** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 57.1 mg, 60% yield, yellow solid, m.p. 125-127 °C.

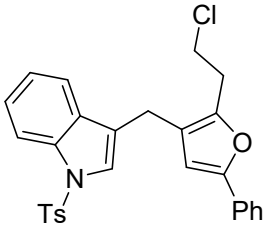
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 4.5 Hz, 1H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.50 (d, *J* = 5.0 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.37-7.31 (m, 3H), 7.28-7.22 (m, 2H), 7.20 (t, *J* = 7.5 Hz, 1H), 6.96 (t, *J* = 4.5 Hz, 1H), 6.39 (s, 1H), 3.74 (s, 2H), 2.65 (t, *J* = 7.5 Hz, 2H), 1.68-1.61 (m, 2H), 1.40-1.32 (m, 2H), 0.92 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.1, 151.6, 138.5, 135.7, 133.3, 133.0, 131.2, 131.1, 128.7, 127.5, 127.0, 125.1, 123.7, 123.5, 123.5, 123.4, 119.8, 117.9, 114.2, 107.4, 30.9, 26.1, 22.5, 21.2, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{27}H_{26}NO_3S_2$ 476.1349; found 476.1361.



(3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indol-6-yl)methyl ((1R,2S,5R)-2-isopropyl-5-methylcyclohexyl) carbonate (3sa)

Prepared according to **GP3** using starting material **1s** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 81.9 mg, 59% yield, yellow solid, m.p. 135-137 °C.

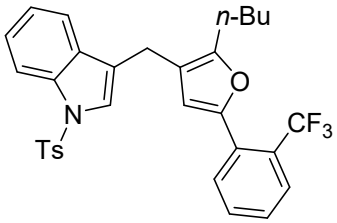
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 7.5 Hz, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.28-7.24 (m, 2H), 7.22-7.18 (m, 3H), 6.34 (s, 1H), 5.28 (d, *J* = 13.5 Hz, 1H), 5.24 (d, *J* = 12.0 Hz, 1H), 4.56 (td, *J* = 11.0, 4.5 Hz, 1H), 3.73 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.34 (s, 3H), 2.10 (d, *J* = 12.0 Hz, 1H), 2.00-1.94 (m, 1H), 1.72-1.60 (m, 4H), 1.38-1.33 (m, 2H), 1.09 (d, *J* = 11.5 Hz, 1H), 1.04 (d, *J* = 12.0 Hz, 1H), 0.95-0.85 (m, 12H), 0.79 (d, *J* = 6.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 155.0, 152.0, 151.6, 144.9, 135.8, 135.5, 132.5, 131.2, 131.0, 130.0, 128.7, 127.0, 126.9, 124.5, 123.7, 123.5, 122.4, 119.8, 118.0, 114.2, 107.3, 78.8, 69.8, 47.2, 41.0, 34.3, 31.6, 30.9, 26.3, 26.1, 23.6, 22.5, 22.1, 21.7, 21.1, 20.8, 16.5, 13.9.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{42}H_{49}NO_6SNa$ 718.3173; found 718.3175.



3-((2-(2-Chloroethyl)-5-phenylfuran-3-yl)methyl)-1-tosyl-1*H*-indole (3ab)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2b**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 73.4 mg, 75% yield, yellow solid, m.p. 115-117 °C.

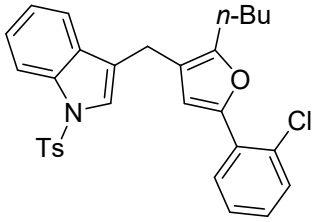
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.5 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 7.5 Hz, 2H), 7.45 (d, *J* = 7.5 Hz, 1H), 7.39-7.29 (m, 3H), 7.28-7.21 (m, 3H), 7.18 (d, *J* = 8.0 Hz, 2H), 6.39 (s, 1H), 3.77 (s, 2H), 3.73 (t, *J* = 7.3 Hz, 2H), 3.09 (t, *J* = 7.0 Hz, 2H), 2.31 (s, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.7, 147.3, 144.9, 135.7, 135.4, 130.8, 129.9, 128.8, 127.4, 126.9, 125.0, 123.8, 123.7, 123.3, 122.0, 120.7, 119.6, 114.0, 107.5, 107.5, 42.6, 30.1, 21.7, 21.1.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{28}H_{24}ClNO_3SNa$ 512.1058; found 512.1070.



3-((2-Butyl-5-(2-(trifluoromethyl)phenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ac)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2c**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 67.2 mg, 61% yield, orange solid, m.p. 107-109 °C.

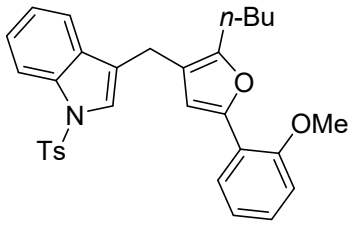
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.5 Hz, 1H), 7.76-7.70 (m, 4H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.37 (t, *J* = 8.0 Hz, 1H), 7.32 (t, *J* = 8.0 Hz, 1H), 7.24 (d, *J* = 9.0 Hz, 2H), 7.19 (d, *J* = 8.0 Hz, 2H), 6.48 (s, 1H), 3.75 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.32 (s, 3H), 1.68-1.62 (m, 2H), 1.36 -1.30 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 153.3, 148.1, 144.9, 135.7, 135.4, 131.8, 130.9, 129.9 (q, *J* = 32.7 Hz), 129.4, 127.2, 126.9, 126.8 (q, *J* = 6.1 Hz), 126.0, 125.4, 124.3 (q, *J* = 272.1 Hz), 124.9, 123.7, 123.3, 122.5, 119.6, 118.0, 114.0, 112.6 (q, *J* = 3.4 Hz), 30.6, 26.0, 22.4, 21.7, 21.1, 14.0.
^{19}F NMR (470 MHz, $CDCl_3$) δ -59.92 (m, 3F).
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{31}H_{28}F_3NO_3SNa$ 574.1634; found 574.1647.



3-((2-Butyl-5-(2-chlorophenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ad)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2d**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 64.1 mg, 62% yield, yellow solid, m.p. 123-125 °C.

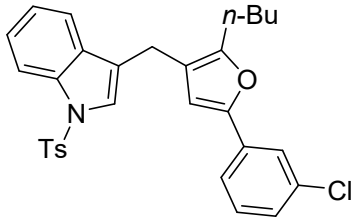
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.5 Hz, 1H), 7.85 (dd, *J* = 7.5, 1.0 Hz, 1H), 7.72 (d, *J* = 8.5 Hz, 2H), 7.46 (d, *J* = 8.0 Hz, 1H), 7.39 (dd, *J* = 8.0, 1.0 Hz, 1H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 7.5 Hz, 1H), 7.25-7.20 (m, 2H), 7.18 (d, *J* = 8.0 Hz, 2H), 7.14 (td, *J* = 7.5, 1.0 Hz, 1H), 6.90 (s, 1H), 3.76 (s, 2H), 2.65 (t, *J* = 7.3 Hz, 2H), 2.32 (s, 3H), 1.68-1.61 (m, 2H), 1.40-1.32 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.3, 147.7, 144.9, 135.7, 135.4, 130.9, 130.8, 129.9, 129.6, 129.4, 127.5, 127.4, 126.9, 126.9, 124.9, 123.8, 123.3, 122.6, 119.6, 118.0, 114.0, 113.6, 30.9, 26.0, 22.5, 21.7, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{28}ClNO_3SNa$ 540.1371; found 540.1390.



3-((2-Butyl-5-(2-methoxyphenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ae)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2e**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 78.2 mg, 76% yield, yellow solid, m.p. 137-138 °C.

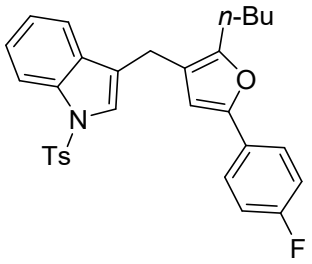
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 7.5 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.49 (d, *J* = 7.5 Hz, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.26-7.19 (m, 3H), 7.17 (d, *J* = 8.5 Hz, 2H), 7.02 (t, *J* = 7.5 Hz, 1H), 6.93 (d, *J* = 8.5 Hz, 1H), 6.76 (s, 1H), 3.89 (s, 3H), 3.75 (s, 2H), 2.63 (t, *J* = 7.5 Hz, 2H), 2.31 (s, 3H), 1.66-1.60 (m, 2H), 1.38-1.30 (m, 2H), 0.90 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 155.2, 151.1, 147.8, 144.7, 135.7, 135.5, 131.0, 129.9, 127.6, 126.9, 125.6, 124.8, 123.7, 123.2, 122.7, 120.8, 120.2, 119.7, 117.8, 113.9, 112.7, 111.0, 55.4, 31.0, 26.0, 22.5, 21.6, 21.2, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{32}NO_4S$ 514.2047; found 514.2058.



3-((2-Butyl-5-(3-chlorophenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3af)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2f**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 73.2 mg, 71% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.56 (s, 1H), 7.44 (d, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.29-7.22 (m, 3H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 1H), 6.39 (s, 1H), 3.74 (s, 2H), 2.65 (t, *J* = 7.3 Hz, 2H), 2.34 (s, 3H), 1.69-1.61 (m, 2H), 1.40-1.33 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.8, 150.1, 144.9, 135.7, 135.5, 134.7, 132.8, 130.8, 130.0, 129.9, 126.9, 126.8, 125.0, 123.7, 123.4, 123.3, 122.3, 121.5, 119.6, 118.4, 114.0, 108.5, 30.9, 26.1, 22.5, 21.7, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{29}ClNO_3S$ 518.1551; found 518.1564.



3-((2-Butyl-5-(4-fluorophenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ag)

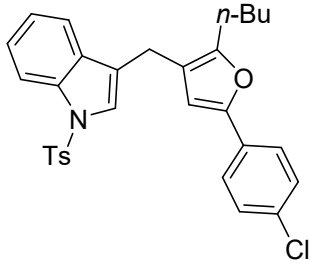
Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2g**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 67.5 mg, 67% yield, yellow solid, m.p. 100-102 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.54 (dd, *J* = 8.5, 5.5 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.32 (t, *J* = 8.0 Hz, 1H), 7.26-7.22 (m, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.04 (t, *J* = 8.5 Hz, 2H), 6.30 (s, 1H), 3.73 (s, 2H), 2.64 (t, *J* = 7.0 Hz, 2H), 2.33 (s, 3H), 1.67-1.61 (m, 2H), 1.39 -1.32 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0 (d, *J* = 246.6 Hz), 152.0, 150.8, 144.9, 135.6 (d, *J* = 25.6 Hz), 130.9, 129.9, 127.6 (d, *J* = 3.2 Hz), 126.9, 125.2 (d, *J* = 7.8 Hz), 124.9, 123.7, 123.3, 122.4, 119.6, 118.1, 115.8, 115.6, 114.0, 107.1, 30.9, 26.1, 22.5, 21.7, 21.1, 14.0.

^{19}F NMR (471 MHz, $CDCl_3$) δ -115.12-(-115.18) (m, 1F).

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{28}FNO_3SNa$ 524.1666; found 524.1684.



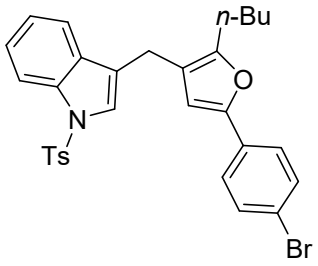
3-((2-Butyl-5-(4-chlorophenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ah)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2h**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 63.9 mg, 62% yield, yellow solid, m.p. 110-112 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.50 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.35-7.29 (m, 3H), 7.27-7.22 (m, 2H), 7.19 (d, *J* = 8.0 Hz, 2H), 6.36 (s, 1H), 3.73 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.33 (s, 3H), 1.67-1.61 (m, 2H), 1.40-1.32 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 152.4, 150.5, 144.9, 135.7, 135.5, 132.5, 130.8, 129.9, 129.7, 128.9, 126.9, 124.9, 124.7, 123.7, 123.3, 122.3, 119.6, 118.3, 114.0, 107.9, 30.9, 26.1, 22.5, 21.7, 21.1, 14.0.

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{28}ClNO_3SNa$ 540.1371; found 540.1383.



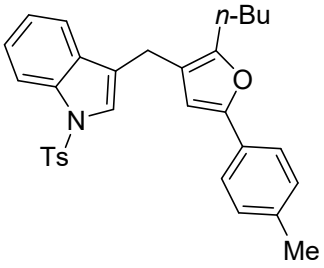
3-((5-(4-Bromophenyl)-2-butylfuran-3-yl)methyl)-1-tosyl-1*H*-indole (3ai)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2i**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 61.2 mg, 55% yield, yellow solid, m.p. 120-121 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 7.5 Hz, 2H), 7.49-7.41 (m, 5H), 7.32 (t, *J* = 8.0 Hz, 1H), 7.28-7.22 (m, 2H), 7.19 (d, *J* = 8.0 Hz, 2H), 6.38 (s, 1H), 3.74 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.33 (s, 3H), 1.67-1.61 (m, 2H), 1.40-1.32 (m, 2H), 0.92 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 152.5, 150.5, 144.9, 135.7, 135.5, 131.8, 130.8, 130.1, 129.9, 126.9, 124.9, 123.7, 123.3, 122.3, 120.5, 119.6, 118.3, 114.0, 108.0, 30.9, 26.1, 22.5, 21.7, 21.1, 14.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{29}BrNO_3S$ 562.1046; found 562.1061.



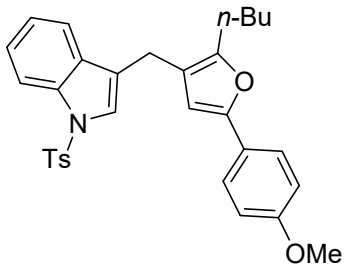
3-((2-butyl-5-(p-tolyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole(3aj)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2j**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 68.4 mg, 69% yield, yellow solid, m.p. 129-130 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.52-7.43 (m, 3H), 7.32 (t, *J* = 8.0 Hz, 1H), 7.26-7.21 (m, 2H), 7.19 (d, *J* = 8.5 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 6.31 (s, 1H), 3.73 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.35 (s, 3H), 2.33 (s, 3H), 1.67-1.61 (m, 2H), 1.39-1.32 (m, 2H), 0.91 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.8, 151.6, 144.8, 136.7, 135.7, 135.5, 130.9, 129.9, 129.4, 128.6, 126.9, 124.9, 123.7, 123.4, 123.2, 122.6, 119.7, 117.9, 114.0, 106.6, 31.0, 26.1, 22.5, 21.7, 21.4, 21.1, 14.0.

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{31}H_{31}NO_3S$ 520.1917; found 520.1933.



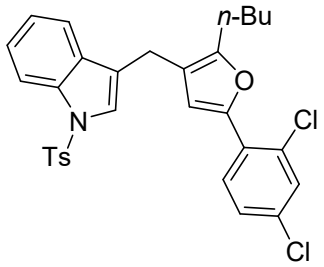
3-((2-Butyl-5-(4-methoxyphenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ak)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2k**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 83.9 mg, 82% yield, yellow solid, m.p. 137-139 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.72 (d, *J* = 8.5 Hz, 2H), 7.51 (d, *J* = 8.5 Hz, 2H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.25 (s, 1H), 7.23 (d, *J* = 7.5 Hz, 1H), 7.18 (d, *J* = 8.0 Hz, 2H), 6.89 (d, *J* = 8.5 Hz, 2H), 6.24 (s, 1H), 3.82 (s, 3H), 3.72 (s, 2H), 2.63 (t, *J* = 7.5 Hz, 2H), 2.32 (s, 3H), 1.67-1.61 (m, 2H), 1.39-1.32 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 158.8, 151.6, 151.3, 144.8, 135.7, 135.5, 130.9, 129.9, 126.9, 124.9, 124.4, 123.7, 123.2, 122.6, 119.7, 117.8, 114.2, 114.0, 113.9, 105.8, 55.4, 31.0, 26.1, 22.5, 21.7, 21.1, 14.0.

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{31}H_{31}NO_4SNa$ 536.1866; found 536.1879.



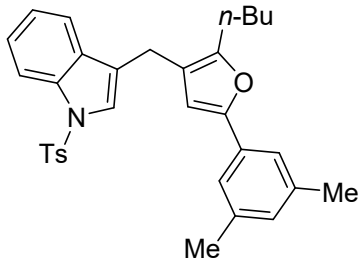
3-((2-Butyl-5-(2,4-dichlorophenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3al)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2l**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 57.7 mg, 52% yield, yellow sticky solid.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.5 Hz, 1H), 7.78 (d, *J* = 9.0 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.42 (s, 1H), 7.32 (t, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 8.5 Hz, 1H), 7.25-7.21 (m, 2H), 7.19 (d, *J* = 8.0 Hz, 2H), 6.89 (s, 1H), 3.76 (s, 2H), 2.65 (t, *J* = 7.3 Hz, 2H), 2.33 (s, 3H), 1.68-1.61 (m, 2H), 1.40-1.32 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 152.7, 146.9, 144.9, 135.7, 135.4, 132.4, 130.8, 130.4, 130.0, 129.9, 128.1, 128.1, 127.3, 126.9, 125.0, 123.7, 123.3, 122.4, 119.6, 118.3, 114.0, 113.9, 30.8, 26.0, 22.5, 21.7, 21.1, 14.0.

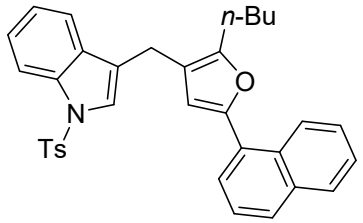
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{28}Cl_2NO_3S$ 552.1161; found 552.1170.



3-((2-Butyl-5-(3,5-dimethylphenyl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3am)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2m**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 74.5 mg, 73% yield, yellow solid, m.p. 115-117 °C.

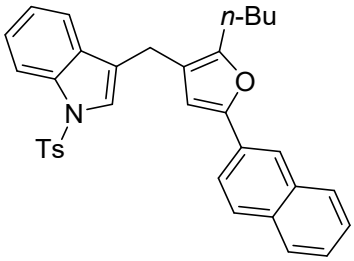
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.32 (t, *J* = 7.5 Hz, 1H), 7.27-7.21 (m, 4H), 7.20 (d, *J* = 8.0 Hz, 2H), 6.87 (s, 1H), 6.36 (s, 1H), 3.73 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 2.34 (s, 9H), 1.68-1.62 (m, 2H), 1.39-1.31 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 151.8, 151.8, 144.8, 138.2, 135.7, 135.5, 131.1, 130.9, 129.9, 128.7, 126.9, 124.9, 123.7, 123.2, 122.5, 121.3, 119.7, 117.9, 114.0, 107.2, 31.0, 26.1, 22.5, 21.7, 21.5, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{32}H_{33}NO_3SNa$ 534.2073; found 534.2089.



3-((2-butyl-5-(naphthalen-1-yl)furan-3-yl)methyl)-1-tosyl-1H-indole(3an)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2n**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 75.6 mg, 71% yield, yellow solid, m.p. 102-103 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.34 (d, *J* = 9.0 Hz, 1H), 7.95 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 9.0 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.67-7.61 (m, 3H), 7.46-7.40 (m, 4H), 7.28-7.24 (m, 2H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.39 (s, 1H), 3.75 (s, 2H), 2.65 (t, *J* = 7.5 Hz, 2H), 2.18 (s, 3H), 1.67-1.61 (m, 2H), 1.37-1.30 (m, 2H), 0.87 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 152.4, 151.0, 144.8, 135.8, 135.4, 134.2, 131.0, 130.2, 129.9, 128.9, 128.6, 128.2, 126.8, 126.5, 125.9, 125.7, 125.6, 125.5, 124.9, 123.8, 123.3, 122.6, 119.7, 117.8, 114.0, 111.7, 31.0, 26.2, 22.5, 21.6, 21.1, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{34}H_{32}NO_3S$ 534.2097; found 534.2112.



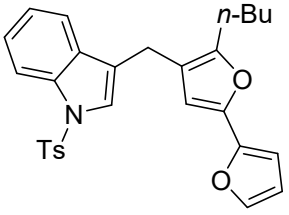
3-((2-Butyl-5-(naphthalen-2-yl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3ao)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2o**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 72.1 mg, 68% yield, yellow solid, m.p. 117-119 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.07 (s, 1H), 8.03 (d, *J* = 8.0 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.83-7.78 (m, 2H), 7.75 (d, *J* = 7.5 Hz, 2H), 7.68 (d, *J* = 8.5 Hz, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 8.5 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 7.32 (s, 1H), 7.24 (d, *J* = 7.5 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 6.51 (s, 1H), 3.78 (s, 2H), 2.71 (t, *J* = 7.5 Hz, 2H), 2.33 (s, 3H), 1.75-1.68 (m, 2H), 1.45-1.36 (m, 2H), 0.95 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 152.4, 151.6, 144.9, 135.7, 135.5, 133.8, 132.6, 130.9, 129.9, 128.5, 128.4, 128.2, 127.9, 126.9, 126.5, 125.7, 124.9, 123.7, 123.3, 122.4, 122.3, 121.4, 119.7, 118.3, 114.0, 108.1, 31.0, 26.2, 22.6, 21.7, 21.1, 14.0.

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{34}H_{31}NO_3SNa$ 556.1917; found 556.1932.



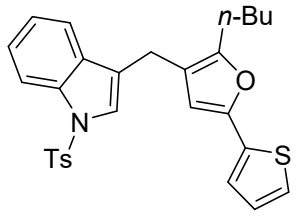
3-((5-Butyl-[2,2'-bifuran]-4-yl)methyl)-1-tosyl-1*H*-indole (3ap)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2p**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 62.6 mg, 66% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.5 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.37 (s, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.26-7.21 (m, 2H), 7.20 (d, *J* = 8.5 Hz, 2H), 6.45 (d, *J* = 18.5 Hz, 2H), 6.27 (s, 1H), 3.72 (s, 2H), 2.62 (t, *J* = 7.5 Hz, 2H), 2.33 (s, 3H), 1.65-1.59 (m, 2H), 1.37-1.29 (m, 2H), 0.90 (t, *J* = 8.0 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.9, 147.0, 144.9, 144.4, 141.5, 135.7, 135.4, 130.9, 129.9, 126.9, 124.9, 123.7, 123.3, 122.3, 119.6, 117.7, 114.0, 111.5, 107.5, 104.4, 30.9, 26.0, 22.5, 21.7, 21.0, 14.0.

HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{28}H_{27}NO_4SNa$ 496.1553; found 496.1561.



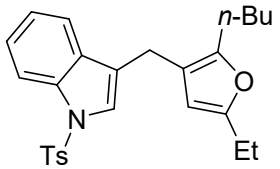
3-((2-Butyl-5-(thiophen-2-yl)furan-3-yl)methyl)-1-tosyl-1*H*-indole (3aq)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2q**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 69.3 mg, 71% yield, yellow sticky oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.5 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 7.5 Hz, 1H), 7.32 (t, *J* = 8.0 Hz, 1H), 7.27-7.22 (m, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.17 (t, *J* = 5.0 Hz, 2H), 7.01 (t, *J* = 4.5 Hz, 1H), 6.22 (s, 1H), 3.72 (s, 2H), 2.63 (t, *J* = 7.5 Hz, 2H), 2.34 (s, 3H), 1.66-1.60 (m, 2H), 1.39-1.22 (m, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.7, 147.2, 144.9, 135.7, 135.5, 134.3, 130.9, 129.9, 127.7, 126.9, 124.9, 123.7, 123.5, 123.3, 122.4, 121.9, 119.6, 118.0, 114.0, 107.4, 30.9, 26.0, 22.5, 21.7, 21.0, 14.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{28}H_{28}NO_3S_2$ 490.1505; found 490.1515.



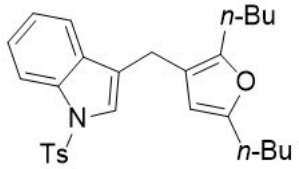
3-((2-Butyl-5-ethylfuran-3-yl)methyl)-1-tosyl-1*H*-indole(3ar)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2r**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 52.7 mg, 61% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.5 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.24-7.21 (m, 2H), 7.19 (d, *J* = 8.5 Hz, 2H), 5.70 (s, 1H), 3.65 (s, 2H), 2.59 (t, *J* = 7.5 Hz, 2H), 2.54 (t, *J* = 8.0 Hz, 2H), 2.33 (s, 3H), 1.60-1.53 (m, 2H), 1.35-1.29 (m, 2H), 1.19 (t, *J* = 7.5 Hz, 3H), 0.89 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.4, 150.1, 144.8, 135.7, 135.5, 131.0, 129.9, 126.9, 124.8, 123.7, 123.2, 123.0, 119.6, 115.9, 114.0, 106.1, 31.1, 25.9, 22.5, 21.7, 21.5, 21.1, 14.0, 12.2.

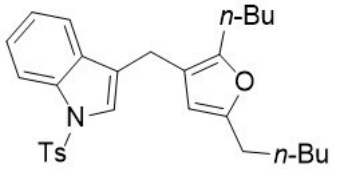
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{26}H_{30}NO_3S$ 436.1941; found 436.1952.



3-((2,5-Dibutylfuran-3-yl)methyl)-1-tosyl-1*H*-indole (3as)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2s**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 62.0 mg, 67% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.30 (t, *J* = 8.0 Hz, 1H), 7.24 -7.16 (m, 4H), 5.70 (s, 1H), 3.64 (s, 2H), 2.55 (d, *J* = 7.5 Hz, 2H), 2.52 (d, *J* = 7.5 Hz, 2H), 2.33 (s, 3H), 1.62-1.52 (m, 4H), 1.41-1.35 (m, 2H), 1.33-1.27 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H), 0.88 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 154.2, 150.0, 144.8, 135.7, 135.5, 131.1, 129.9, 126.9, 124.8, 123.7, 123.2, 123.0, 119.7, 115.9, 114.0, 106.8, 31.1, 30.3, 27.9, 25.9, 22.5, 22.5, 21.7, 21.1, 14.0, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{28}H_{33}NO_3SNa$ 486.2073; found 486.2071.

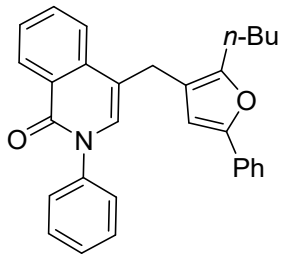


3-((2-Butyl-5-pentylfuran-3-yl)methyl)-1-tosyl-1*H*-indole (3at)

Prepared according to **GP3** using starting material **1a** and (*E*)-*β*-chlorovinyl ketone **2t**. The product was purified by column chromatography (petroleum ether/EtOAc = 25:1), 53.4 mg, 56% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 7.5 Hz, 2H), 7.44 (d, *J* = 7.5 Hz, 1H), 7.30 (t, *J* = 8.0 Hz, 1H), 7.24-7.17 (m, 4H), 5.70 (s, 1H), 3.65 (s, 2H), 2.53 (t, *J* = 7.5 Hz, 4H), 2.33 (s, 3H), 1.64-1.52 (m, 4H), 1.35-1.26 (m, 6H), 0.92-0.85 (m, 6H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 154.2, 150.0, 144.8, 135.7, 135.5, 131.0, 129.9, 126.9, 124.8, 123.7, 123.2, 123.0, 119.7, 115.9, 113.9, 106.8, 31.6, 31.1, 28.2, 27.8, 25.9, 22.6, 22.5, 21.7, 21.1, 14.2, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{29}H_{35}NO_3SNa$ 500.2230; found 500.2235.

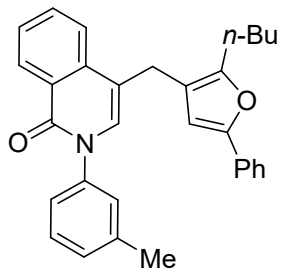
6) Characterization data of products 5



4-((2-Butyl-5-phenylfuran-3-yl)methyl)-2-phenylisoquinolin-1(2*H*)-one (5aa)

Prepared according to **GP5** using starting material **4a** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 61.5 mg, 71% yield, yellow oil.

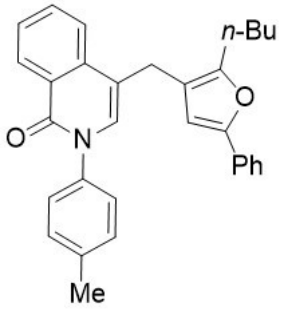
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (d, *J* = 8.0 Hz, 1H), 7.76-7.69 (m, 2H), 7.60-7.53 (m, 3H), 7.48 (t, *J* = 7.5 Hz, 2H), 7.43-7.37 (m, 3H), 7.33 (t, *J* = 7.5 Hz, 2H), 7.20 (t, *J* = 7.3 Hz, 1H), 6.96 (s, 1H), 6.42 (s, 1H), 3.82 (s, 2H), 2.70 (t, *J* = 7.3 Hz, 2H), 1.72-1.64 (m, 2H), 1.44-1.35 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 152.3, 151.8, 141.5, 136.9, 132.7, 131.0, 130.6, 129.4, 129.0, 128.7, 128.1, 127.3, 127.0, 127.0, 126.6, 123.4, 123.0, 117.7, 114.9, 107.3, 30.9, 26.2, 25.4, 22.6, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{27}NO_2Na$ 456.1934; found 456.1948.



4-((2-Butyl-5-phenylfuran-3-yl)methyl)-2-(*m*-tolyl)isoquinolin-1(2*H*)-one (5ba)

Prepared according to **GP5** using starting material **4b** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 55.6 mg, 62% yield, yellow solid, m.p. 121-123 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (d, *J* = 8.5 Hz, 1H), 7.74-7.69 (m, 2H), 7.60-7.53 (m, 3H), 7.38-7.30 (m, 3H), 7.23-7.16 (m, 4H), 6.94 (s, 1H), 6.42 (s, 1H), 3.81 (s, 2H), 2.70 (t, *J* = 7.5 Hz, 2H), 2.40 (s, 3H), 1.71-1.65 (m, 2H), 1.42-1.36 (m, 2H), 0.94 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 152.3, 151.8, 141.5, 139.4, 136.9, 132.6, 131.1, 130.8, 129.3, 129.0, 128.7, 127.7, 127.2, 127.0, 126.7, 123.9, 123.4, 123.0, 117.8, 114.7, 107.3, 30.9, 26.2, 25.4, 22.6, 21.5, 14.0.
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{31}H_{29}NO_2Na$ 470.2091; found 470.2109.



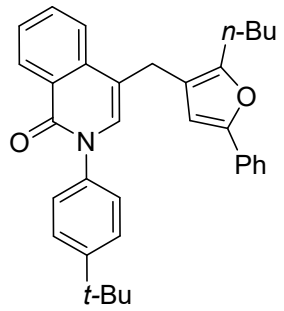
4-((2-Butyl-5-phenylfuran-3-yl)methyl)-2-(*p*-tolyl)isoquinolin-1(2*H*)-one (5ca)

Prepared according to **GP5** using starting material **4c** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 56.9 mg, 64% yield, yellow solid, m.p. 143-144 °C.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (d, *J* = 8.5 Hz, 1H), 7.74-7.69 (m, 2H), 7.60-7.52 (m, 4H), 7.35-7.26 (m, 5H), 7.19 (t, *J* = 7.3 Hz, 1H), 6.93 (s, 1H), 6.42 (s, 1H), 3.81 (s, 2H), 2.69 (t, *J* = 7.5 Hz, 2H), 2.39 (s, 3H), 1.71-1.64 (m, 2H), 1.42-1.36 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0, 152.3, 151.8, 139.1, 138.0, 136.9, 132.6, 130.9, 130.1, 130.0, 129.0, 128.7, 127.2, 127.0, 126.7, 126.7, 123.5, 122.9, 117.7, 114.6, 107.4, 30.9, 26.2, 25.4, 22.6, 21.3, 14.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{30}NO_2$ 448.2271; found 448.2282.



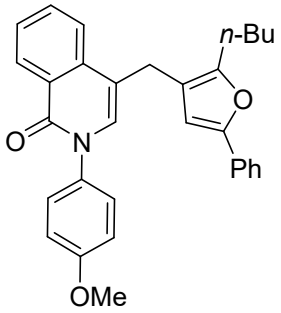
2-(4-(*tert*-Butyl)phenyl)-4-((2-butyl-5-phenylfuran-3-yl)methyl)isoquinolin-1(2*H*)-one (5da)

Prepared according to **GP5** using starting material **4d** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 73.6 mg, 75% yield, yellow sticky oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.56 (d, *J* = 8.0 Hz, 1H), 7.75-7.70 (m, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.55 (d, *J* = 6.5 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.39-7.30 (m, 4H), 7.20 (t, *J* = 7.3 Hz, 1H), 6.97 (s, 1H), 6.43 (s, 1H), 3.81 (s, 2H), 2.70 (t, *J* = 7.5 Hz, 2H), 1.71-1.65 (m, 2H) 1.43-1.36 (m, 2H), 1.35 (s, 9H), 0.93 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0, 152.3, 151.8, 151.1, 138.9, 136.9, 132.6, 131.1, 130.9, 129.0, 128.7, 127.2, 127.0, 126.7, 126.4, 126.4, 123.5, 122.9, 117.8, 114.6, 107.4, 34.8, 31.5, 30.9, 26.2, 25.4, 22.6, 14.0.

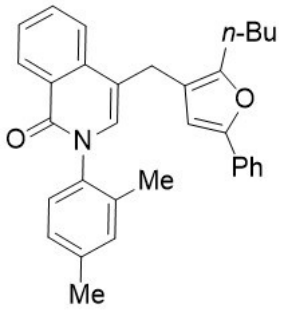
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{34}H_{36}NO_2$ 490.2741; found 490.2752.



4-((2-Butyl-5-phenylfuran-3-yl)methyl)-2-(4-methoxyphenyl)isoquinolin-1(2*H*)-one (5ea)

Prepared according to **GP5** using starting material **4e** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 62.2 mg, 67% yield, yellow solid, m.p. 154-155 °C.

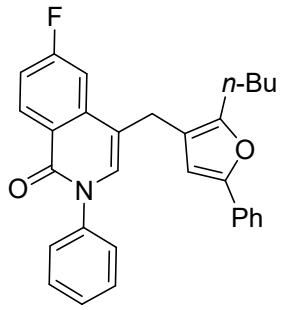
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (d, *J* = 8.0 Hz, 1H), 7.74-7.69 (m, 2H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.35 (t, *J* = 9.0 Hz, 2H), 7.31 (d, *J* = 7.5 Hz, 2H), 7.20 (t, *J* = 7.0 Hz, 1H), 6.98 (d, *J* = 7.5 Hz, 2H), 6.93 (s, 1H), 6.42 (s, 1H), 3.83 (s, 3H), 3.81 (s, 2H), 2.69 (t, *J* = 7.0 Hz, 2H), 1.71-1.65 (m, 2H), 1.42-1.36 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 159.2, 152.3, 151.7, 136.9, 134.4, 132.6, 131.0, 131.0, 128.9, 128.7, 128.0, 127.2, 127.0, 126.6, 123.4, 122.9, 117.7, 114.6, 107.3, 107.3, 55.6, 30.9, 26.2, 25.3, 22.6, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{30}NO_3$ 464.2220; found 464.2234.



4-((2-Butyl-5-phenylfuran-3-yl)methyl)-2-(2,4-dimethylphenyl)isoquinolin-1(2*H*)-one (5fa)

Prepared according to **GP5** using starting material **4f** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 68.5 mg, 74% yield, yellow oil.

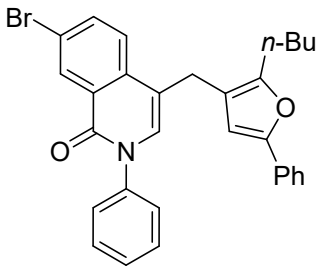
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (d, *J* = 8.0 Hz, 1H), 7.73 (t, *J* = 7.5 Hz, 2H), 7.59-7.53 (m, 3H), 7.33 (t, *J* = 7.0 Hz, 2H), 7.20 (t, *J* = 7.3 Hz, 1H), 7.14 (s, 1H), 7.09 (s, 2H), 6.77 (s, 1H), 6.41 (s, 1H), 3.81 (s, 2H), 2.67 (t, *J* = 7.5 Hz, 2H), 2.36 (s, 3H), 2.12 (s, 3H), 1.69-1.63 (m, 2H), 1.41-1.33 (m, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 152.4, 151.8, 138.7, 138.2, 137.1, 135.0, 132.5, 131.9, 131.1, 130.9, 129.0, 128.7, 127.9, 127.4, 127.1, 127.0, 126.7, 123.5, 122.9, 117.6, 114.6, 107.4, 30.9, 26.2, 25.3, 22.6, 21.2, 17.8, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{32}H_{32}NO_2$ 462.2428; found 462.2446.



4-((2-Butyl-5-phenylfuran-3-yl)methyl)-6-fluoro-2-phenylisoquinolin-1(2*H*)-one (5ga)

Prepared according to **GP5** using starting material **4g** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 46.9 mg, 52% yield, yellow sticky oil.

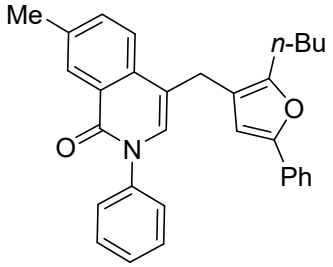
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (t, *J* = 7.3 Hz, 1H), 7.59 (d, *J* = 7.5 Hz, 2H), 7.48 (t, *J* = 7.5 Hz, 2H), 7.42-7.37 (m, 3H), 7.36-7.30 (m, 3H), 7.25-7.18 (m, 2H), 6.99 (s, 1H), 6.41 (s, 1H), 3.75 (s, 2H), 2.70 (t, *J* = 7.3 Hz, 2H), 1.73-1.65 (m, 2H), 1.44-1.35 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 165.7 (d, *J* = 253.4 Hz), 161.2, 152.4, 152.0, 141.3, 139.4 (d, *J* = 9.9 Hz), 132.3 (d, *J* = 10.2 Hz), 132.0, 131.0, 129.5, 128.7, 128.3, 127.1, 126.9, 123.5, 123.3, 117.3, 115.7 (d, *J* = 23.2 Hz), 114.3 (d, *J* = 3.4 Hz), 108.5 (d, *J* = 22.7 Hz), 107.1 (d, *J* = 3.4 Hz), 30.9, 26.2, 25.4, 22.6, 14.0.
^{19}F NMR (470 MHz, $CDCl_3$) δ -105.15-(-105.20) (m, 1F).
HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{30}H_{26}FNO_2Na$ 474.1840; found 474.1844.



7-Bromo-4-((2-butyl-5-phenylfuran-3-yl)methyl)-2-phenylisoquinolin-1(2*H*)-one (5ha)

Prepared according to **GP5** using starting material **4h** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 42.8 mg, 42% yield, yellow sticky oil.

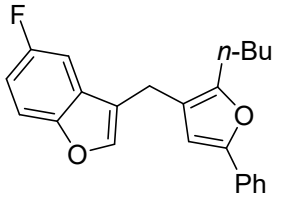
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.66 (s, 1H), 7.79 (d, *J* = 8.5 Hz, 1H), 7.60-7.55 (m, 3H), 7.48 (t, *J* = 7.5 Hz, 2H), 7.42-7.36 (m, 3H), 7.32 (t, *J* = 7.5 Hz, 2H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.97 (s, 1H), 6.38 (s, 1H), 3.78 (s, 2H), 2.68 (t, *J* = 7.5 Hz, 2H), 1.71-1.63 (m, 2H), 1.42-1.34 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 160.7, 152.3, 151.9, 141.2, 135.8, 135.6, 131.6, 131.1, 130.9, 129.5, 128.7, 128.4, 128.1, 127.1, 126.8, 124.9, 123.4, 121.3, 117.4, 114.4, 107.1, 30.9, 26.2, 25.3, 22.6, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{27}BrNO_2$ 512.1220; found 512.1224.



4-((2-Butyl-5-phenylfuran-3-yl)methyl)-7-methyl-2-phenylisoquinolin-1(2*H*)-one (5ia)

Prepared according to **GP5** using starting material **4i** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 64.6 mg, 72% yield, yellow solid, m.p. 112-113 °C.

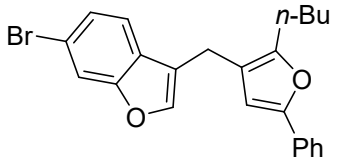
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 2H), 7.43-7.37 (m, 3H), 7.33 (t, *J* = 7.0 Hz, 2H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.91 (s, 1H), 6.41 (s, 1H), 3.80 (s, 2H), 2.70 (t, *J* = 7.0 Hz, 2H), 2.52 (s, 3H), 1.72-1.64 (m, 2H), 1.42-1.35 (m, 2H), 0.94 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 152.2, 151.7, 141.7, 137.4, 134.6, 134.1, 131.1, 129.8, 129.4, 128.7, 128.6, 128.0, 127.0, 126.6, 123.4, 123.0, 117.9, 114.8, 107.4, 30.9, 26.2, 25.4, 22.6, 21.5, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{30}NO_2$ 448.2271; found 448.2287.



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-5-fluorobenzofuran (5ja)

Prepared according to **GP5** using starting material **4j** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 55.8 mg, 80% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 7.5 Hz, 2H), 7.43-7.37 (m, 2H), 7.34 (t, *J* = 7.5 Hz, 2H), 7.21 (t, *J* = 7.3 Hz, 1H), 7.15 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.01 (td, *J* = 9.0, 2.0 Hz, 1H), 6.46 (s, 1H), 3.73 (s, 2H), 2.71 (t, *J* = 7.5 Hz, 2H), 1.72-1.65 (m, 2H), 1.44-1.37 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 159.2 (d, *J* = 238.9 Hz), 152.1, 151.8 (d, *J* = 29.2 Hz), 143.8, 131.2, 129.0 (d, *J* = 10.1 Hz), 128.7, 127.0, 123.5, 120.1 (d, *J* = 4.1 Hz), 117.9, 112.3, 112.2 (d, *J* = 5.7 Hz), 112.0, 107.3 (d, *J* = 2.6 Hz), 105.4 (d, *J* = 24.9 Hz), 31.0, 26.1, 22.5, 19.7, 14.0.
^{19}F NMR (470 MHz, $CDCl_3$) δ -121.10-(-121.15) (m, 1F).
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{22}FO_2$ 349.1598; found 349.1607.



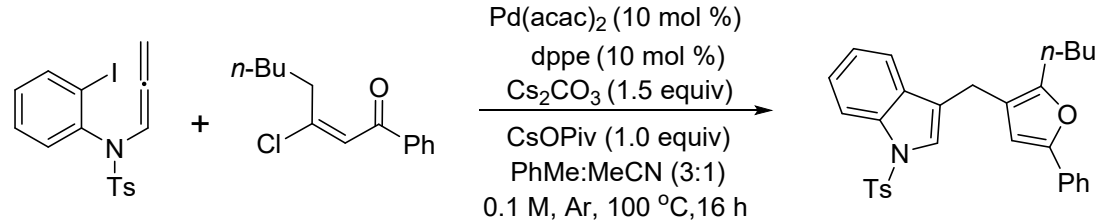
6-Bromo-3-((2-butyl-5-phenylfuran-3-yl)methyl)benzofuran (5ka)

Prepared according to **GP5** using starting material **4k** and (*E*)-*β*-chlorovinyl ketone **2a**. The product was purified by column chromatography (petroleum ether/EtOAc = 20:1), 69.4 mg, 85% yield, yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65 (s, 1H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.37-7.31 (m, 4H), 7.26 (t, *J* = 8.0 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 6.45 (s, 1H), 3.74 (s, 2H), 2.70 (t, *J* = 7.0 Hz, 2H), 1.72-1.63 (m, 2H), 1.42-1.36 (m, 2H), 0.94 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 156.1, 152.1, 151.7, 142.7, 131.2, 128.8, 128.7, 127.2, 127.0, 126.0, 123.5, 120.8, 119.8, 117.9, 115.1, 107.3, 30.9, 26.1, 22.5, 19.7, 14.0.
HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{22}BrO_2$ 409.0798; found 409.0812.

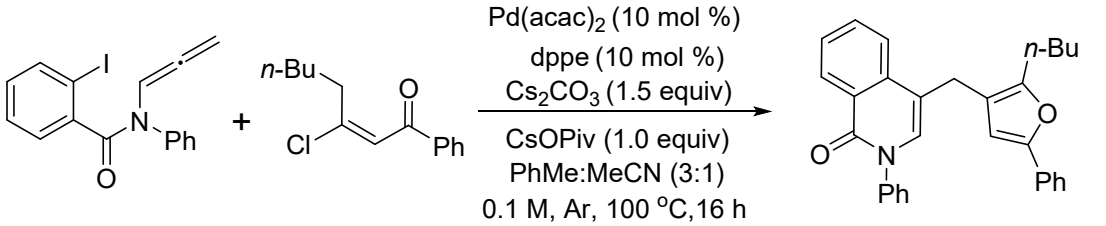
7) Scale up and product derivatization experiment

Scale up



1a, 3 mmol **(*E*)-2a** **3aa**

To a flame dried, 13-dram vial under argon atmosphere were added allenamide **1a** (1.28 g, 3.0 mmol, 1.0 equiv.), $Pd(acac)_2$ (91.4 mg, 0.3 mmol, 10 mol %), dppe (119.5 mg, 0.3 mmol, 10 mol %), Cs_2CO_3 (1.47 g, 4.5 mmol, 1.5 equiv.) and CsOPiv (702.1 mg, 3 mmol, 1.0 equiv.) and purged with argon for 5 minutes. Anhydrous and degassed toluene (22.5 mL) were added and the mixture was stirred at room temperature for 5 minutes. (*E*)-*β*-chlorovinyl ketone **2a** (1.42 g, 6.0 mmol, 2.0 equiv.) was dissolved in anhydrous MeCN (7.5 mL) and transferred to the vial via syringe, and the mixture was stirred under argon for 5 minutes. A Teflon lined screw cap was fitted on the 13-dram vial. The vial was sealed with Teflon tape and placed in a preheated oil bath at 100 ℃ for 16 hours. The reaction mixture was then cooled down to room temperature and was filtered through a plug of silica gel using EtOAc. The filtrate was concentrated under reduced pressure and the residue was purified by silica gel flash column chromatography (petroleum ether/EtOAc = 25:1) to give the desired product **3aa** in 57% yield (826.2 mg).

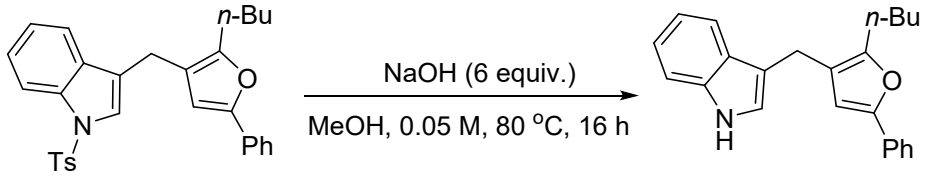


4a, 3 mmol **(*E*)-2a** **5aa**

To a flame dried, 13-dram vial under argon atmosphere were added allenamide **4a** (1.13 g, 3.0 mmol, 1.0 equiv.), $Pd(acac)_2$ (91.4 mg, 0.3 mmol, 10 mol %), dppe (119.5 mg, 0.3 mmol, 10 mol %), Cs_2CO_3 (1.47 g, 4.5 mmol, 1.5 equiv.) and CsOPiv (702.1 mg, 3 mmol, 1.0 equiv.) and purged with argon for 5 minutes. Anhydrous and degassed toluene (22.5 mL) were added and the mixture was stirred at room temperature for 5 minutes. (*E*)-*β*-chlorovinyl ketone **2a** (1.42 g, 6.0 mmol, 2.0 equiv.) was dissolved in anhydrous MeCN (7.5 mL) and transferred to the vial via syringe, and the mixture was stirred under argon for 5 minutes. A Teflon lined screw cap was fitted on the 13-dram vial. The vial was sealed with Teflon tape and placed in a preheated oil bath at 100 ℃ for 16 hours. The reaction mixture was then cooled down to room temperature and was filtered through a plug of silica gel using EtOAc. The filtrate was concentrated under reduced pressure and the residue was purified by silica gel flash column

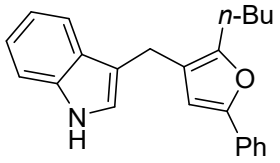
chromatography (petroleum ether/EtOAc = 20:1) to give the desired product **5aa** in 55% yield (714.8 mg).

Product derivatization experiment



3aa (0.2 mmol) **6**, 70% yield

A sealed tube was charged with **3aa** (0.2 mmol, 1.0 equiv.), NaOH (1.2 mmol, 6.0 equiv.) and MeOH (4.0 mL). The reaction mixture was stirred at 80 ℃ for 16 h. Then the saturated ammonium chloride solution was added to the reaction mixture and extracted with EtOAc. The combined organic phase was washed with saturated brine and dried over Na_2SO_4.The solvent was evaporated, and the residue was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate =50:1 to 5:1) to afford the corresponding product **6** (46.1 mg, 70% yield).



3-((2-Butyl-5-phenylfuran-3-yl)methyl)-1*H*-indole (6)

The product was purified by column chromatography (petroleum ether/EtOAc = 50:1 to 5:1), 46.1 mg, 70% yield, yellow solid, m.p. 136–138 °C.

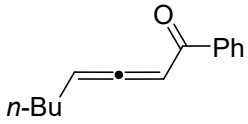
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.64-7.56 (m, 3H), 7.39-7.30 (m, 3H), 7.23-7.17 (m, 2H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.92 (s, 1H), 6.50 (s, 1H), 3.87 (s, 2H), 2.72 (t, *J* = 7.5 Hz, 2H), 1.74-1.66 (m, 2H), 1.45-1.37 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.8, 151.2, 136.6, 131.4, 128.7, 127.5, 126.7, 123.4, 122.2, 122.0, 119.9, 119.5, 119.1, 115.8, 111.2, 107.9, 31.1, 26.1, 22.5, 21.1, 14.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{24}NO$ 330.1852; found 330.1834.

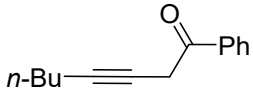
8) Mechanistic studies

Synthesis of 7, 8, 9



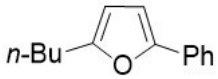
Phenylocta-2,3-dien-1-one (7)

Prepared according to literature procedure.[4]



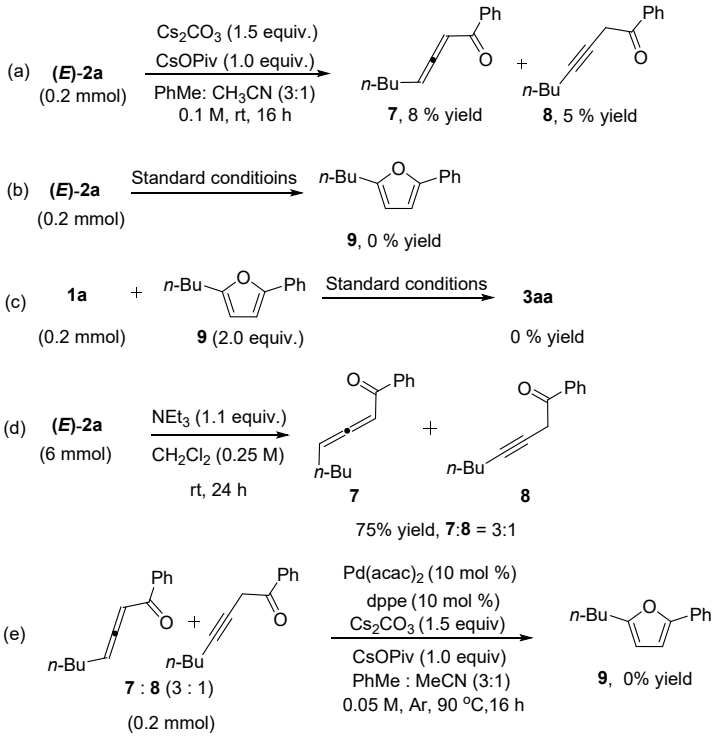
Phenyloct-3-yn-1-one (8)

Prepared according to literature procedure.[4]



2-Butyl-5-phenylfuran (9)

Prepared according to literature procedure.[4]



Scheme S1. Control experiments

Several control experiments were carried out (Scheme S1) and the results indicate that:

(1) In the presence of Cs_2CO_3 and CsOPiv, substrate conversion of dehydrochlorination reaction was 84%; however, only low yields of allenyl ketone **7** and alkynyl ketone

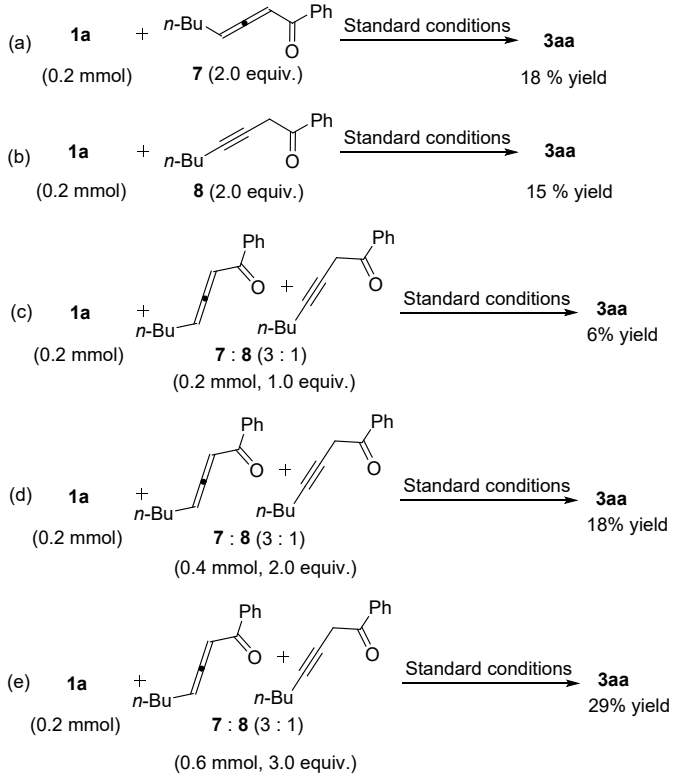
8 were obtained (Scheme S1a). The low yields of **7** and **8** is attributed to the fact that the reactive products **7** and **8** are susceptible to polymerization and other complex side reactions under basic conditions.

(2) No furan **9** was isolated under the standard reaction conditions (Scheme S1b).

(3) No cross-coupling product **3aa** was obtained when **1a** and furan **9** were employed in the reaction, implying that **9** was not an active intermediate in the sequential cyclization process (Scheme S1c).

(4) No furan **9** was isolated under the standard reaction conditions when the mixture of **7** and **8** was used as substrate (Scheme S1e).

(5) In a well-designed catalytic system, the in situ formation of Pd(0) from Pd(II) and ligands is sufficiently rapid. The experimental results shown in Scheme S1b and Scheme S1e indicate that under the optimal reaction conditions, Pd(0) is rapidly generated and fails to catalyze the formation of the furan ring from the substrate (*E*)-**2a**, **7**, or **8**.



Scheme S2. The investigation of intermediates

Several control experiments were carried out to illustrate the mechanism of the reaction (Scheme S2).

(1) Both the allenyl ketone **7** and the alkynyl ketone **8** can serve as active intermediates to enter the catalytic cycle (Scheme S2a-2b).

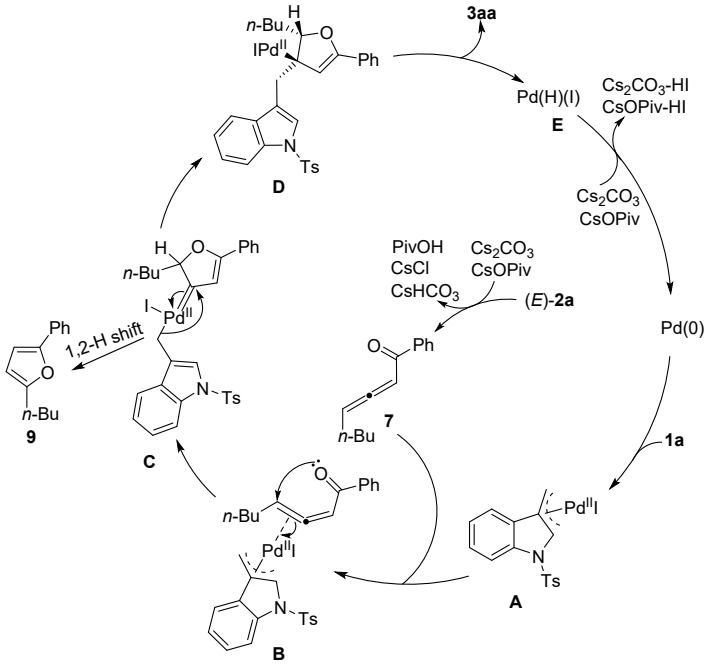
(2) The concentration effect of the mixture of intermediates **7** and **8** (7:8 = 3:1, 1.0 equiv., 2.0 equiv., and 3.0 equiv.) was investigated (Scheme S2c-2e). The yield of **3aa** improved significantly from 6% to 29% as the concentration of the mixture increased; however, it was much lower than the yield (76%) obtained using (*E*)-β-chlorovinyl ketone **2a** as the coupling partner under the standard conditions.

(3) Although higher concentrations of allenyl ketone **7** and alkynyl ketone **8**, as the active species, lead to higher product yields, they can still be consumed through side reactions (Scheme S2c-2e). The ultimately results in a lower yield compared to the direct use of β-chlorovinyl ketone.
(4) The method by in-situ generated active intermediate achieves a "gradual supply" of the active species, enabling the consumption rate in the catalytic cycle to match the generation rate of the active species.
(5) By generating highly active species in situ and consuming them immediately, side reactions are minimized, thus achieving a higher yield than simply increasing the concentration of the active species.

These results showed that active intermediate with a low concentration was crucial for the cross-coupling reaction.

The above two experimental results indicate that both the allenyl ketone **7** (Scheme S2a) and the alkynyl ketone **8** (Scheme S2b) can serve as active intermediates to enter the catalytic cycle; however, their catalytic pathways are entirely distinct.

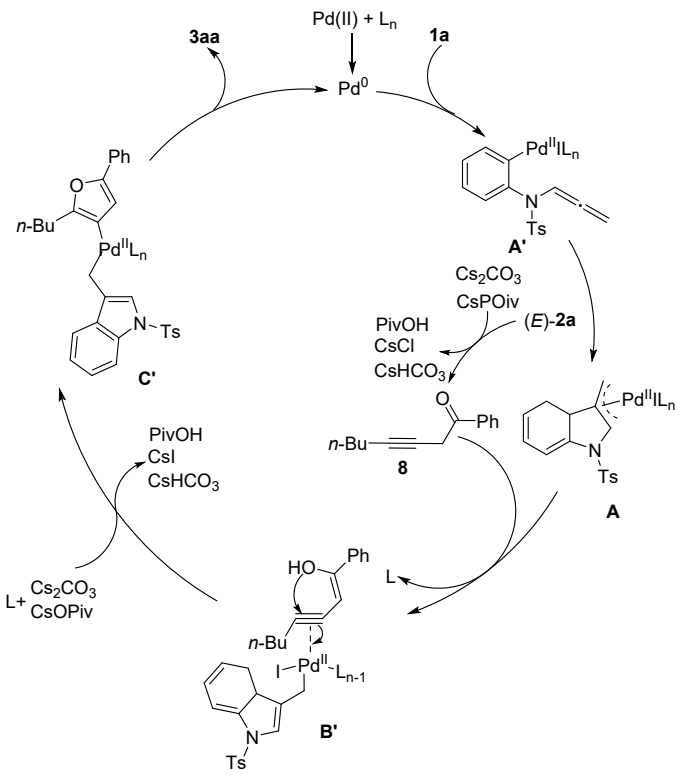
The catalytic cycle involving the allenyl ketone **7** proceeds as follows: initial oxidation addition, intramolecular Heck, η^3-allylpalladium(II)-catalyzed cyclization of allenyl ketone **7**, Pd-carbene migratory insertion, and β-H elimination (Scheme S3).



Scheme S3. The possible mechanism from the intermediate allenyl ketone **7**

In each cascade cross-coupling reaction, a tiny amount of the side product **9** was obtained via a competitive 1,2-H shift of Pd-carbene. This result validates that catalytic cycle involves the allenyl ketone intermediate and the effective cross-coupling is based on the key migratory insertion of the Pd-carbene.

While the catalytic cycle involving the alkynyl ketone **8** proceeds via an oxidation addition, intramolecular Heck, η^3-allylpalladium(II)-catalyzed oxypalladation of alkynyl ketone **8**, and final reductive elimination (Scheme S4).

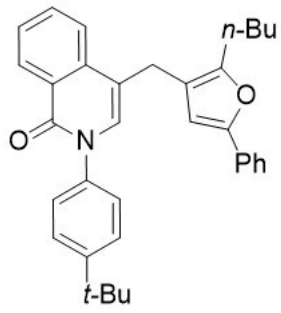


Scheme S4. The possible mechanism from the intermediate alkynyl ketone **8**

The oxidation addition of **1a** to the Pd(0) catalyst followed by an intramolecular Heck reaction generates the η^3-allylpalladium(II) species **A**. Coordination of the triple bond of **8** to the intermediate **A** enables intramolecular nucleophilic attack of the oxygen atom onto the triple bond to produce the intermediate **B'**. In the presence of Cs_2CO_3 and CsOPiv, intermediate **B'** could convert to the intermediate **C'**. Finally, the reductive elimination of intermediate **C'** affords the product **3aa**. Although no Pd-carbene complex is generated in this pathway, it still cannot be completely ruled out.

There are two possible pathways involving alkynyl ketone **8** in the reaction: (1) **8** could be converted into allenyl ketone **7** to participate in the formation of **3aa** (Manuscript, Scheme 5); (2) **8** directly participated in the reaction and the proposed pathway is shown in the Scheme S4.

9) X-Ray crystal structure



5da

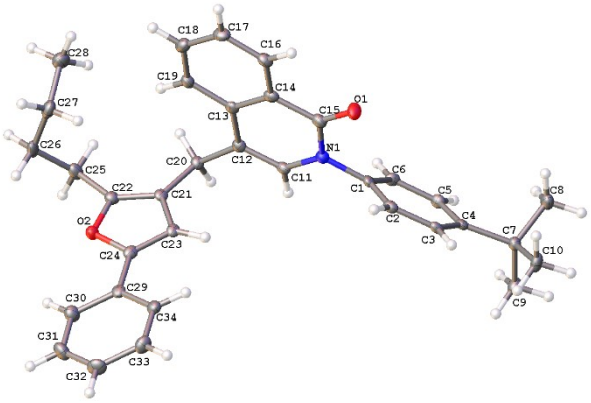


Table S2. Crystal data and structure refinement for 251030C_ ZhangJingLi5FA_0m.

Identification code	251030c_zhangjingli5fa_0m	
Empirical formula	C34 H35 N O2	
Formula weight	489.63	
Temperature	100(2) K	
Wavelength	1.34139 Å	
Crystal system	Triclinic	
Space group	P-1	
Unit cell dimensions	a = 9.7589(4) Å	α= 83.211(2)°.
	b = 10.2067(4) Å	β= 89.2630(10)°.
	c = 13.8927(5) Å	γ = 74.333(2)°.
Volume	1322.78(9) $Å^3$	
Z	2	
Density (calculated)	1.229 Mg/m^3	
Absorption coefficient	0.387 mm^{-1}	
F(000)	524	
Crystal size	0.150 x 0.050 x 0.020 mm^3	
Theta range for data collection	4.094 to 56.555°.	
Index ranges	-12<=h<=11, -12<=k<=12, -17<=l<=17	
Reflections collected	37958	
Independent reflections	5209 [R(int) = 0.0713]	
Completeness to theta = 53.594°	99.2 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	0.7511 and 0.6790	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	5209 / 0 / 338	
Goodness-of-fit on F^2	1.153	
Final R indices [I>2sigma(I)]	R1 = 0.0850, wR2 = 0.1685	

R indices (all data)	R1 = 0.0948, wR2 = 0.1725
Extinction coefficient	n/a
Largest diff. peak and hole	0.347 and -0.446 e.Å^{-3}

Table S3. Atomic coordinates (x 10^4) and equivalent isotropic displacement parameters (Å^{2}x 10^3) for 251030C_ZhangJingLi5FA_0m. U(eq) is defined as one third of the trace of the orthogonalized U^{ij} tensor.

	x	y	z	U(eq)
C(1)	5778(3)	4766(3)	3228(2)	15(1)
C(2)	4489(3)	5660(3)	3420(2)	16(1)
C(3)	3728(3)	6599(3)	2679(2)	14(1)
C(4)	4238(3)	6669(3)	1741(2)	15(1)
C(5)	5579(3)	5810(3)	1578(2)	16(1)
C(6)	6337(3)	4856(3)	2309(2)	15(1)
C(7)	3374(3)	7613(3)	885(2)	16(1)
C(8)	3241(3)	6745(3)	78(2)	23(1)
C(9)	4144(3)	8693(3)	500(2)	23(1)
C(10)	1867(3)	8352(3)	1184(2)	22(1)
C(11)	7925(3)	3664(3)	4216(2)	14(1)
C(12)	8733(3)	2710(3)	4878(2)	15(1)
C(13)	8115(3)	1676(3)	5369(2)	15(1)
C(14)	6688(3)	1736(3)	5147(2)	16(1)
C(15)	5830(3)	2790(3)	4418(2)	18(1)
C(16)	6054(3)	770(3)	5621(2)	18(1)
C(17)	6812(3)	-269(3)	6288(2)	20(1)
C(18)	8221(3)	-339(3)	6510(2)	20(1)
C(19)	8864(3)	616(3)	6061(2)	16(1)
C(20)	10200(3)	2778(3)	5148(2)	15(1)
C(21)	10228(3)	3361(3)	6101(2)	14(1)
C(22)	11284(3)	3016(3)	6777(2)	16(1)
C(23)	9140(3)	4442(3)	6437(2)	14(1)
C(24)	9600(3)	4684(3)	7297(2)	15(1)
C(25)	12705(3)	1977(3)	6885(2)	21(1)
C(26)	12897(3)	1057(3)	7862(2)	23(1)
C(27)	11865(3)	174(3)	7993(2)	24(1)
C(28)	12244(3)	-1048(3)	7411(2)	28(1)
C(29)	8996(3)	5657(3)	7986(2)	16(1)
C(30)	9831(3)	5862(3)	8738(2)	22(1)
C(31)	9252(3)	6808(3)	9367(2)	25(1)
C(32)	7845(3)	7557(3)	9272(2)	25(1)

C(33)	7005(3)	7352(3)	8532(2)	22(1)
C(34)	7566(3)	6417(3)	7893(2)	18(1)
N(1)	6521(2)	3732(2)	3983(2)	15(1)
O(1)	4606(2)	2856(2)	4190(2)	23(1)
O(2)	10927(2)	3812(2)	7523(1)	16(1)

Table S4. Bond lengths [Å] and angles [°] for 251030C_ZhangJingLi5FA_0m.

C(1)-C(2)	1.384(4)
C(1)-C(6)	1.385(4)
C(1)-N(1)	1.444(3)
C(2)-C(3)	1.389(4)
C(2)-H(2)	0.9500
C(3)-C(4)	1.389(4)
C(3)-H(3)	0.9500
C(4)-C(5)	1.397(4)
C(4)-C(7)	1.540(4)
C(5)-C(6)	1.386(4)
C(5)-H(5)	0.9500
C(6)-H(6)	0.9500
C(7)-C(8)	1.536(4)
C(7)-C(9)	1.537(4)
C(7)-C(10)	1.537(4)
C(8)-H(8A)	0.9800
C(8)-H(8B)	0.9800
C(8)-H(8C)	0.9800
C(9)-H(9A)	0.9800
C(9)-H(9B)	0.9800
C(9)-H(9C)	0.9800
C(10)-H(10A)	0.9800
C(10)-H(10B)	0.9800
C(10)-H(10C)	0.9800
C(11)-C(12)	1.344(4)
C(11)-N(1)	1.393(3)
C(11)-H(11)	0.9500
C(12)-C(13)	1.451(4)
C(12)-C(20)	1.506(4)
C(13)-C(19)	1.405(4)
C(13)-C(14)	1.413(4)
C(14)-C(16)	1.398(4)
C(14)-C(15)	1.471(4)
C(15)-O(1)	1.222(3)
C(15)-N(1)	1.395(4)
C(16)-C(17)	1.376(4)

C(16)-H(16)	0.9500
C(17)-C(18)	1.394(4)
C(17)-H(17)	0.9500
C(18)-C(19)	1.381(4)
C(18)-H(18)	0.9500
C(19)-H(19)	0.9500
C(20)-C(21)	1.518(4)
C(20)-H(20A)	0.9900
C(20)-H(20B)	0.9900
C(21)-C(22)	1.349(4)
C(21)-C(23)	1.428(4)
C(22)-O(2)	1.375(3)
C(22)-C(25)	1.498(4)
C(23)-C(24)	1.354(4)
C(23)-H(23)	0.9500
C(24)-O(2)	1.374(3)
C(24)-C(29)	1.464(4)
C(25)-C(26)	1.539(4)
C(25)-H(25A)	0.9900
C(25)-H(25B)	0.9900
C(26)-C(27)	1.519(4)
C(26)-H(26A)	0.9900
C(26)-H(26B)	0.9900
C(27)-C(28)	1.525(4)
C(27)-H(27A)	0.9900
C(27)-H(27B)	0.9900
C(28)-H(28A)	0.9800
C(28)-H(28B)	0.9800
C(28)-H(28C)	0.9800
C(29)-C(34)	1.401(4)
C(29)-C(30)	1.402(4)
C(30)-C(31)	1.380(4)
C(30)-H(30)	0.9500
C(31)-C(32)	1.379(4)
C(31)-H(31)	0.9500
C(32)-C(33)	1.392(4)
C(32)-H(32)	0.9500
C(33)-C(34)	1.380(4)

C(33)-H(33)	0.9500
C(34)-H(34)	0.9500

C(2)-C(1)-C(6)	119.8(2)
C(2)-C(1)-N(1)	119.8(2)
C(6)-C(1)-N(1)	120.4(2)
C(1)-C(2)-C(3)	119.9(2)
C(1)-C(2)-H(2)	120.0
C(3)-C(2)-H(2)	120.0
C(2)-C(3)-C(4)	121.3(2)
C(2)-C(3)-H(3)	119.3
C(4)-C(3)-H(3)	119.3
C(3)-C(4)-C(5)	117.6(2)
C(3)-C(4)-C(7)	122.8(2)
C(5)-C(4)-C(7)	119.5(2)
C(6)-C(5)-C(4)	121.4(2)
C(6)-C(5)-H(5)	119.3
C(4)-C(5)-H(5)	119.3
C(1)-C(6)-C(5)	119.8(2)
C(1)-C(6)-H(6)	120.1
C(5)-C(6)-H(6)	120.1
C(8)-C(7)-C(9)	109.6(2)
C(8)-C(7)-C(10)	108.3(2)
C(9)-C(7)-C(10)	108.8(2)
C(8)-C(7)-C(4)	108.9(2)
C(9)-C(7)-C(4)	109.5(2)
C(10)-C(7)-C(4)	111.8(2)
C(7)-C(8)-H(8A)	109.5
C(7)-C(8)-H(8B)	109.5
H(8A)-C(8)-H(8B)	109.5
C(7)-C(8)-H(8C)	109.5
H(8A)-C(8)-H(8C)	109.5
H(8B)-C(8)-H(8C)	109.5
C(7)-C(9)-H(9A)	109.5
C(7)-C(9)-H(9B)	109.5
H(9A)-C(9)-H(9B)	109.5
C(7)-C(9)-H(9C)	109.5
H(9A)-C(9)-H(9C)	109.5

H(9B)-C(9)-H(9C)	109.5
C(7)-C(10)-H(10A)	109.5
C(7)-C(10)-H(10B)	109.5
H(10A)-C(10)-H(10B)	109.5
C(7)-C(10)-H(10C)	109.5
H(10A)-C(10)-H(10C)	109.5
H(10B)-C(10)-H(10C)	109.5
C(12)-C(11)-N(1)	123.9(2)
C(12)-C(11)-H(11)	118.0
N(1)-C(11)-H(11)	118.0
C(11)-C(12)-C(13)	118.1(2)
C(11)-C(12)-C(20)	120.6(2)
C(13)-C(12)-C(20)	121.2(2)
C(19)-C(13)-C(14)	118.1(2)
C(19)-C(13)-C(12)	123.2(2)
C(14)-C(13)-C(12)	118.7(2)
C(16)-C(14)-C(13)	120.1(3)
C(16)-C(14)-C(15)	117.9(2)
C(13)-C(14)-C(15)	122.0(2)
O(1)-C(15)-N(1)	121.2(3)
O(1)-C(15)-C(14)	123.8(3)
N(1)-C(15)-C(14)	114.9(2)
C(17)-C(16)-C(14)	120.9(3)
C(17)-C(16)-H(16)	119.6
C(14)-C(16)-H(16)	119.6
C(16)-C(17)-C(18)	119.5(3)
C(16)-C(17)-H(17)	120.3
C(18)-C(17)-H(17)	120.3
C(19)-C(18)-C(17)	120.7(3)
C(19)-C(18)-H(18)	119.7
C(17)-C(18)-H(18)	119.7
C(18)-C(19)-C(13)	120.8(3)
C(18)-C(19)-H(19)	119.6
C(13)-C(19)-H(19)	119.6
C(12)-C(20)-C(21)	112.4(2)
C(12)-C(20)-H(20A)	109.1
C(21)-C(20)-H(20A)	109.1
C(12)-C(20)-H(20B)	109.1

C(21)-C(20)-H(20B)	109.1
H(20A)-C(20)-H(20B)	107.9
C(22)-C(21)-C(23)	106.3(2)
C(22)-C(21)-C(20)	127.8(2)
C(23)-C(21)-C(20)	125.9(2)
C(21)-C(22)-O(2)	110.3(2)
C(21)-C(22)-C(25)	134.3(3)
O(2)-C(22)-C(25)	115.4(2)
C(24)-C(23)-C(21)	107.2(2)
C(24)-C(23)-H(23)	126.4
C(21)-C(23)-H(23)	126.4
C(23)-C(24)-O(2)	109.5(2)
C(23)-C(24)-C(29)	133.7(3)
O(2)-C(24)-C(29)	116.8(2)
C(22)-C(25)-C(26)	112.8(2)
C(22)-C(25)-H(25A)	109.0
C(26)-C(25)-H(25A)	109.0
C(22)-C(25)-H(25B)	109.0
C(26)-C(25)-H(25B)	109.0
H(25A)-C(25)-H(25B)	107.8
C(27)-C(26)-C(25)	113.5(2)
C(27)-C(26)-H(26A)	108.9
C(25)-C(26)-H(26A)	108.9
C(27)-C(26)-H(26B)	108.9
C(25)-C(26)-H(26B)	108.9
H(26A)-C(26)-H(26B)	107.7
C(26)-C(27)-C(28)	113.5(3)
C(26)-C(27)-H(27A)	108.9
C(28)-C(27)-H(27A)	108.9
C(26)-C(27)-H(27B)	108.9
C(28)-C(27)-H(27B)	108.9
H(27A)-C(27)-H(27B)	107.7
C(27)-C(28)-H(28A)	109.5
C(27)-C(28)-H(28B)	109.5
H(28A)-C(28)-H(28B)	109.5
C(27)-C(28)-H(28C)	109.5
H(28A)-C(28)-H(28C)	109.5
H(28B)-C(28)-H(28C)	109.5

C(34)-C(29)-C(30)	118.7(3)
C(34)-C(29)-C(24)	120.1(2)
C(30)-C(29)-C(24)	121.1(3)
C(31)-C(30)-C(29)	120.3(3)
C(31)-C(30)-H(30)	119.8
C(29)-C(30)-H(30)	119.8
C(32)-C(31)-C(30)	120.8(3)
C(32)-C(31)-H(31)	119.6
C(30)-C(31)-H(31)	119.6
C(31)-C(32)-C(33)	119.3(3)
C(31)-C(32)-H(32)	120.4
C(33)-C(32)-H(32)	120.4
C(34)-C(33)-C(32)	120.8(3)
C(34)-C(33)-H(33)	119.6
C(32)-C(33)-H(33)	119.6
C(33)-C(34)-C(29)	120.0(3)
C(33)-C(34)-H(34)	120.0
C(29)-C(34)-H(34)	120.0
C(11)-N(1)-C(15)	122.3(2)
C(11)-N(1)-C(1)	119.0(2)
C(15)-N(1)-C(1)	118.6(2)
C(24)-O(2)-C(22)	106.7(2)

Symmetry transformations used to generate equivalent atoms:

Table S5. Anisotropic displacement parameters ($Å^2 x\ 10^3$) for 251030C_ZhangJingLi5FA_0m. The anisotropic

displacement factor exponent takes the form: $-2\pi^2[\ h^2\ a^{*2}U^{11} + ...\ + 2\ h\ k\ a^*\ b^*\ U^{12}\ ]$

	U^{11}	U^{22}	U^{33}	U^{23}	U^{13}	U^{12}
C(1)	16(1)	14(1)	18(1)	-3(1)	-5(1)	-7(1)
C(2)	19(1)	16(1)	14(1)	-4(1)	2(1)	-9(1)
C(3)	11(1)	16(1)	18(1)	-9(1)	1(1)	-4(1)
C(4)	18(1)	12(1)	16(1)	-4(1)	-2(1)	-6(1)
C(5)	18(1)	18(1)	13(1)	-4(1)	3(1)	-6(1)
C(6)	12(1)	14(1)	20(1)	-6(1)	4(1)	-2(1)
C(7)	16(1)	16(1)	15(1)	-2(1)	-2(1)	-4(1)
C(8)	27(2)	21(2)	20(2)	-4(1)	-8(1)	-2(1)
C(9)	22(2)	20(2)	24(2)	5(1)	-6(1)	-5(1)
C(10)	18(2)	26(2)	18(1)	0(1)	-4(1)	2(1)
C(11)	18(1)	15(1)	12(1)	-3(1)	2(1)	-8(1)
C(12)	15(1)	16(1)	14(1)	-5(1)	2(1)	-4(1)
C(13)	18(1)	14(1)	13(1)	-6(1)	2(1)	-4(1)
C(14)	20(1)	15(1)	15(1)	-5(1)	3(1)	-6(1)
C(15)	20(2)	19(1)	16(1)	-5(1)	1(1)	-8(1)
C(16)	15(1)	20(1)	20(1)	-6(1)	1(1)	-8(1)
C(17)	27(2)	17(1)	20(1)	-1(1)	3(1)	-12(1)
C(18)	26(2)	16(1)	16(1)	-1(1)	-1(1)	-3(1)
C(19)	16(1)	17(1)	17(1)	-5(1)	-2(1)	-4(1)
C(20)	13(1)	16(1)	16(1)	-1(1)	0(1)	-6(1)
C(21)	11(1)	14(1)	18(1)	0(1)	0(1)	-7(1)
C(22)	15(1)	16(1)	20(1)	-3(1)	1(1)	-6(1)
C(23)	11(1)	15(1)	18(1)	1(1)	0(1)	-7(1)
C(24)	10(1)	15(1)	21(1)	1(1)	1(1)	-5(1)
C(25)	14(1)	23(2)	26(2)	-11(1)	-2(1)	-2(1)
C(26)	21(2)	21(2)	23(2)	-7(1)	-11(1)	4(1)
C(27)	25(2)	22(2)	18(1)	1(1)	-5(1)	1(1)
C(28)	28(2)	21(2)	34(2)	-4(1)	-6(1)	-1(1)
C(29)	18(1)	14(1)	17(1)	3(1)	-1(1)	-9(1)
C(30)	17(1)	23(2)	25(2)	-5(1)	-1(1)	-5(1)
C(31)	26(2)	31(2)	21(2)	-10(1)	-1(1)	-11(1)
C(32)	29(2)	22(2)	22(2)	-10(1)	7(1)	-3(1)

C(33)	17(1)	22(2)	25(2)	-1(1)	1(1)	0(1)
C(34)	21(2)	15(1)	17(1)	1(1)	-2(1)	-5(1)
N(1)	16(1)	15(1)	15(1)	-2(1)	0(1)	-5(1)
O(1)	19(1)	25(1)	27(1)	5(1)	-8(1)	-11(1)
O(2)	14(1)	16(1)	19(1)	-4(1)	-2(1)	-3(1)

Table S6. Hydrogen coordinates (x 10^4) and isotropic displacement parameters ($Å^2$x 10^3) for 251030C_ZhangJingLi5FA_0m.

	x	y	z	U(eq)
H(2)	4126	5632	4057	19
H(3)	2842	7205	2817	17
H(5)	5980	5882	954	19
H(6)	7237	4266	2179	18
H(8A)	4188	6340	-168	35
H(8B)	2635	7327	-450	35
H(8C)	2816	6013	339	35
H(9A)	4169	9286	1001	34
H(9B)	3635	9247	-77	34
H(9C)	5119	8233	330	34
H(10A)	1376	7673	1449	33
H(10B)	1335	8908	616	33
H(10C)	1929	8945	1678	33
H(11)	8335	4333	3889	17
H(16)	5087	832	5480	21
H(17)	6379	-933	6596	24
H(18)	8744	-1050	6975	24
H(19)	9824	555	6222	20
H(20A)	10540	3356	4627	18
H(20B)	10859	1845	5199	18
H(23)	8257	4904	6118	17
H(25A)	13463	2458	6826	25
H(25B)	12815	1395	6353	25
H(26A)	13882	454	7915	28
H(26B)	12768	1643	8394	28
H(27A)	10898	748	7794	28
H(27B)	11844	-166	8689	28
H(28A)	12251	-721	6720	43
H(28B)	11537	-1570	7523	43
H(28C)	13189	-1639	7618	43
H(30)	10800	5348	8815	26
H(31)	9830	6944	9870	30

H(32)	7453	8206	9707	30
H(33)	6033	7862	8466	27
H(34)	6982	6289	7390	21

Table S7. Torsion angles [°] for 251030C_ZhangJingLi5FA_0m.

C(6)-C(1)-C(2)-C(3)	3.2(4)
N(1)-C(1)-C(2)-C(3)	-175.9(2)
C(1)-C(2)-C(3)-C(4)	-0.4(4)
C(2)-C(3)-C(4)-C(5)	-3.3(4)
C(2)-C(3)-C(4)-C(7)	175.0(2)
C(3)-C(4)-C(5)-C(6)	4.3(4)
C(7)-C(4)-C(5)-C(6)	-174.1(2)
C(2)-C(1)-C(6)-C(5)	-2.2(4)
N(1)-C(1)-C(6)-C(5)	176.9(2)
C(4)-C(5)-C(6)-C(1)	-1.6(4)
C(3)-C(4)-C(7)-C(8)	-124.4(3)
C(5)-C(4)-C(7)-C(8)	53.9(3)
C(3)-C(4)-C(7)-C(9)	115.8(3)
C(5)-C(4)-C(7)-C(9)	-65.9(3)
C(3)-C(4)-C(7)-C(10)	-4.8(4)
C(5)-C(4)-C(7)-C(10)	173.5(2)
N(1)-C(11)-C(12)-C(13)	0.9(4)
N(1)-C(11)-C(12)-C(20)	-174.9(2)
C(11)-C(12)-C(13)-C(19)	178.9(2)
C(20)-C(12)-C(13)-C(19)	-5.3(4)
C(11)-C(12)-C(13)-C(14)	-1.4(4)
C(20)-C(12)-C(13)-C(14)	174.3(2)
C(19)-C(13)-C(14)-C(16)	0.9(4)
C(12)-C(13)-C(14)-C(16)	-178.8(2)
C(19)-C(13)-C(14)-C(15)	-178.7(2)
C(12)-C(13)-C(14)-C(15)	1.7(4)
C(16)-C(14)-C(15)-O(1)	-1.3(4)
C(13)-C(14)-C(15)-O(1)	178.2(3)
C(16)-C(14)-C(15)-N(1)	179.1(2)
C(13)-C(14)-C(15)-N(1)	-1.3(4)
C(13)-C(14)-C(16)-C(17)	-1.6(4)
C(15)-C(14)-C(16)-C(17)	177.9(3)
C(14)-C(16)-C(17)-C(18)	1.5(4)
C(16)-C(17)-C(18)-C(19)	-0.5(4)
C(17)-C(18)-C(19)-C(13)	-0.2(4)
C(14)-C(13)-C(19)-C(18)	0.0(4)

C(12)-C(13)-C(19)-C(18)	179.7(2)
C(11)-C(12)-C(20)-C(21)	101.0(3)
C(13)-C(12)-C(20)-C(21)	-74.7(3)
C(12)-C(20)-C(21)-C(22)	145.7(3)
C(12)-C(20)-C(21)-C(23)	-37.0(4)
C(23)-C(21)-C(22)-O(2)	0.2(3)
C(20)-C(21)-C(22)-O(2)	177.8(2)
C(23)-C(21)-C(22)-C(25)	179.5(3)
C(20)-C(21)-C(22)-C(25)	-2.9(5)
C(22)-C(21)-C(23)-C(24)	-0.1(3)
C(20)-C(21)-C(23)-C(24)	-177.8(2)
C(21)-C(23)-C(24)-O(2)	0.0(3)
C(21)-C(23)-C(24)-C(29)	179.1(3)
C(21)-C(22)-C(25)-C(26)	-126.7(3)
O(2)-C(22)-C(25)-C(26)	52.6(3)
C(22)-C(25)-C(26)-C(27)	63.8(3)
C(25)-C(26)-C(27)-C(28)	75.6(3)
C(23)-C(24)-C(29)-C(34)	11.6(5)
O(2)-C(24)-C(29)-C(34)	-169.4(2)
C(23)-C(24)-C(29)-C(30)	-167.4(3)
O(2)-C(24)-C(29)-C(30)	11.6(4)
C(34)-C(29)-C(30)-C(31)	-0.6(4)
C(24)-C(29)-C(30)-C(31)	178.4(3)
C(29)-C(30)-C(31)-C(32)	0.5(5)
C(30)-C(31)-C(32)-C(33)	0.0(5)
C(31)-C(32)-C(33)-C(34)	-0.4(5)
C(32)-C(33)-C(34)-C(29)	0.2(4)
C(30)-C(29)-C(34)-C(33)	0.3(4)
C(24)-C(29)-C(34)-C(33)	-178.8(3)
C(12)-C(11)-N(1)-C(15)	-0.6(4)
C(12)-C(11)-N(1)-C(1)	-177.2(2)
O(1)-C(15)-N(1)-C(11)	-178.8(3)
C(14)-C(15)-N(1)-C(11)	0.8(4)
O(1)-C(15)-N(1)-C(1)	-2.2(4)
C(14)-C(15)-N(1)-C(1)	177.3(2)
C(2)-C(1)-N(1)-C(11)	-125.1(3)
C(6)-C(1)-N(1)-C(11)	55.8(3)
C(2)-C(1)-N(1)-C(15)	58.2(3)

C(6)-C(1)-N(1)-C(15)	-120.9(3)
C(23)-C(24)-O(2)-C(22)	0.1(3)
C(29)-C(24)-O(2)-C(22)	-179.2(2)
C(21)-C(22)-O(2)-C(24)	-0.1(3)
C(25)-C(22)-O(2)-C(24)	-179.6(2)

Symmetry transformations used to generate equivalent atoms:

Table S8. Hydrogen bonds for 251030C_ZhangJingLi5FA_0m [Å and °].

D-H...A	d(D-H)	d(H...A)	d(D...A)	<(DHA)

10) In *vitro* anti-tumor assay

Cell Culture and Treatment: HeLa cells in the logarithmic growth phase were selected. The cells were digested using 1 mL of trypsin, followed by centrifugation (1000 rpm, 3 minutes) to remove the supernatant. After resuspension, the cells were diluted with 2 mL of complete medium (containing 10% Fetal Bovine Serum and 1% Penicillin-Streptomycin) to an appropriate concentration for counting. Cell counting was performed using a hemocytometer under a microscope to ensure that each 100 μL aliquot contained 7,000-10,000 cells. Subsequently, 100 μL of the cell suspension was seeded into a 96-well plate. The outer perimeter wells were filled with 200 μL of PBS to minimize evaporation. The cells were incubated overnight at 37°C in a 5% CO_2 atmosphere to facilitate cell adhesion.

Hela cells in the logarithmic growth phase were selected and digested with 1 mL of trypsin, followed by centrifugation (1000 rpm, 3 minutes) to remove the supernatant. After resuspending the cells, they were diluted to an appropriate concentration using 2 mL of complete medium (containing 10% fetal bovine serum and 1% penicillin-streptomycin) to facilitate counting. The cell concentration was determined under a microscope using a hemocytometer, ensuring that each 100 μL of suspension contained 7,000–10,000 cells. Then, 100 μL of the cell suspension was seeded into each well of a 96-well plate. The outer wells were filled with 200 μL of PBS to prevent evaporation of the culture medium. The cells were cultured overnight in a 37°C, 5% CO_2 incubator to ensure proper adhesion.

Compound Treatment: The growth medium was aspirated after cell adhesion, and the cells were washed with PBS gently for twice times. Then, complete medium containing the test compounds at final concentrations of 60 μg/mL and 120 μg/mL was added, respectively. Six replicate wells were set up for each concentration, along with a blank group (without cells and drugs) and a control group (with cells but without drugs). The experiment was divided into two groups: one group was incubated under standard culture conditions, and the other group was incubated using a cell hypoxia culture bag to simulate the hypoxic microenvironment of tumor cells. All wells were treated with 100 μL of the corresponding solution, and the 96-well plate was incubated in a 37°C, 5% CO_2 cell culture incubator for 24 hours.

MTT assay protocol: After incubation, the MTT-containing medium was removed, and the cells were washed twice with PBS. Then, 100 μL of MTT solution was added (prepared by dissolving the MTT stock solution in DMSO at 5 mg/mL, followed by dilution with complete medium at a 1:9 ratio). The cells were further incubated for 3 hours to allow the MTT reagent to be converted into purple formazan crystals. After incubation, the culture medium was discarded, and 100 μL of DMSO was added to each well to dissolve the reaction products. The absorbance (OD value) was then measured at a wavelength of 570 nm using a microplate reader.

Data analysis: The cell inhibition rate was calculated according to the following formula: Cell inhibition rate (%) = (100 - (As - Ab) / (Ac - Ab)) × 100% (where As is the absorbance of the experimental group, Ac is the absorbance of the control group, and Ab is the absorbance of the blank group).

Cytotoxicity evaluation of selected compounds **3** and **5** (60 μmol/L and 120 μmol/L) were presented in Table S8. Initial screening indicated that compound **5fa** exhibited potential antitumor activity on Hela cells with the highest inhibition rate among the selected compounds.

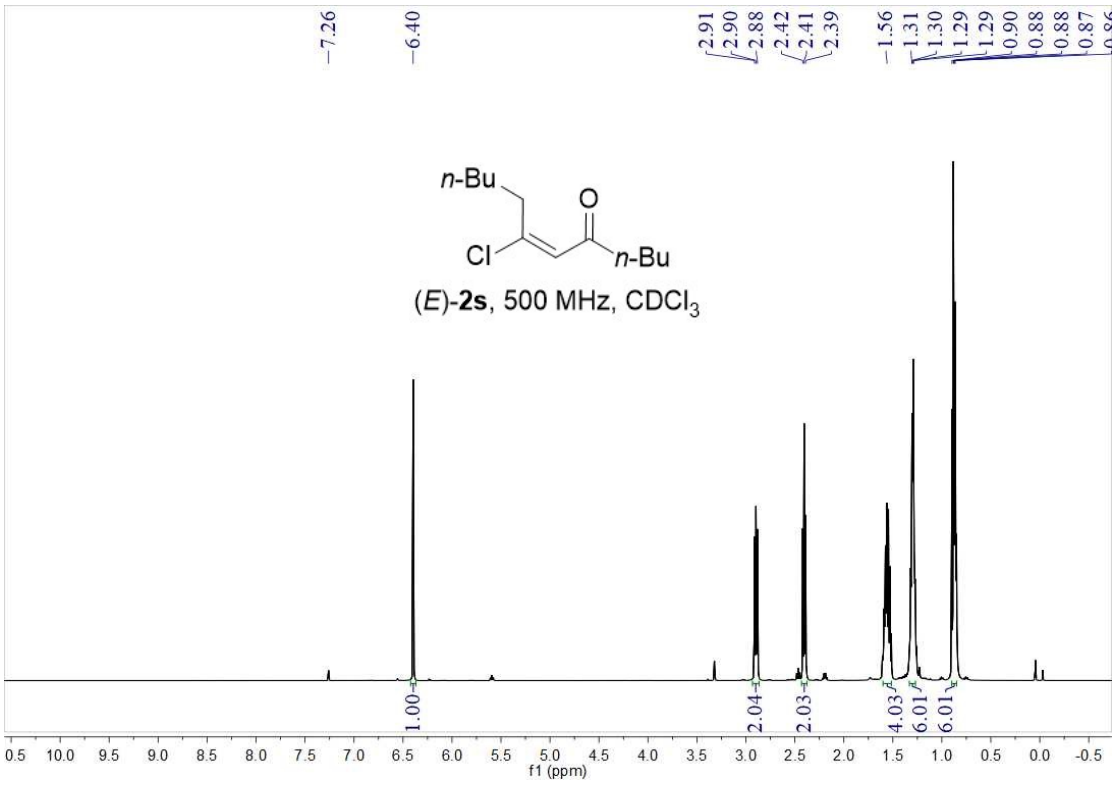
Table S9. The evaluation of cytotoxicity of selected compounds at 60 μmol/L and 120 μmol/L in HeLa cells

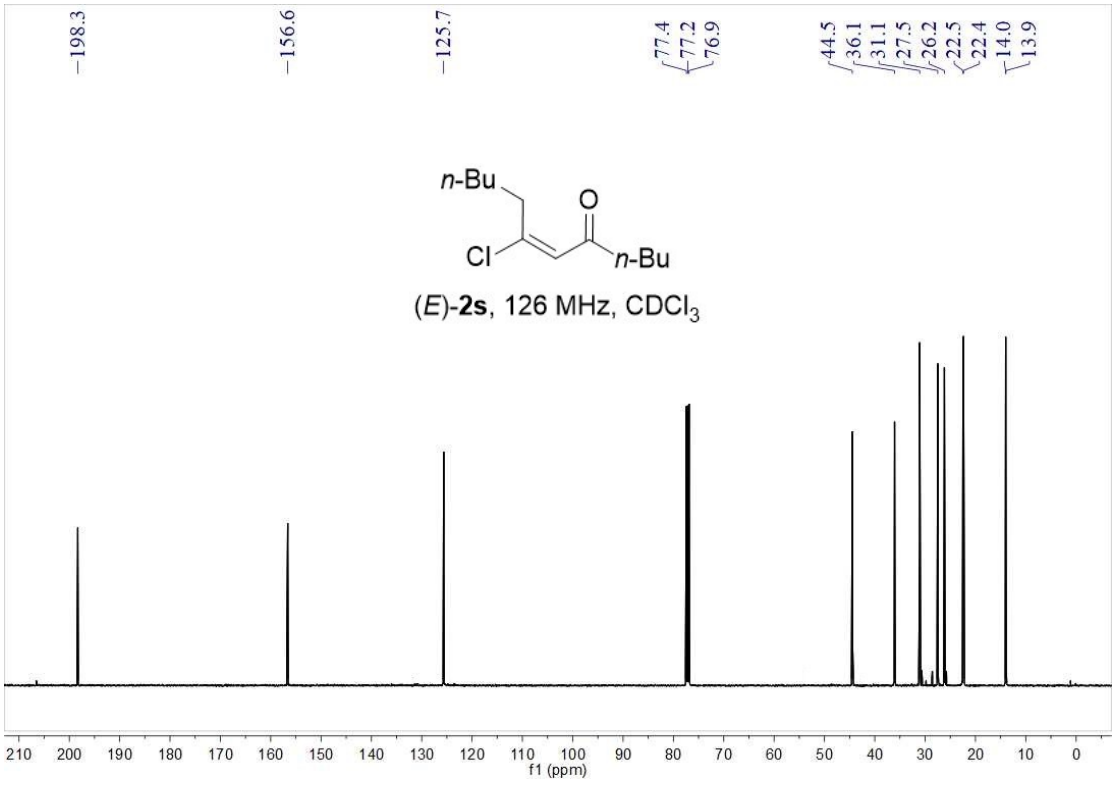
entry	Compd.	IR (%) ± SD (60 μmol/L)	IR (%) ± SD (120 μmol/L)
1	**3ga**	-	13 ± 4
2	**3ma**	15 ± 3	22 ± 3
3	**3ai**	13 ± 4	22± 4
4	**3aj**	18 ± 5	25 ±3
5	**3am**	28 ± 4	37 ± 3
6	**3ao**	15 ± 4	20± 5
7	**3as**	2 ± 2	8 ± 3
8	**3at**	-	10 ± 7
9	**5ca**	5 ± 4	31 ± 3
10	**5ea**	5 ± 2	39 ± 3
11	**5fa**	40 ± 6	59 ± 4
12	**5ka**	-	48 ± 5

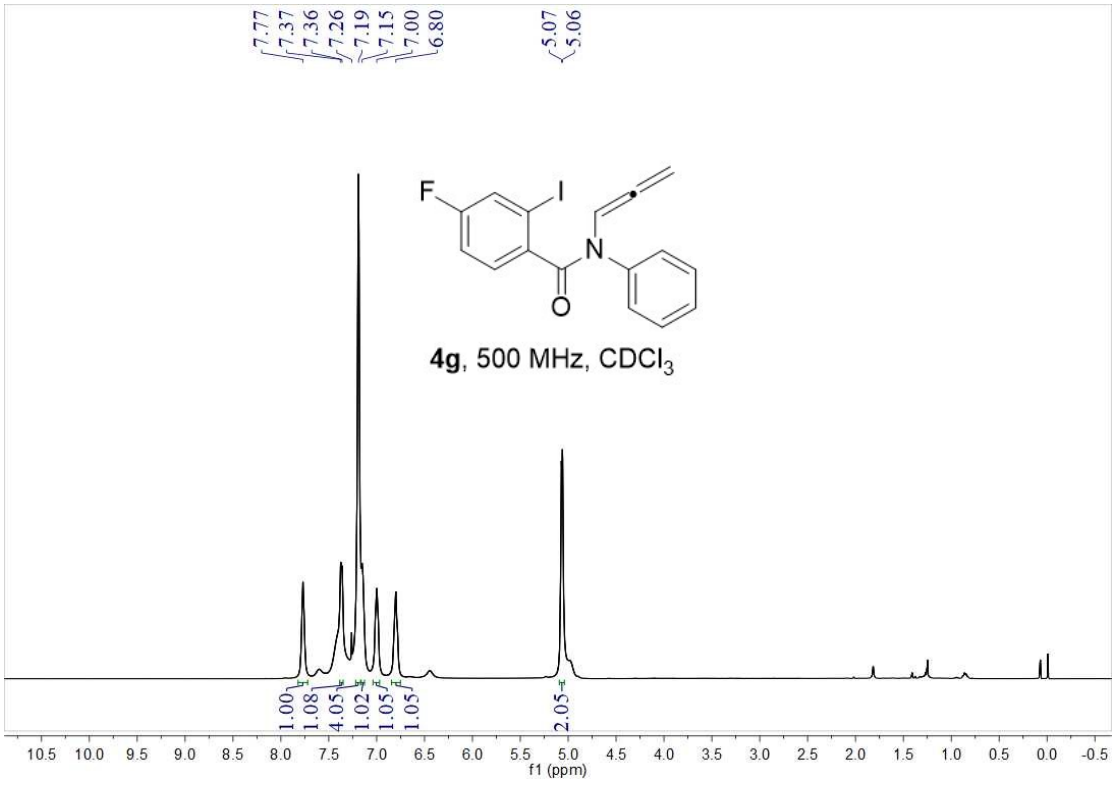
11) References

1. (a) Q. Xue, Y. Pu, H. Zhao, X. Xie, H. Zhang, J. Wang, L. Yan and Y. Shang, *Chem. Commun*., **2024**, 60, 3794-3797.
   (b) P. Li, Y. Zhang, Z. Liu, Q. Kong, L. Fu and X. Huo, *Org. Lett*., **2024**, 26, 10356-10363.
2. (a) F. Li, Y. Yuan, D. Lyu, Y. Yi, J. Zhang, T. Sun and G. Gao, *J. Org. Chem.*, **2024**, *89*, 7552-7560.
   (b) Y. Bai, X, Qi, H. Li, Y. Ban, R. Zhao, Y. Wang, J. Zhang, T. Sun and G. Gao, *Org. Biomol. Chem*., 2025, **23**, 3307-3313.
3. (a) Q. Xue, Y. Pu, H. Zhao, X. Xie, H. Zhang, J. Wang, L. Yan and Y. Shang, *Chem. Commun*., **2024**, 60, 3794-3797.
   (b) X. Zhu, R. Li, H. Yao and A. Lin, *Org. Lett.*, **2021**, 23, 4630-4634.
   (c) G. Deng, M Li, K. Yu, C. Liu, Z. Liu, S. Duan, W. Chen, X. Yang, H. Zhang, and P. J. Walsh, *Angew. Chem. Int. Ed*., **2019**, 58, 2826-2830.
4. H. Y. Kim, J.-Y. Li, and K. Oh, *J. Org. Chem.,* **2012**, *77*, 11132-11145.

12) NMR Spectra of products



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7.37
7.36
7.26
7.19
7.15
7.00
6.80
5.07
5.06
F
I
N
O
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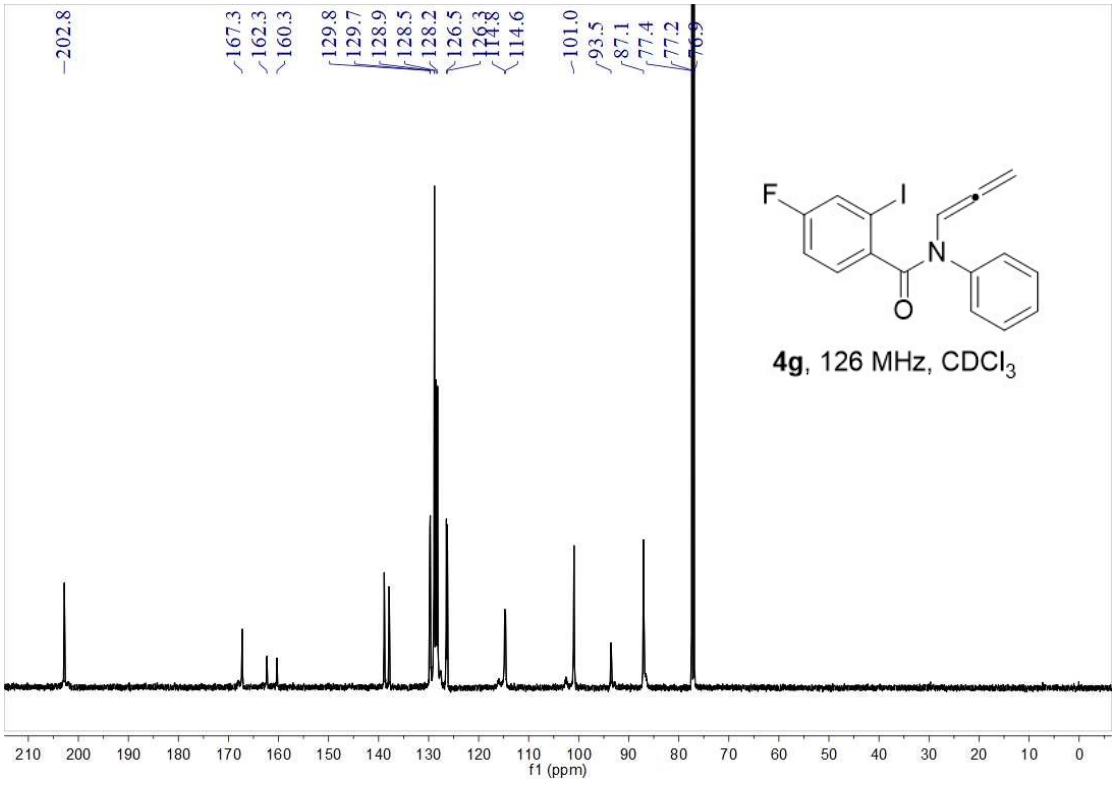
4.05
1.02
1.05
1.05
2.05
f1 (ppm)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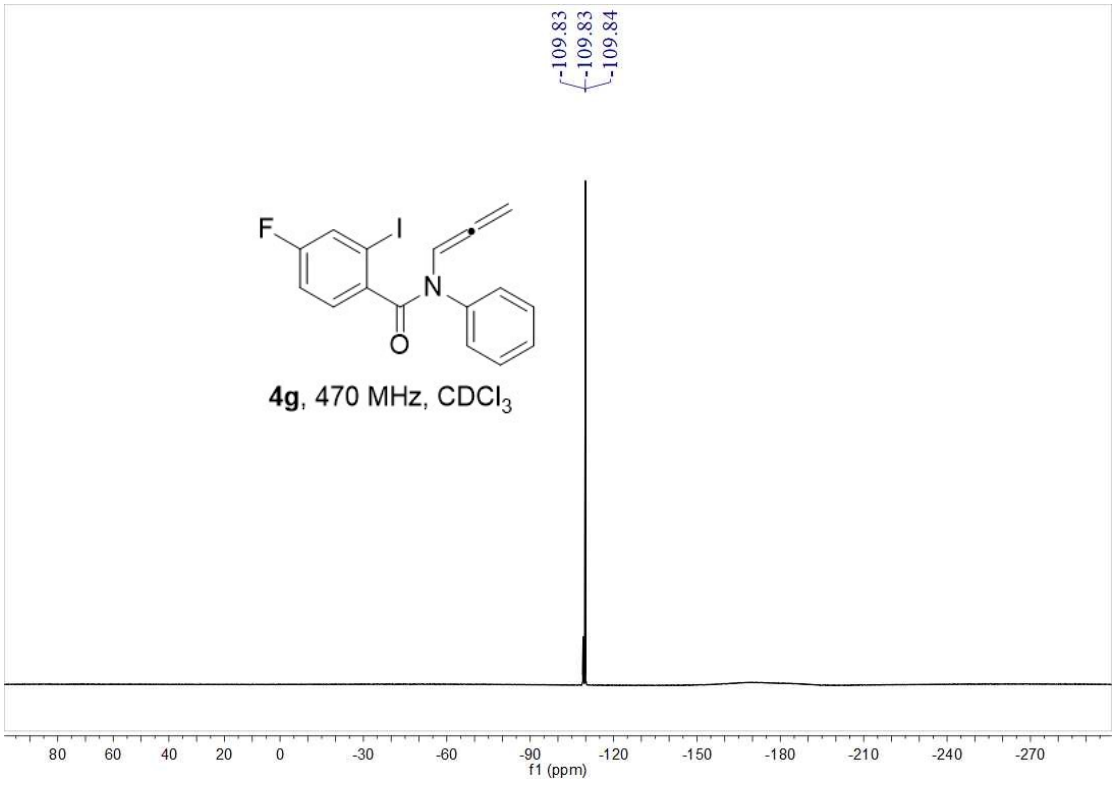
167.3
162.3
160.3
129.8
129.7
128.9
128.5
128.2
126.5
126.3
114.8
114.6
101.0
93.5
87.1
77.4
77.2
76.9
F
I
N
O
4g, 126 MHz, CDCl3
f1 (ppm)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-109.83
-109.84
F
I
N
O
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60
40
20
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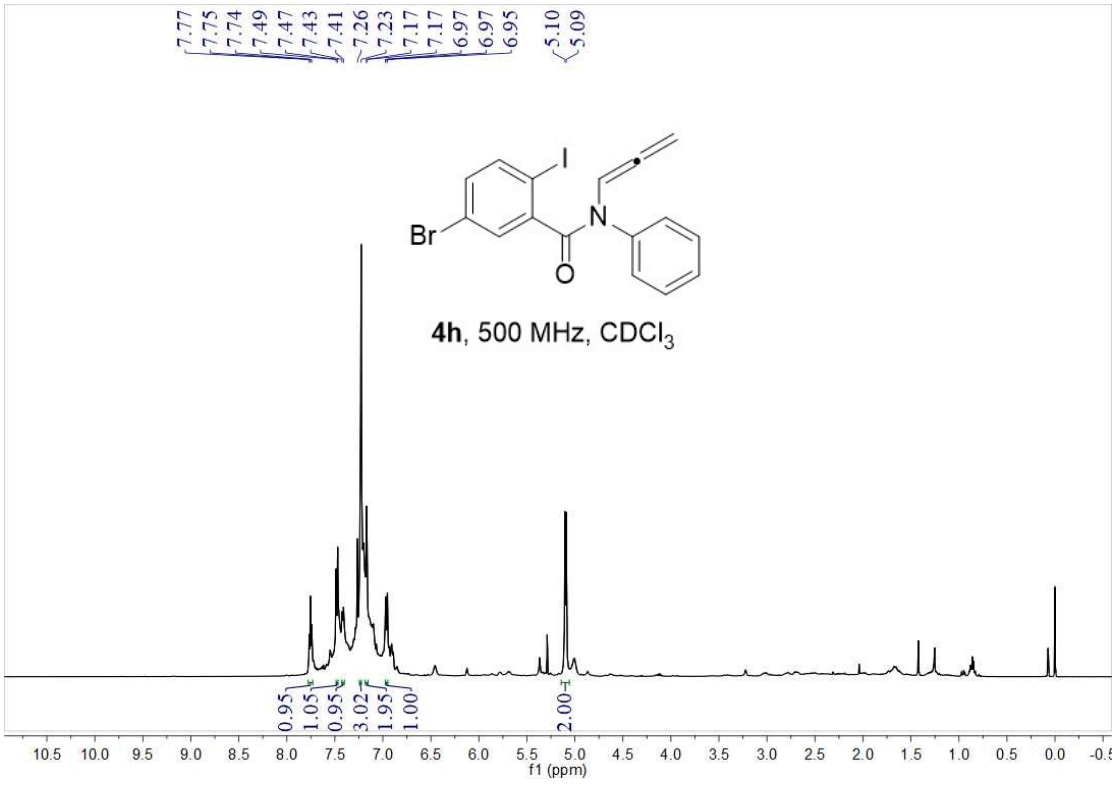
-30
-60
-90
-120
-150
-180
-210
-240
-270
f1 (ppm)



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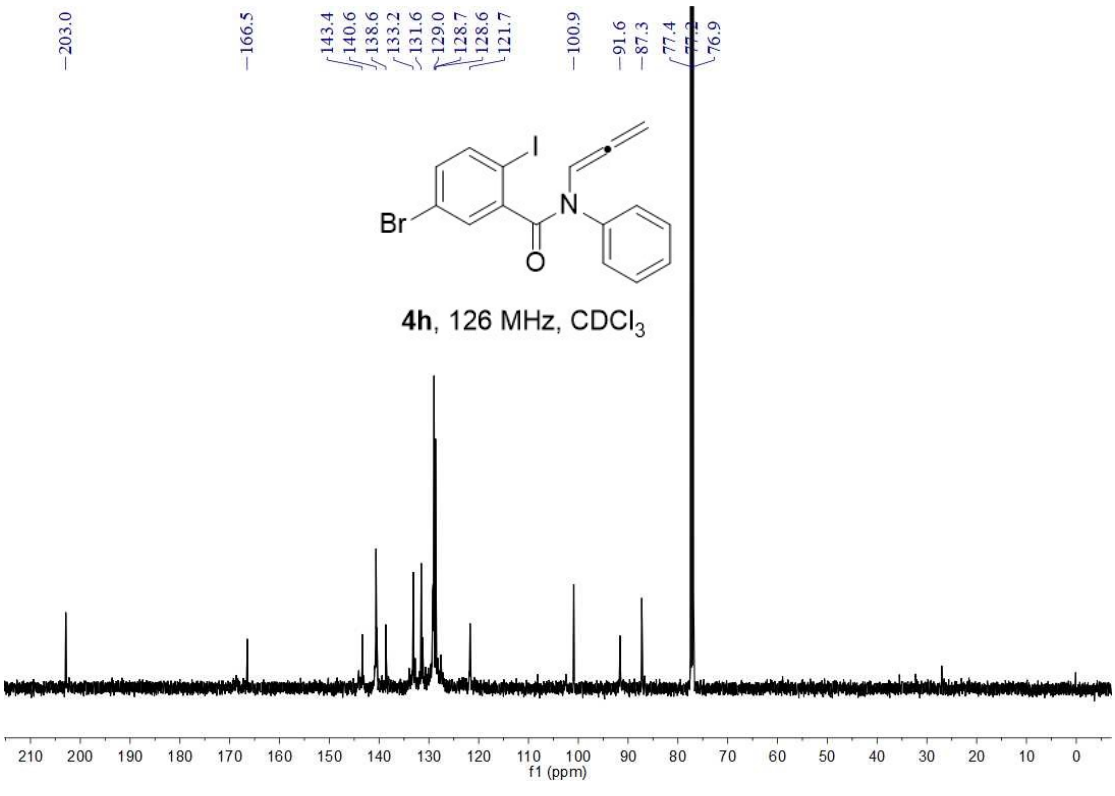
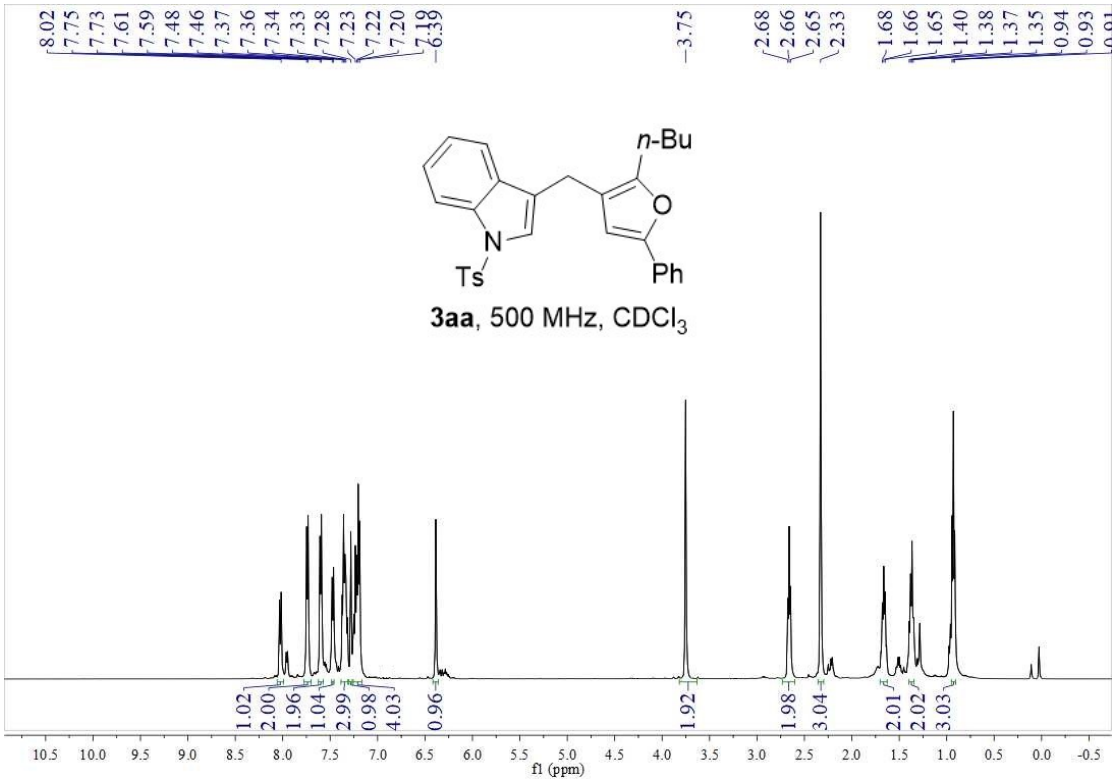


4h, 126 MHz, $CDCl_3$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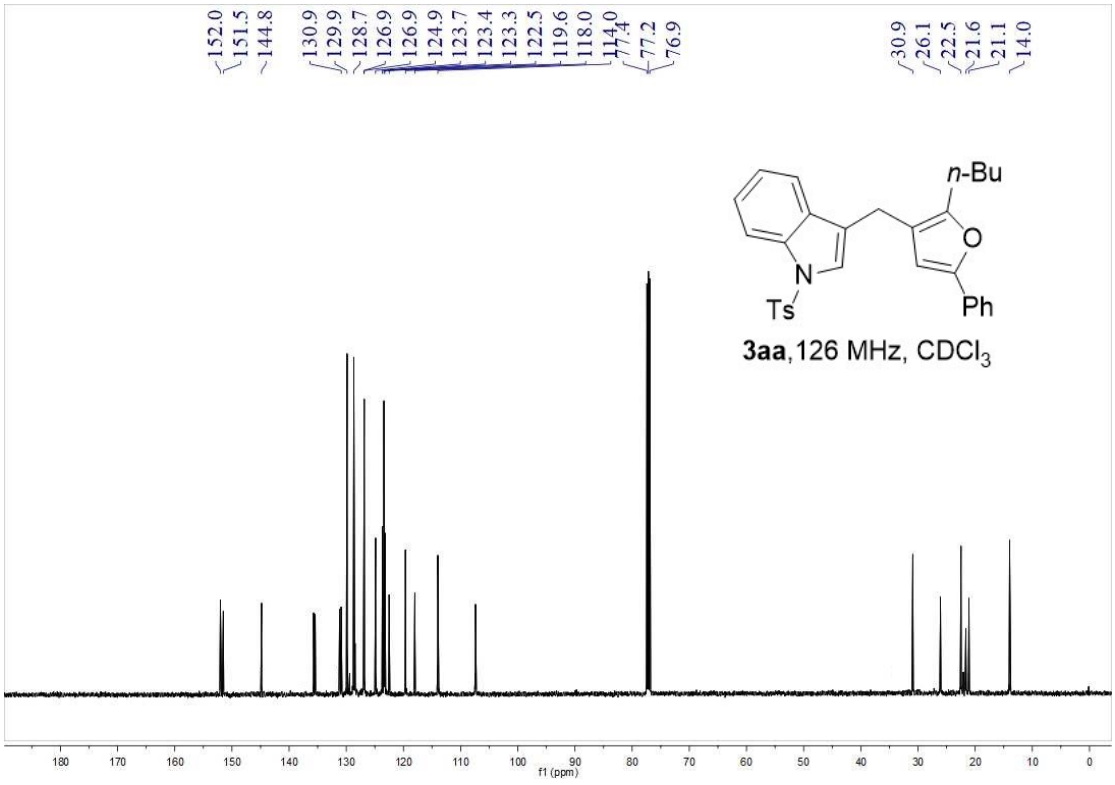
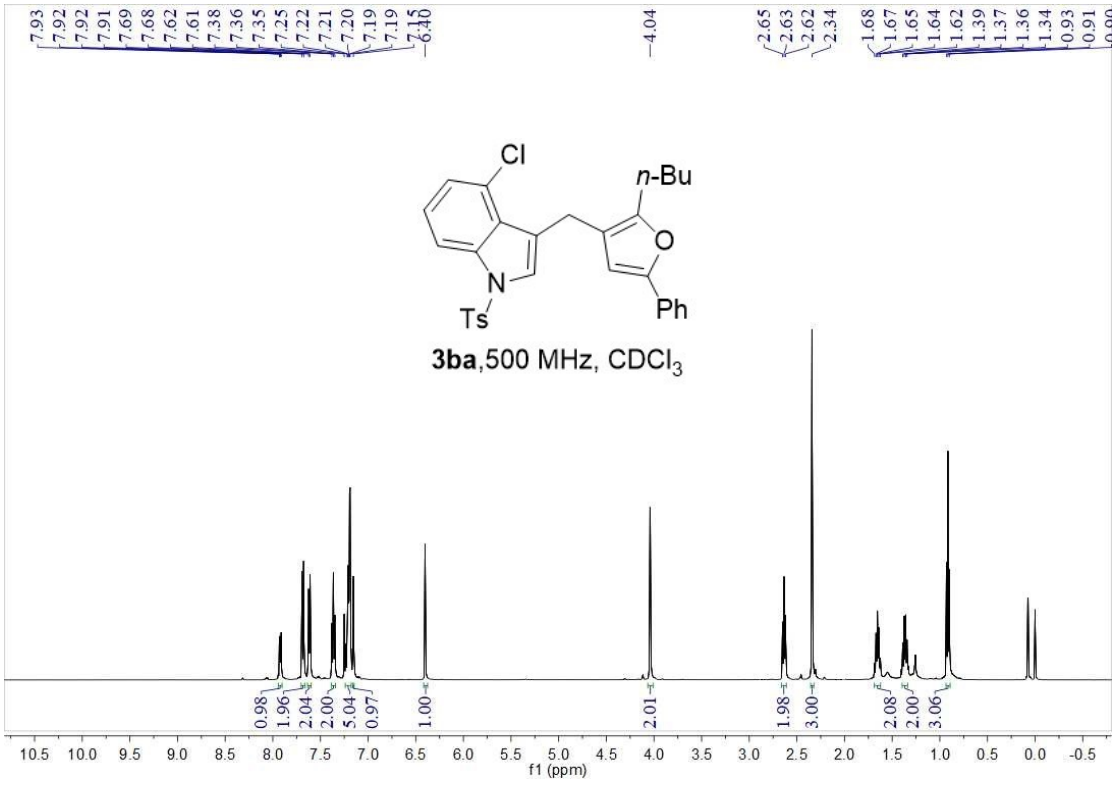
O
N
Ts
Ph
3aa, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
Ph
3aa,126 MHz, CDCl3
f1 (ppm)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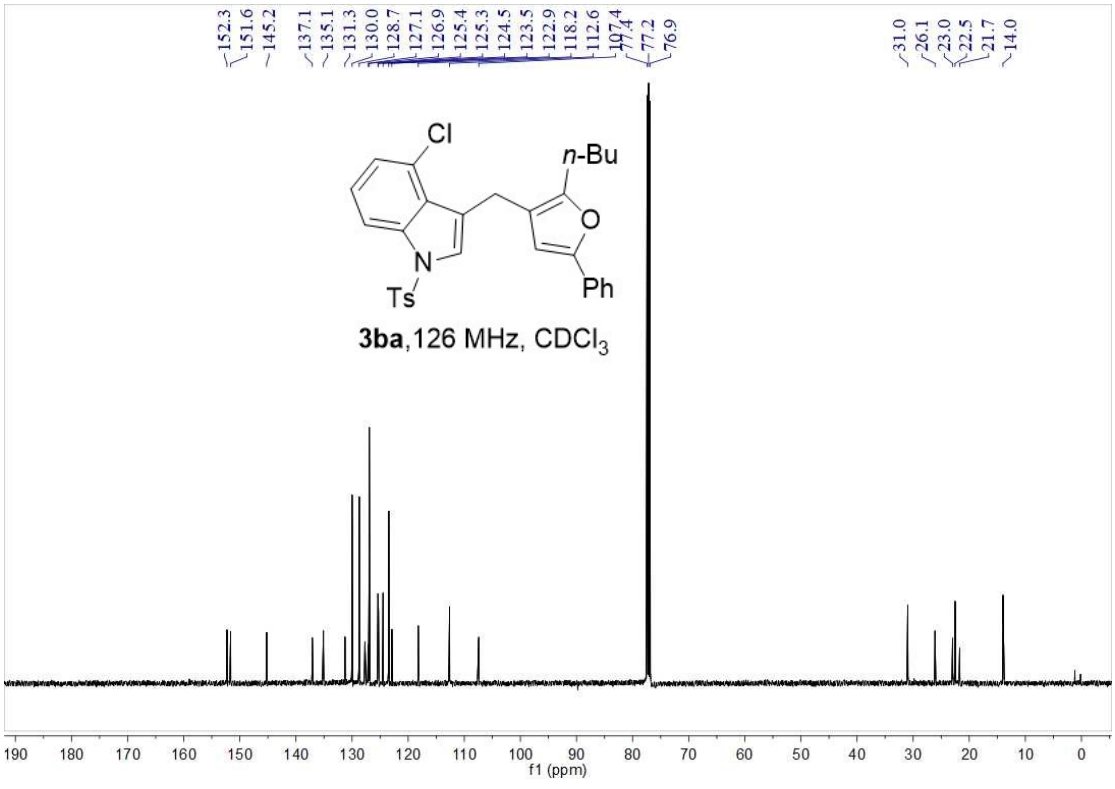
n-Bu
O
N
Ts
Ph
3ba,500 MHz, CDCl3
f1 (ppm)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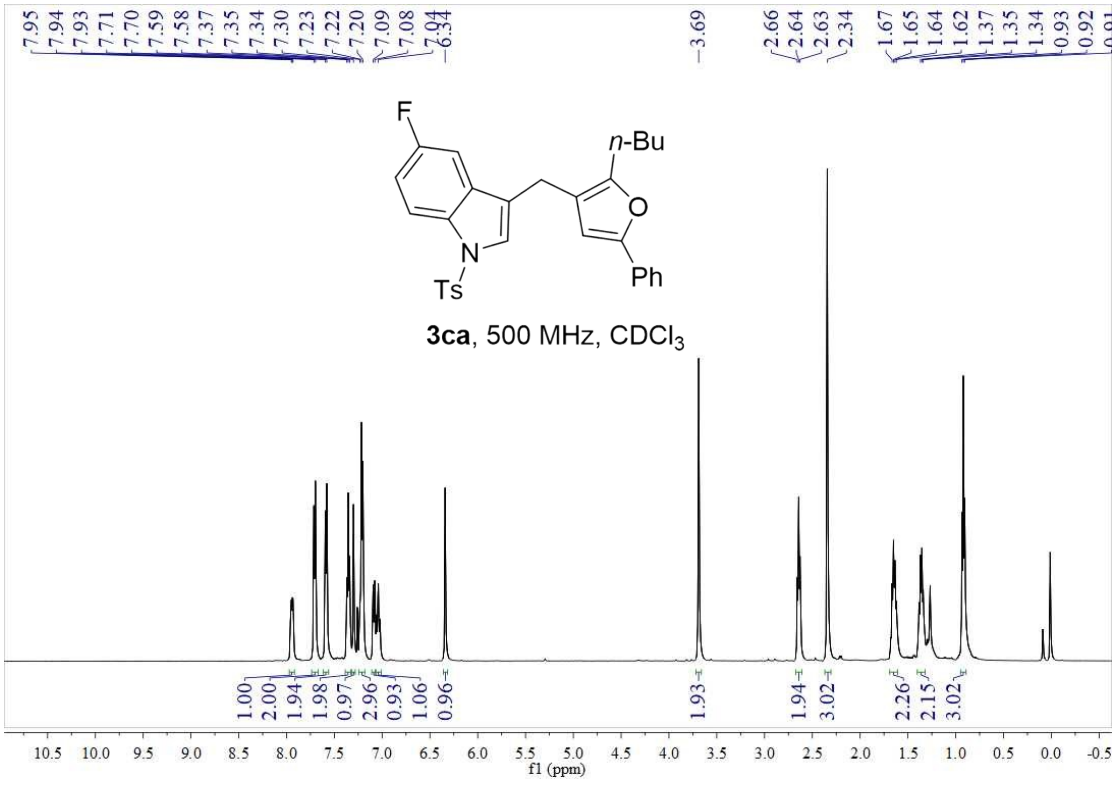
n-Bu
O
N
Ts
Ph
3ba,126 MHz, CDCl3
f1 (ppm)



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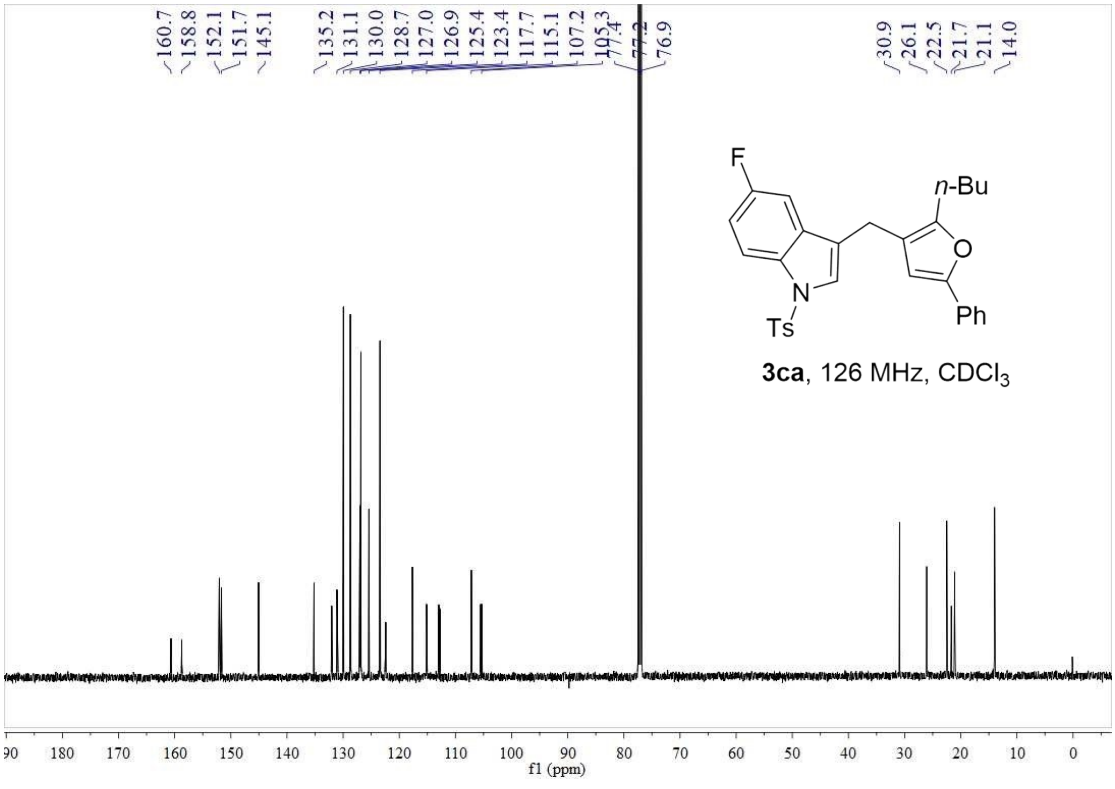

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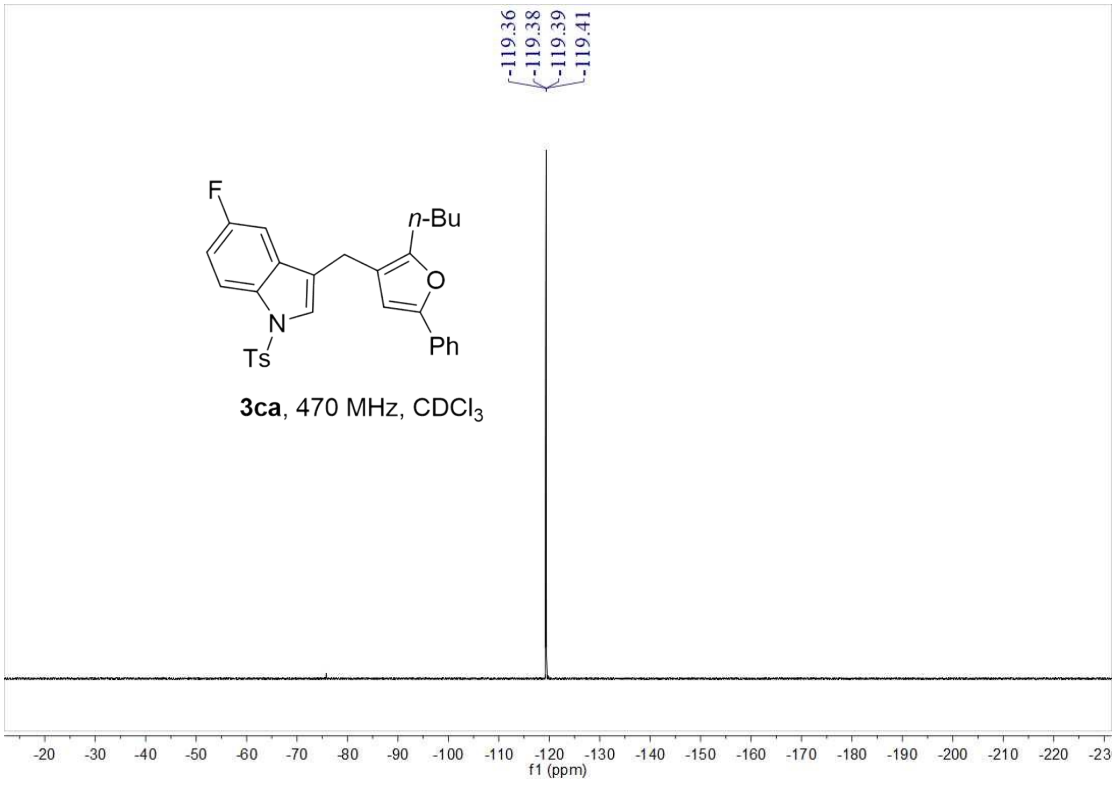
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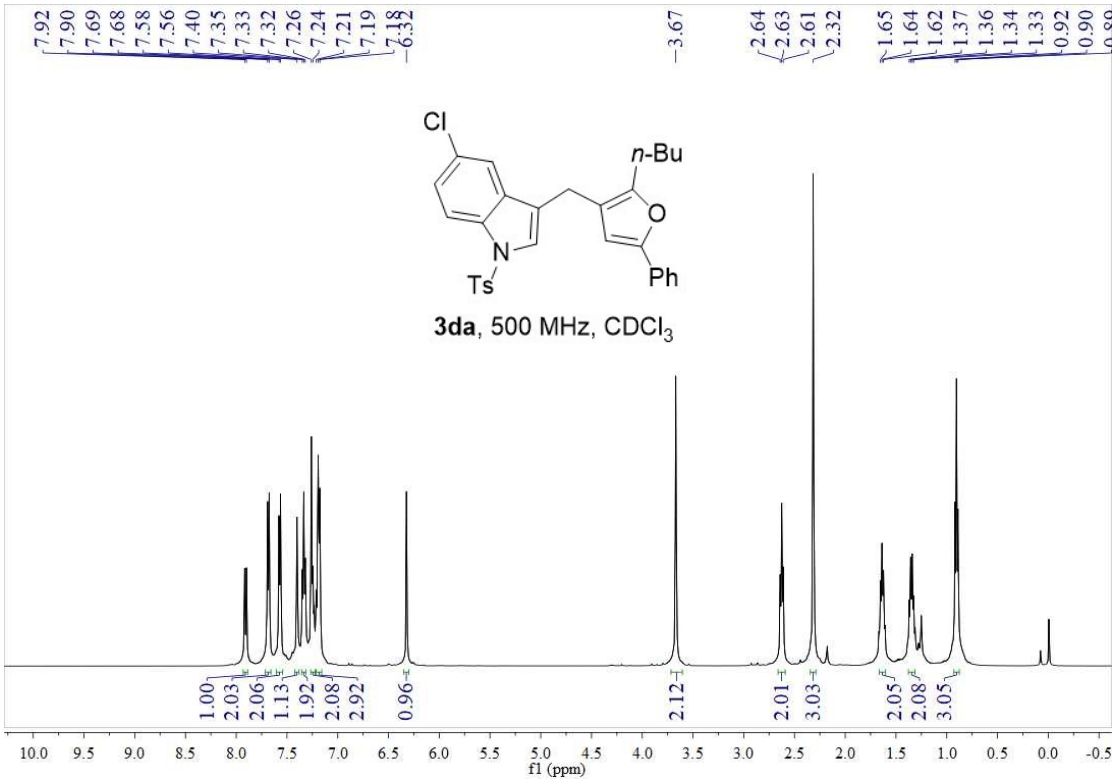
-119.38
-119.39
-119.41
F
n-Bu
O
N
Ts
Ph
3ca, 470 MHz, CDCl3
-20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220 -23
f1 (ppm)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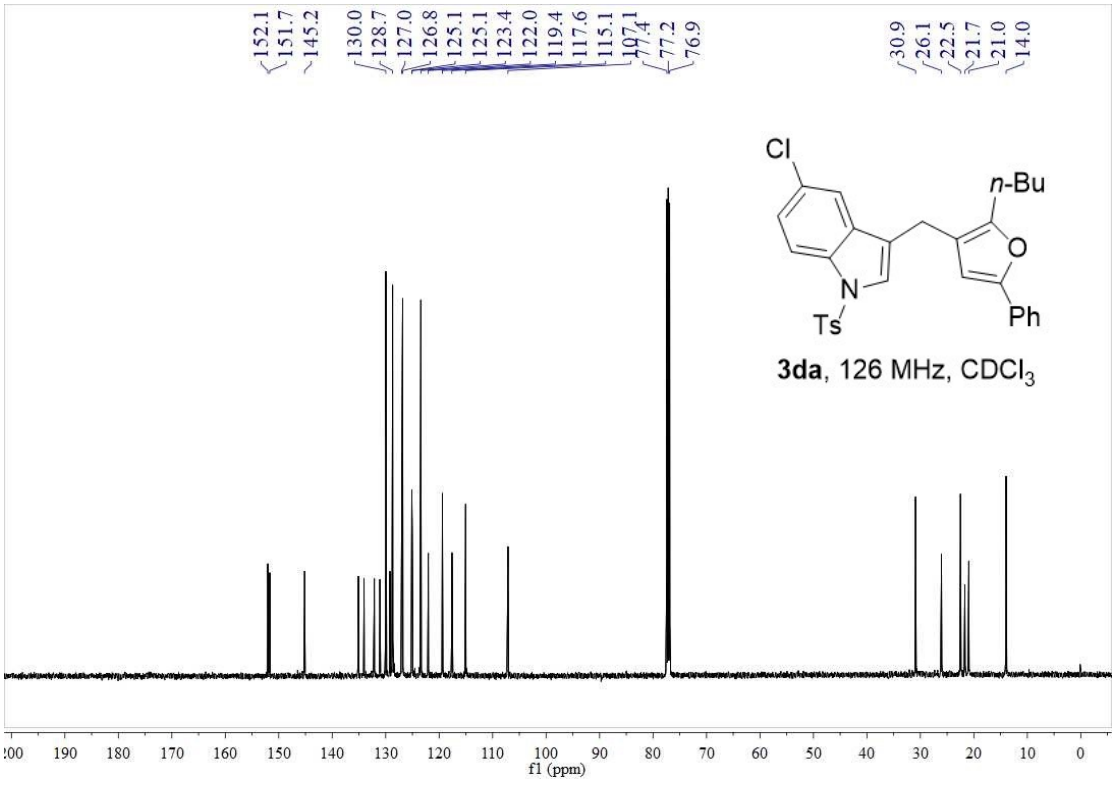
7.21
7.19
7.18
6.32
3.67
2.64
2.63
2.61
2.32
1.65
1.64
1.62
1.37
1.36
1.34
1.33
0.92
0.90
Cl
n-Bu
O
N
Ts
Ph
3da, 500 MHz, CDCl3
1.00
2.03
2.06
1.13
1.92
2.08
2.92
0.96
2.12
2.01
3.03
2.05
2.08
3.05
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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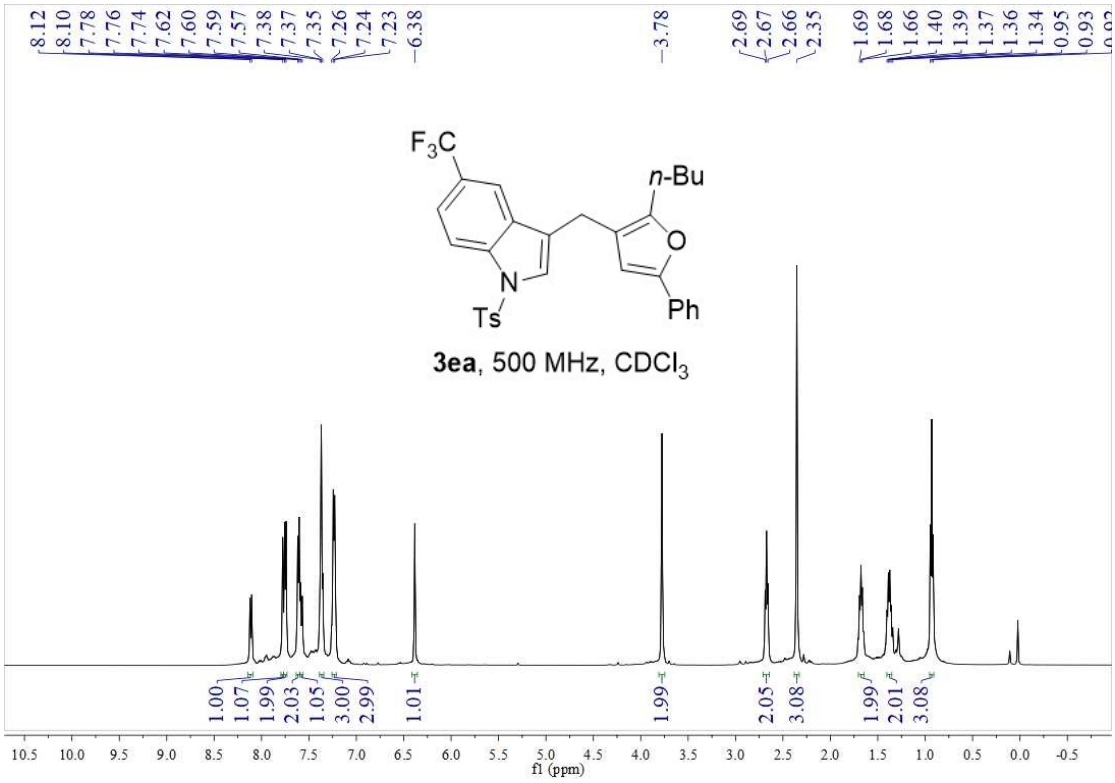
151.7
145.2
130.0
128.7
127.0
126.8
125.1
125.1
123.4
122.0
119.4
117.6
115.1
107.1
77.4
77.2
76.9
30.9
26.1
22.5
21.7
21.0
14.0
Cl
n-Bu
O
N
Ts
Ph
3da, 126 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.59
7.57
7.38
7.37
7.35
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7.24
7.23
6.38
3.78
2.69
2.67
2.66
2.35
1.69
1.68
1.66
1.40
1.39
1.37
1.36
1.34
0.95
0.93
F3C
n-Bu
O
N
Ts
Ph
3ea, 500 MHz, CDCl3
1.00
1.07
1.99
2.03
1.05
3.00
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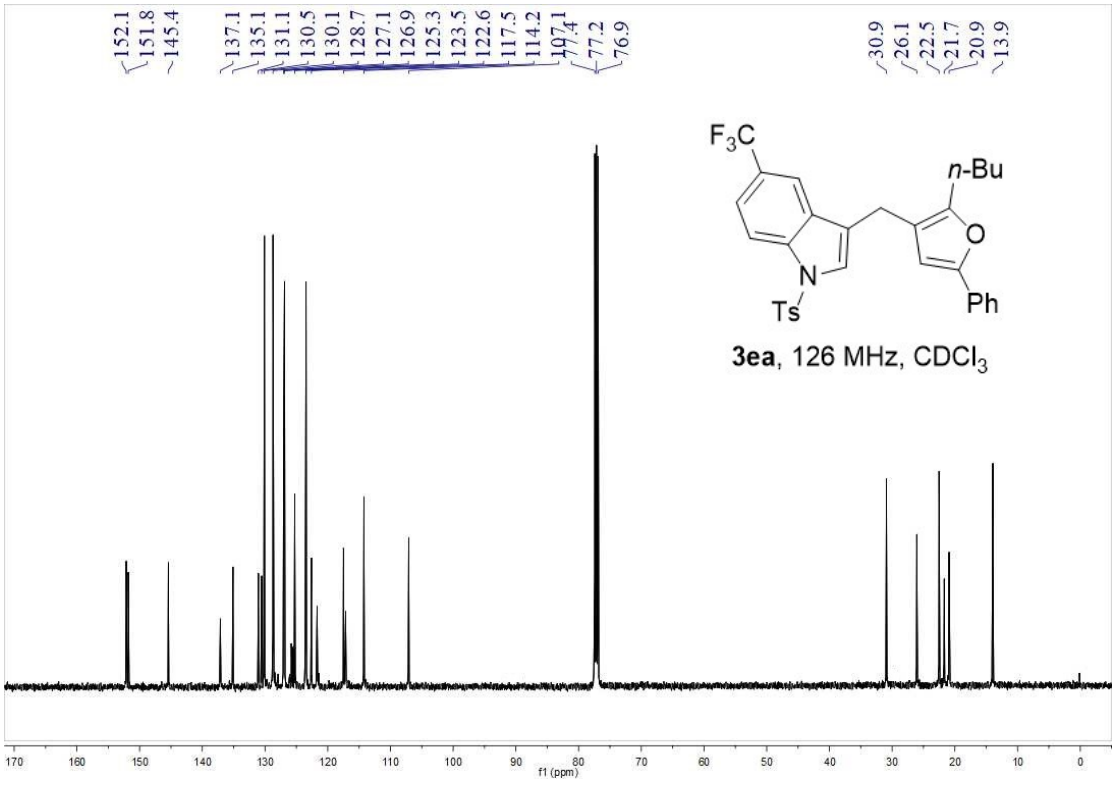
3.08
1.99
2.01
3.08
f1 (ppm)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135.1
131.1
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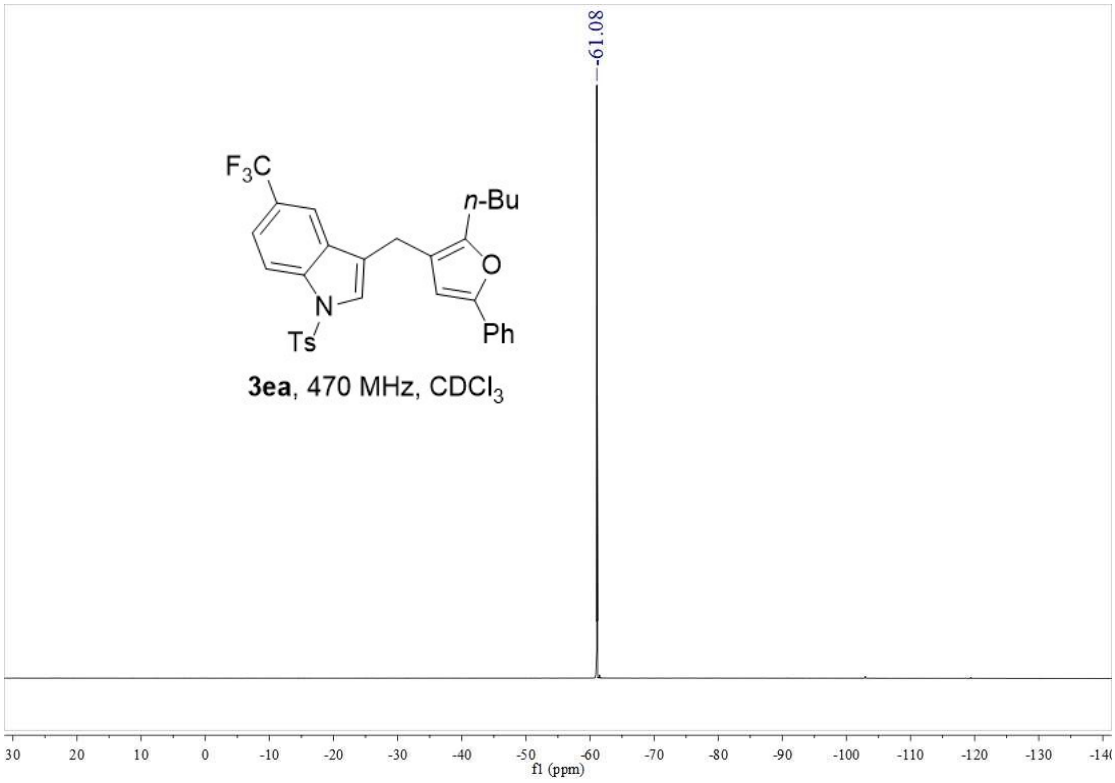
125.3
123.5
122.6
117.5
114.2
107.1
77.4
77.2
76.9
30.9
26.1
22.5
21.7
20.9
13.9
F3C
n-Bu
O
N
Ts
Ph
3ea, 126 MHz, CDCl3
f1 (ppm)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O
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Ts
Ph
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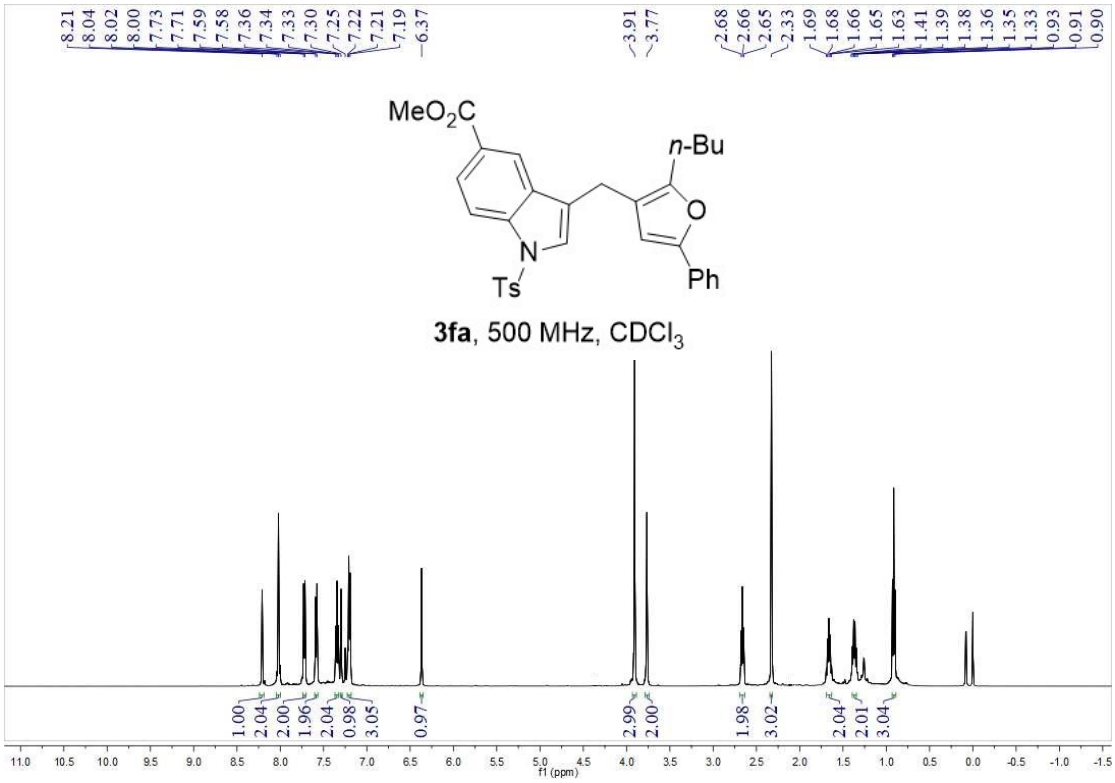
f1 (ppm)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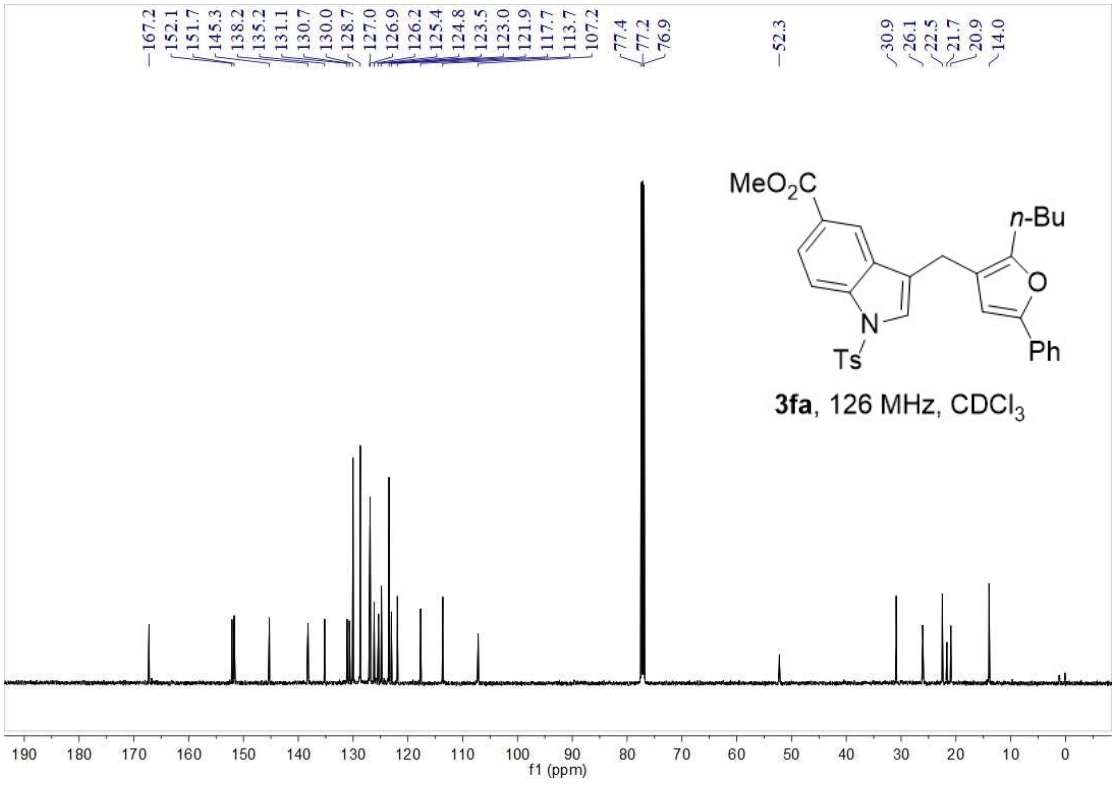
n-Bu
O
N
Ts
Ph
3fa, 500 MHz, CDCl3
f1 (ppm)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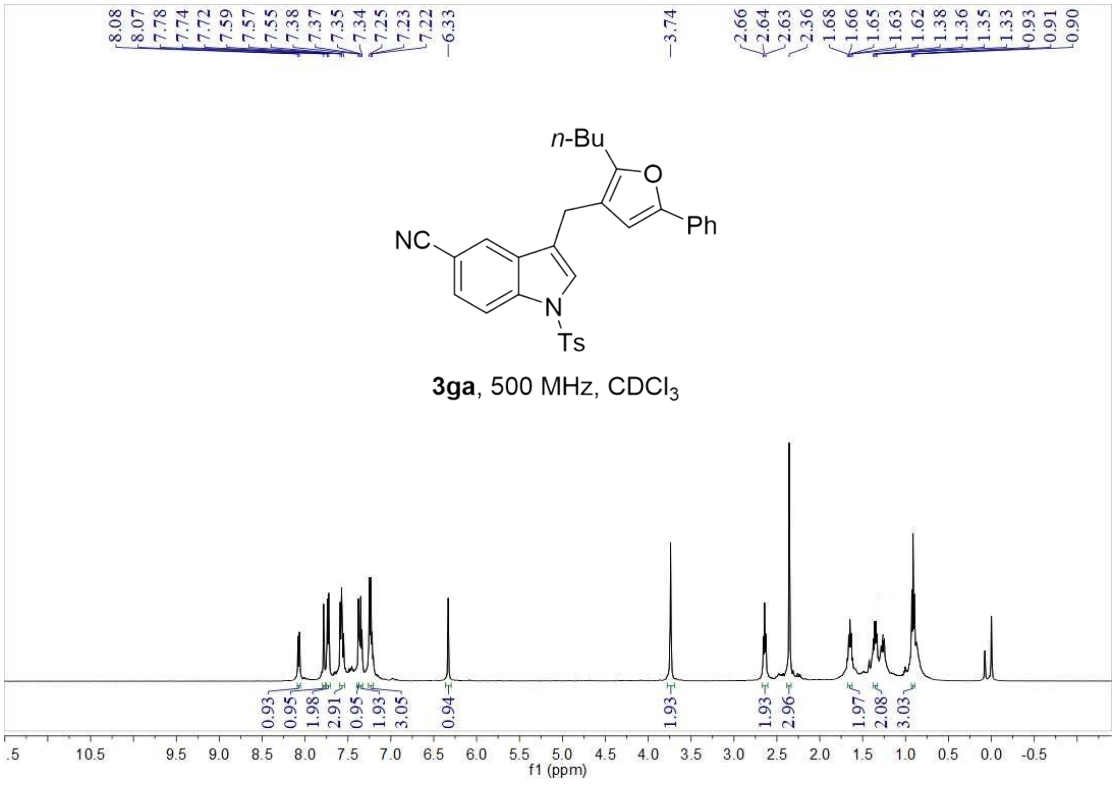
n-Bu
O
N
Ts
Ph
3fa, 126 MHz, CDCl3
f1 (ppm)



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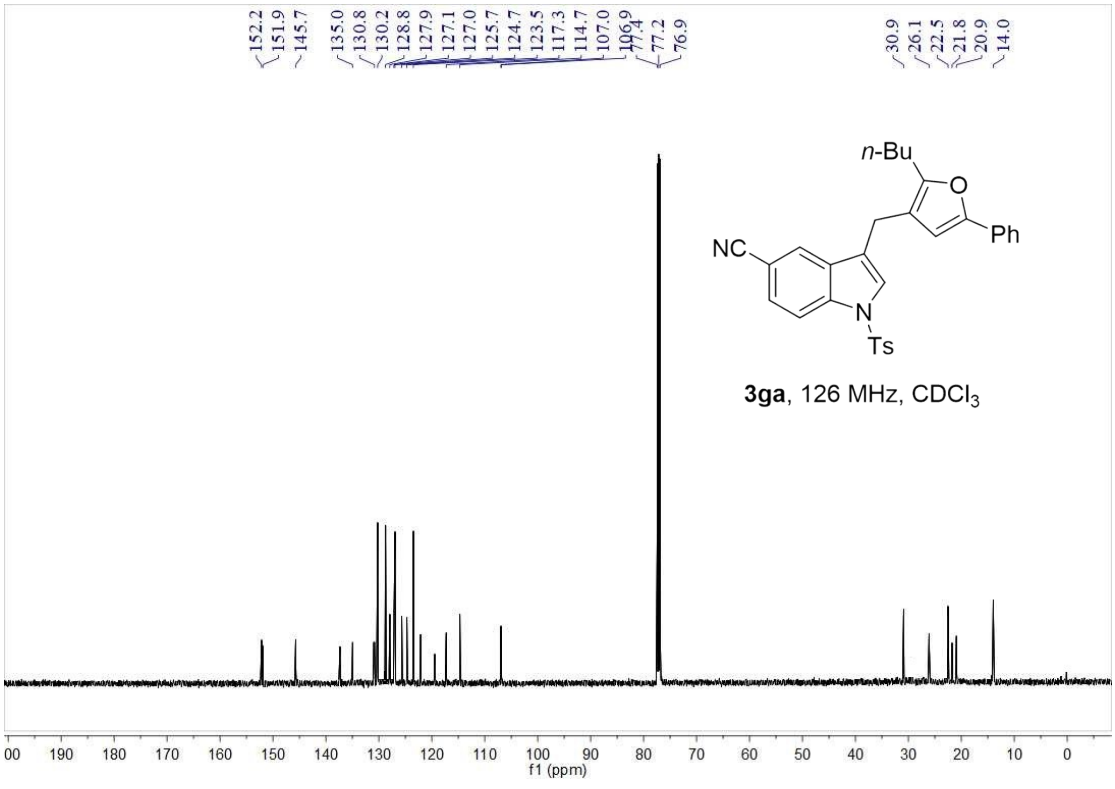
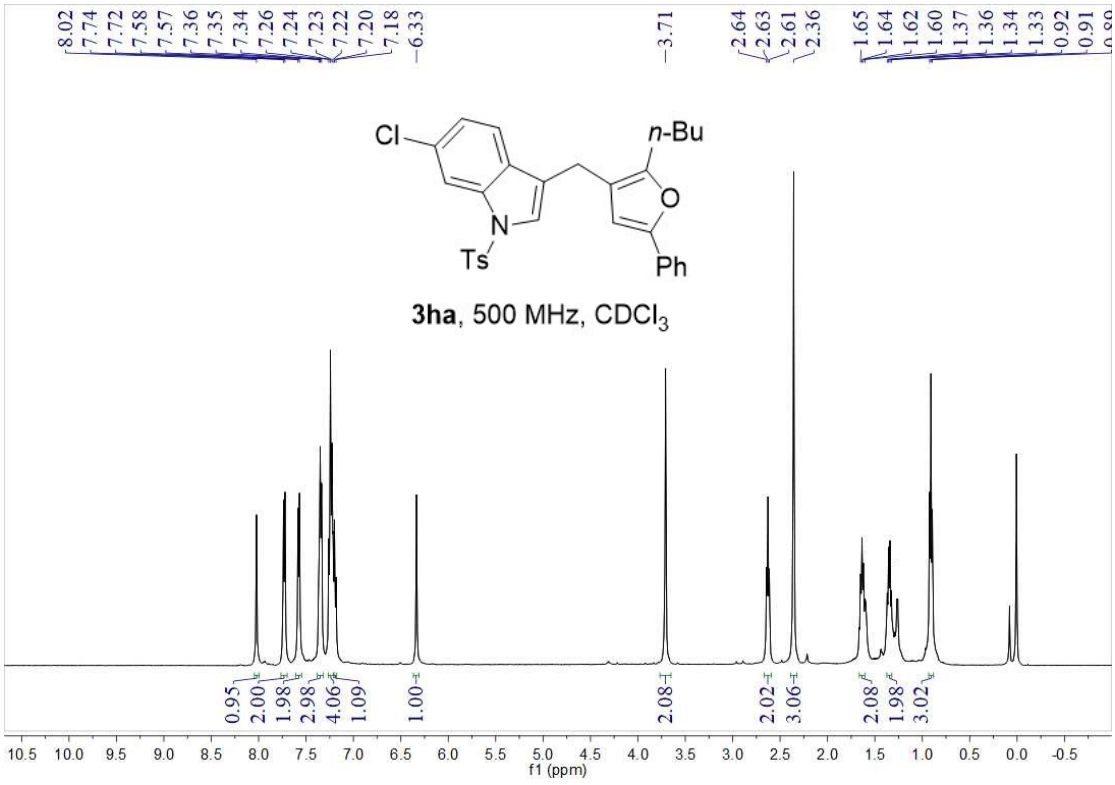


3ga, 126 MHz, $CDCl_3$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7.34
7.26
7.24
7.23
7.22
7.20
7.18
6.33
3.71
2.64
2.63
2.61
2.36
1.65
1.64
1.62
1.60
1.37
1.36
1.34
1.33
0.92
0.91
Cl
n-Bu
O
N
Ts
Ph
3ha, 500 MHz, CDCl3
0.95
2.00
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2.02
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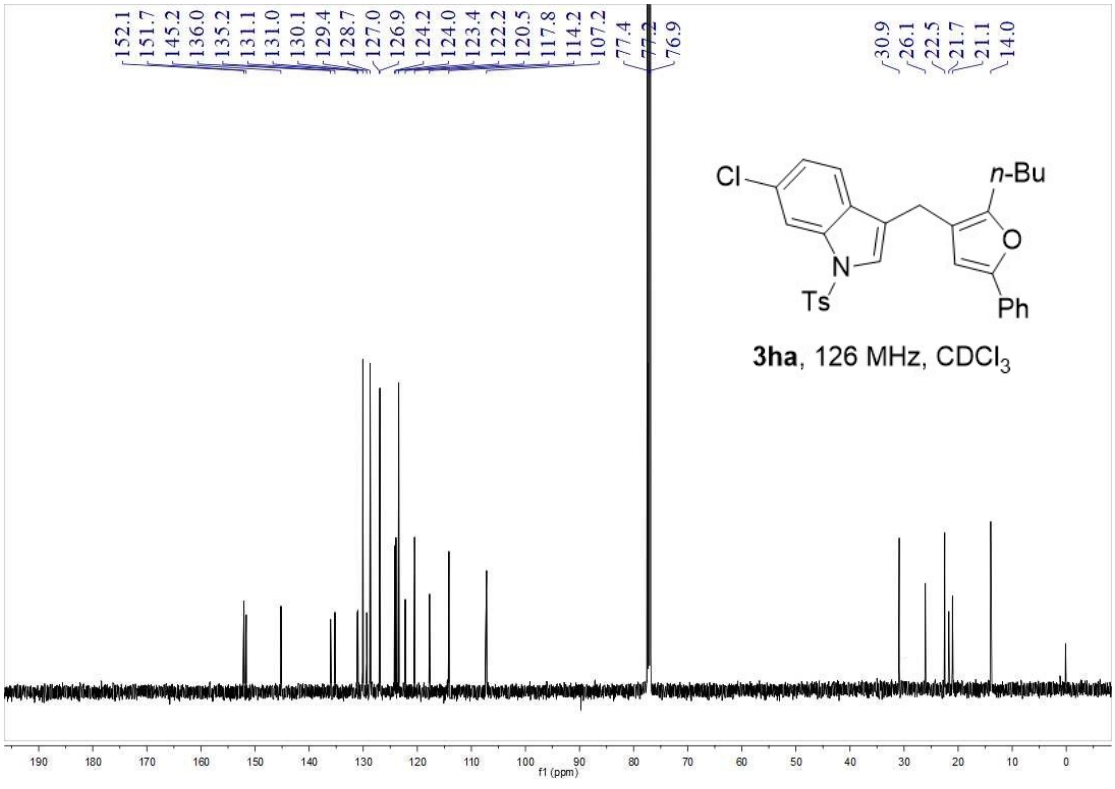
2.08
1.98
3.02
f1 (ppm)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151.7
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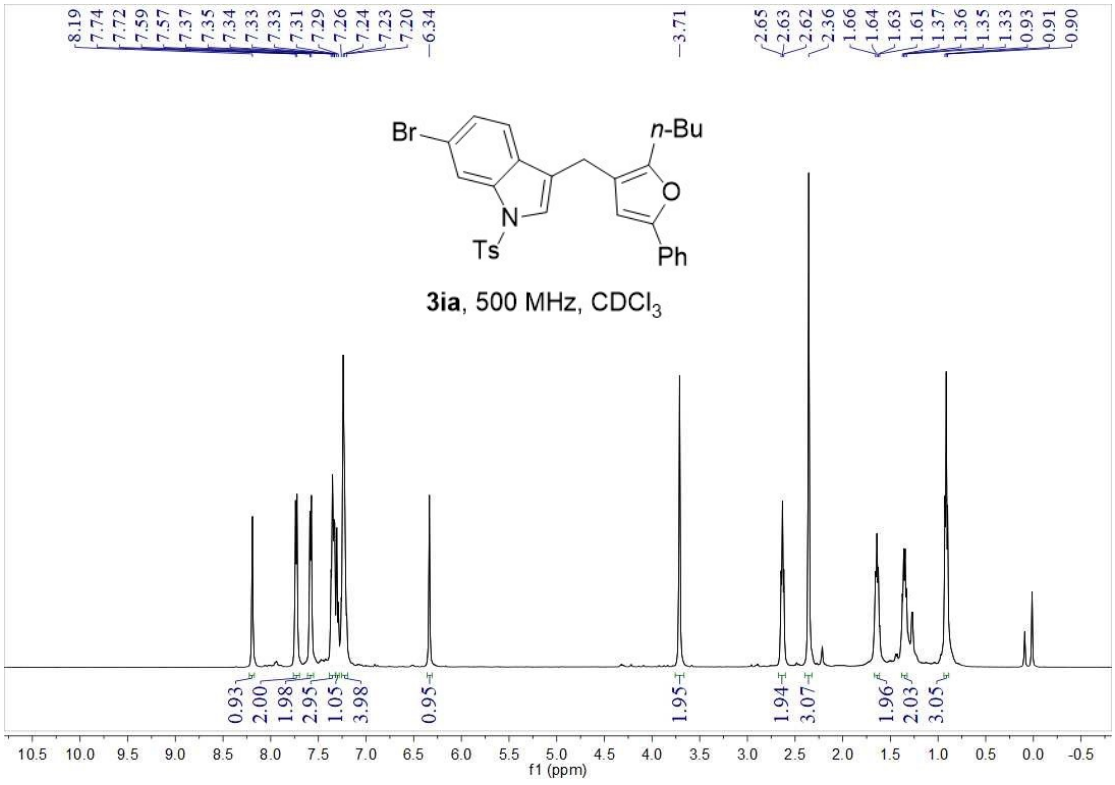
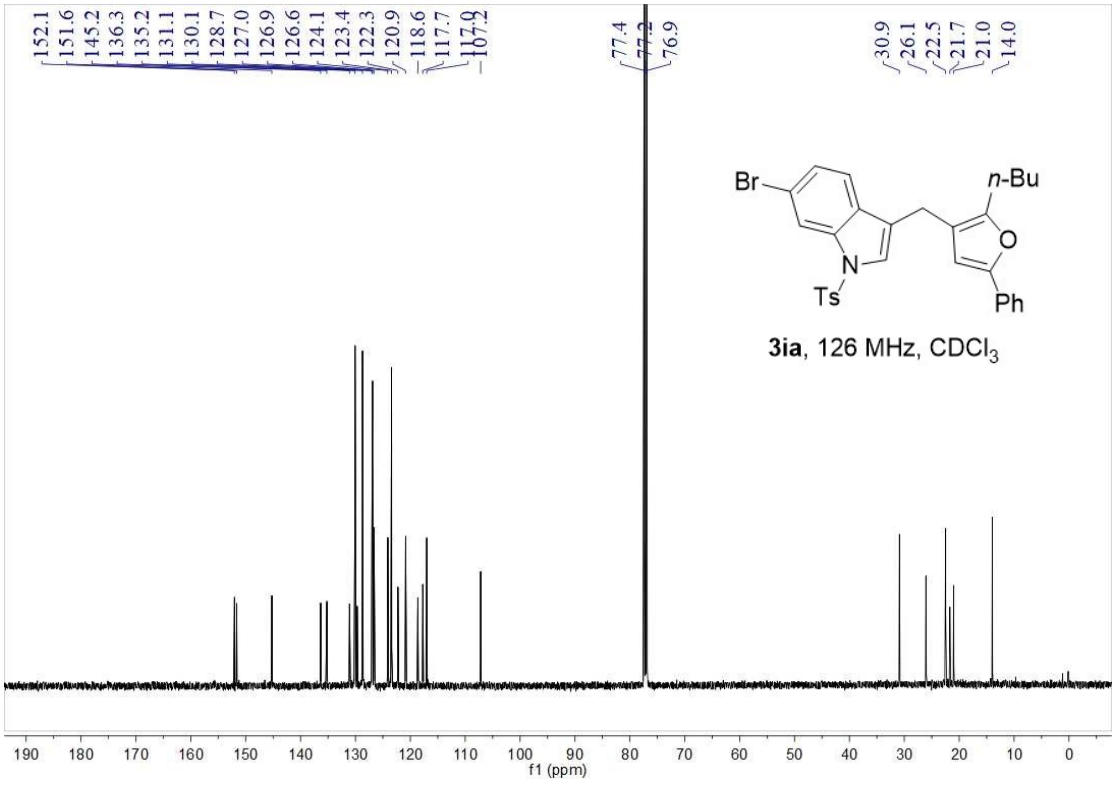
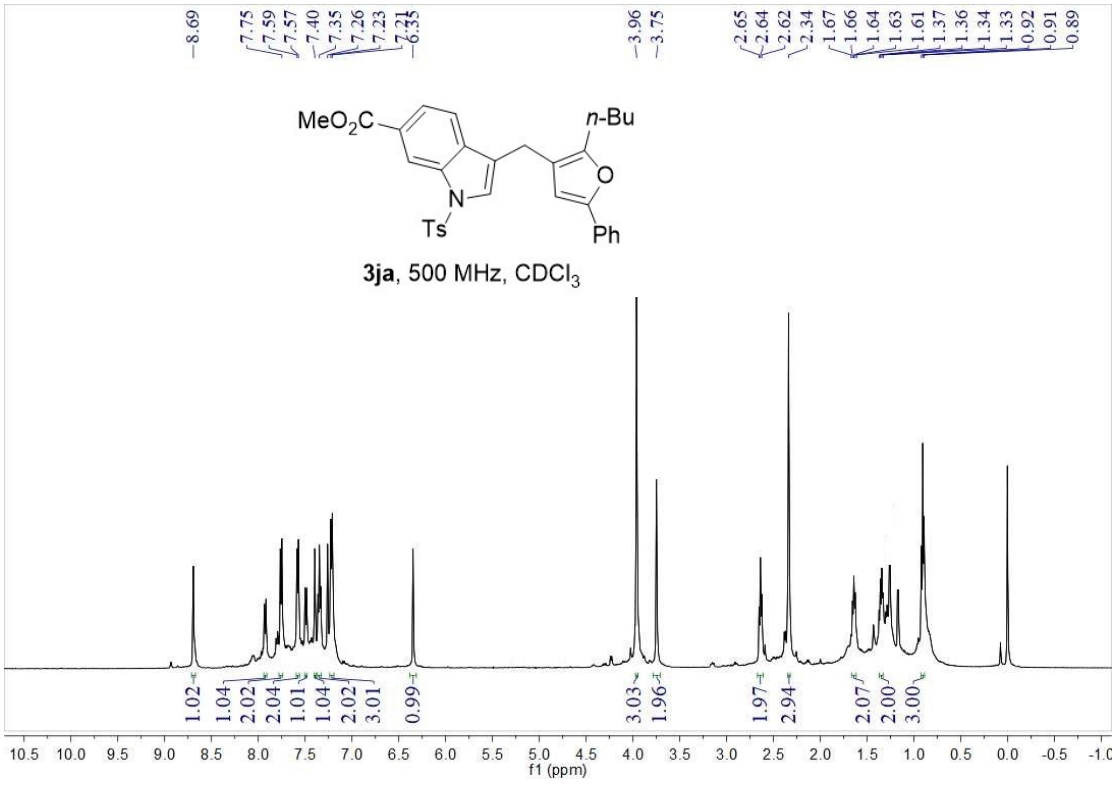
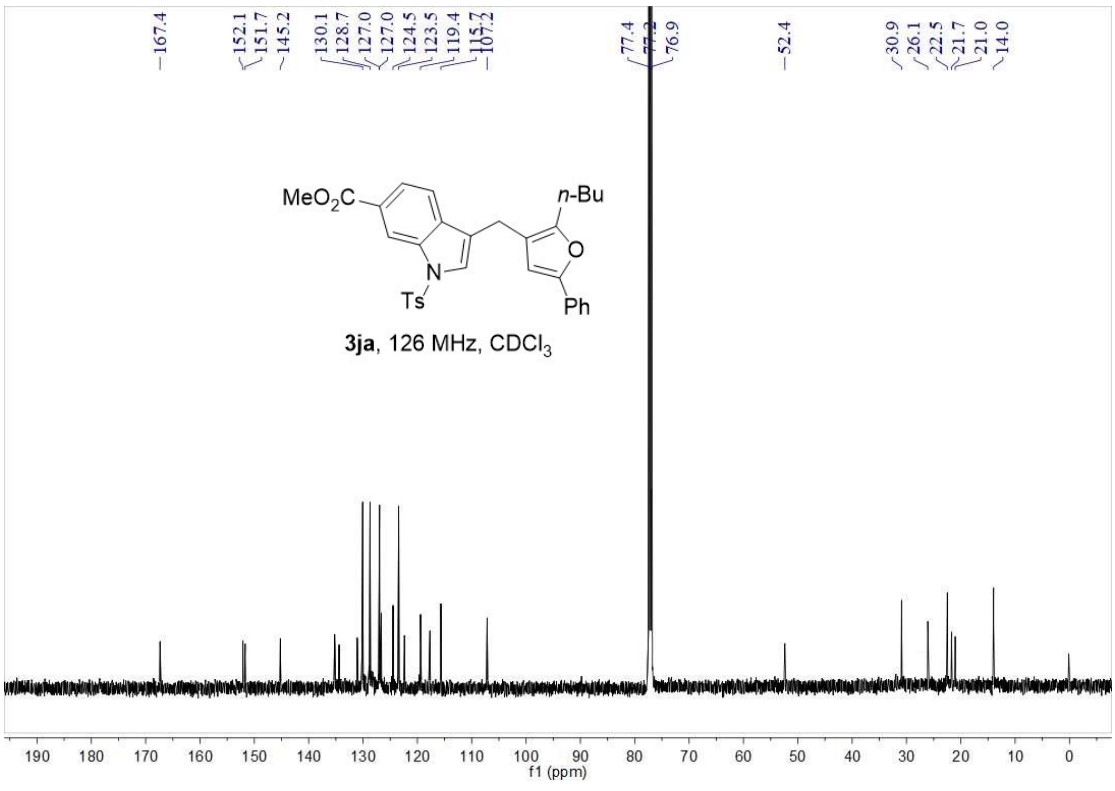
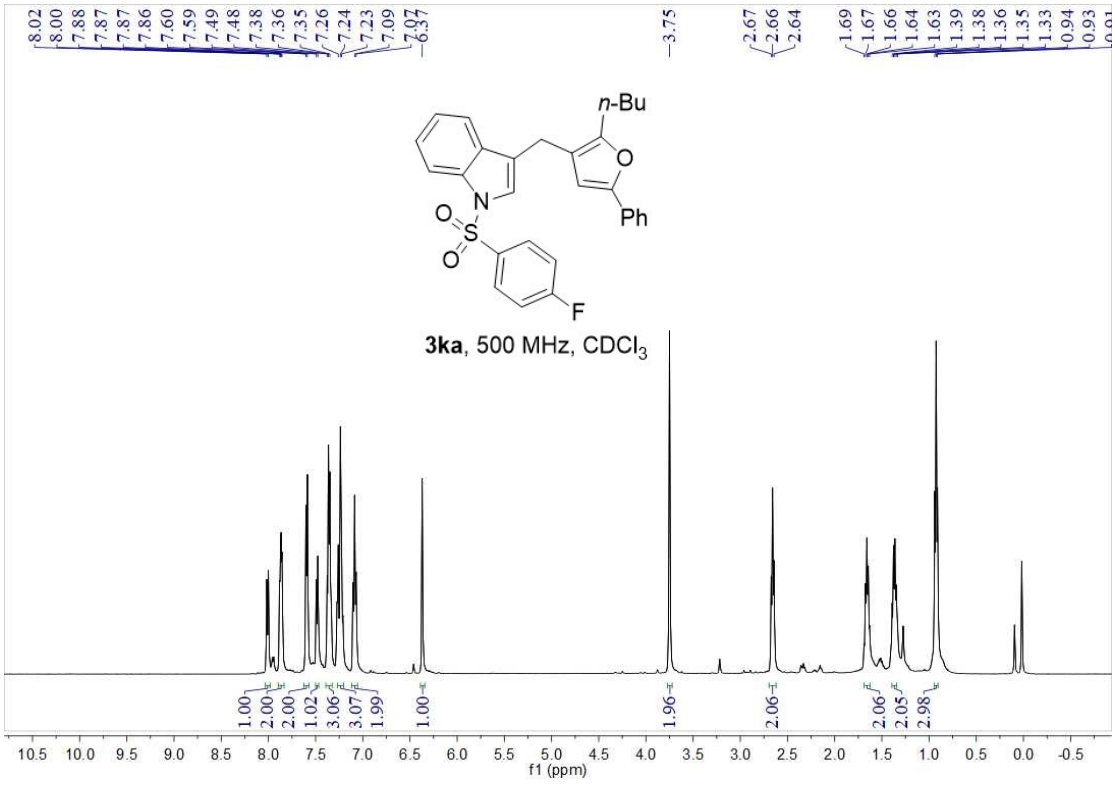
124.2
124.0
123.4
122.2
120.5
117.8
114.2
107.2
77.4
76.9
30.9
26.1
22.5
21.7
21.1
14.0
Cl
n-Bu
O
N
Ts
Ph
3ha, 126 MHz, CDCl3
f1 (ppm)

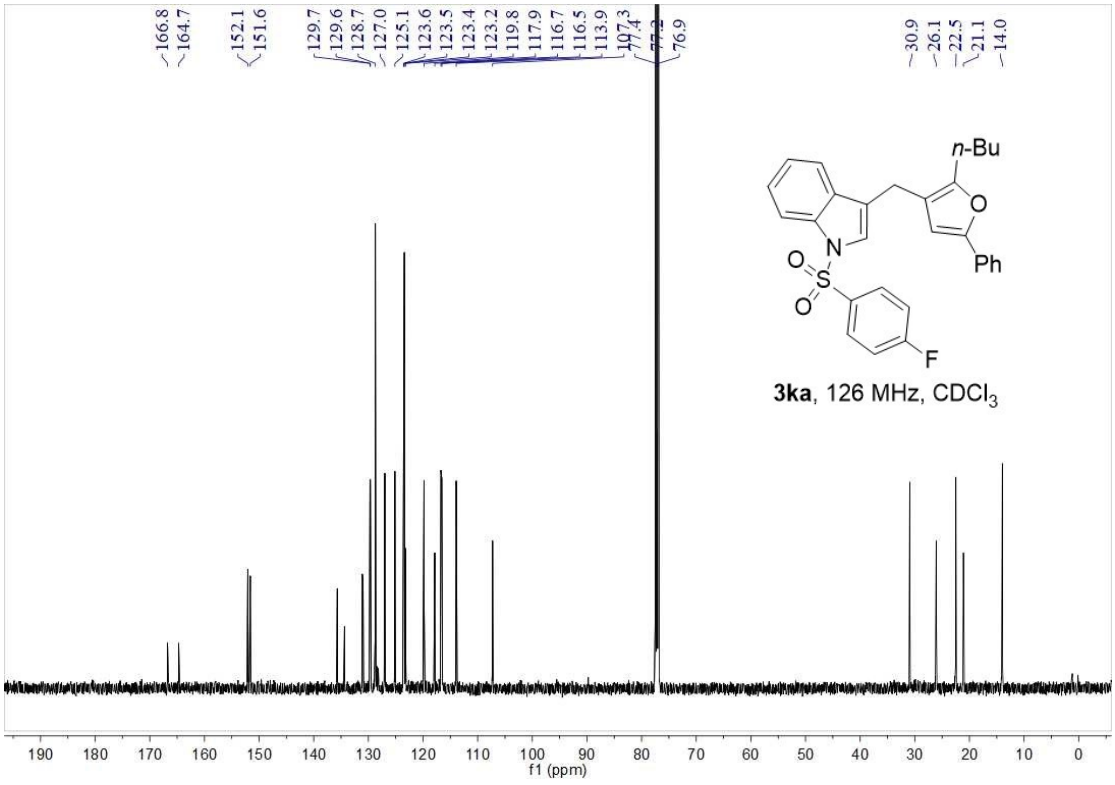

8.19
7.74
7.72
7.59
7.57
7.37
7.35
7.34
7.33
7.33
7.31
7.29
7.26
7.24
7.23
7.20
6.34
3.71
2.65
2.63
2.62
2.36
1.66
1.64
1.63
1.61
1.37
1.36
1.35
1.33
0.93
0.91
0.90
Br
n-Bu
O
N
Ts
Ph
3ia, 500 MHz, CDCl3
0.93
2.00
1.98
2.95
1.05
3.98
0.95
1.95
1.94
3.07
1.96
2.03
3.05
f1 (ppm)

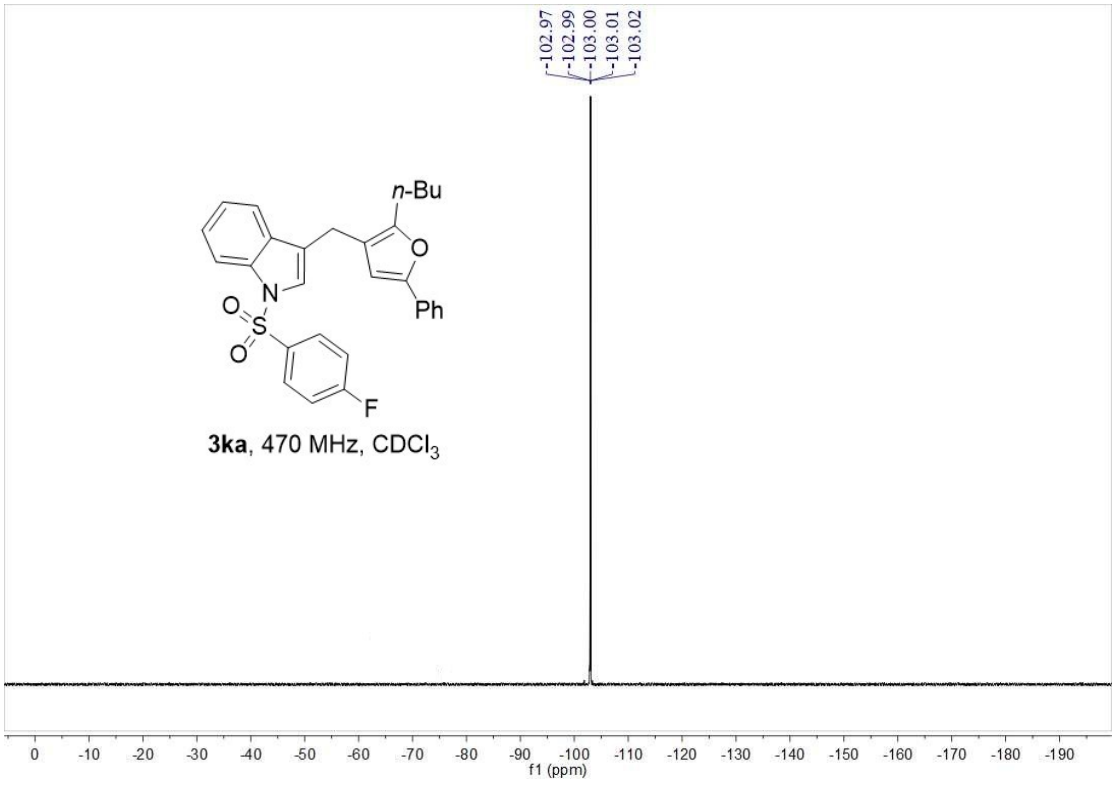

152.1
151.6
145.2
136.3
135.2
131.1
130.1
128.7
127.0
126.9
126.6
124.1
123.4
122.3
120.9
118.6
117.7
107.2
77.4
77.2
76.9
30.9
26.1
22.5
21.7
21.0
14.0
Br
n-Bu
O
N
Ts
Ph
3ia, 126 MHz, CDCl3
f1 (ppm)


8.69
7.75
7.59
7.57
7.40
7.35
7.26
7.23
7.21
6.35
3.96
3.75
2.65
2.64
2.62
2.34
1.67
1.66
1.64
1.63
1.61
1.37
1.36
1.34
1.33
0.92
0.91
0.89
MeO2C
n-Bu
N
O
Ts
Ph
3ja, 500 MHz, CDCl3
1.02
1.04
2.02
2.04
1.01
1.04
2.02
3.01
0.99
3.03
1.96
1.97
2.94
2.07
2.00
3.00
f1 (ppm)

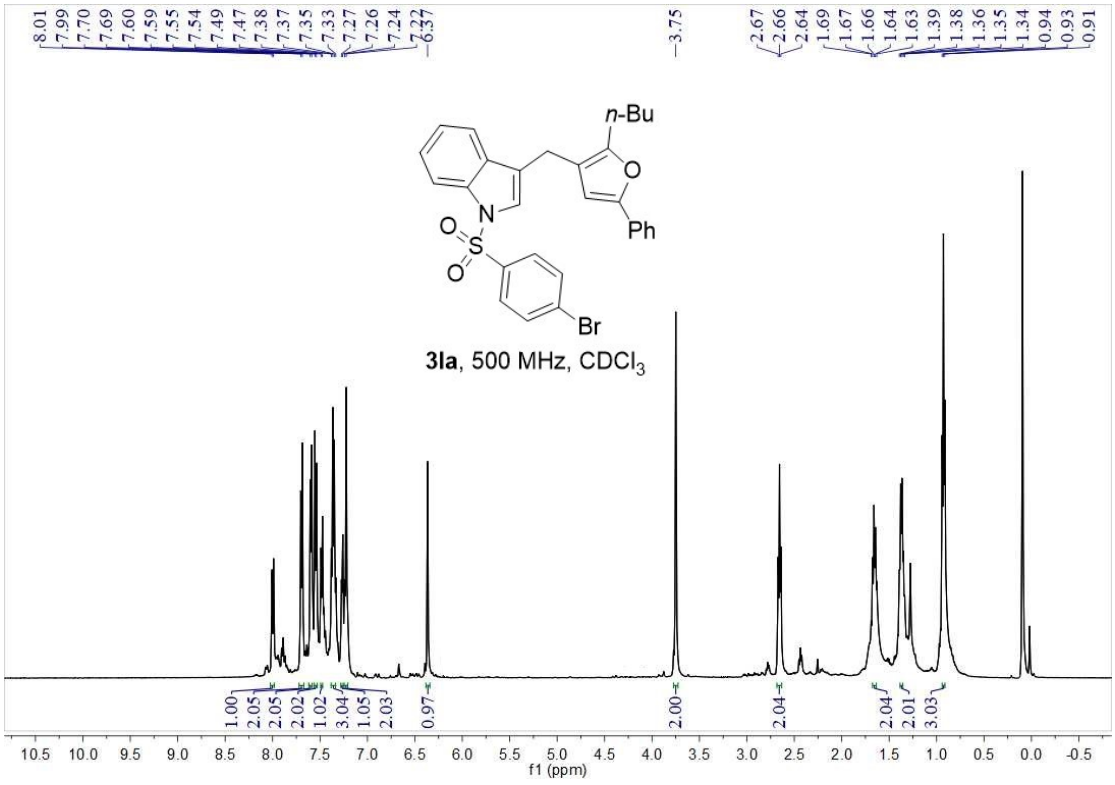

167.4
152.1
151.7
145.2
130.1
128.7
127.0
127.0
124.5
123.5
119.4
77.4
76.9
52.4
30.9
26.1
22.5
21.7
21.0
14.0
MeO2C
n-Bu
N
O
Ts
Ph
3ja, 126 MHz, CDCl3
f1 (ppm)

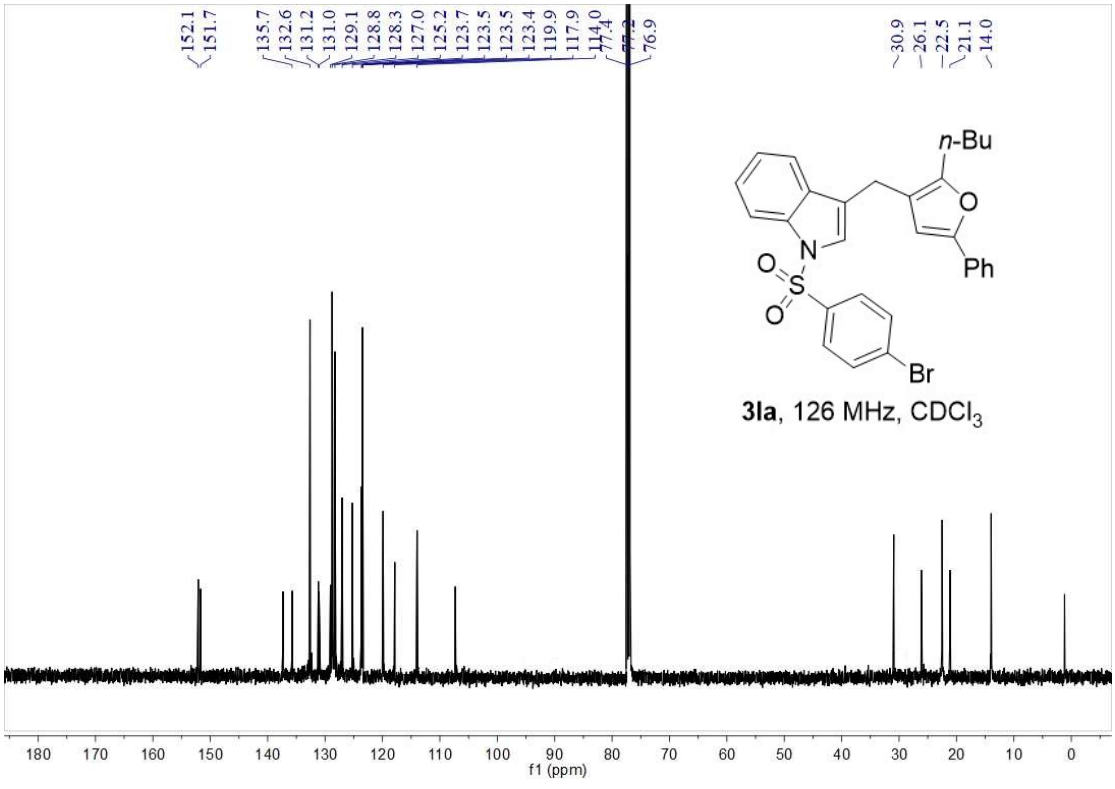

n-Bu
O
N
O
S
O
Ph
F
3ka, 500 MHz, CDCl3
f1 (ppm)

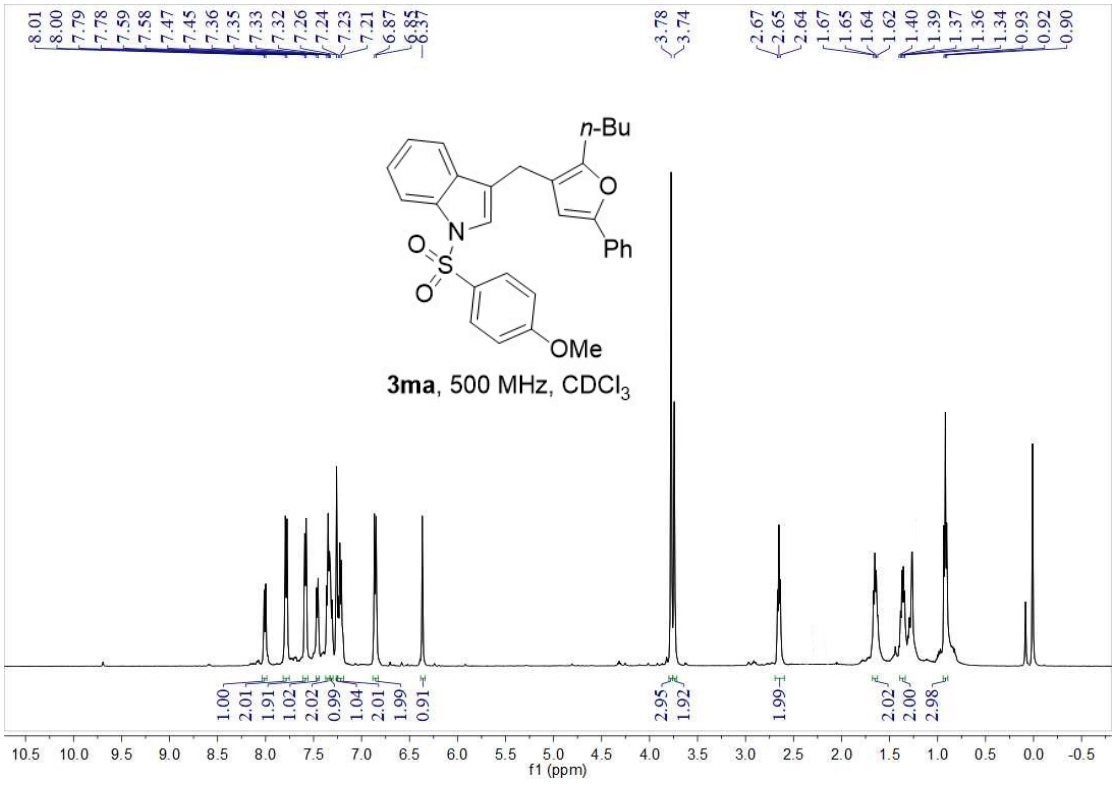

n-Bu
O
N
O
S
O
Ph
F
3ka, 126 MHz, CDCl3
f1 (ppm)

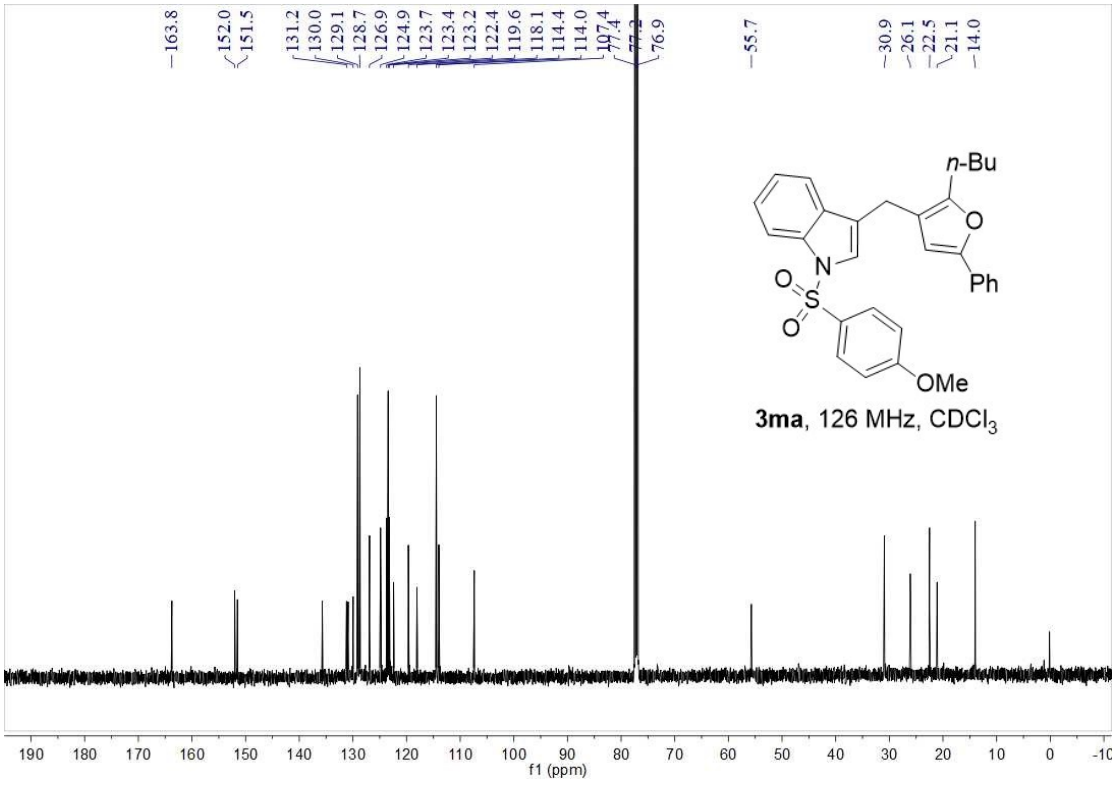


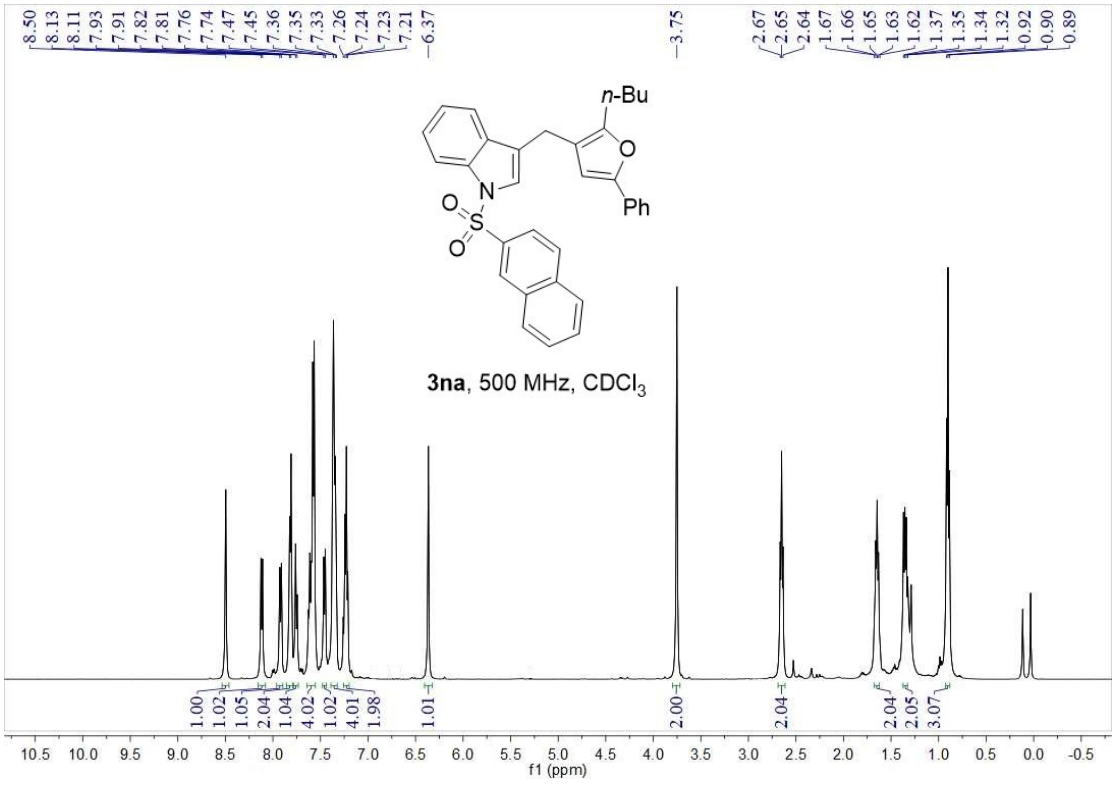
3ka, 470 MHz, $CDCl_3$

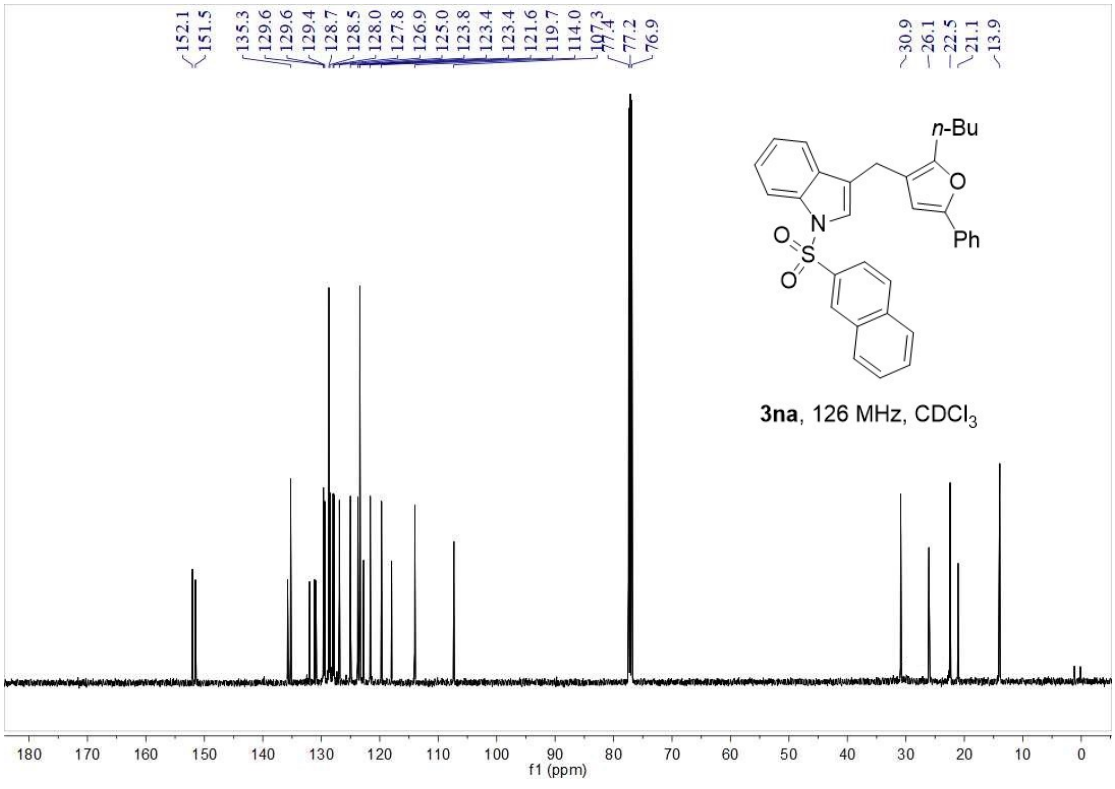

8.01
7.99
7.70
7.69
7.60
7.59
7.55
7.54
7.49
7.47
7.38
7.37
7.35
7.33
7.27
7.26
7.24
7.22
6.37
3.75
2.67
2.66
2.64
1.69
1.67
1.66
1.64
1.63
1.39
1.38
1.36
1.35
1.34
0.94
0.93
0.91
n-Bu
O
N
O
S
O
Ph
Br
3la, 500 MHz, CDCl3
1.00
2.05
2.05
2.02
1.02
3.04
1.05
2.03
0.97
2.00
2.04
2.04
2.01
3.03
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

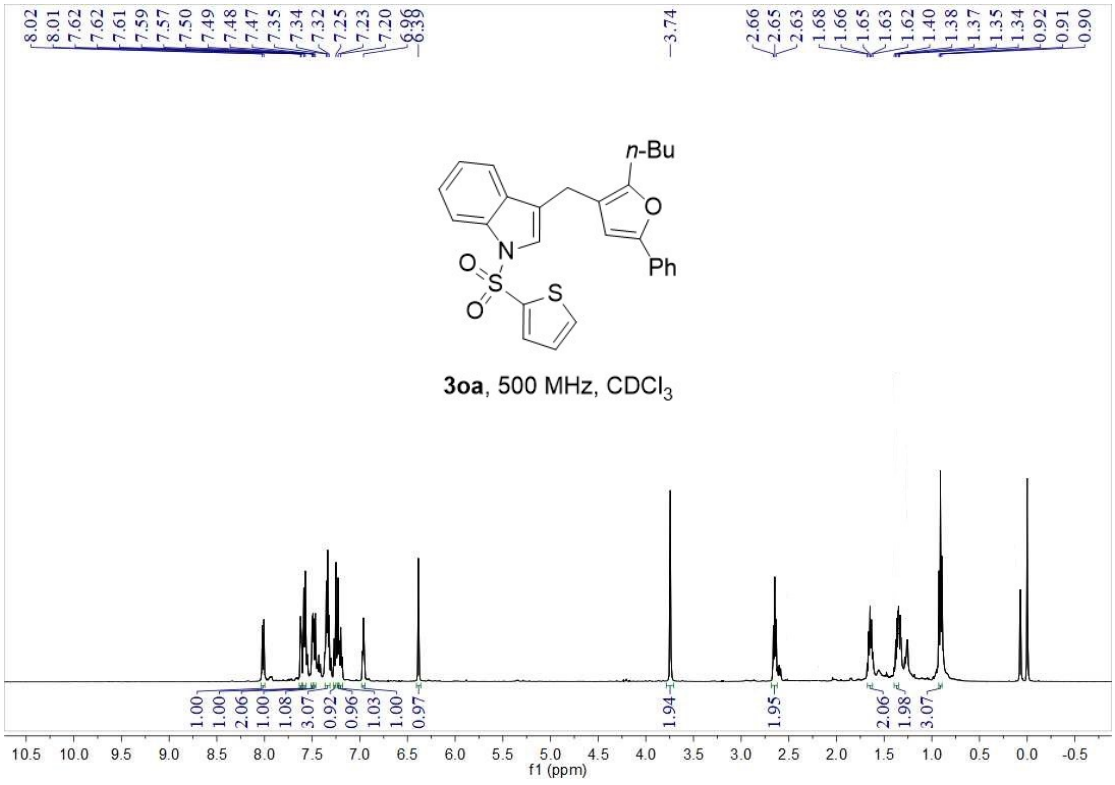

152.1
151.7
135.7
132.6
131.2
131.0
129.1
128.8
128.3
127.0
125.2
123.7
123.5
123.5
123.4
119.9
117.9
114.0
77.4
76.9
30.9
26.1
22.5
21.1
14.0
n-Bu
O
N
O
S
O
Ph
Br
3la, 126 MHz, CDCl3
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

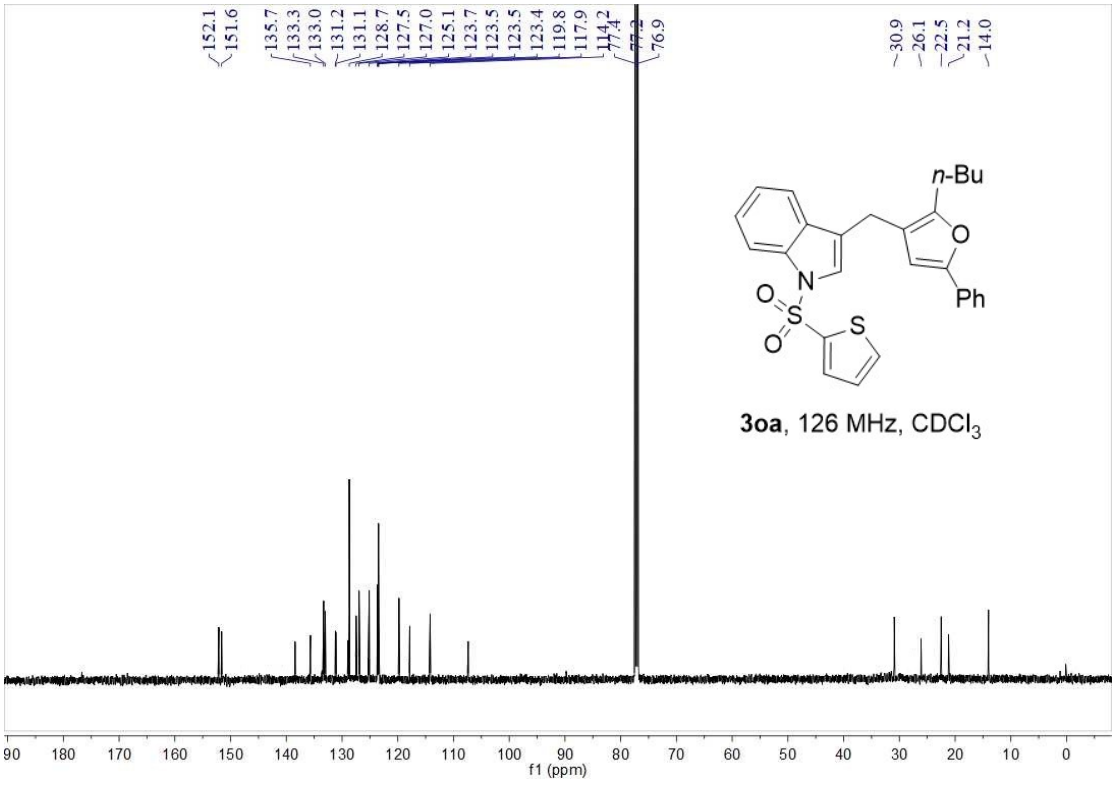

n-Bu
O
N
O
S
O
Ph
OMe
3ma, 500 MHz, CDCl3
f1 (ppm)

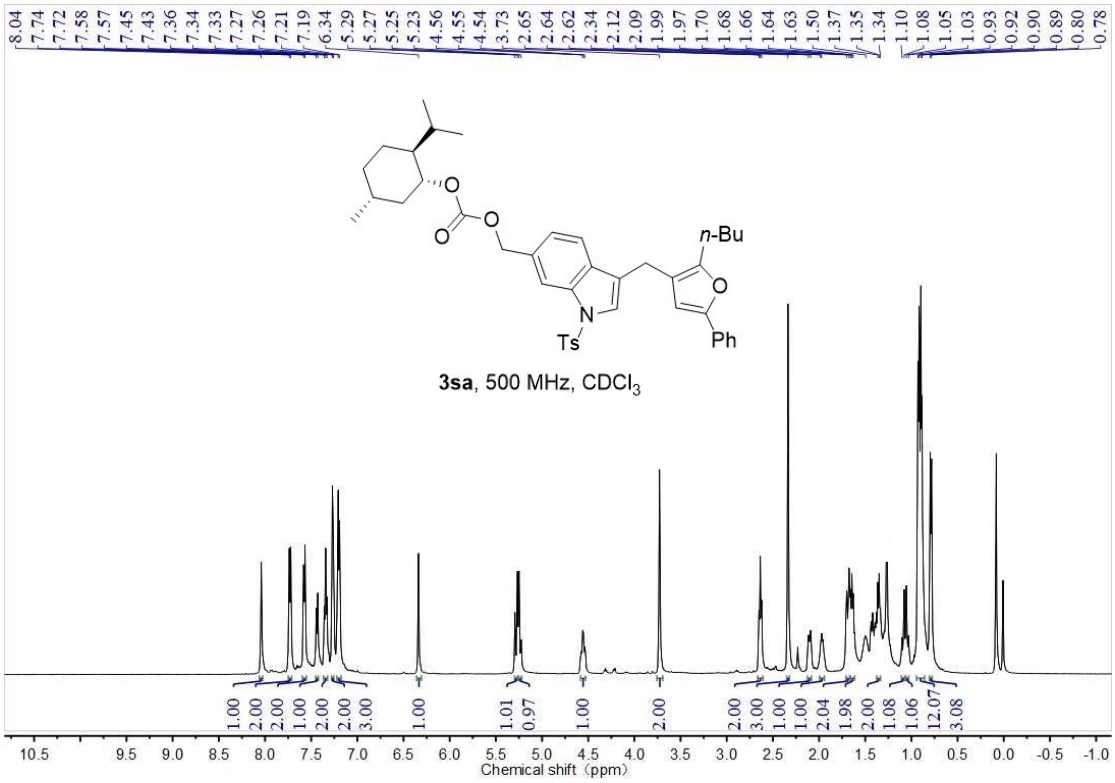

n-Bu
O
N
O
S
O
Ph
OMe
3ma, 126 MHz, CDCl3
f1 (ppm)

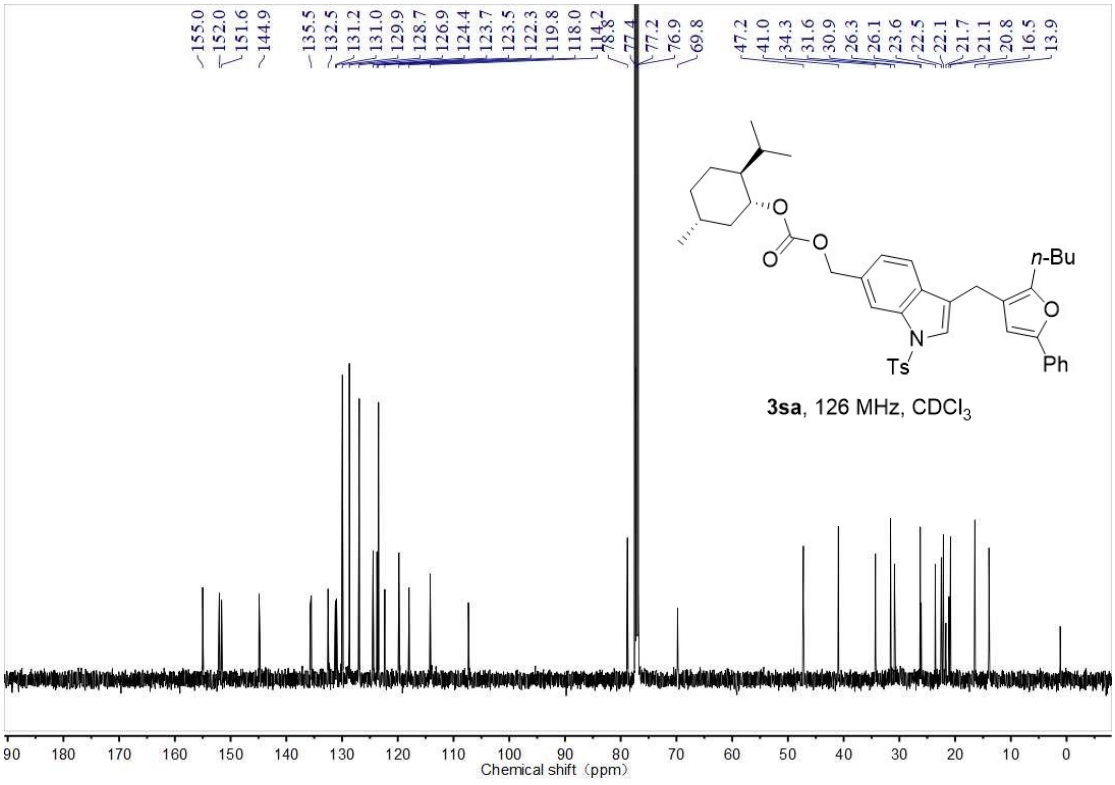

8.50
8.13
8.11
7.93
7.91
7.82
7.81
7.76
7.74
7.47
7.45
7.36
7.35
7.33
7.26
7.24
7.23
7.21
6.37
3.75
2.67
2.65
2.64
1.67
1.66
1.65
1.63
1.62
1.37
1.35
1.34
1.32
0.92
0.90
0.89
n-Bu
O
N
O
S
O
Ph
3na, 500 MHz, CDCl3
1.00
1.02
1.05
2.04
1.04
4.02
1.02
4.01
1.98
1.01
2.00
2.04
2.04
2.05
3.07
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

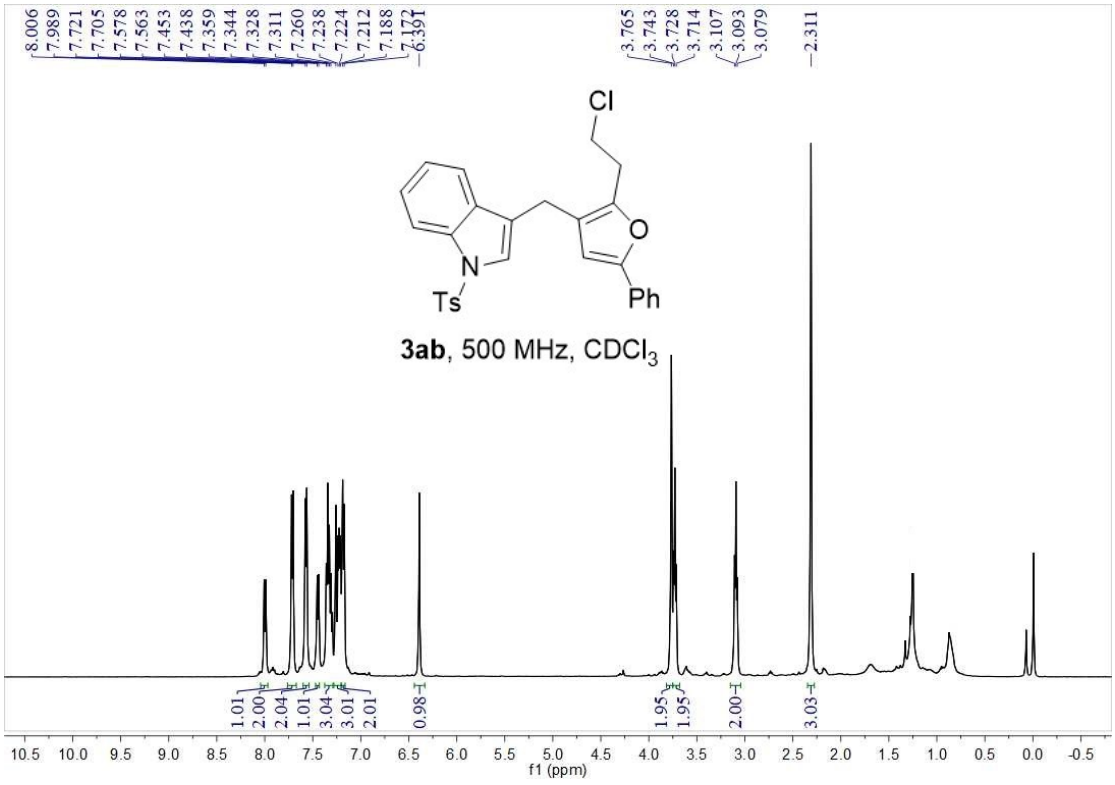

152.1
151.5
135.3
129.6
129.6
129.4
128.7
128.5
128.0
127.8
126.9
125.0
123.8
123.4
123.4
121.6
119.7
114.0
107.3
77.4
77.2
76.9
30.9
26.1
22.5
21.1
13.9
n-Bu
O
N
O
S
O
Ph
3na, 126 MHz, CDCl3
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

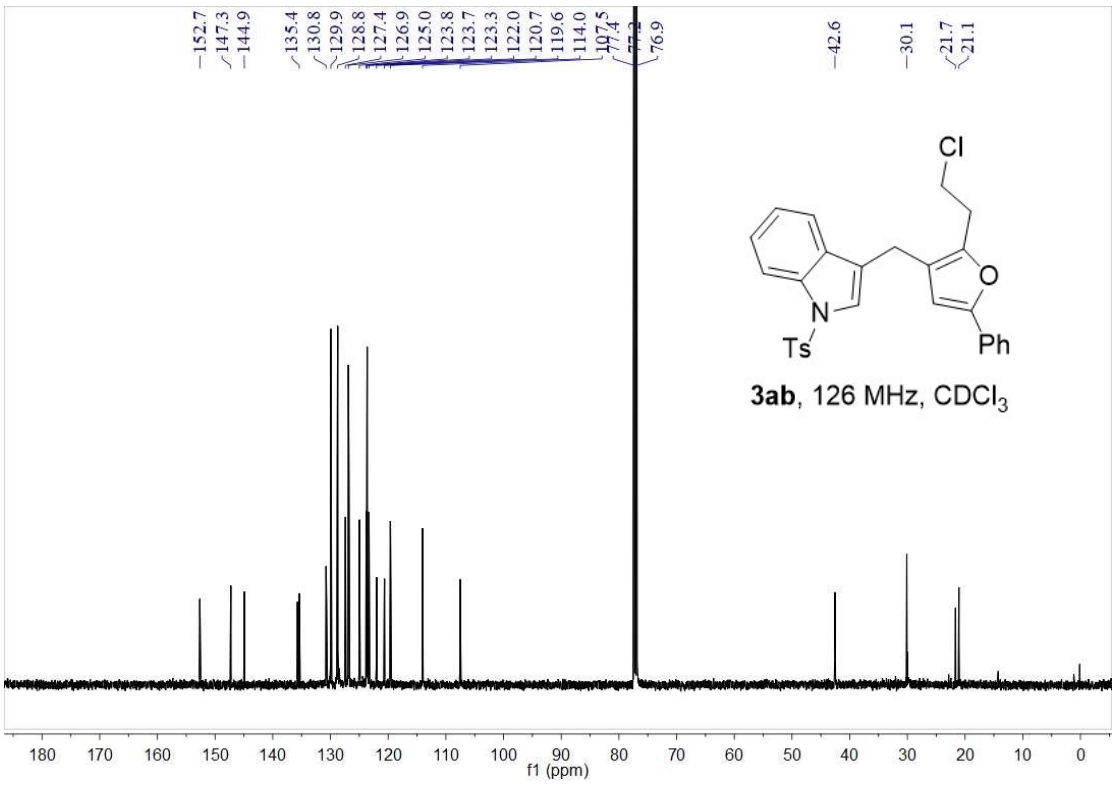

8.02
8.01
7.62
7.62
7.61
7.59
7.57
7.50
7.49
7.48
7.47
7.35
7.34
7.32
7.25
7.23
7.20
6.96
6.39
3.74
2.66
2.65
2.63
1.68
1.66
1.65
1.63
1.62
1.40
1.38
1.37
1.35
1.34
0.92
0.91
0.90
n-Bu
O
N
Ph
O
S
O
S
3oa, 500 MHz, CDCl3
1.00
1.00
2.06
1.00
1.08
3.07
0.92
0.96
1.03
1.00
0.97
1.94
1.95
2.06
1.98
3.07
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

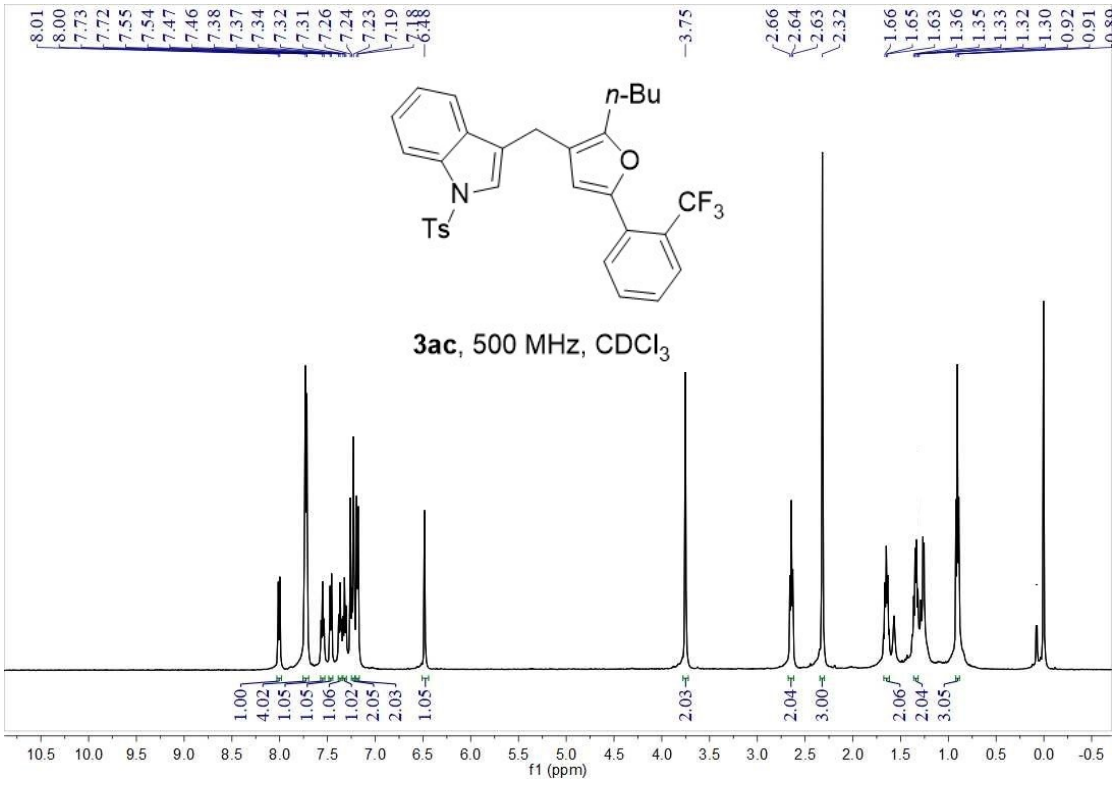

152.1
151.6
135.7
133.3
133.0
131.2
131.1
128.7
127.5
127.0
125.1
123.7
123.5
123.5
123.4
119.8
117.9
114.2
77.4
76.9
30.9
26.1
22.5
21.2
14.0
n-Bu
O
N
Ph
O
S
O
S
3oa, 126 MHz, CDCl3
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

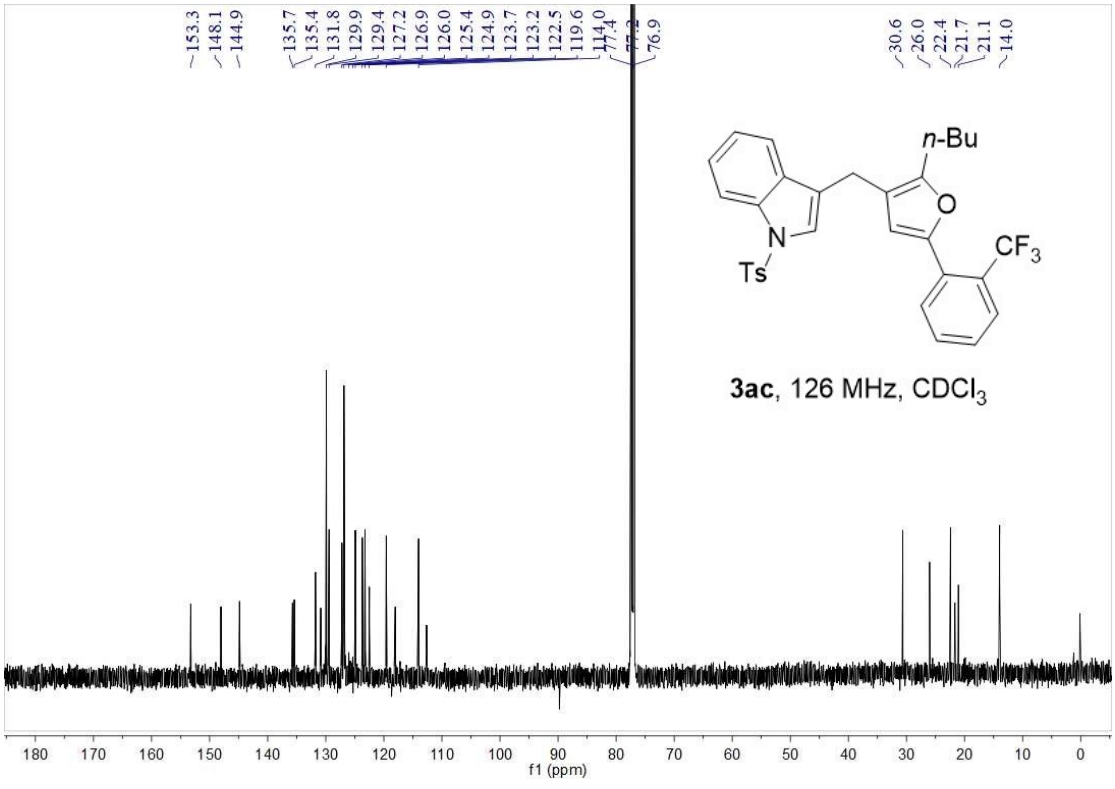

n-Bu
Ph
Ts
3sa, 500 MHz, CDCl3
Chemical shift (ppm)

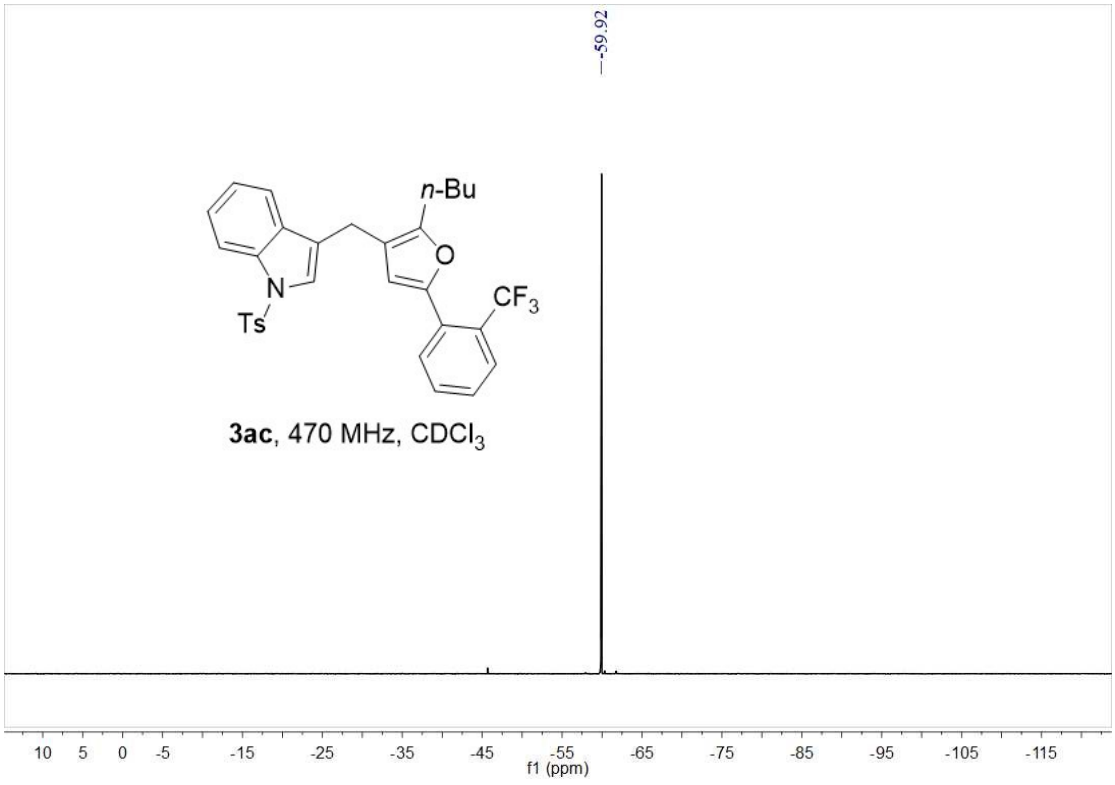

n-Bu
Ph
Ts
3sa, 126 MHz, CDCl3
Chemical shift (ppm)

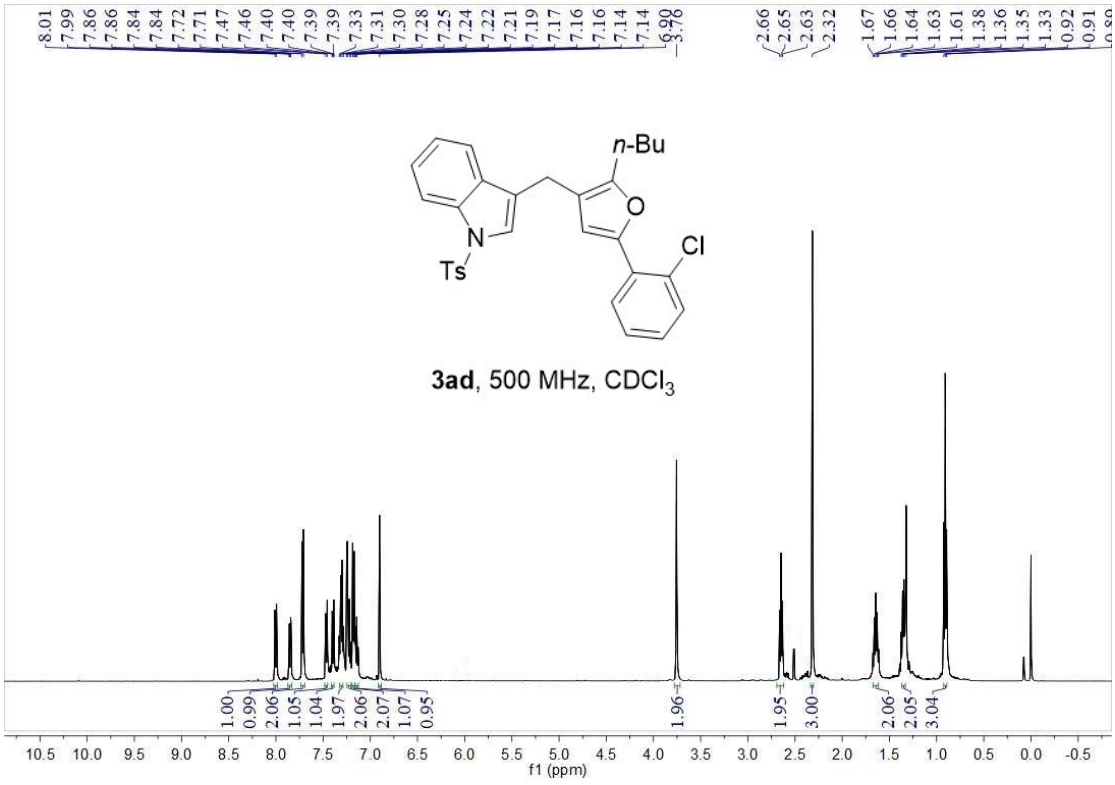

8.006
7.989
7.721
7.705
7.578
7.563
7.453
7.438
7.359
7.344
7.328
7.311
7.260
7.238
7.224
7.212
7.188
7.172
6.391
3.765
3.743
3.728
3.714
3.107
3.093
3.079
2.311
Cl
O
N
Ts
Ph
3ab, 500 MHz, CDCl3
1.01
2.00
2.04
1.01
3.04
3.01
2.01
0.98
1.95
1.95
2.00
3.03
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

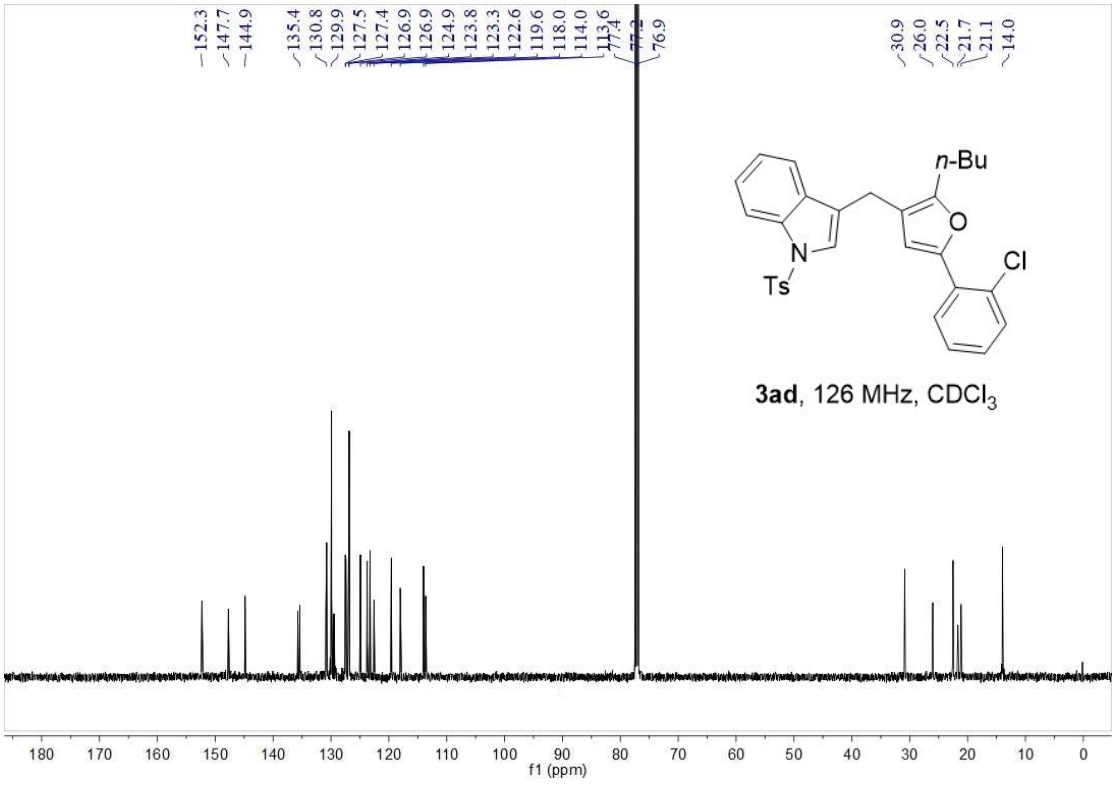

152.7
147.3
144.9
135.4
130.8
129.9
128.8
127.4
126.9
125.0
123.8
123.7
123.3
122.0
120.7
119.6
114.0
107.5
77.4
76.9
42.6
30.1
21.7
21.1
Cl
O
N
Ts
Ph
3ab, 126 MHz, CDCl3
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

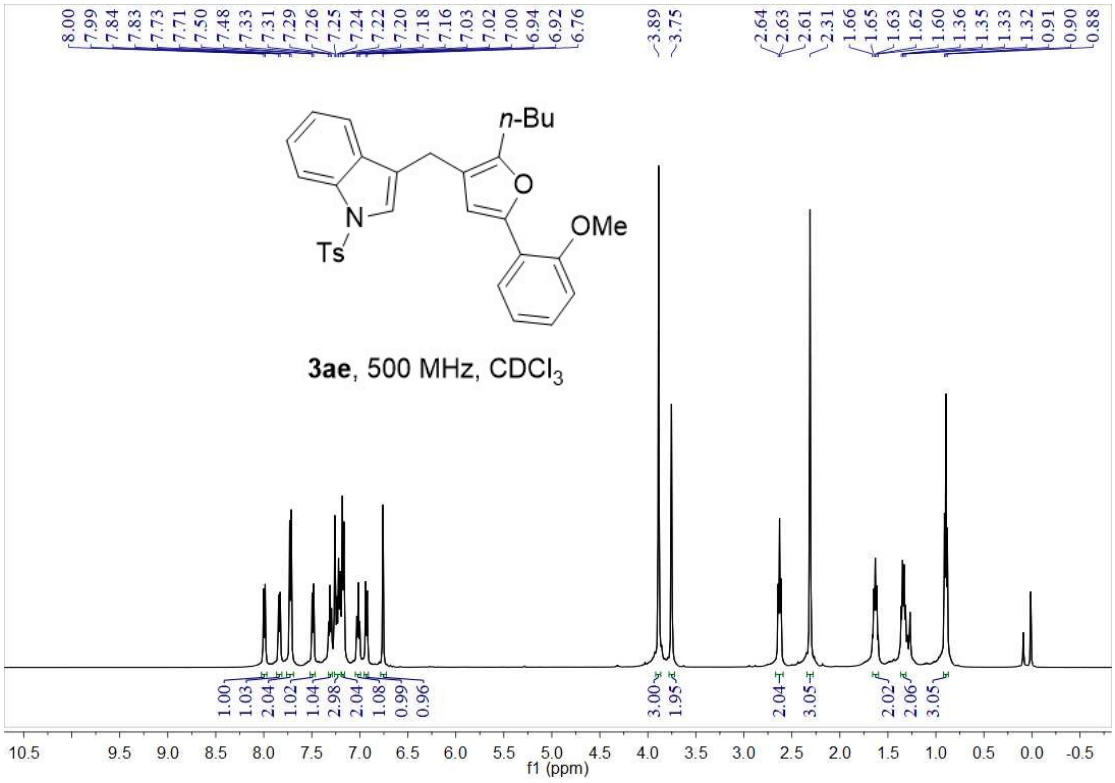

n-Bu
O
N
Ts
CF3
3ac, 500 MHz, CDCl3
f1 (ppm)

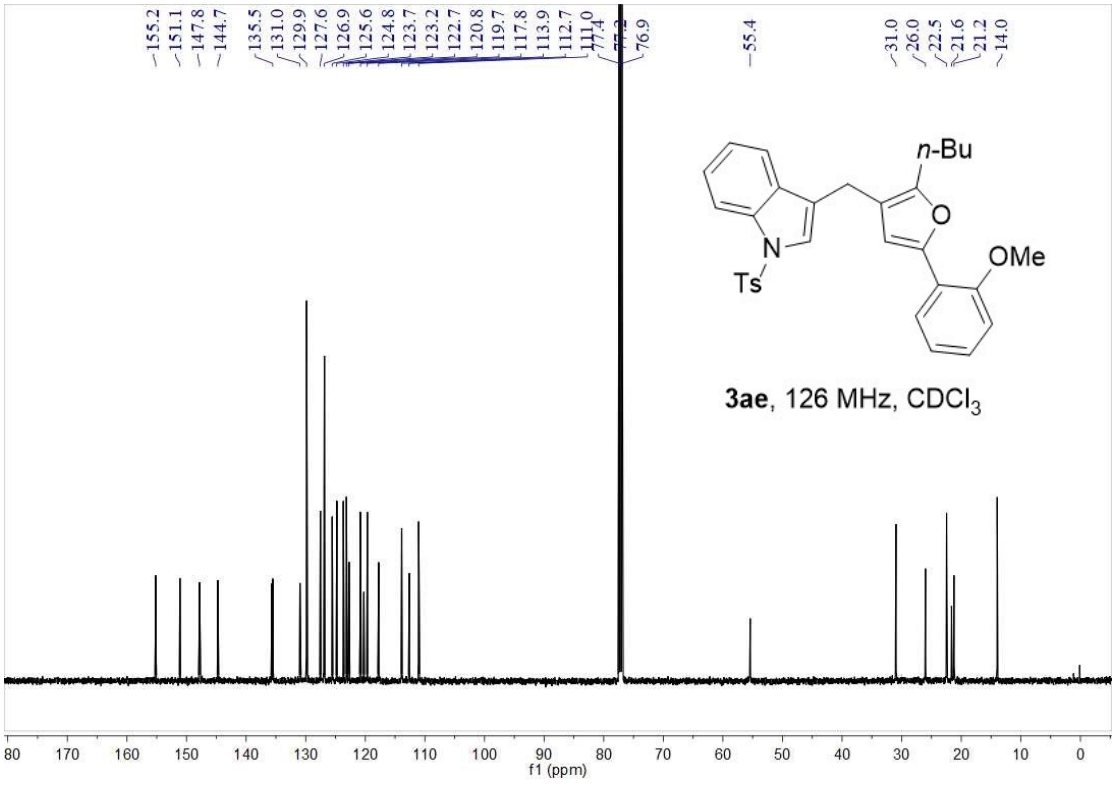

n-Bu
O
N
Ts
CF3
3ac, 126 MHz, CDCl3
f1 (ppm)

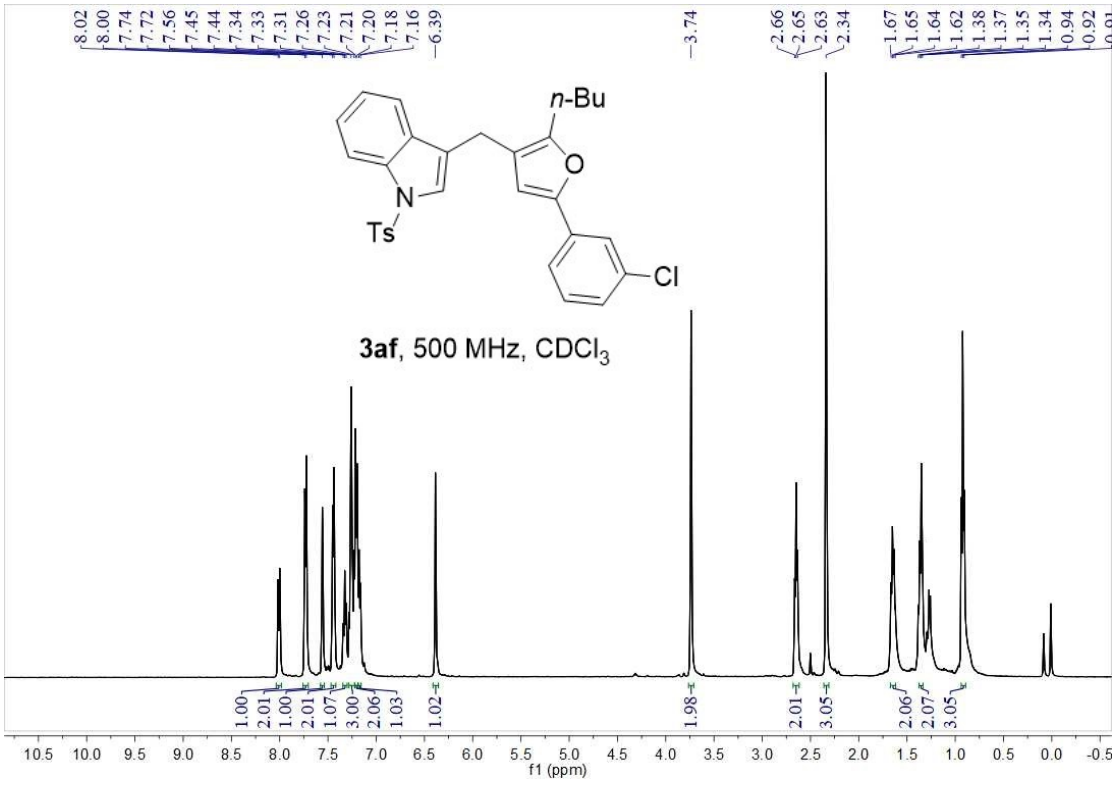

-59.92
n-Bu
O
N
Ts
CF3
3ac, 470 MHz, CDCl3
10 5 0 -5 -15 -25 -35 -45 -55 -65 -75 -85 -95 -105 -115
f1 (ppm)

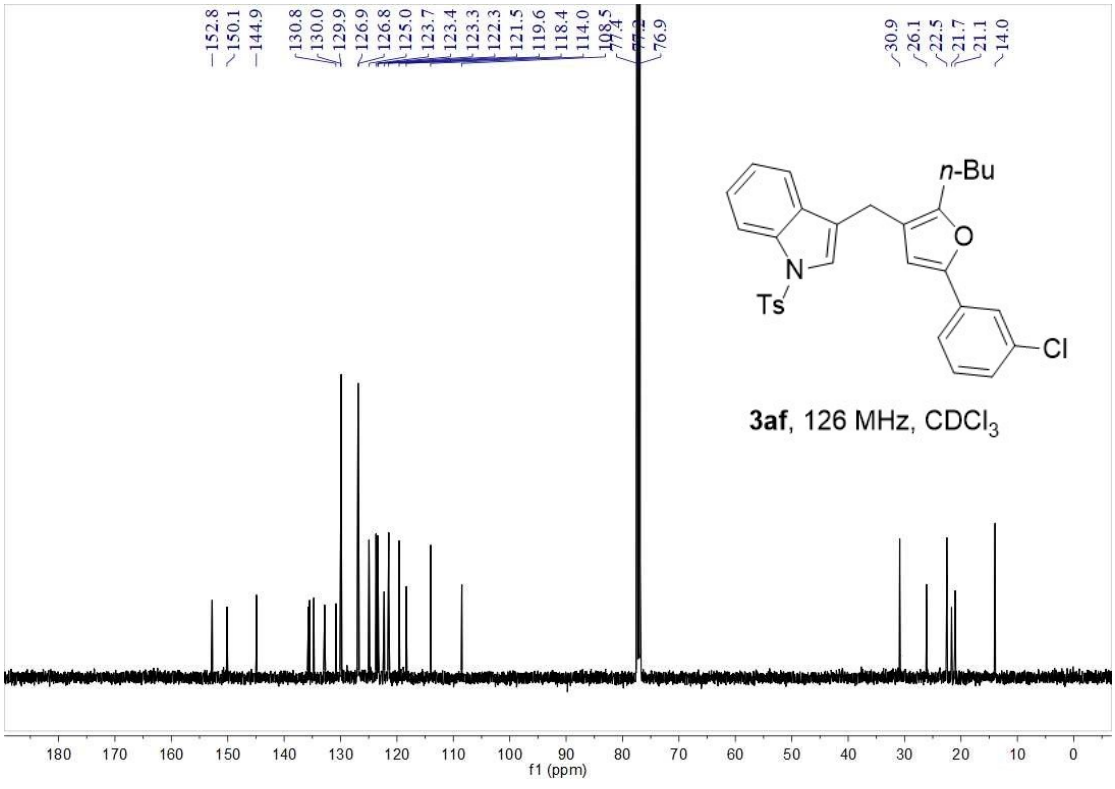

n-Bu
O
N
Ts
Cl
3ad, 500 MHz, CDCl3
f1 (ppm)

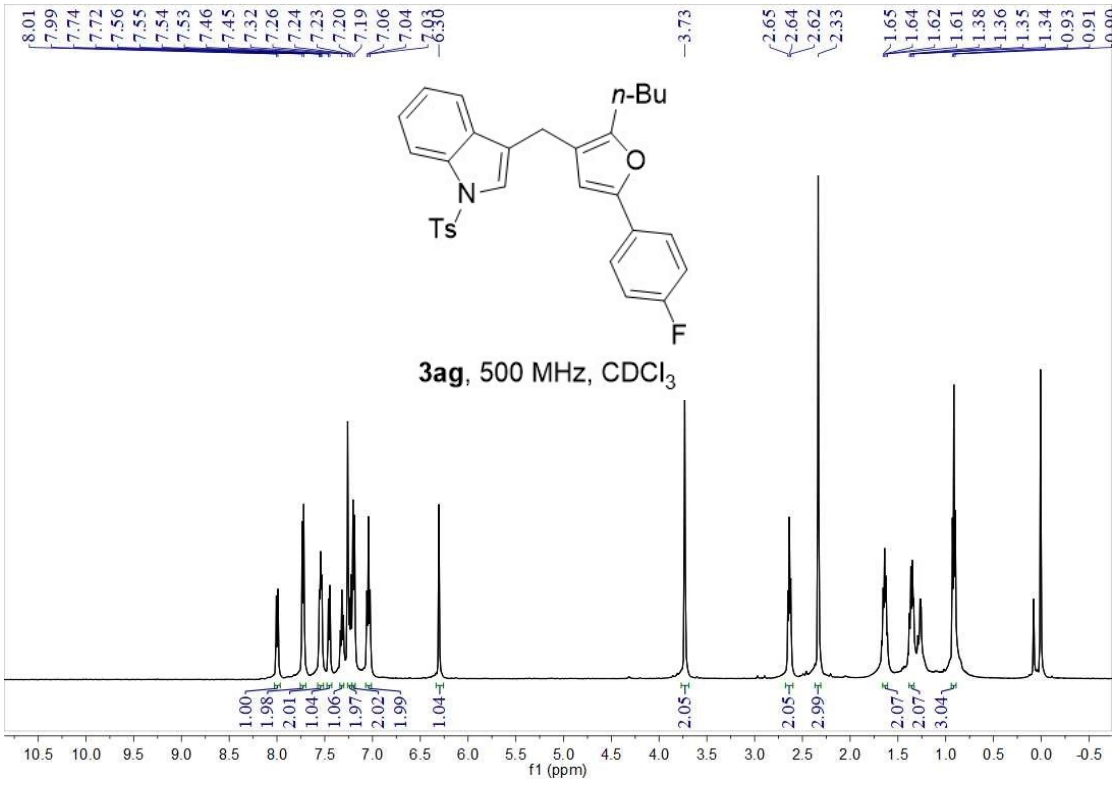

n-Bu
O
N
Ts
Cl
3ad, 126 MHz, CDCl3
f1 (ppm)

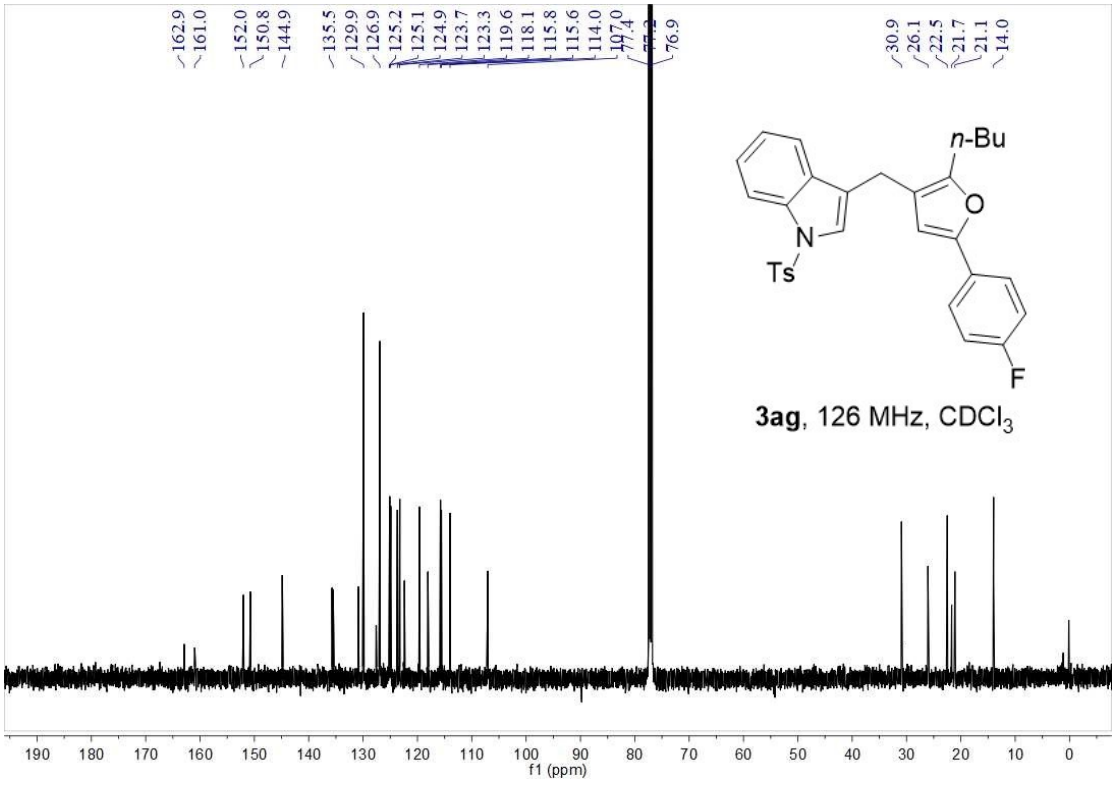

n-Bu
O
N
Ts
OMe
3ae, 500 MHz, CDCl3
f1 (ppm)

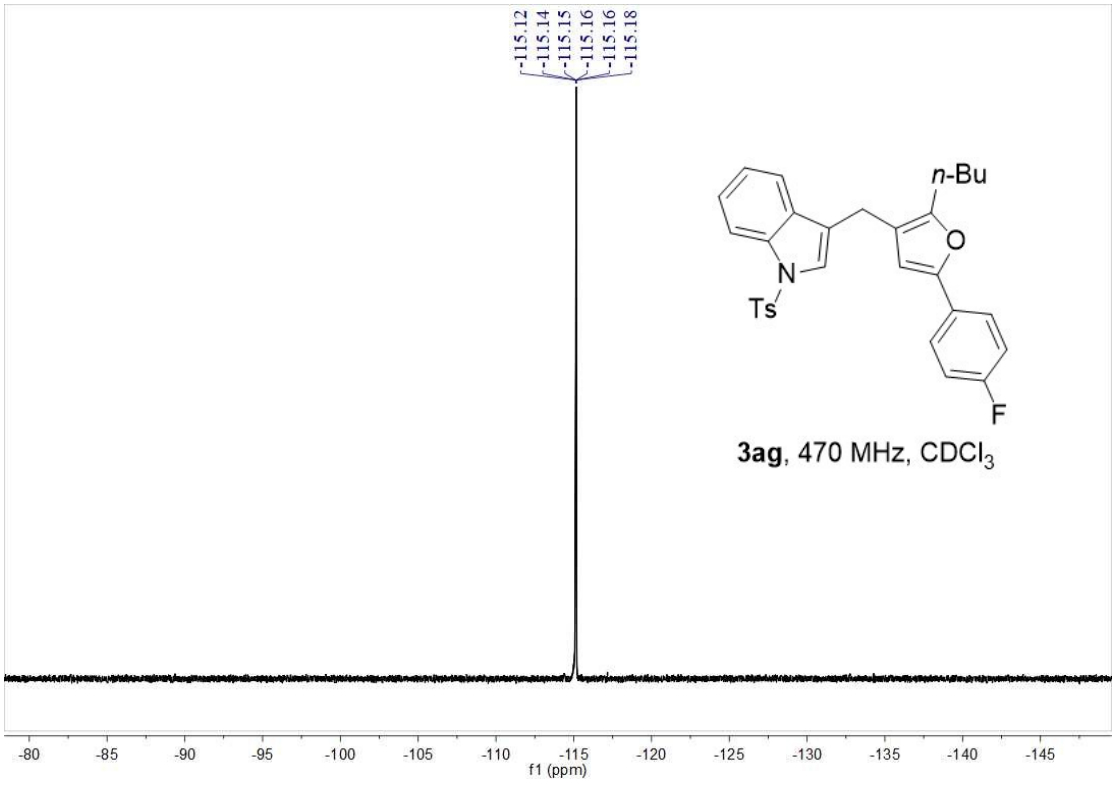

n-Bu
O
N
Ts
OMe
3ae, 126 MHz, CDCl3
f1 (ppm)

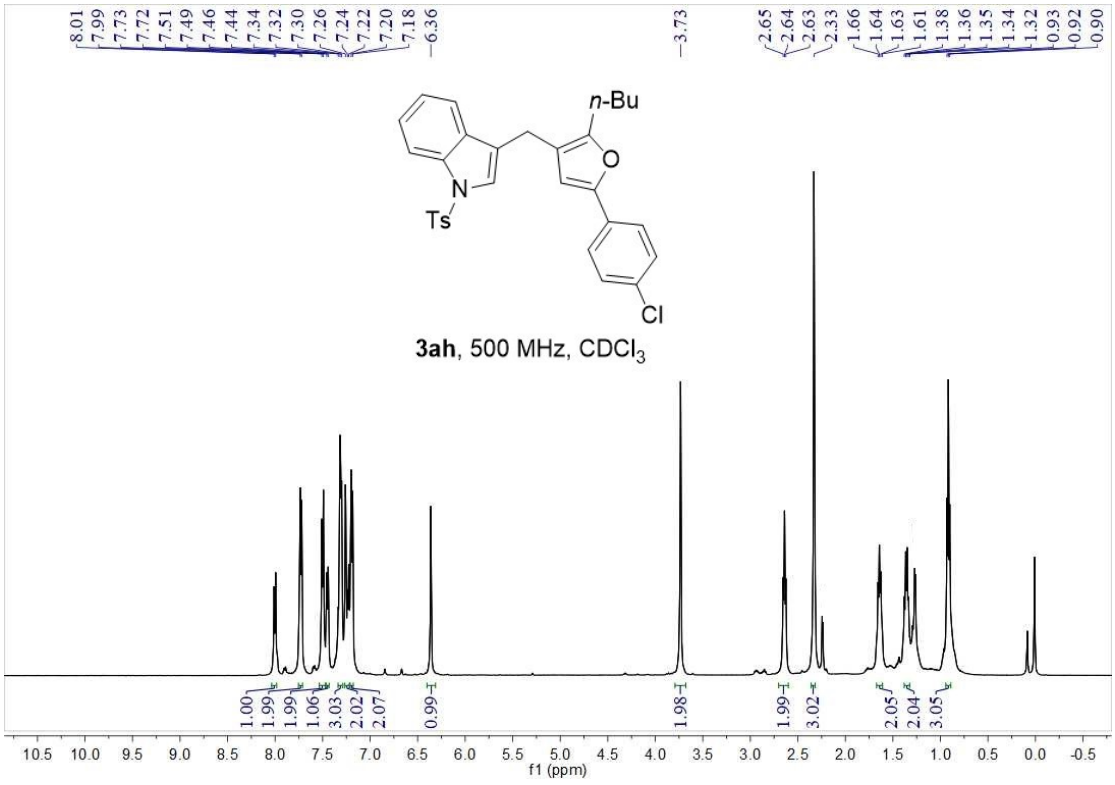

n-Bu
O
N
Ts
Cl
3af, 500 MHz, CDCl3
f1 (ppm)

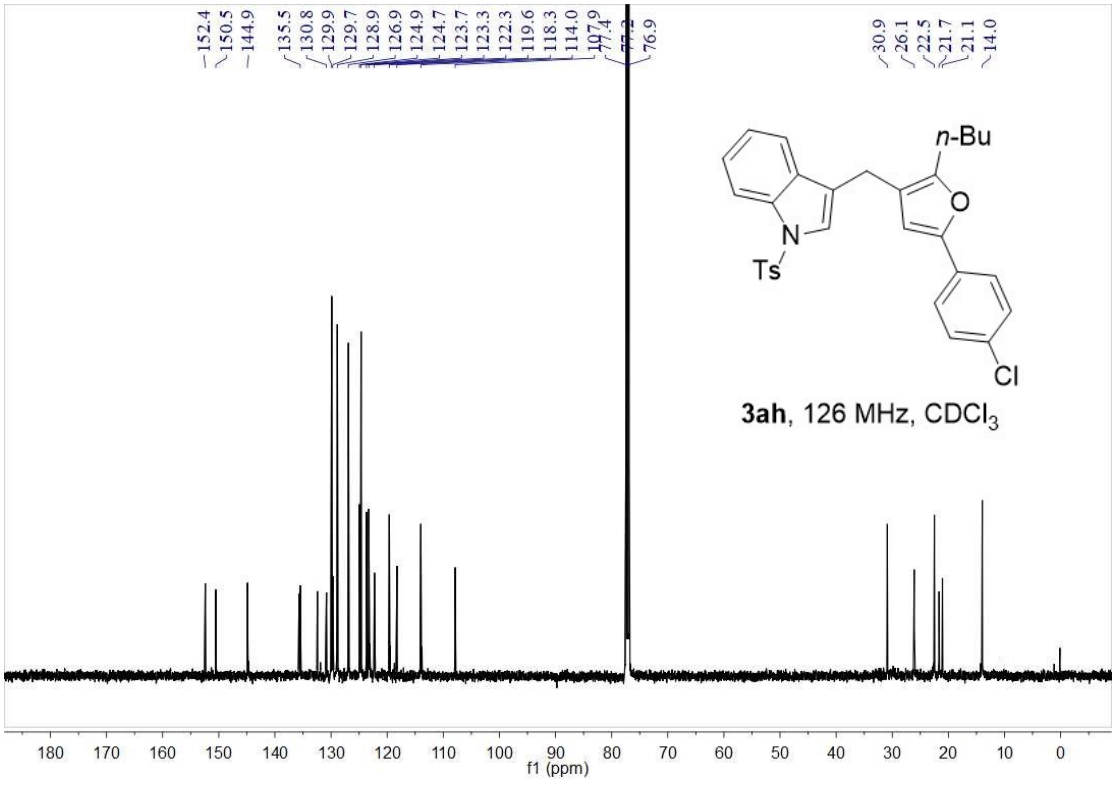

n-Bu
O
N
Ts
Cl
3af, 126 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
F
3ag, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
F
3ag, 126 MHz, CDCl3
f1 (ppm)


-115.12
-115.14
-115.15
-115.16
-115.16
-115.18
n-Bu
O
N
Ts
F
3ag, 470 MHz, CDCl3
-80
-85
-90
-95
-100
-105
-110
-115
-120
-125
-130
-135
-140
-145
f1 (ppm)


8.01
7.99
7.73
7.72
7.51
7.49
7.46
7.44
7.34
7.32
7.30
7.26
7.24
7.22
7.20
7.18
6.36
3.73
2.65
2.64
2.63
2.33
1.66
1.64
1.63
1.61
1.38
1.36
1.35
1.34
1.32
0.93
0.92
0.90
n-Bu
O
N
Ts
Cl
3ah, 500 MHz, CDCl3
1.00
1.99
1.99
1.06
3.03
2.02
2.07
0.99
1.98
1.99
3.02
2.05
2.04
3.05
f1 (ppm)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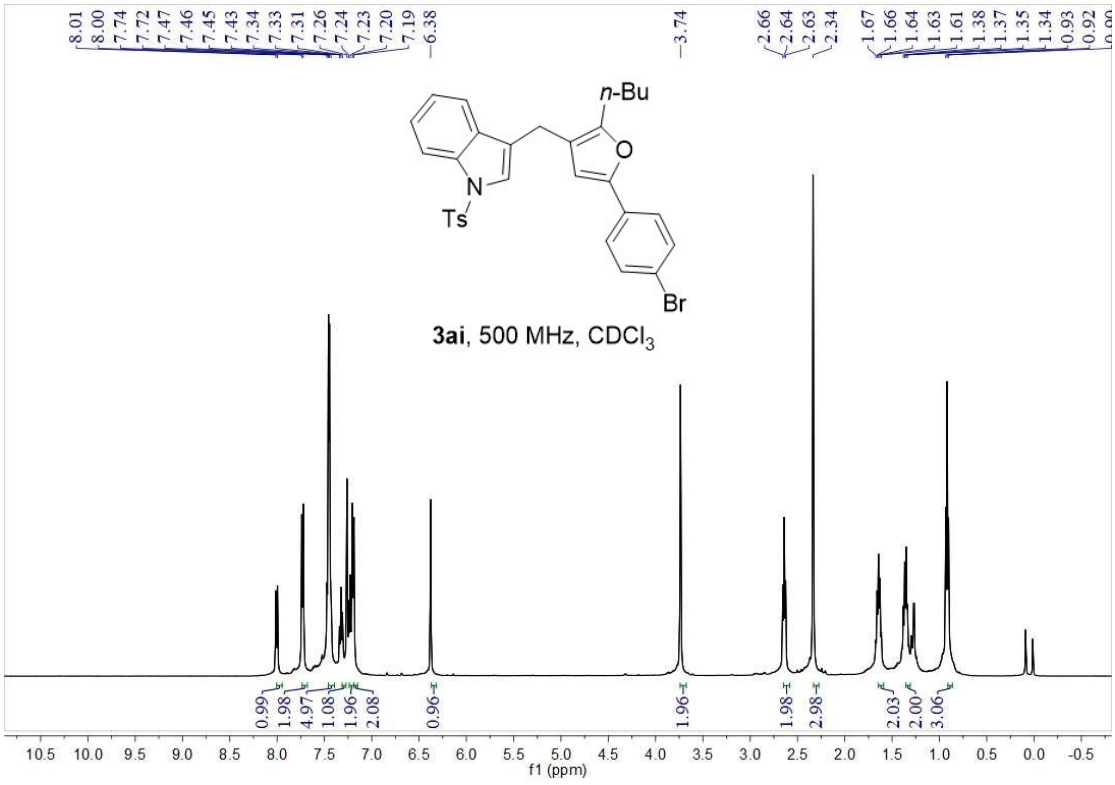
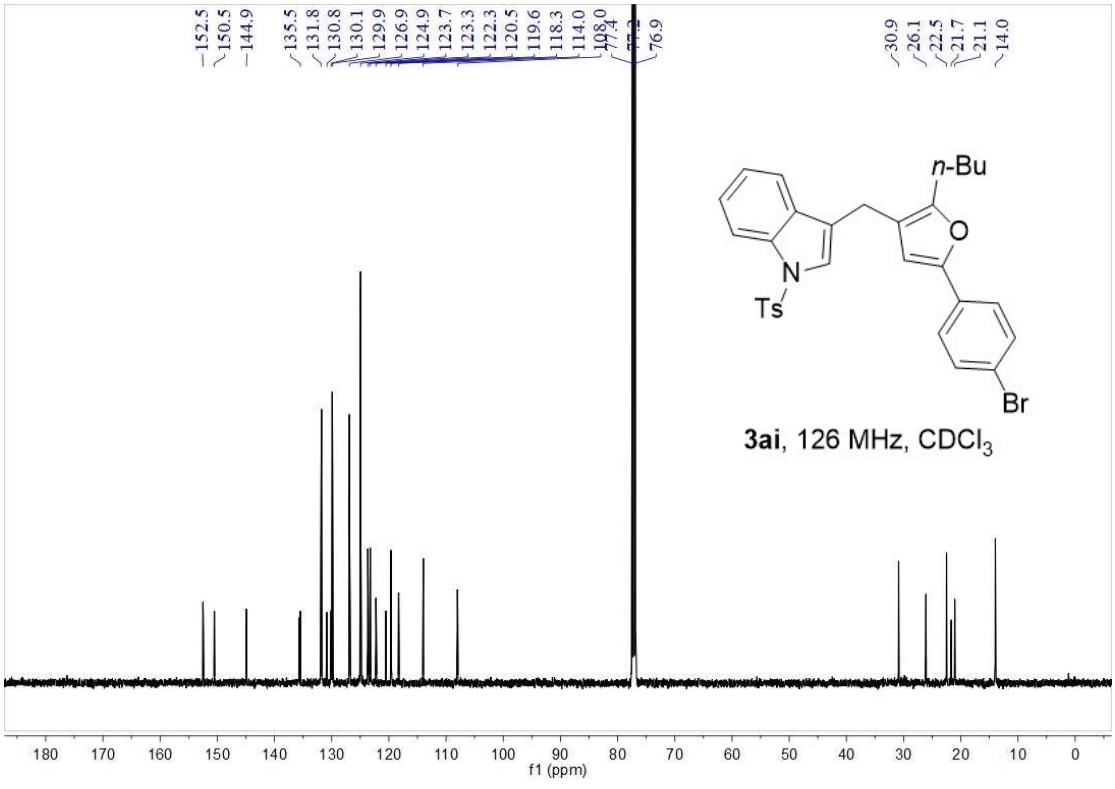
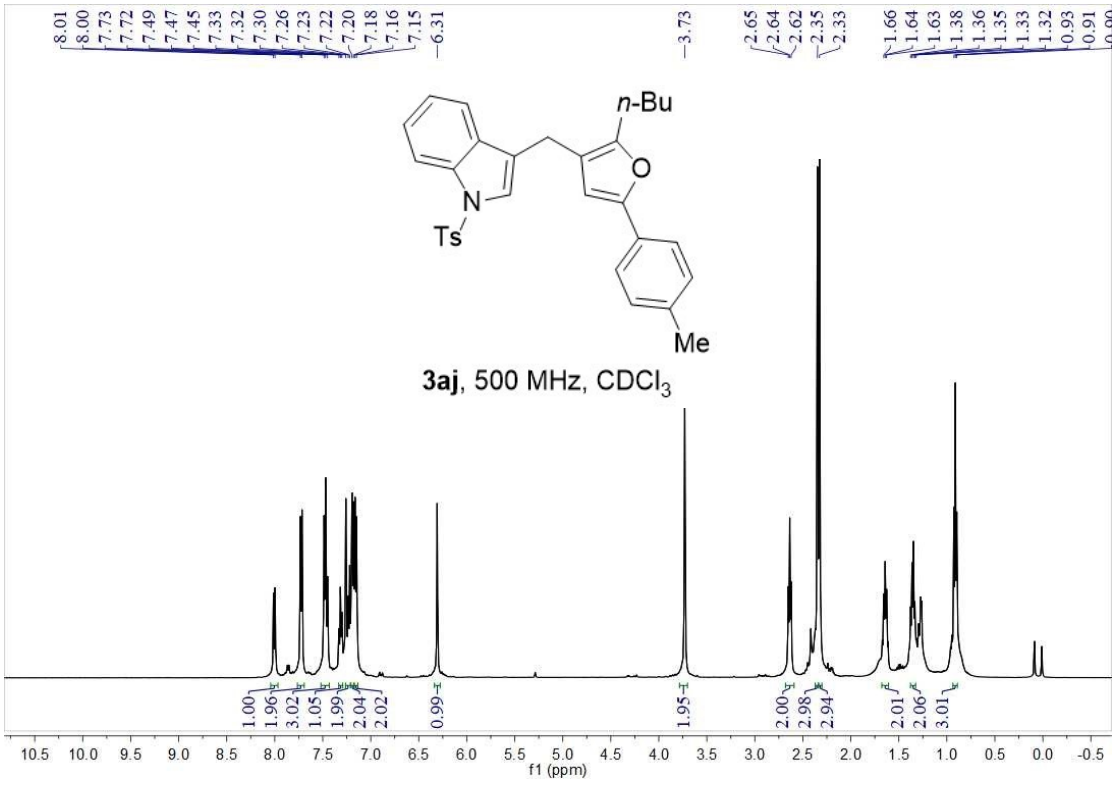
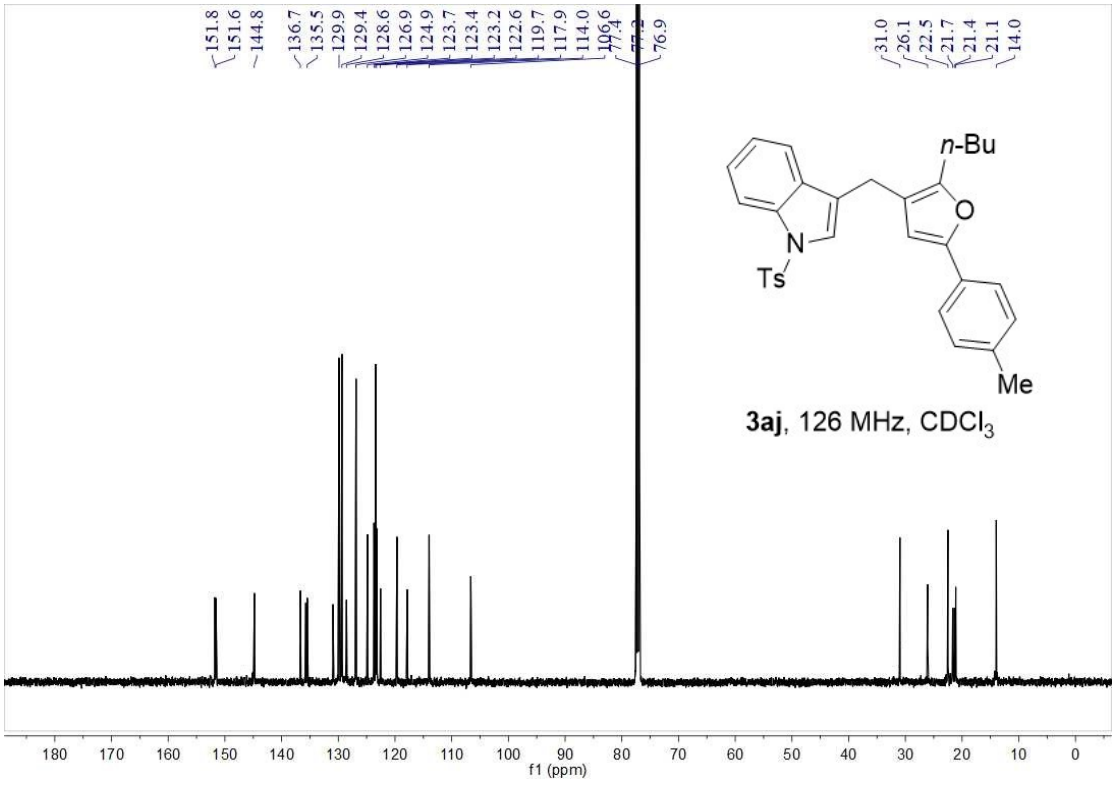
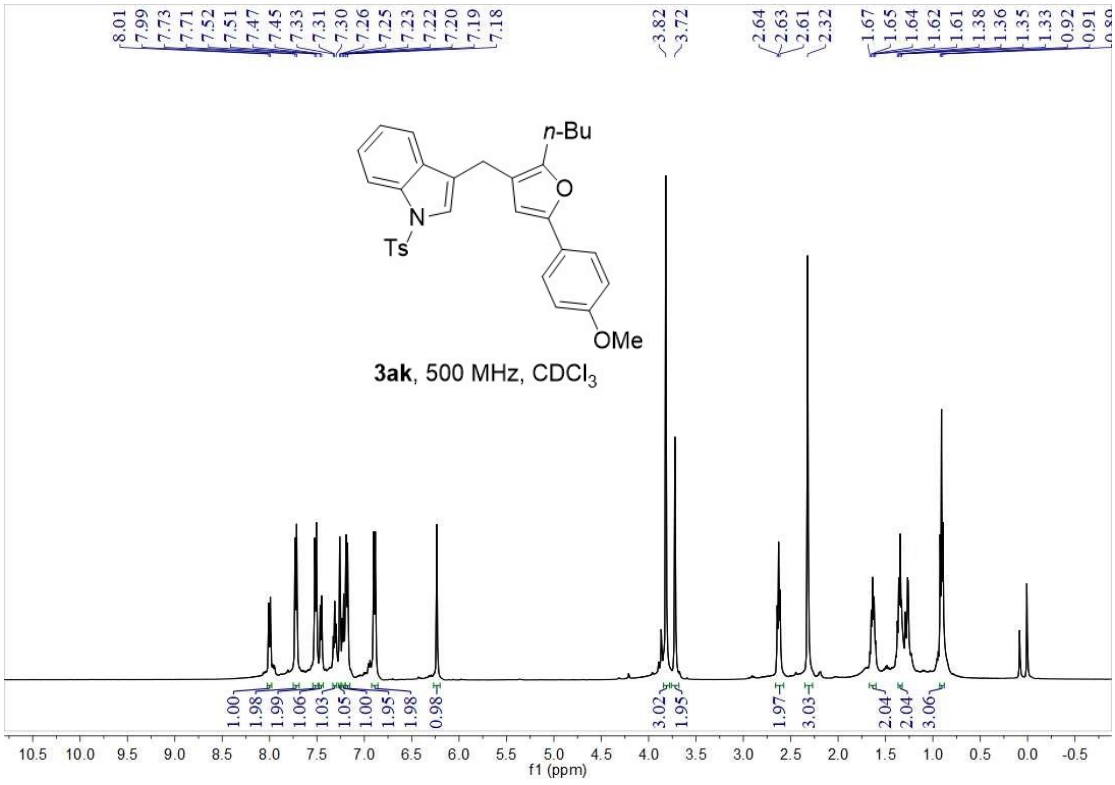
150.5
144.9
135.5
130.8
129.9
129.7
128.9
126.9
124.9
124.7
123.7
123.3
122.3
119.6
118.3
114.0
107.9
77.4
77.3
76.9
30.9
26.1
22.5
21.7
21.1
14.0
n-Bu
O
N
Ts
Cl
3ah, 126 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
Br
3ai, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
Br
3ai, 126 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
Me
3aj, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
Me
3aj, 126 MHz, CDCl3
f1 (ppm)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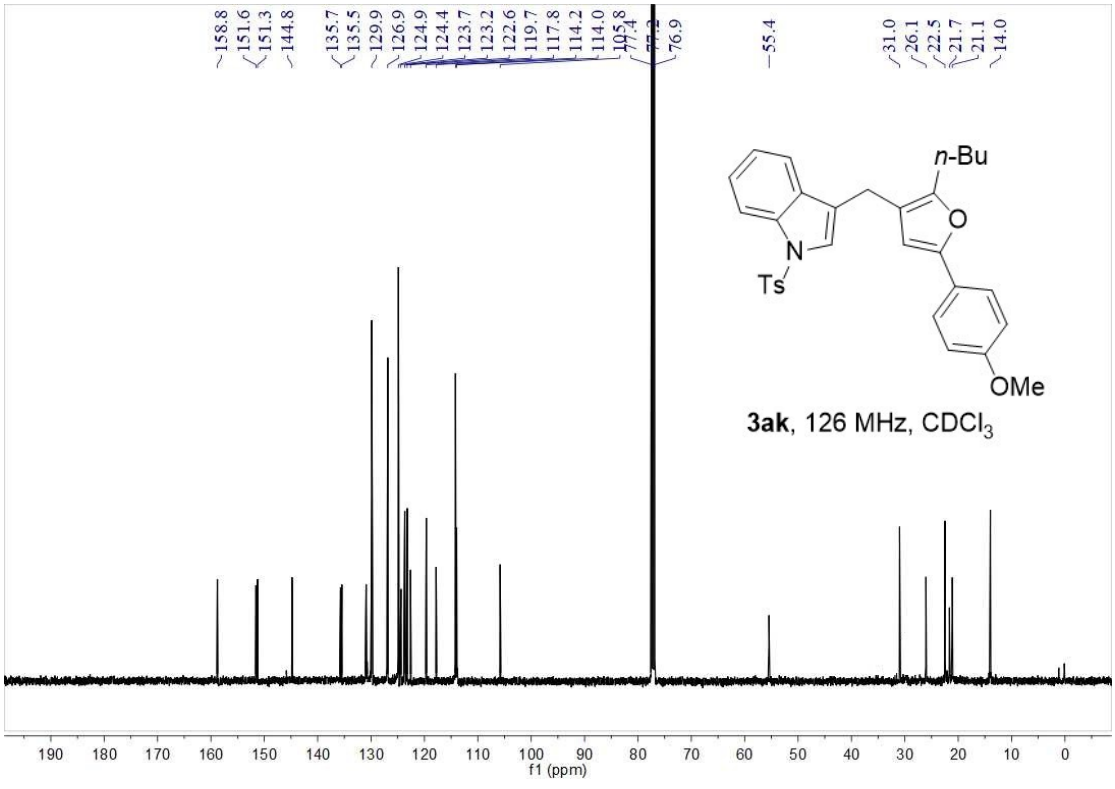
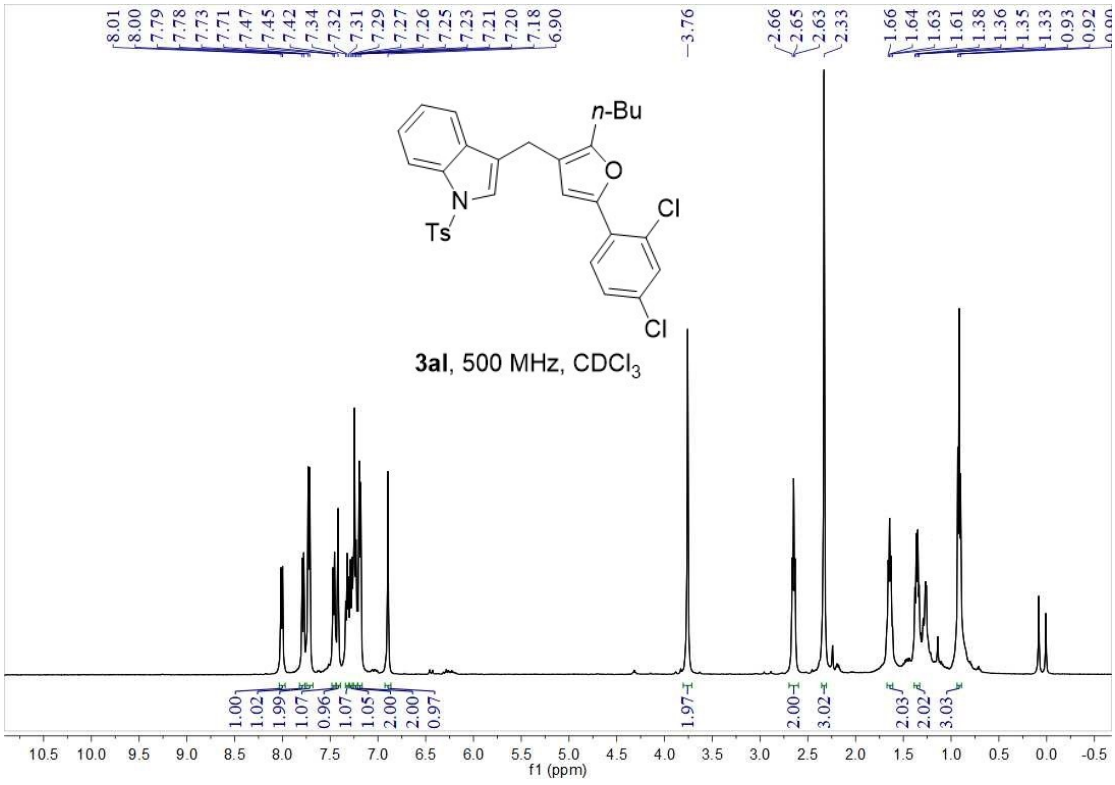
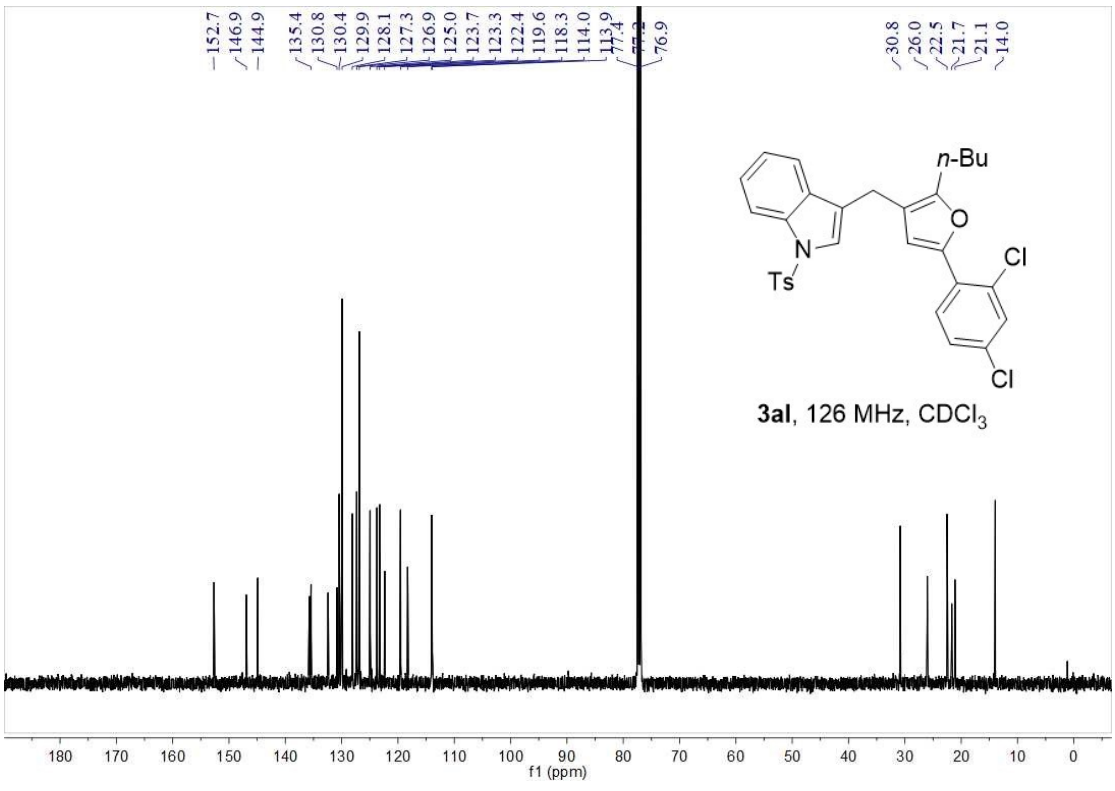
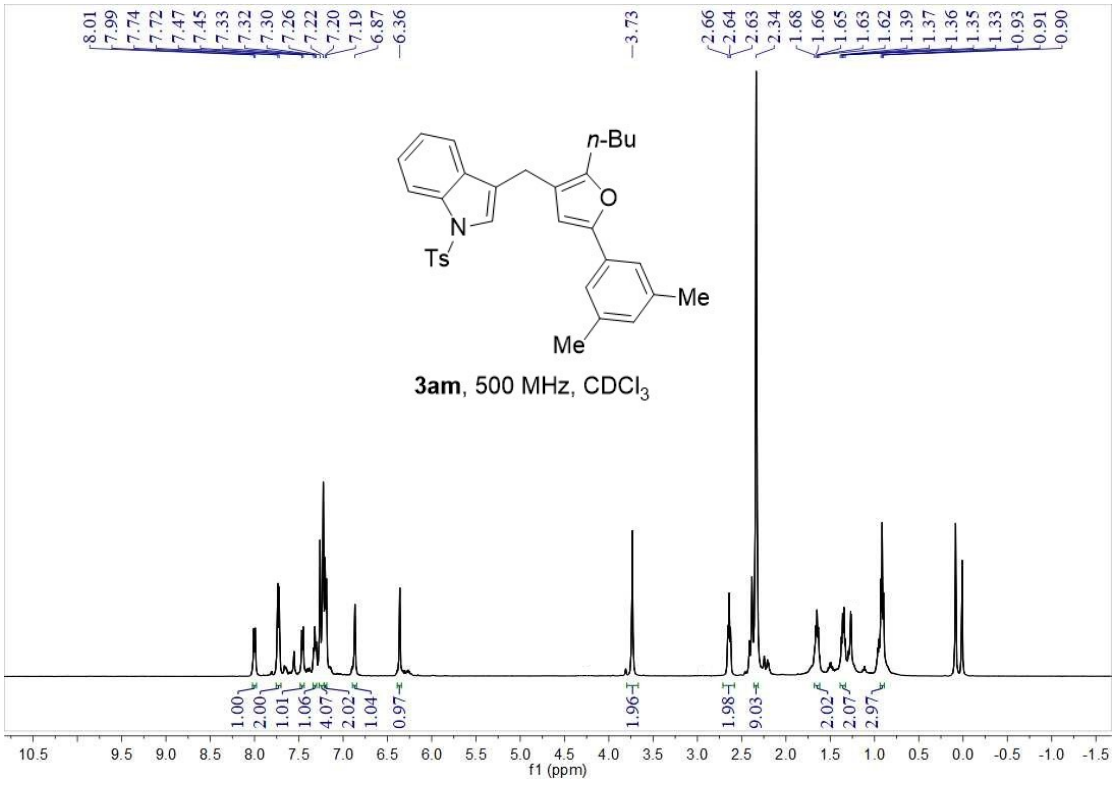
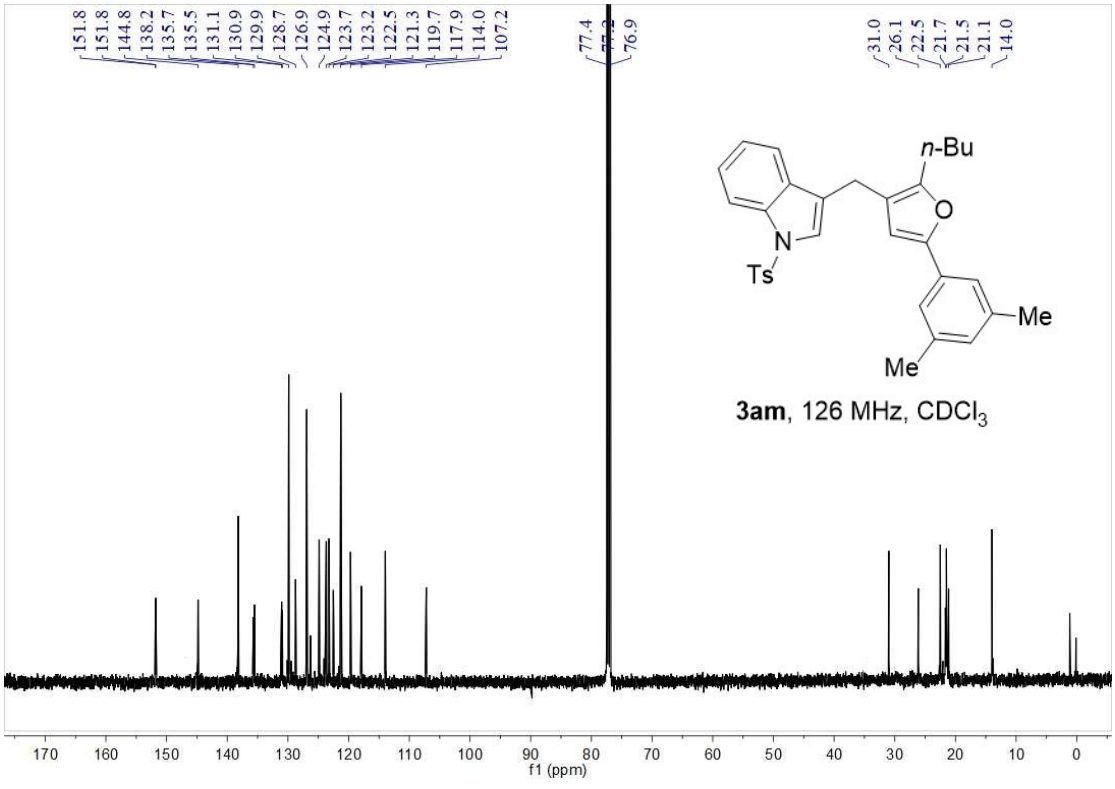
7.99
7.73
7.71
7.52
7.51
7.47
7.45
7.33
7.31
7.30
7.26
7.25
7.23
7.22
7.20
7.19
7.18
3.82
3.72
2.64
2.63
2.61
2.32
1.67
1.65
1.64
1.62
1.61
1.38
1.36
1.35
1.33
0.92
0.91
n-Bu
O
N
Ts
OMe
3ak, 500 MHz, CDCl3
1.00
1.98
1.99
1.06
1.03
1.05
1.00
1.95
1.98
0.98
3.02
1.95
1.97
3.03
2.04
2.04
3.06
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


158.8
151.6
151.3
144.8
135.7
135.5
129.9
126.9
124.9
124.4
123.7
123.2
122.6
119.7
117.8
114.2
114.0
105.8
77.4
76.9
55.4
31.0
26.1
22.5
21.7
21.1
14.0
n-Bu
O
N
Ts
OMe
3ak, 126 MHz, CDCl3
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


n-Bu
O
N
Ts
Cl
Cl
3al, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
Cl
Cl
3al, 126 MHz, CDCl3
f1 (ppm)


8.01
7.99
7.74
7.72
7.47
7.45
7.33
7.32
7.30
7.26
7.22
7.20
7.19
6.87
6.36
3.73
2.66
2.64
2.63
2.34
1.68
1.66
1.65
1.63
1.62
1.39
1.37
1.36
1.35
1.33
0.93
0.91
0.90
n-Bu
O
N
Ts
Me
Me
3am, 500 MHz, CDCl3
1.00
2.00
1.01
1.06
4.07
2.02
1.04
0.97
1.96
1.98
9.03
2.02
2.07
2.97
f1 (ppm)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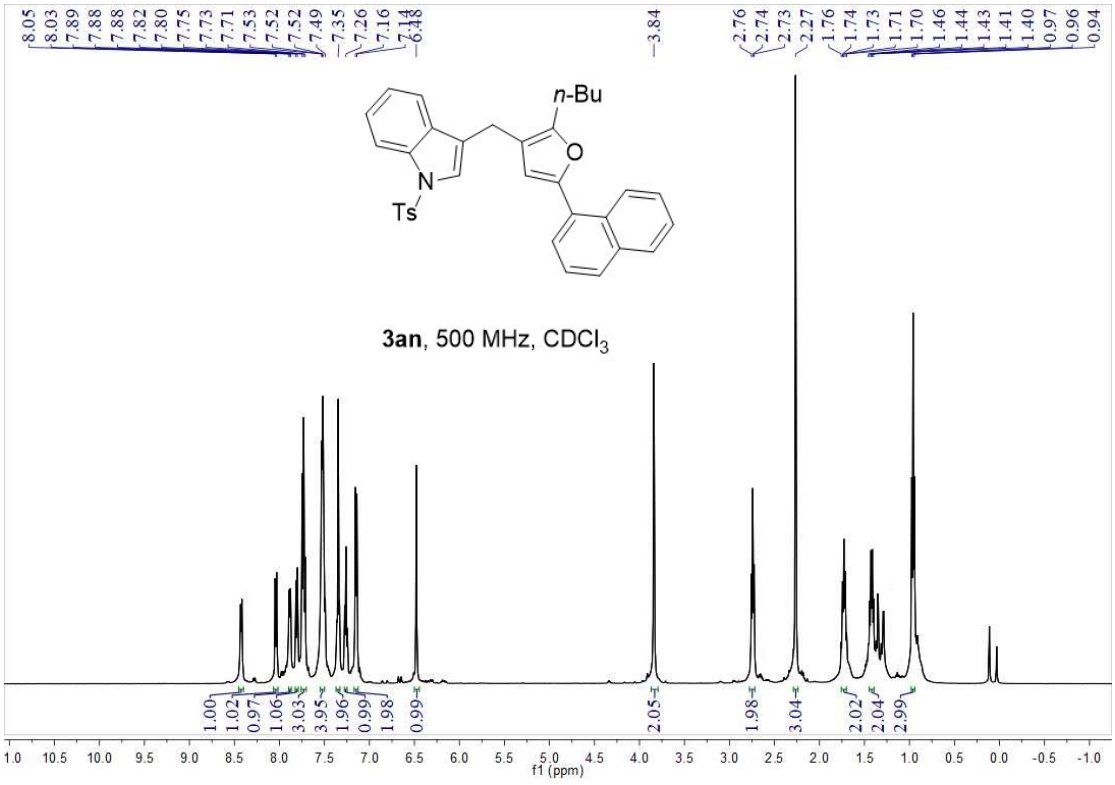
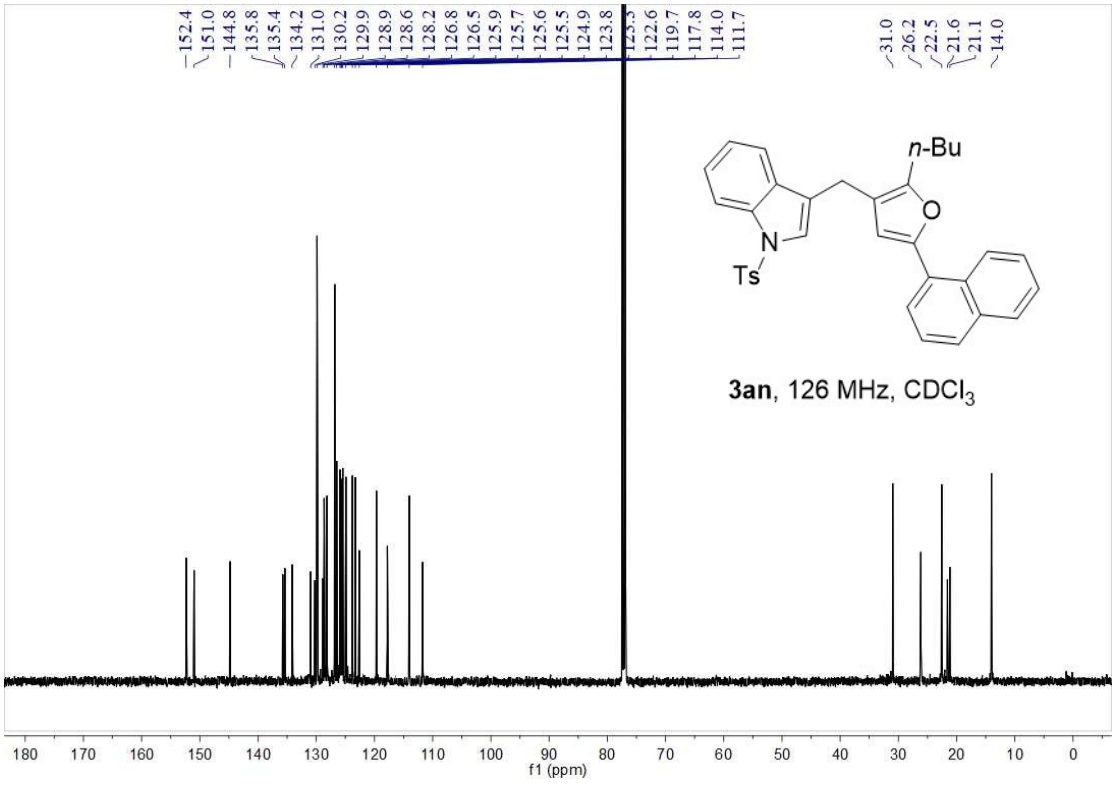
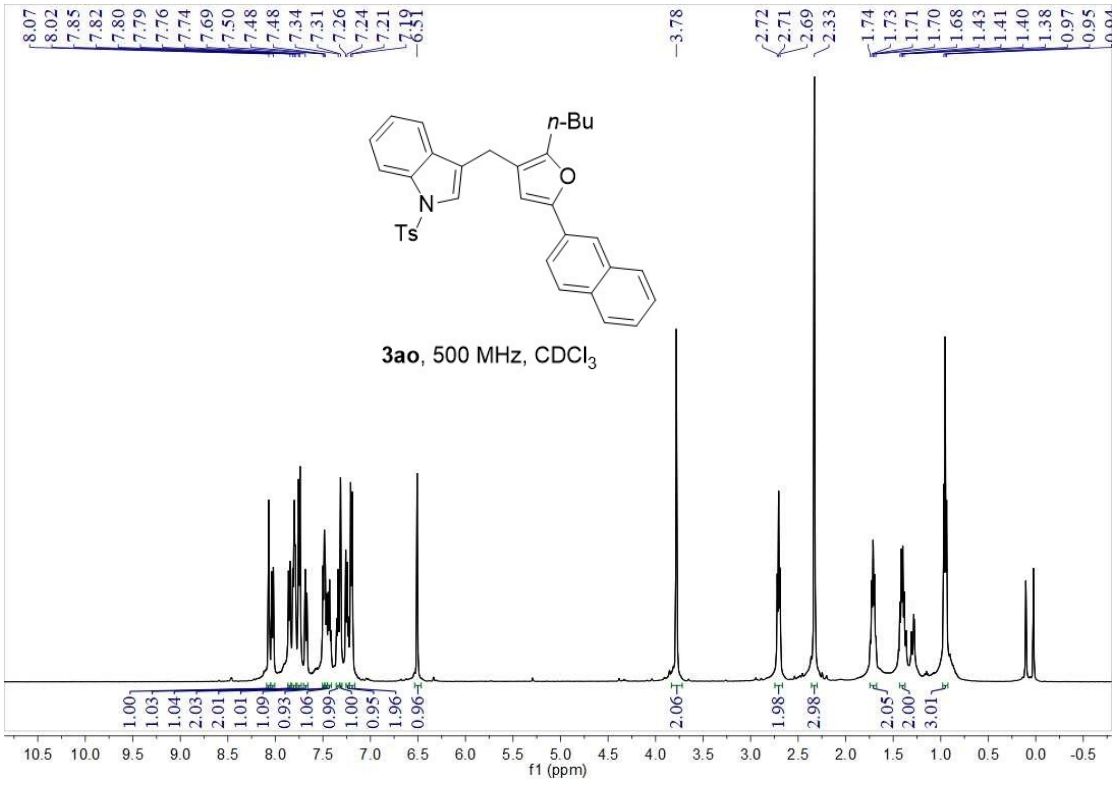
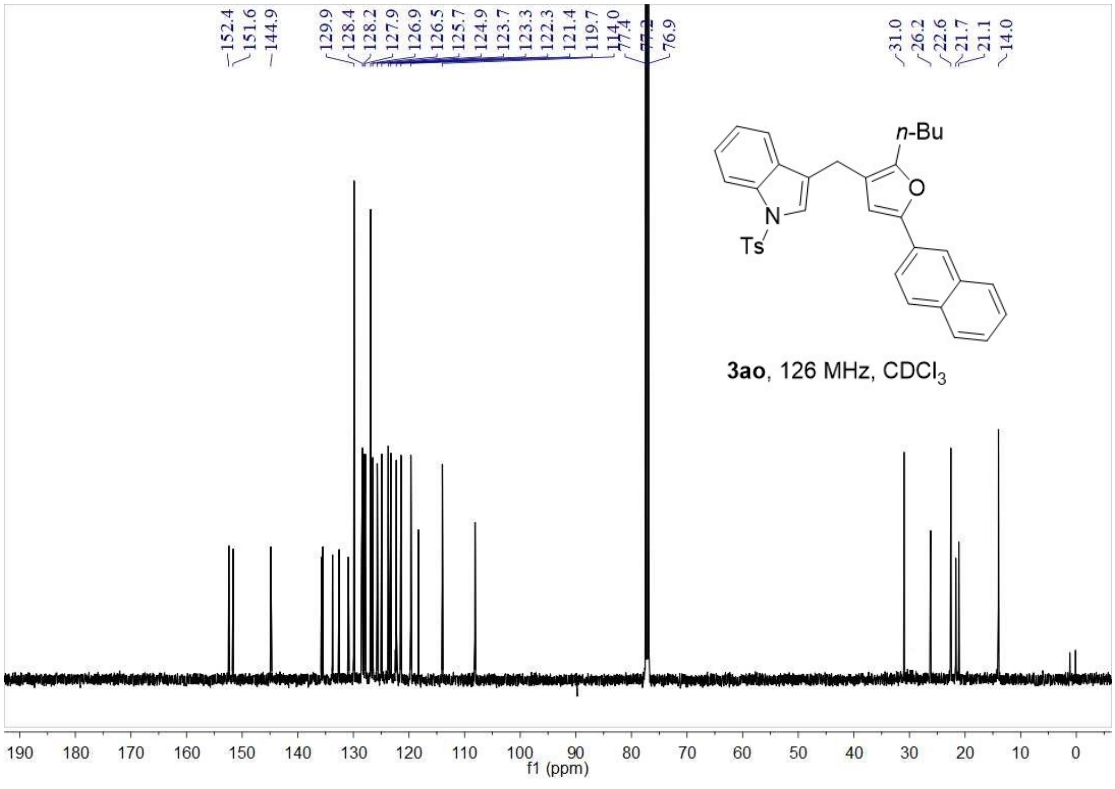
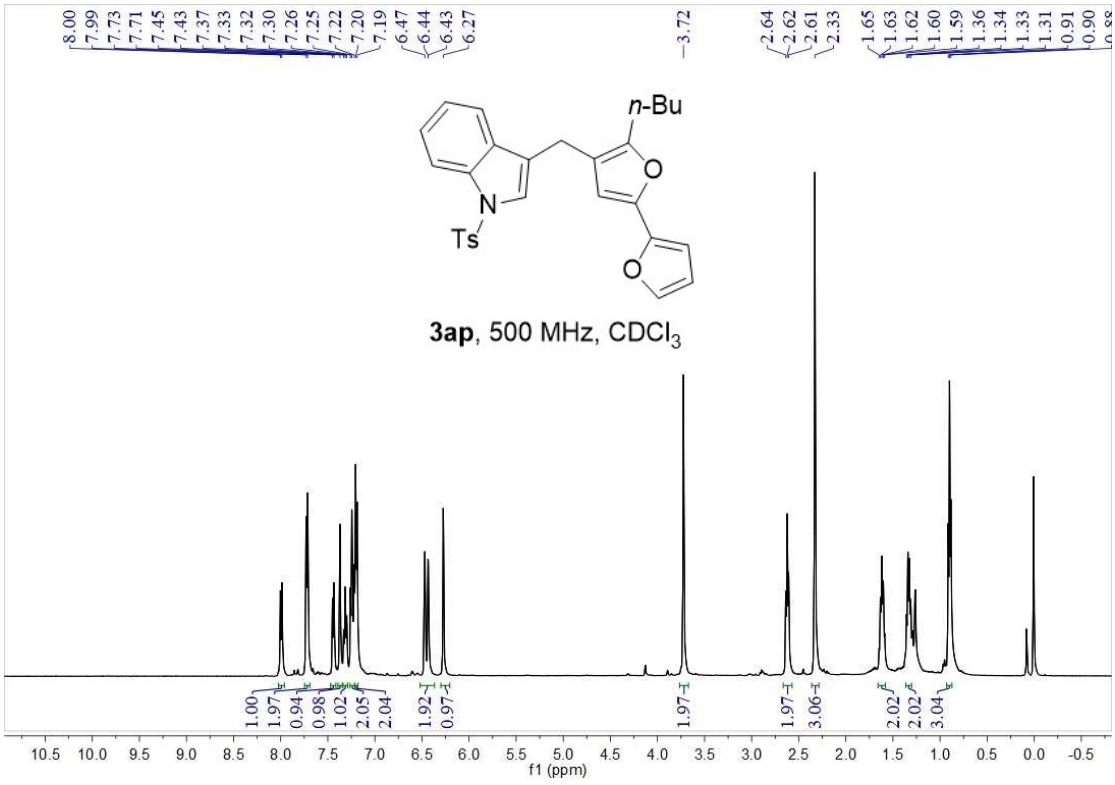
151.8
144.8
138.2
135.7
135.5
131.1
130.9
129.9
128.7
126.9
124.9
123.7
123.2
122.5
121.3
119.7
117.9
114.0
107.2
77.4
76.9
31.0
26.1
22.5
21.7
21.5
21.1
14.0
n-Bu
O
N
Ts
Me
Me
3am, 126 MHz, CDCl3
f1 (ppm)

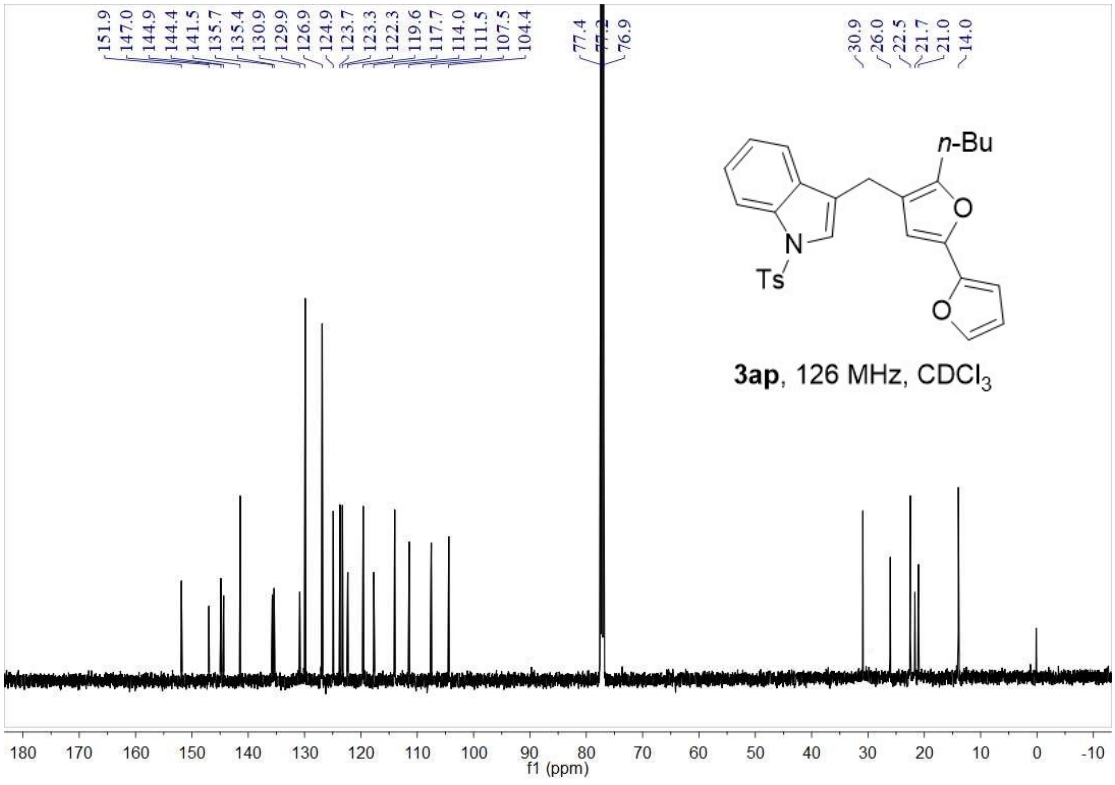

n-Bu
O
N
Ts
3an, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
3an, 126 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
3ao, 500 MHz, CDCl3
f1 (ppm)


n-Bu
O
N
Ts
3ao, 126 MHz, CDCl3
f1 (ppm)


8.00
7.99
7.73
7.71
7.45
7.43
7.37
7.33
7.32
7.30
7.26
7.25
7.22
7.20
7.19
6.47
6.44
6.43
6.27
3.72
2.64
2.62
2.61
2.33
1.65
1.63
1.62
1.60
1.59
1.36
1.34
1.33
1.31
0.91
0.90
n-Bu
N
Ts
O
O
3ap, 500 MHz, CDCl3
1.00
1.97
0.94
0.98
1.02
2.05
2.04
1.92
0.97
1.97
1.97
3.06
2.02
2.02
3.04
f1 (ppm)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144.9
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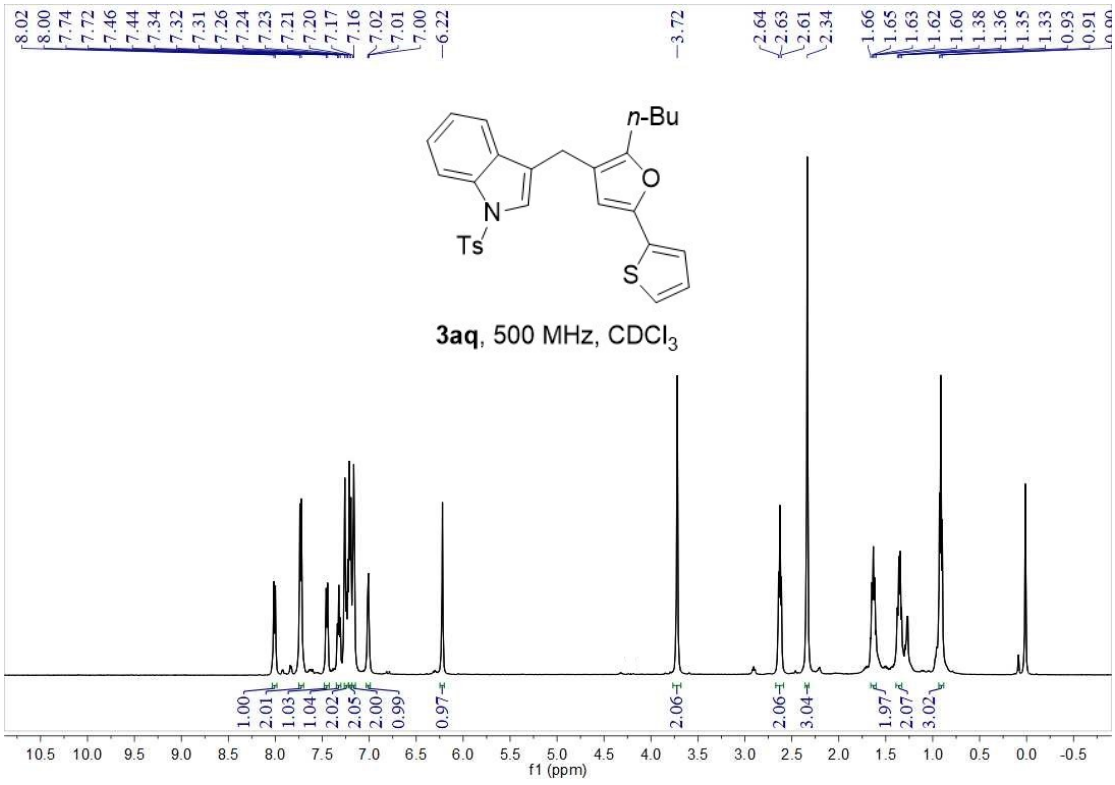
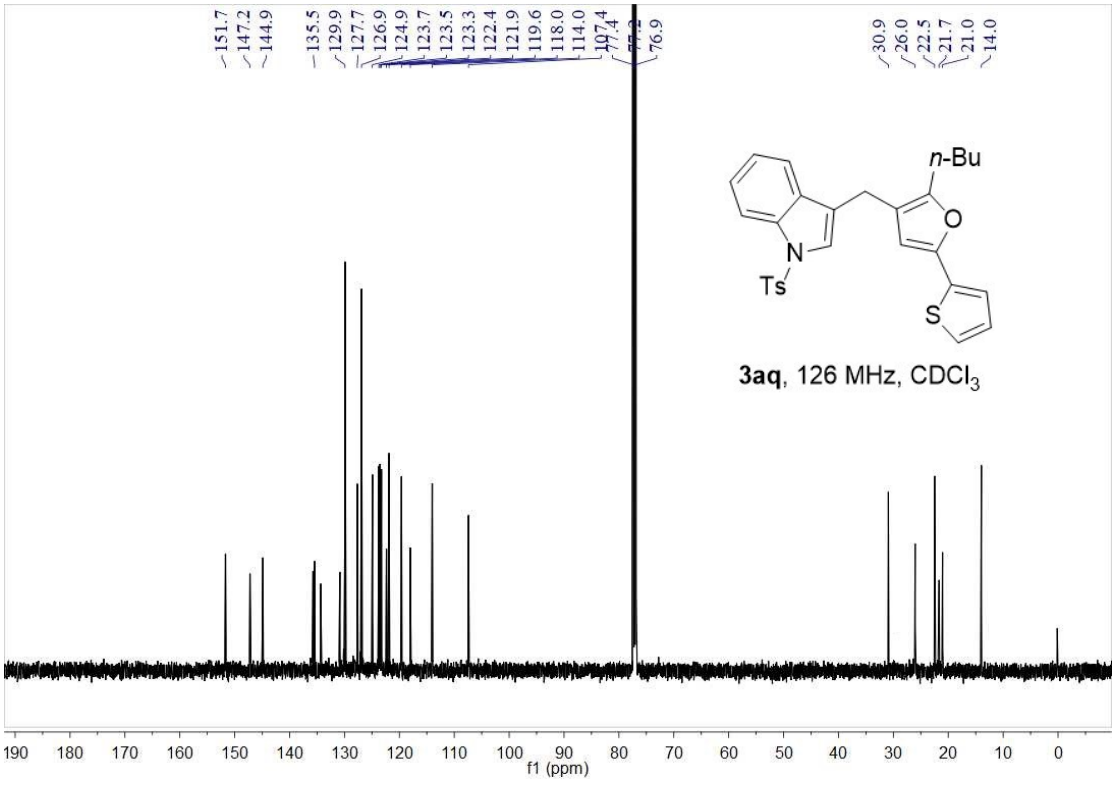
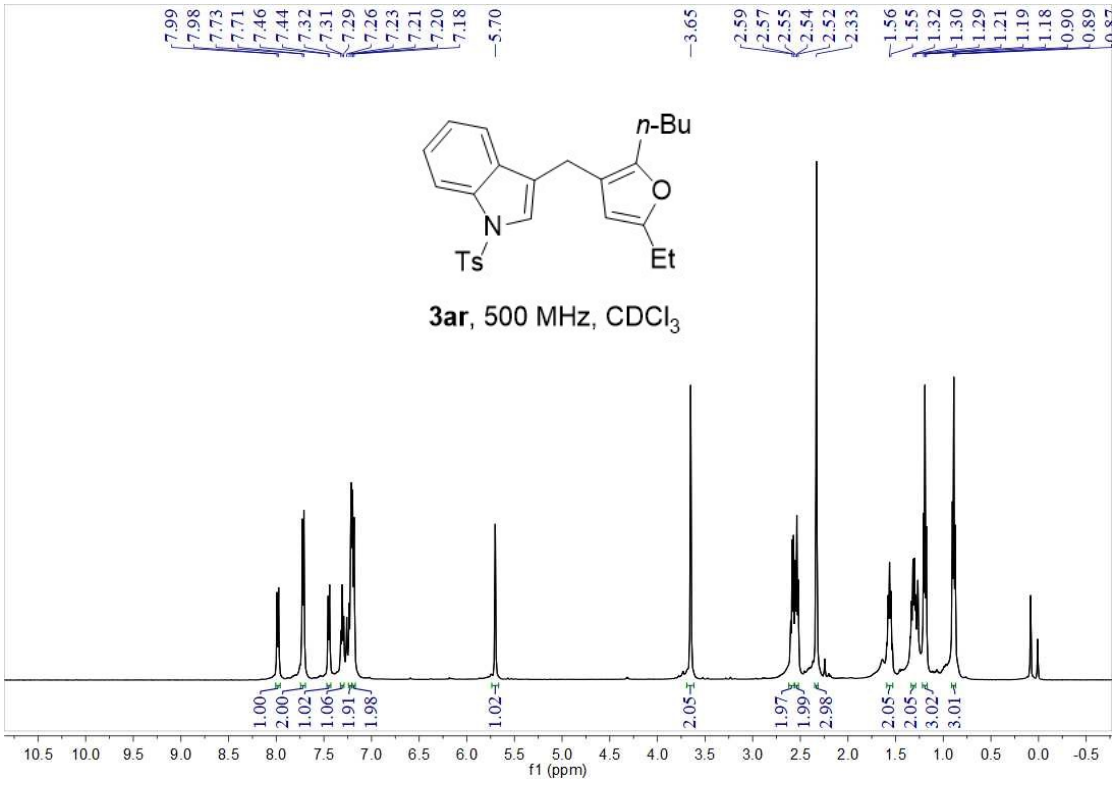
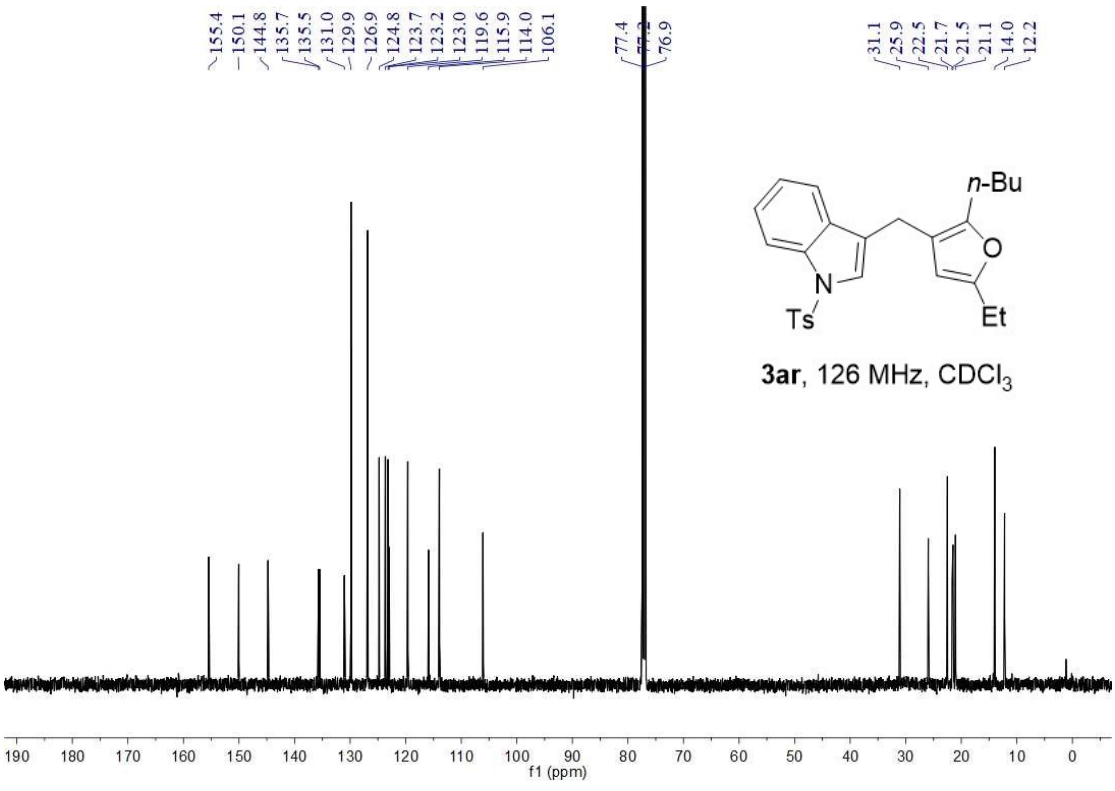
141.5
135.7
135.4
130.9
129.9
126.9
124.9
123.7
123.3
122.3
119.6
117.7
114.0
111.5
107.5
104.4
77.4
76.9
30.9
26.0
22.5
21.7
21.0
14.0
n-Bu
N
Ts
O
O
3ap, 126 MHz, CDCl3
f1 (ppm)


8.02
8.00
7.74
7.72
7.46
7.44
7.34
7.32
7.31
7.26
7.24
7.23
7.21
7.20
7.17
7.16
7.02
7.01
7.00
6.22
3.72
2.64
2.63
2.61
2.34
1.66
1.65
1.63
1.62
1.60
1.38
1.36
1.35
1.33
0.93
0.91
n-Bu
O
N
Ts
S
3aq, 500 MHz, CDCl3
1.00
2.01
1.03
1.04
2.02
2.05
2.00
0.99
0.97
2.06
2.06
3.04
1.97
2.07
3.02
f1 (ppm)


151.7
147.2
144.9
135.5
129.9
127.7
126.9
124.9
123.7
123.5
123.3
122.4
121.9
119.6
118.0
114.0
107.4
76.9
30.9
26.0
22.5
21.7
21.0
14.0
n-Bu
O
N
Ts
S
3aq, 126 MHz, CDCl3
f1 (ppm)


7.99
7.98
7.73
7.71
7.46
7.44
7.32
7.31
7.29
7.26
7.23
7.21
7.20
7.18
5.70
3.65
2.59
2.57
2.55
2.54
2.52
2.33
1.56
1.55
1.32
1.30
1.29
1.21
1.19
1.18
0.90
0.89
n-Bu
O
N
Ts
Et
3ar, 500 MHz, CDCl3
1.00
2.00
1.02
1.06
1.91
1.98
1.02
2.05
1.97
1.99
2.98
2.05
2.05
3.02
3.01
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


155.4
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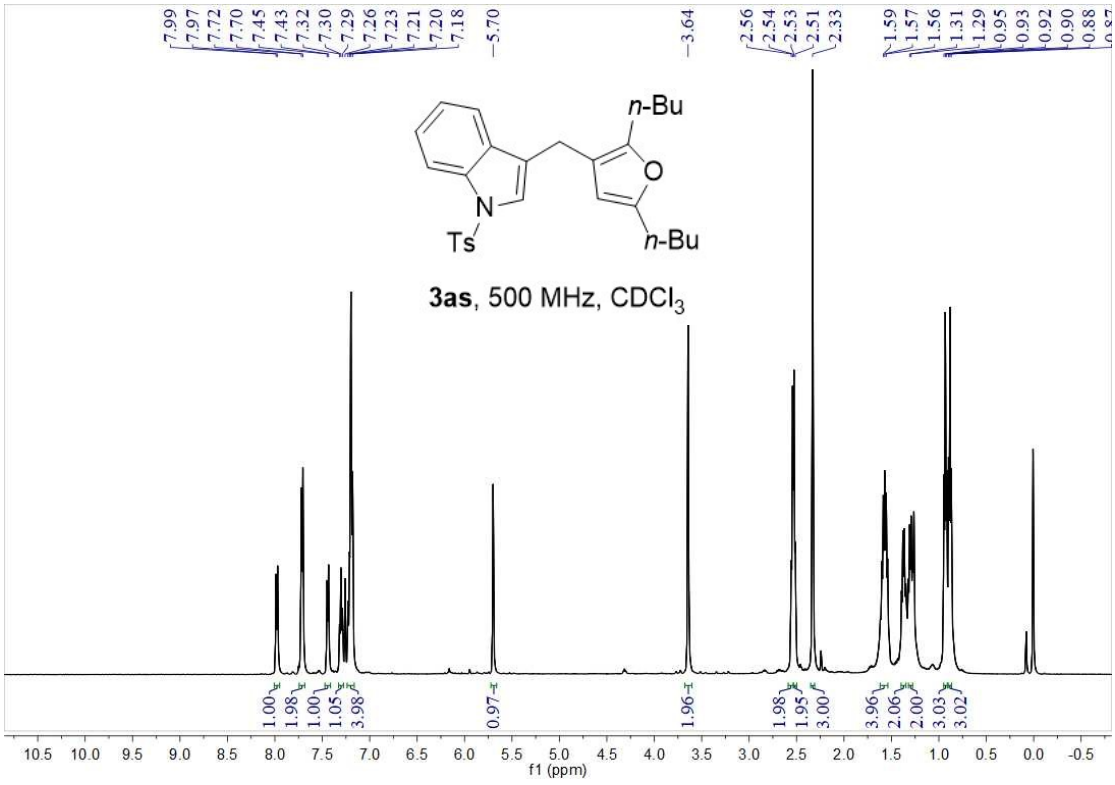
144.8
135.7
135.5
131.0
129.9
126.9
124.8
123.7
123.2
123.0
119.6
115.9
114.0
106.1
77.4
76.9
31.1
25.9
22.5
21.7
21.5
21.1
14.0
12.2
n-Bu
O
N
Ts
Et
3ar, 126 MHz, CDCl3
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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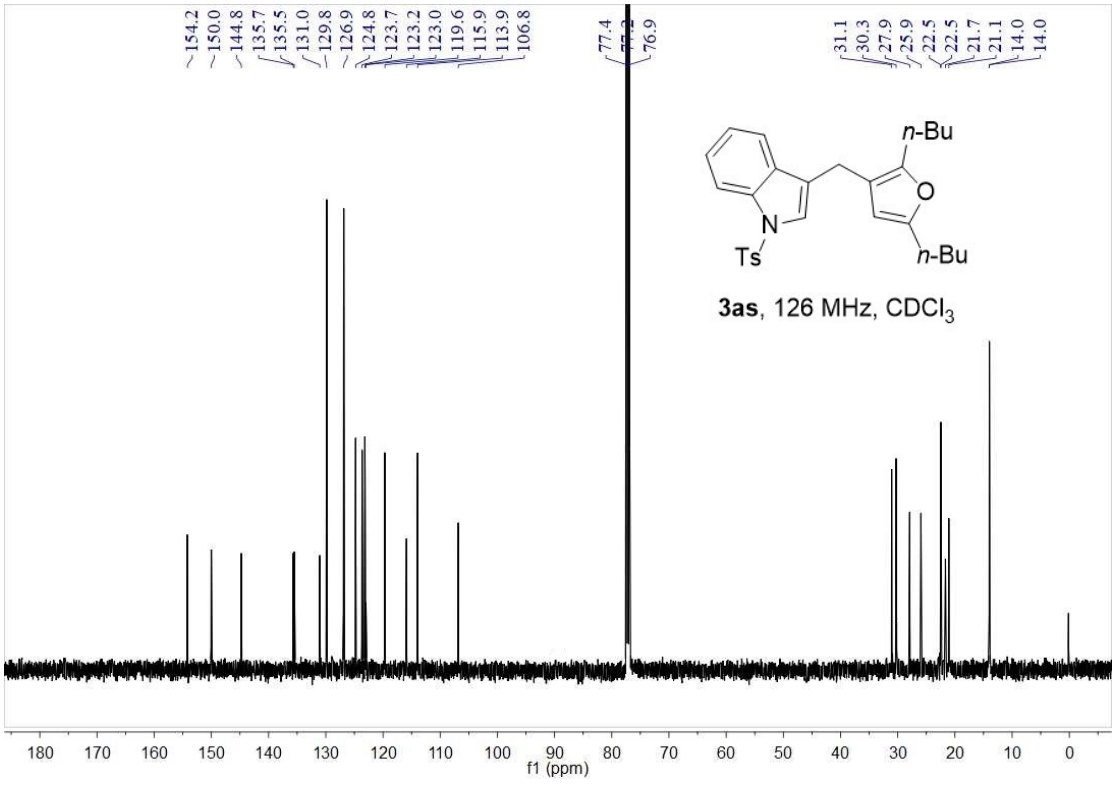
7.97
7.72
7.70
7.45
7.43
7.32
7.30
7.29
7.26
7.23
7.21
7.20
7.18
5.70
3.64
2.56
2.54
2.53
2.51
2.33
1.59
1.57
1.56
1.31
1.29
0.95
0.93
0.92
0.90
0.88
n-Bu
O
N
Ts
n-Bu
3as, 500 MHz, CDCl3
1.00
1.98
1.00
1.05
3.98
0.97
1.96
1.98
1.95
3.00
3.96
2.06
2.00
3.03
3.02
f1 (ppm)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135.7
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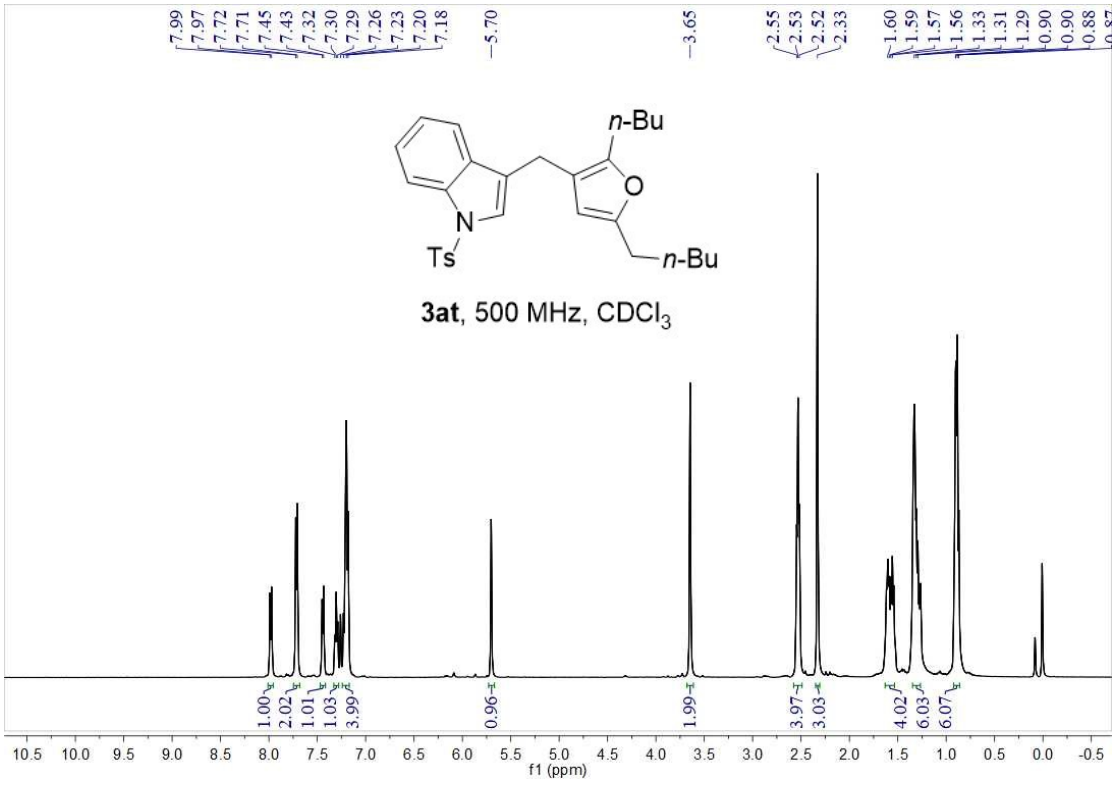
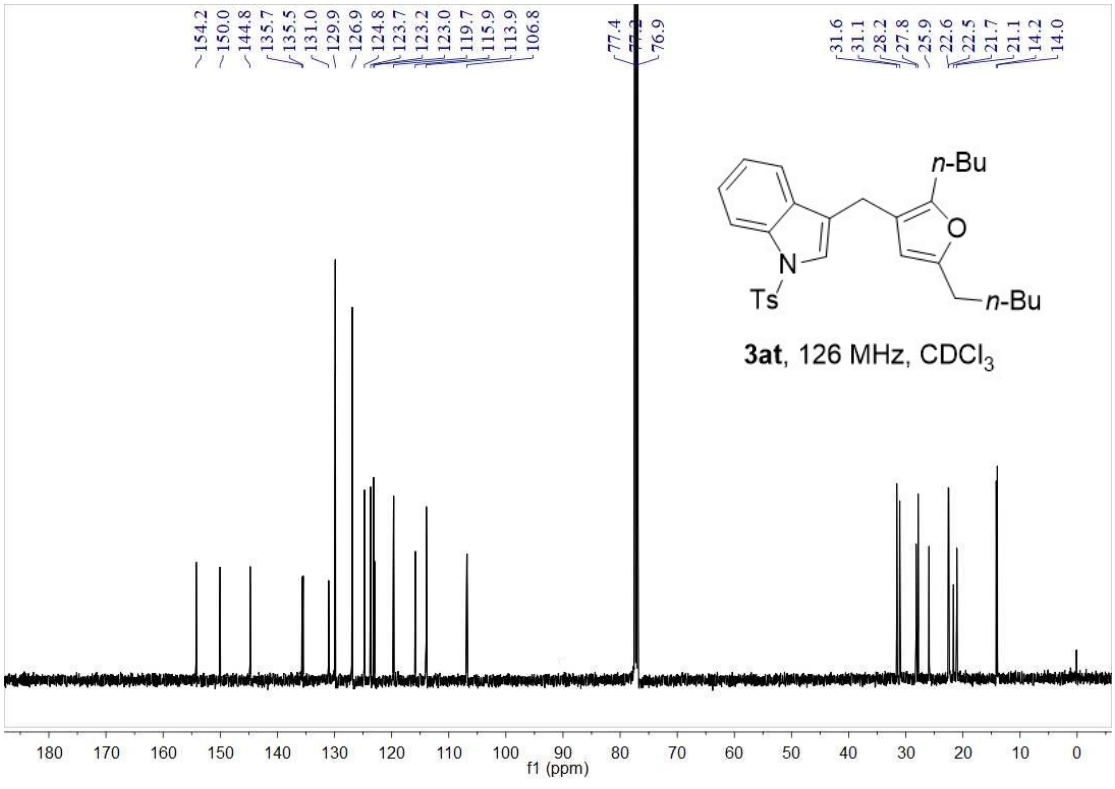
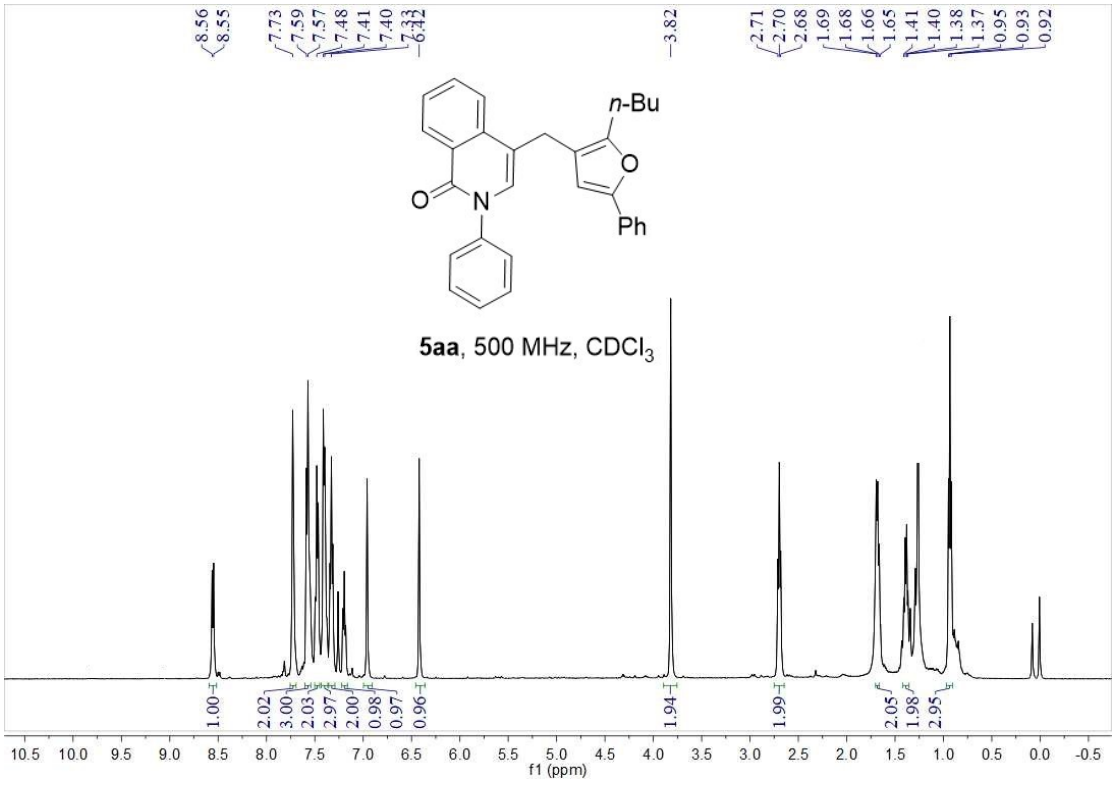
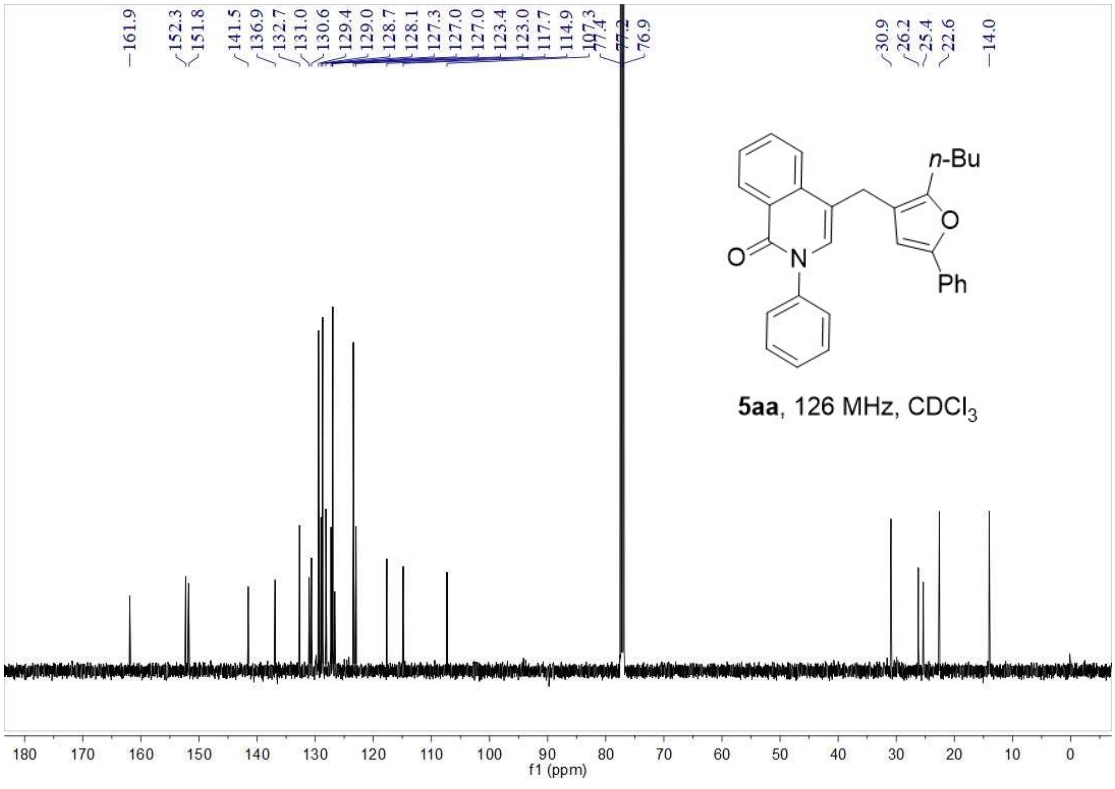
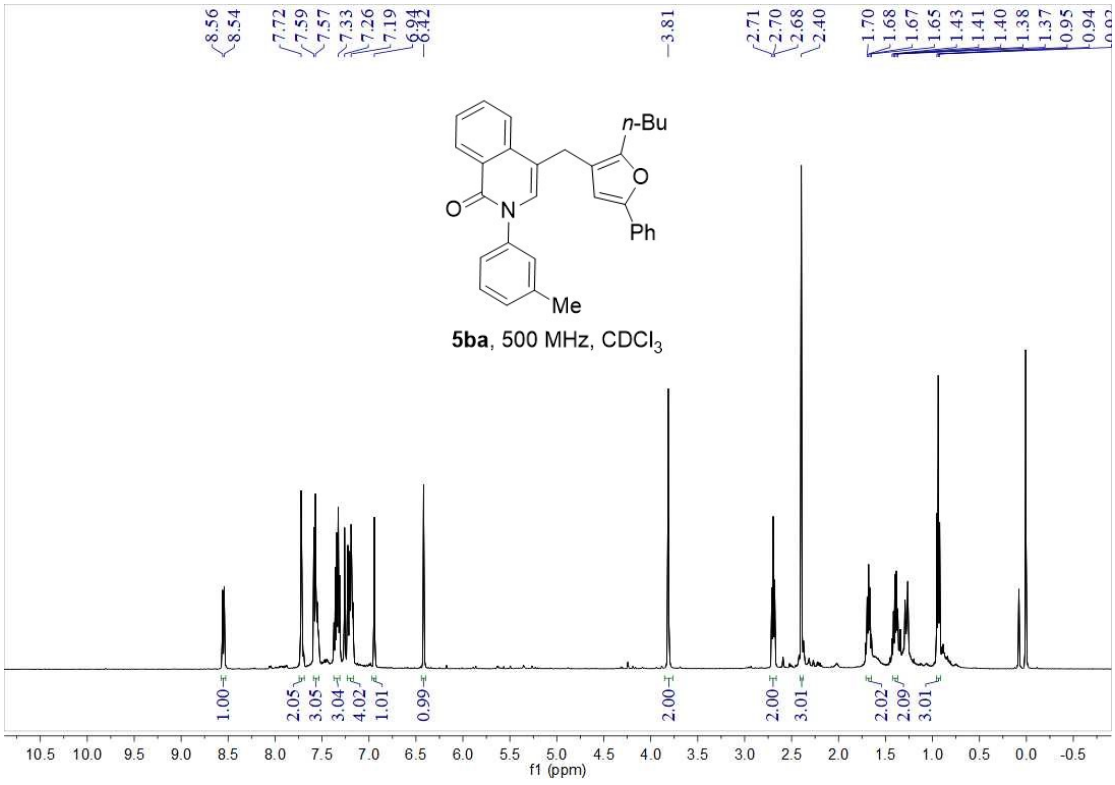
131.0
129.8
126.9
124.8
123.7
123.2
123.0
119.6
115.9
113.9
106.8
77.4
77.2
76.9
31.1
30.3
27.9
25.9
22.5
22.5
21.7
21.1
14.0
14.0
n-Bu
O
N
Ts
n-Bu
3as, 126 MHz, CDCl3
f1 (ppm)


7.99
7.97
7.72
7.71
7.45
7.43
7.32
7.30
7.29
7.26
7.23
7.20
7.18
5.70
3.65
2.55
2.53
2.52
2.33
1.60
1.59
1.57
1.56
1.33
1.31
1.29
0.90
0.90
0.88
n-Bu
O
N
Ts
n-Bu
3at, 500 MHz, CDCl3
1.00
2.02
1.01
1.03
3.99
0.96
1.99
3.97
3.03
4.02
6.03
6.07
f1 (ppm)

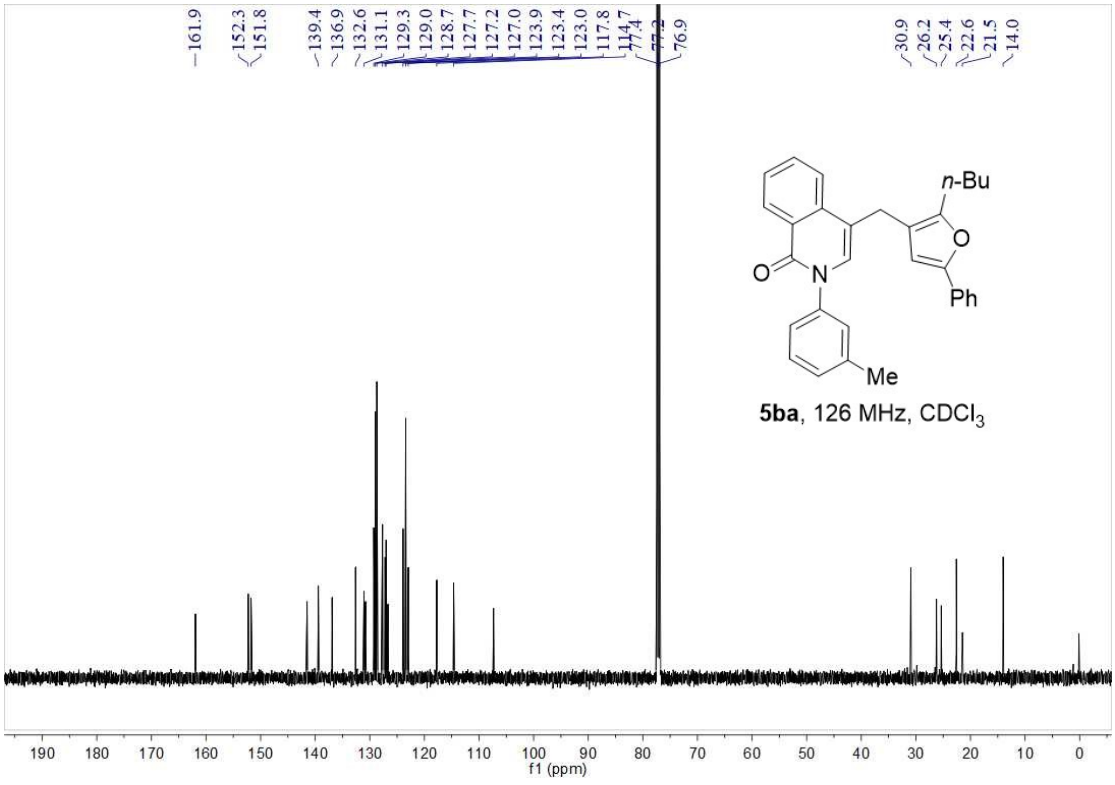

154.2
150.0
144.8
135.7
135.5
131.0
129.9
126.9
124.8
123.7
123.2
123.0
119.7
115.9
113.9
106.8
77.4
76.9
31.6
31.1
28.2
27.8
25.9
22.6
22.5
21.7
21.1
14.2
14.0
n-Bu
O
N
Ts
n-Bu
3at, 126 MHz, CDCl3
f1 (ppm)


8.56
8.55
7.73
7.59
7.57
7.48
7.41
7.40
3.82
2.71
2.70
2.68
1.69
1.68
1.66
1.65
1.41
1.40
1.38
1.37
0.95
0.93
0.92
n-Bu
O
N
O
Ph
5aa, 500 MHz, CDCl3
1.00
2.02
3.00
2.03
2.97
2.00
0.98
0.97
0.96
1.94
1.99
2.05
1.98
2.95
f1 (ppm)

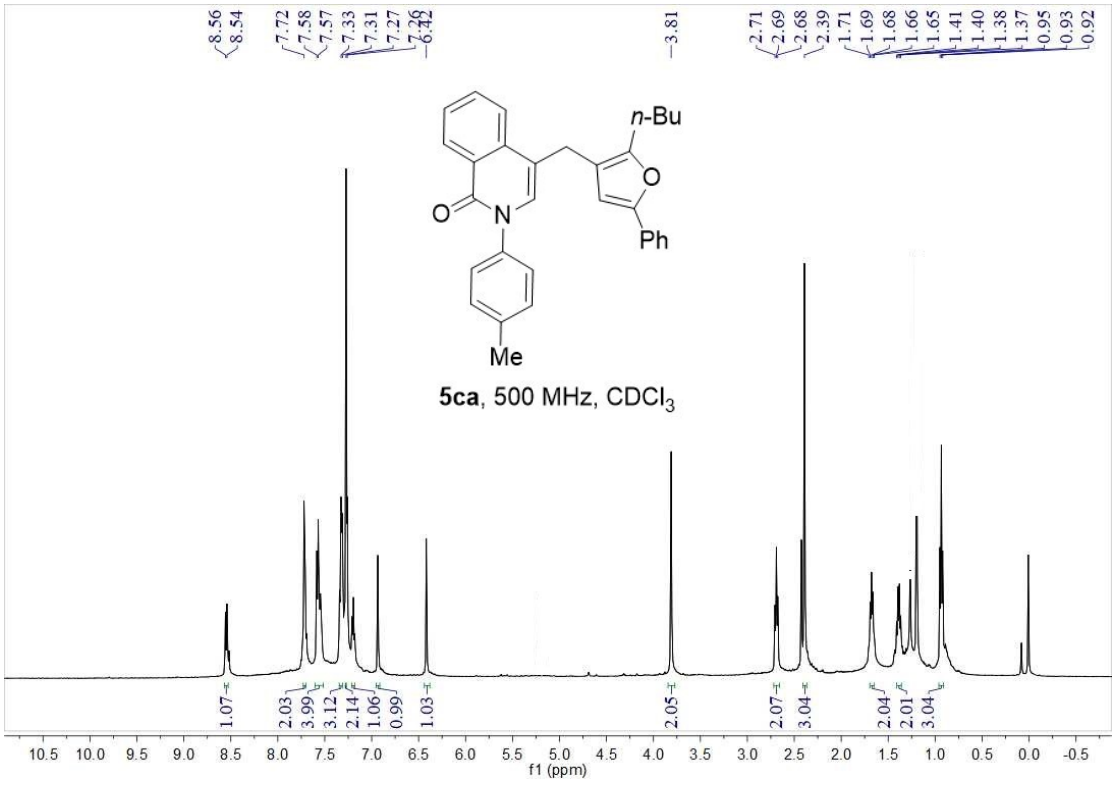

161.9
152.3
151.8
141.5
136.9
132.7
131.0
130.6
129.4
129.0
128.7
128.1
127.3
127.0
127.0
123.4
123.0
117.7
114.9
77.4
76.9
30.9
26.2
25.4
22.6
14.0
n-Bu
O
N
O
Ph
5aa, 126 MHz, CDCl3
f1 (ppm)

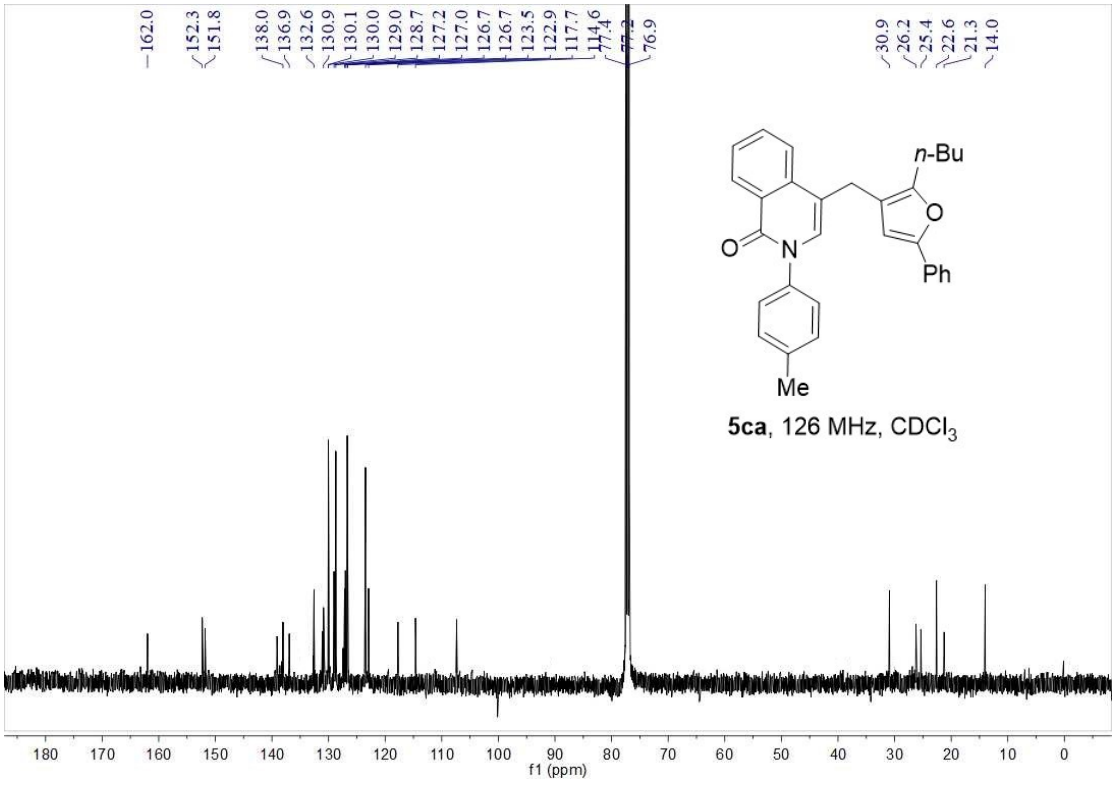


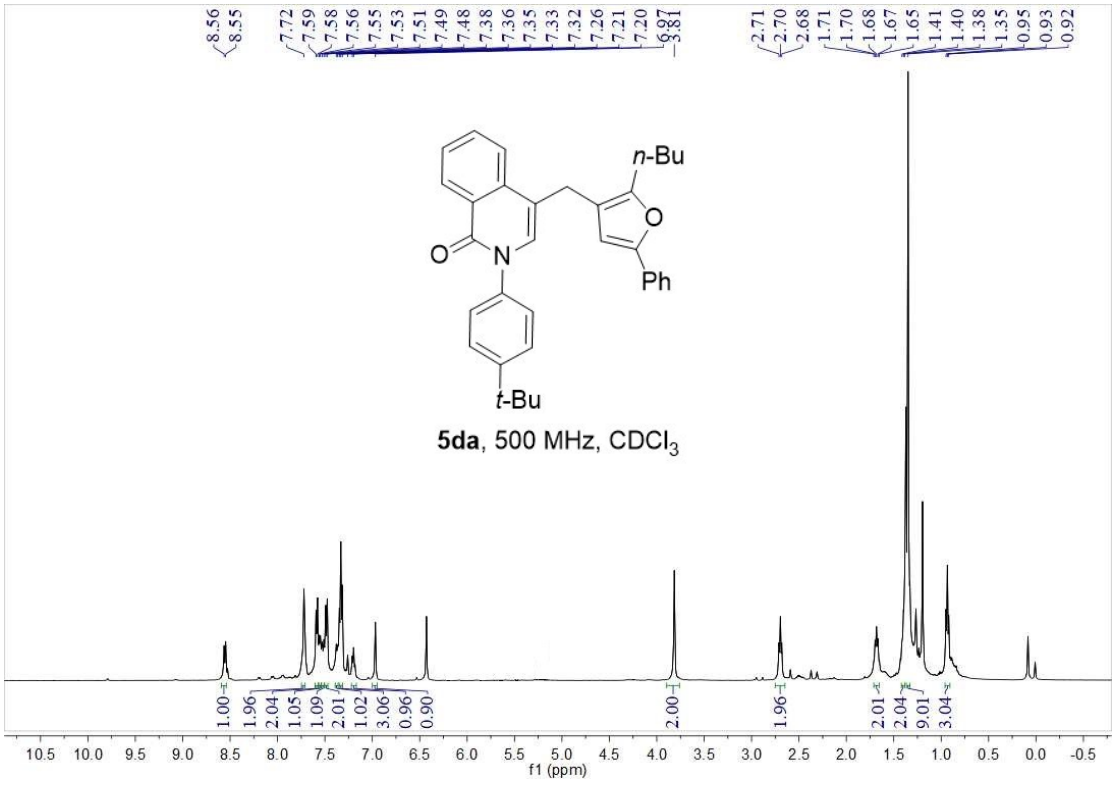
5ba, 500 MHz, $CDCl_3$

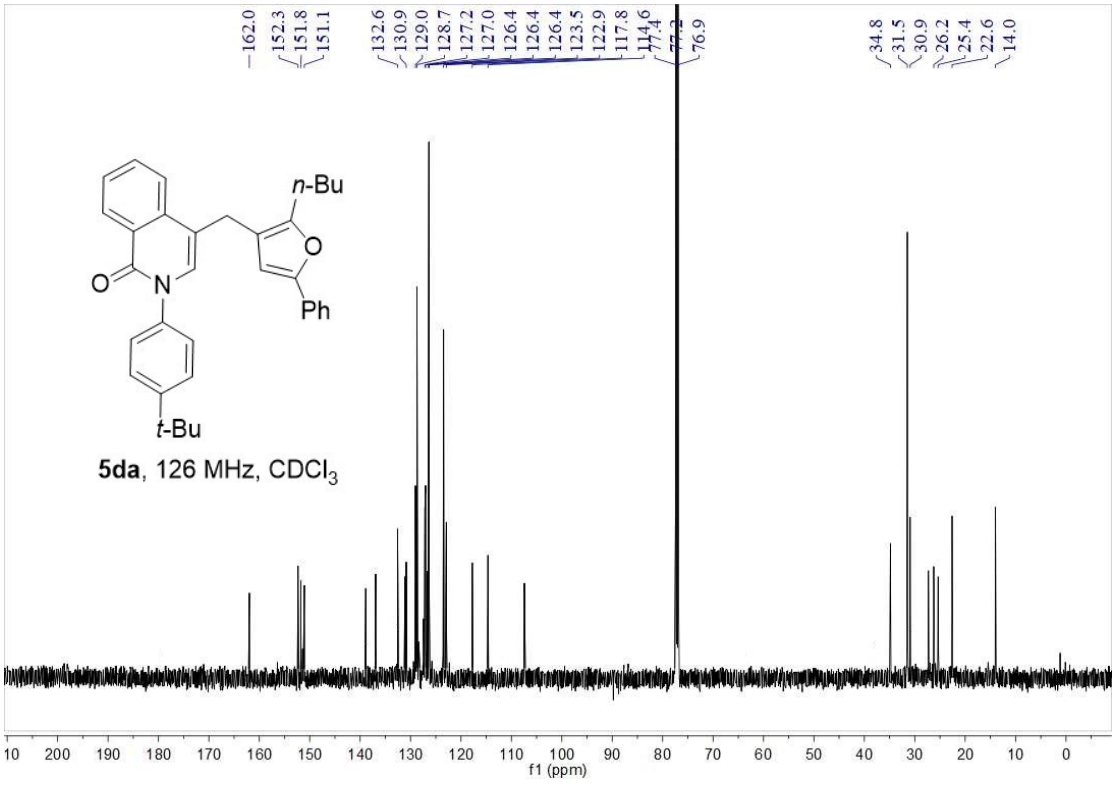


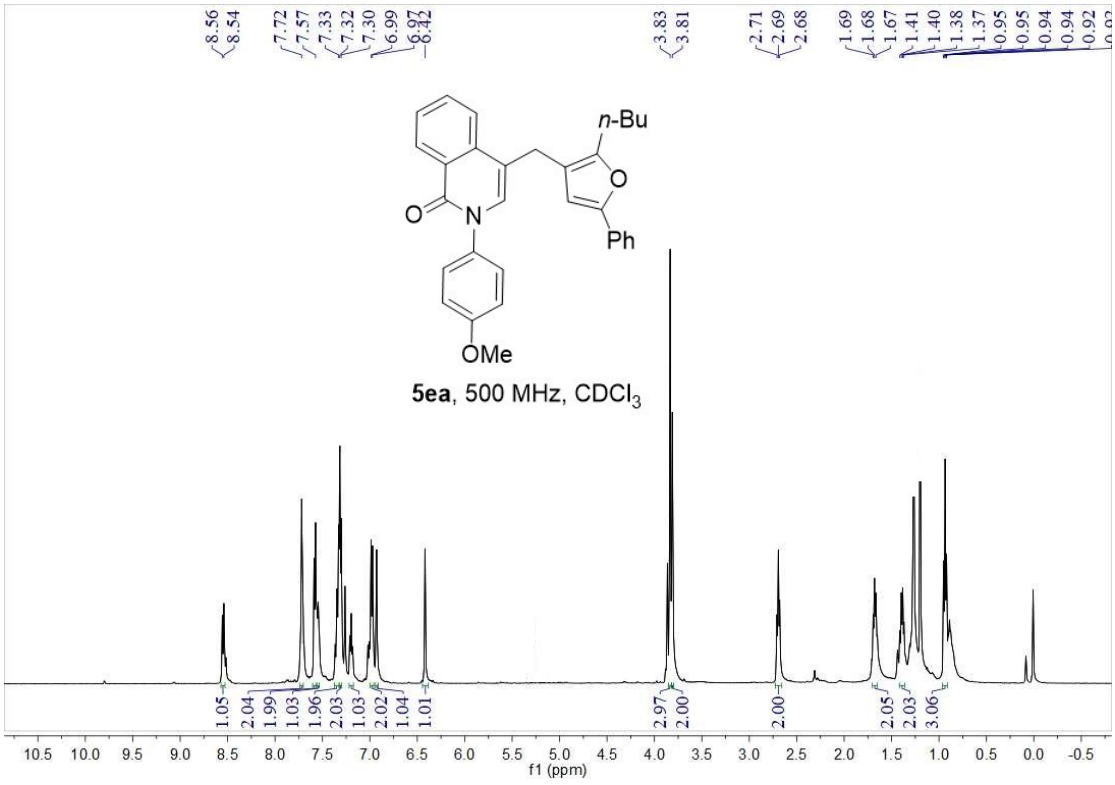
5ba, 126 MHz, $CDCl_3$

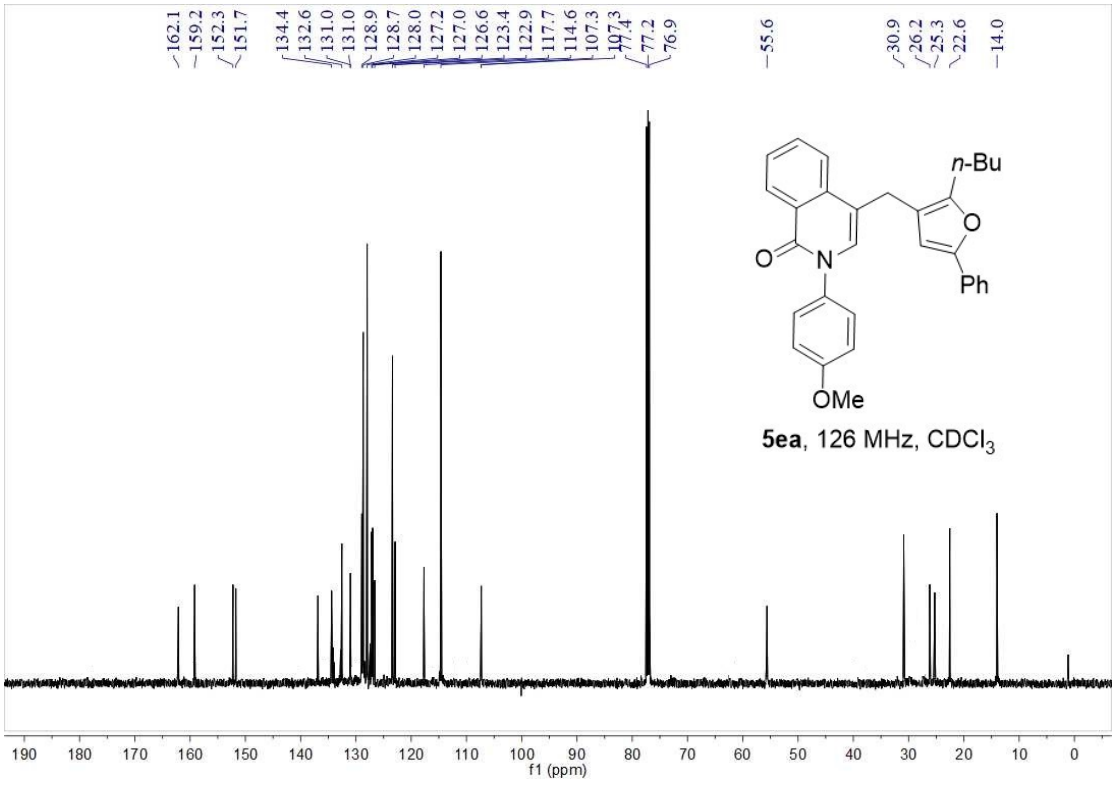

8.56
8.54
7.72
7.58
7.57
7.33
7.31
7.27
7.26
6.42
3.81
2.71
2.69
2.68
2.39
1.71
1.69
1.68
1.66
1.65
1.41
1.40
1.38
1.37
0.95
0.93
0.92
n-Bu
O
N
O
Ph
Me
5ca, 500 MHz, CDCl3
1.07
2.03
3.99
3.12
2.14
1.06
0.99
1.03
2.05
2.07
3.04
2.04
2.01
3.04
f1 (ppm)

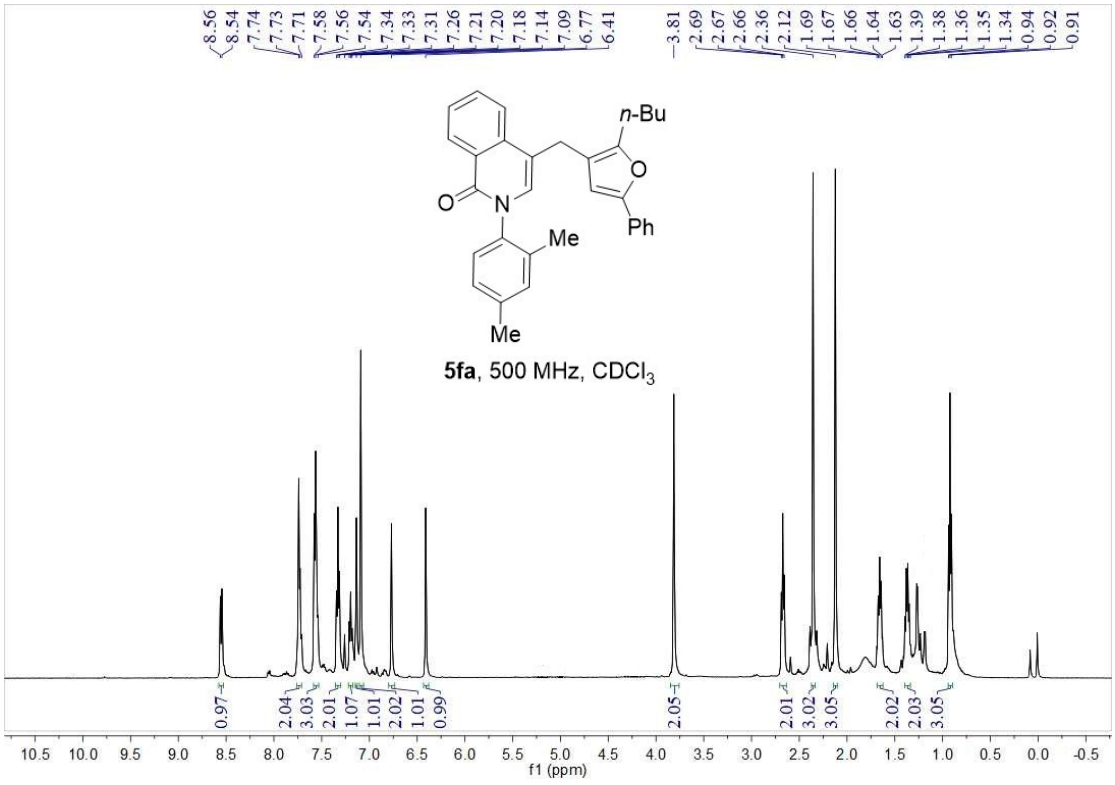

162.0
152.3
151.8
138.0
136.9
132.6
130.9
130.1
130.0
129.0
128.7
127.2
127.0
126.7
126.7
123.5
122.9
117.7
114.6
77.4
76.9
30.9
26.2
25.4
22.6
21.3
14.0
n-Bu
O
N
O
Ph
Me
5ca, 126 MHz, CDCl3
f1 (ppm)

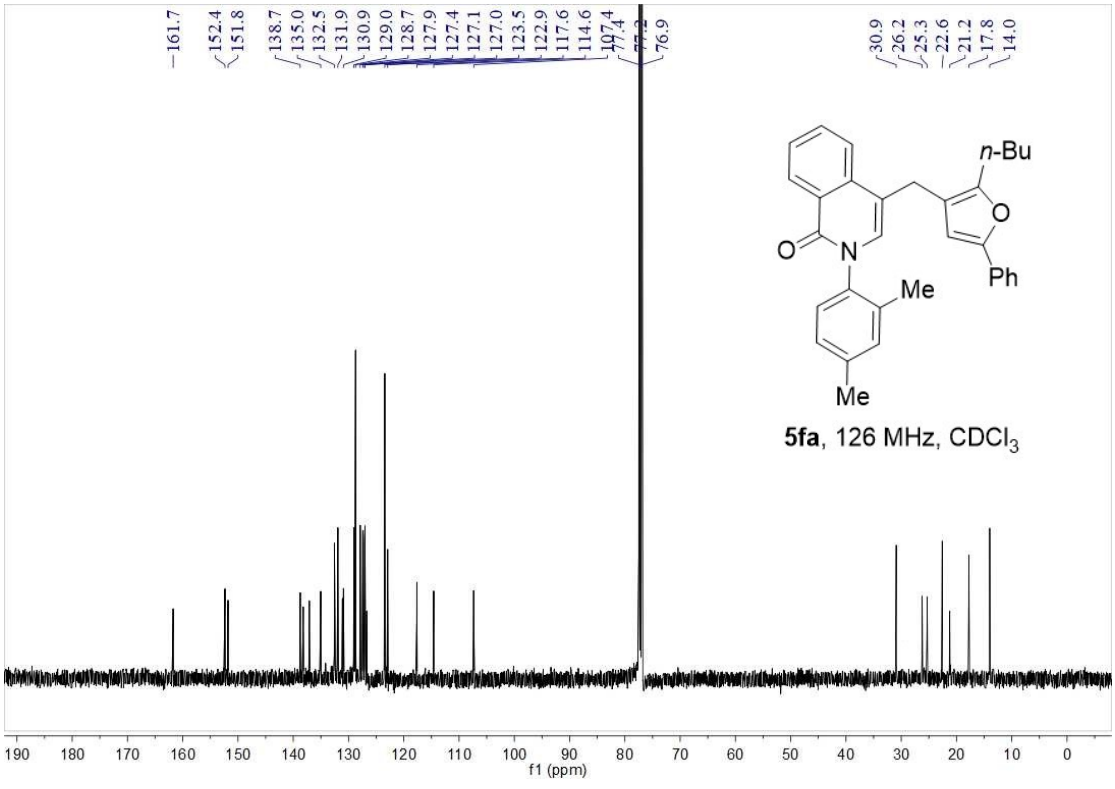

n-Bu
O
N
O
Ph
t-Bu
5da, 500 MHz, CDCl3
f1 (ppm)

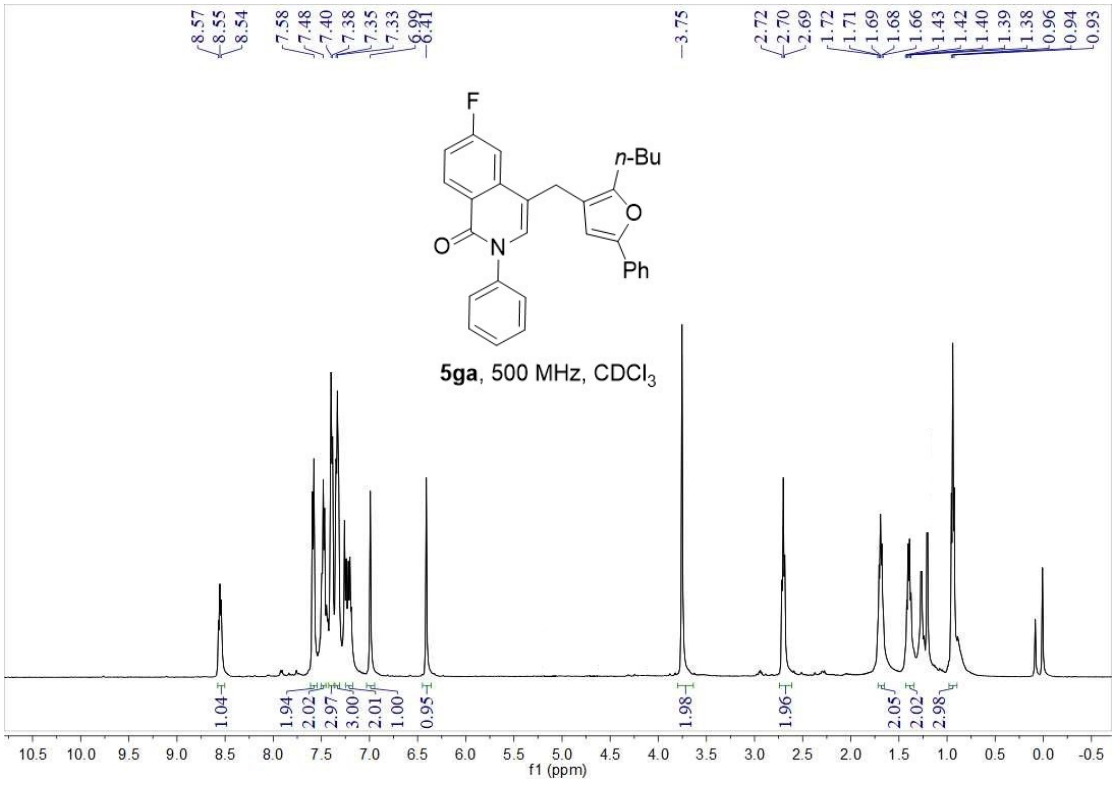

n-Bu
O
N
O
Ph
t-Bu
5da, 126 MHz, CDCl3
f1 (ppm)


8.56
8.54
7.72
7.57
7.33
7.32
7.30
6.99
6.97
6.42
3.83
3.81
2.71
2.69
2.68
1.69
1.68
1.67
1.41
1.40
1.38
1.37
0.95
0.95
0.94
0.94
0.92
n-Bu
O
N
O
Ph
OMe
5ea, 500 MHz, CDCl3
1.05
2.04
1.99
1.03
1.96
2.03
1.03
2.02
1.04
1.01
2.97
2.00
2.00
2.05
2.03
3.06
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

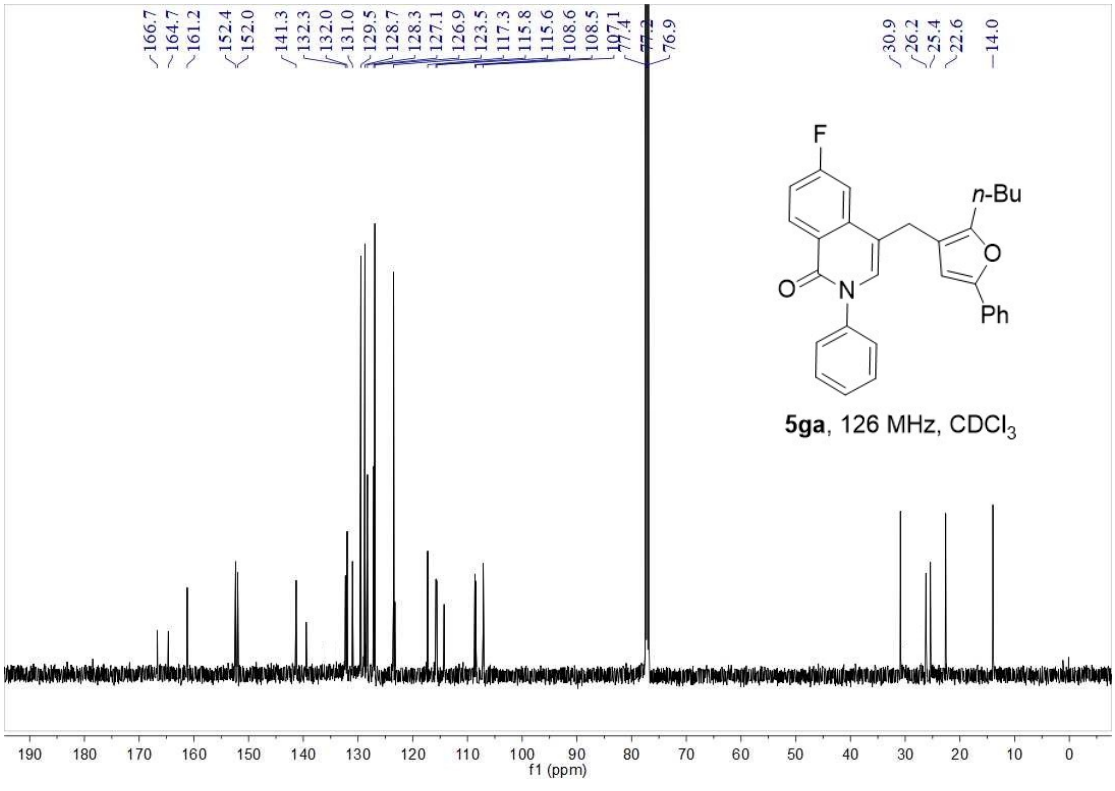

162.1
159.2
152.3
151.7
134.4
132.6
131.0
131.0
128.9
128.7
128.0
127.2
127.0
126.6
123.4
122.9
117.7
114.6
107.3
77.4
77.2
76.9
55.6
30.9
26.2
25.3
22.6
14.0
n-Bu
O
N
O
Ph
OMe
5ea, 126 MHz, CDCl3
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


8.56
8.54
7.74
7.73
7.71
7.58
7.56
7.54
7.34
7.33
7.31
7.26
7.21
7.20
7.18
7.14
7.09
6.77
6.41
3.81
2.69
2.67
2.66
2.36
2.12
1.69
1.67
1.66
1.64
1.63
1.39
1.38
1.36
1.35
1.34
0.94
0.92
0.91
n-Bu
O
N
Ph
Me
Me
5fa, 500 MHz, CDCl3
0.97
2.04
3.03
2.01
1.07
1.01
2.02
1.01
0.99
2.05
2.01
3.02
3.05
2.02
2.03
3.05
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

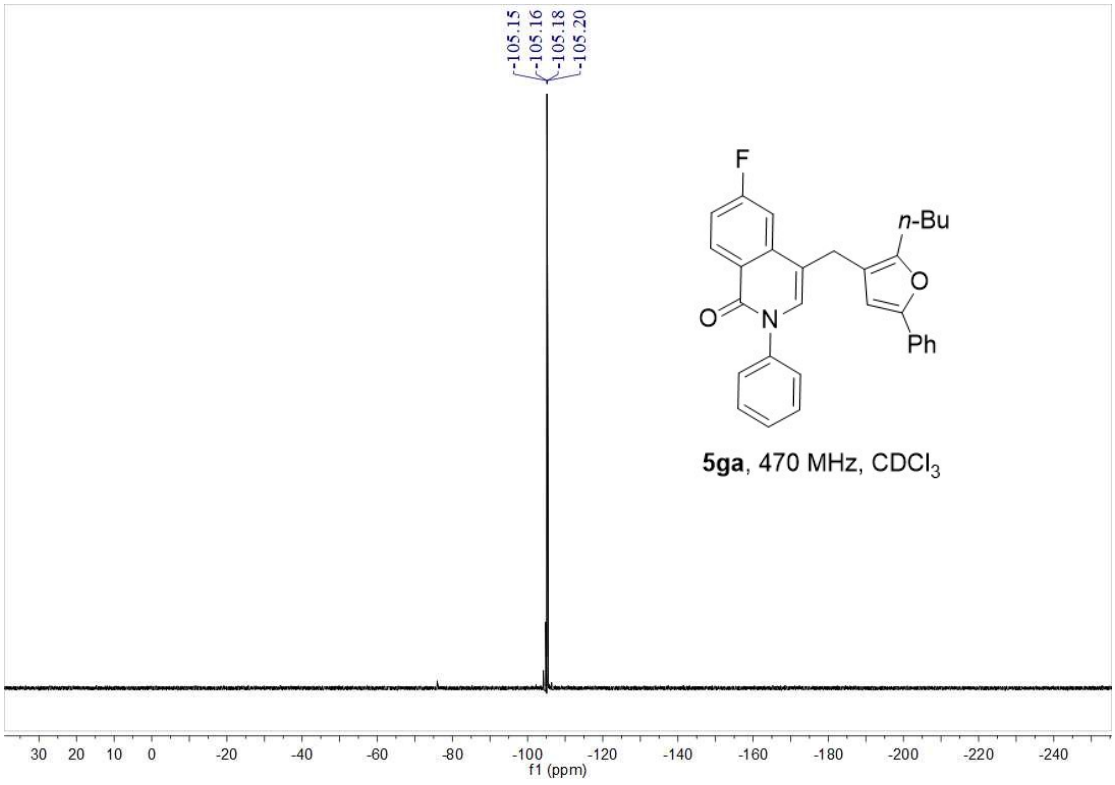

161.7
152.4
151.8
138.7
135.0
132.5
131.9
130.9
129.0
128.7
127.9
127.4
127.1
127.0
123.5
122.9
117.6
114.6
107.4
77.4
77.2
76.9
30.9
26.2
25.3
22.6
21.2
17.8
14.0
n-Bu
O
N
Ph
Me
Me
5fa, 126 MHz, CDCl3
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)



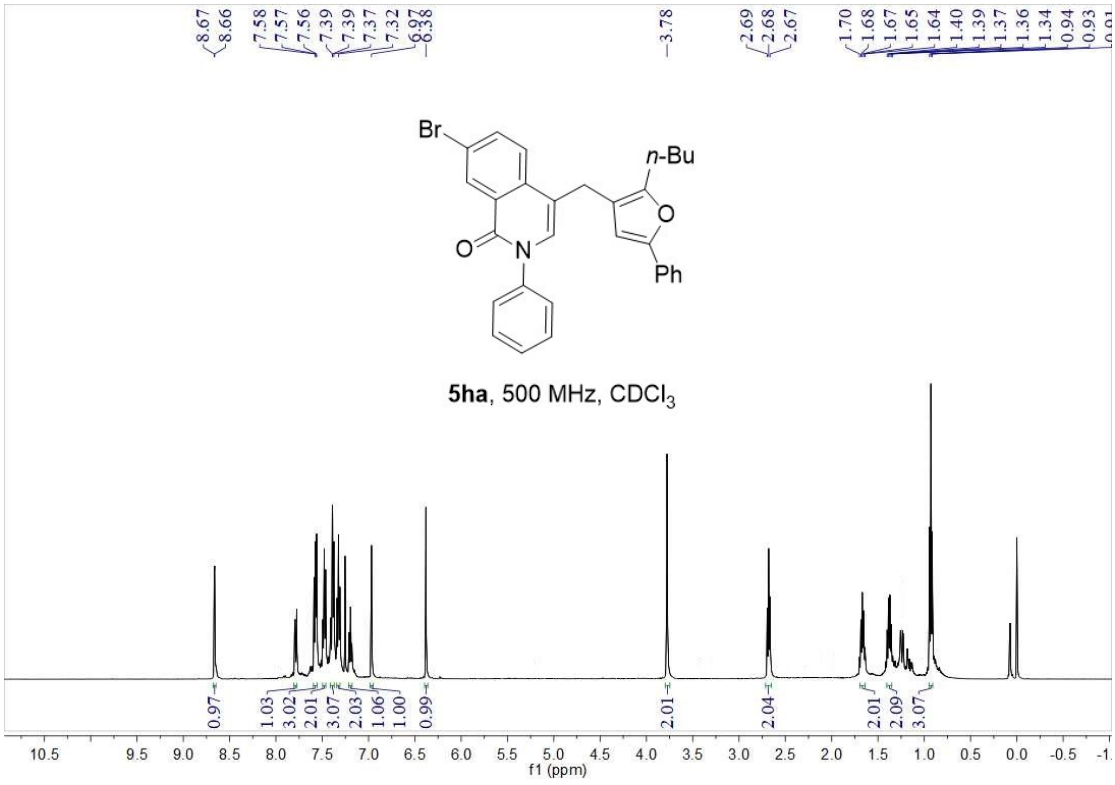
5ga, 500 MHz, $CDCl_3$

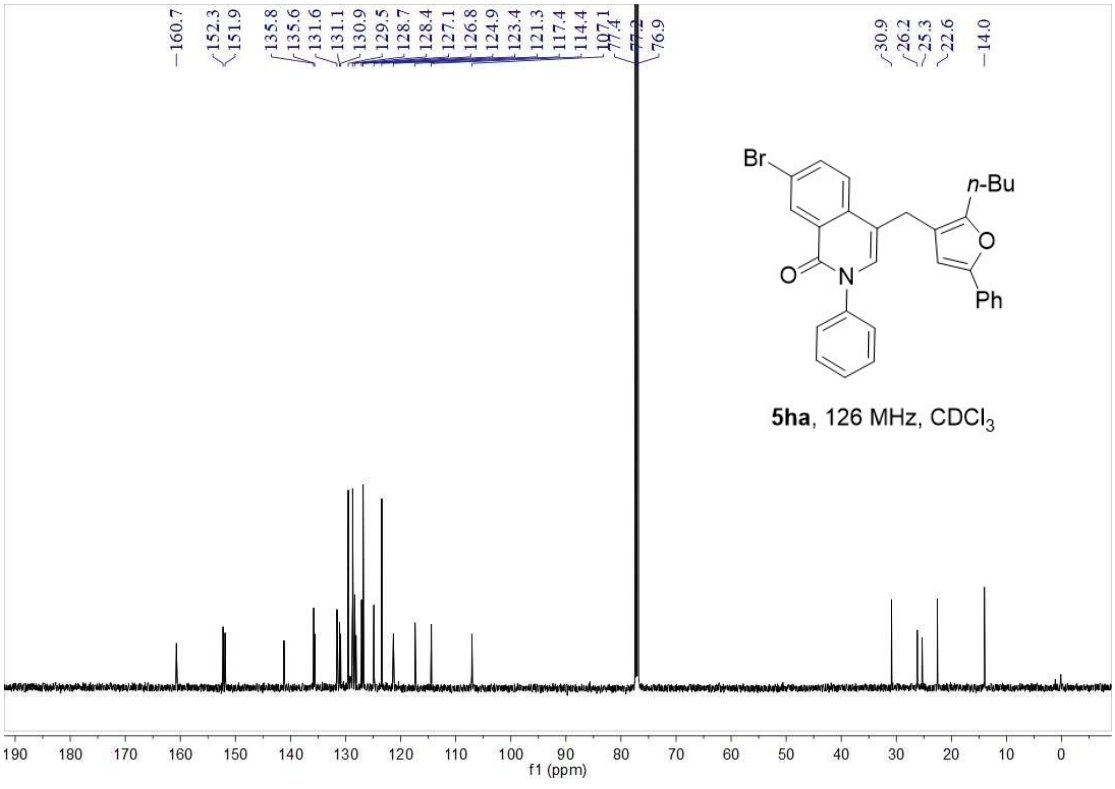


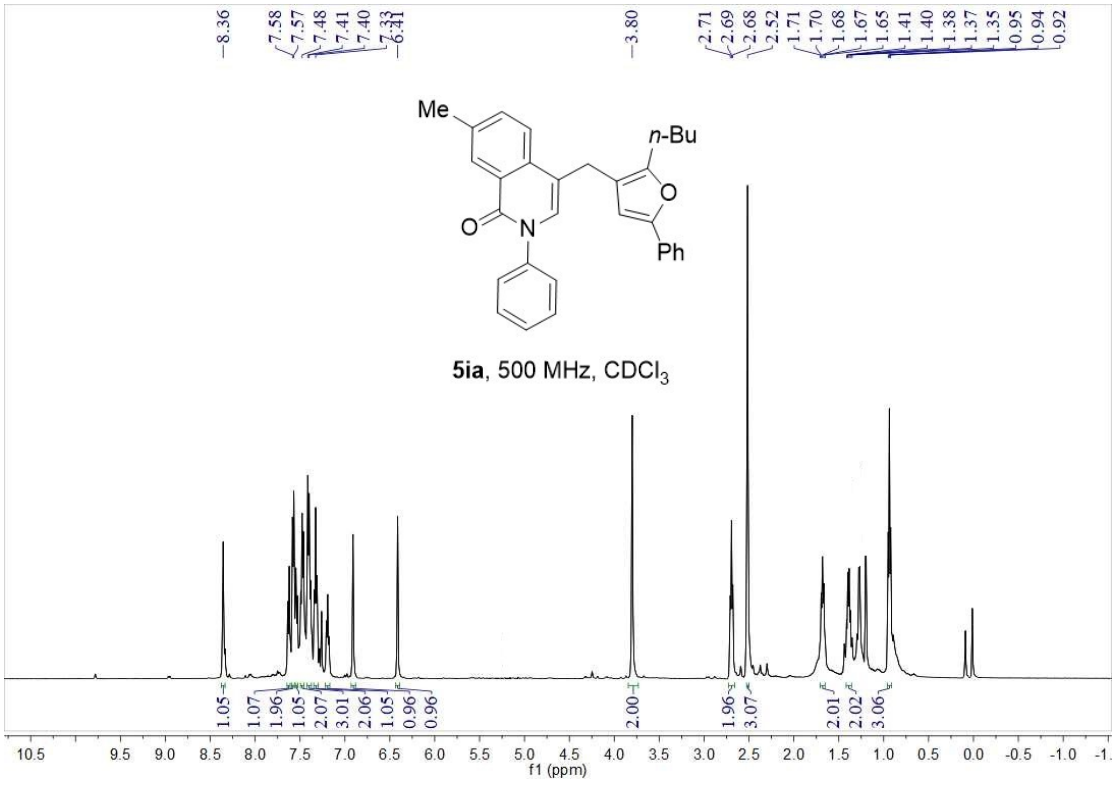
5ga, 126 MHz, $CDCl_3$



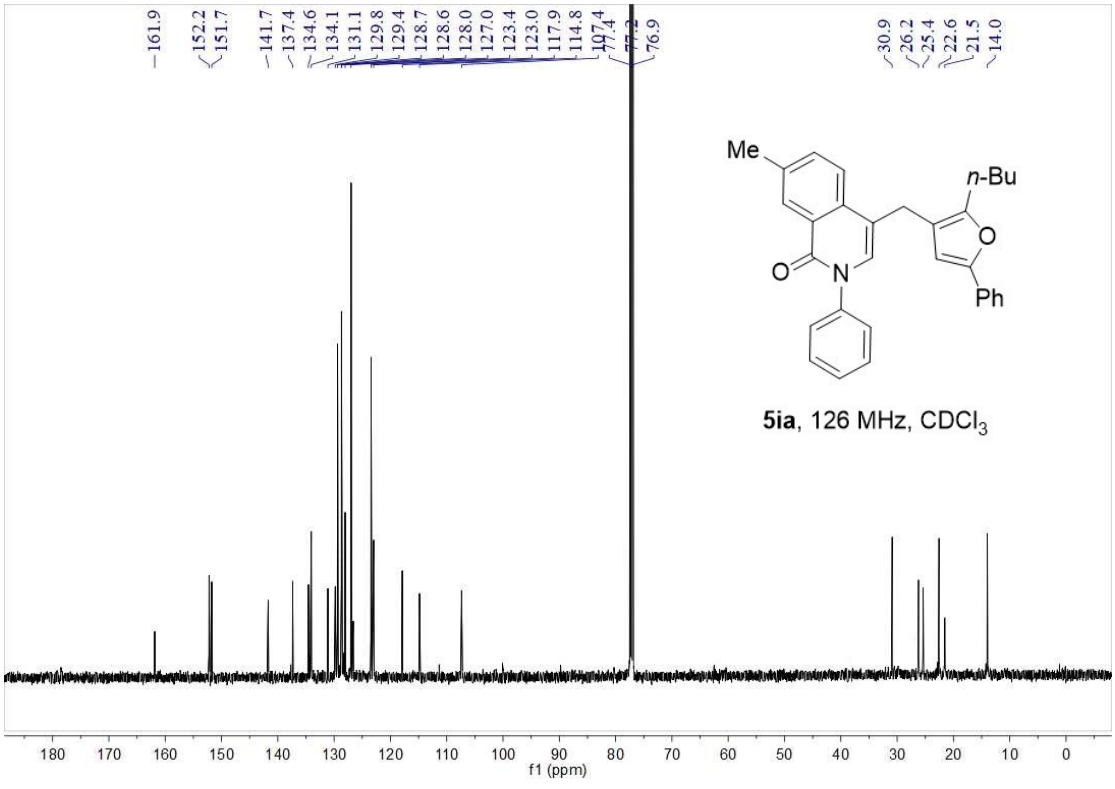
5ga, 470 MHz, $CDCl_3$


8.67
8.66
7.58
7.57
7.56
7.39
7.39
7.37
7.32
6.97
6.38
3.78
2.69
2.68
2.67
1.70
1.68
1.67
1.65
1.64
1.40
1.39
1.37
1.36
1.34
0.94
0.93
Br
n-Bu
O
N
Ph
5ha, 500 MHz, CDCl3
0.97
1.03
3.02
2.01
3.07
2.03
1.06
1.00
0.99
2.01
2.04
2.01
2.09
3.07
f1 (ppm)

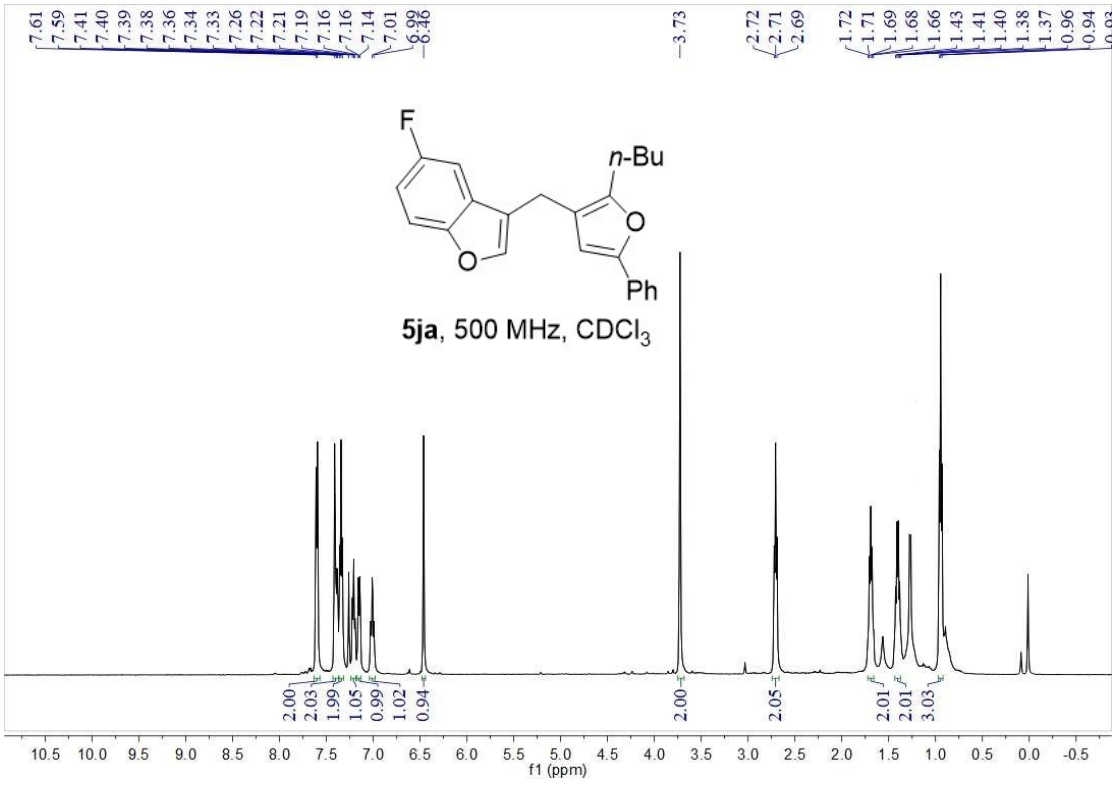

160.7
152.3
151.9
135.8
135.6
131.6
131.1
130.9
129.5
128.7
128.4
127.1
126.8
124.9
123.4
121.3
117.4
114.4
107.1
77.4
77.2
76.9
30.9
26.2
25.3
22.6
14.0
Br
n-Bu
O
N
Ph
5ha, 126 MHz, CDCl3
f1 (ppm)

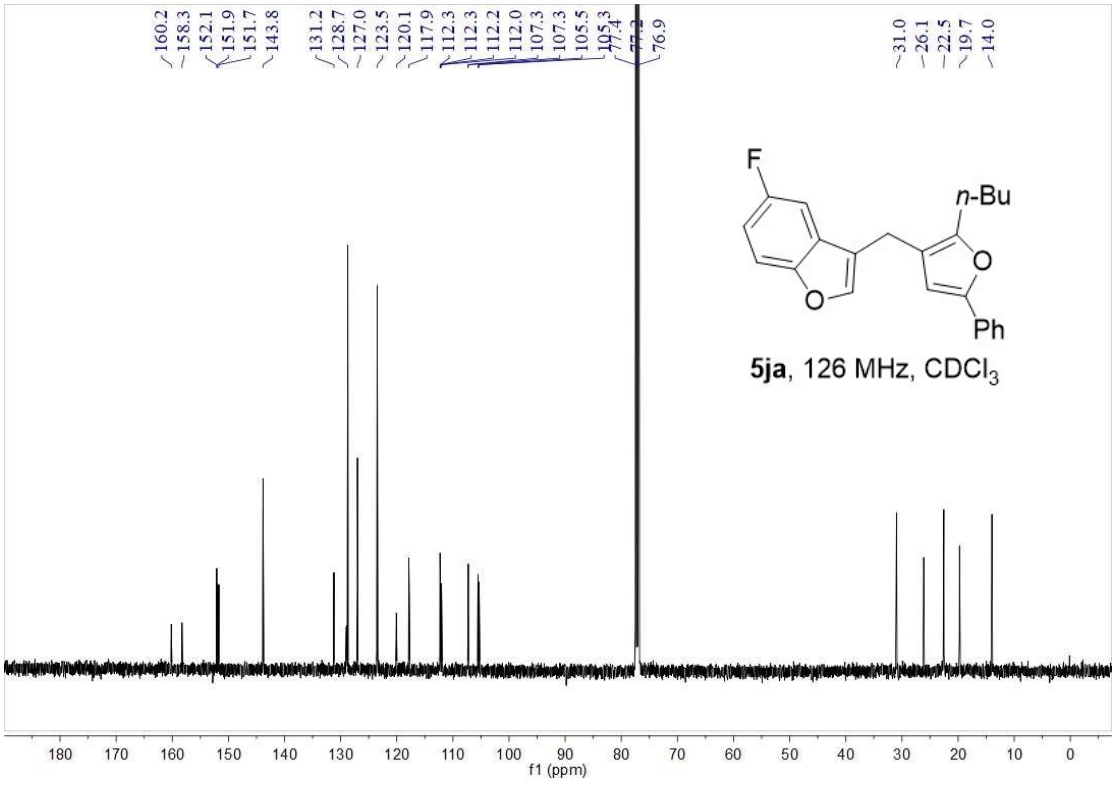


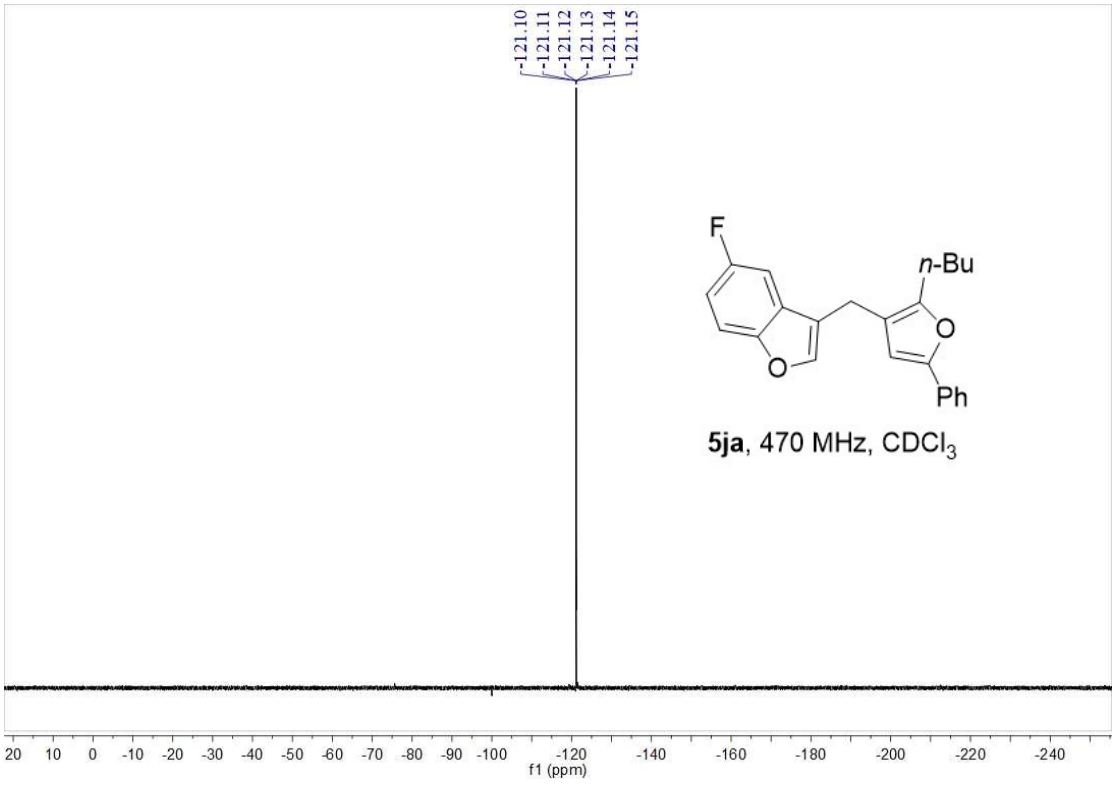
5ia, 500 MHz, $CDCl_3$

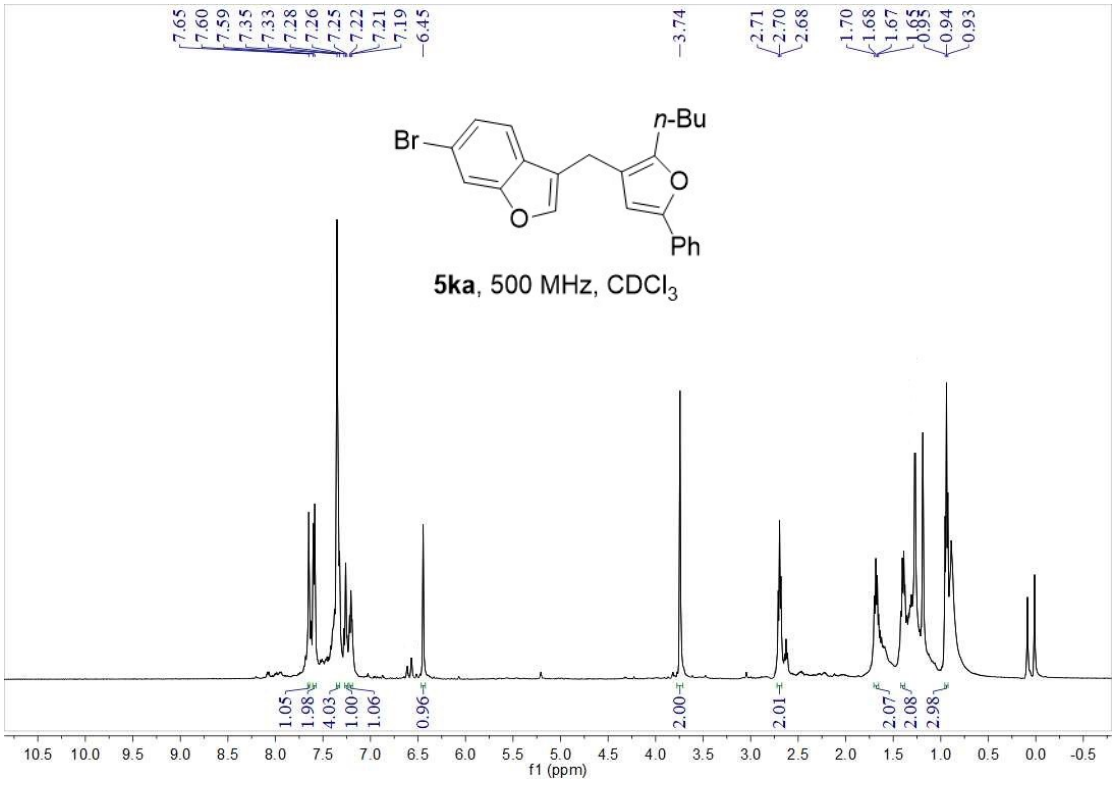


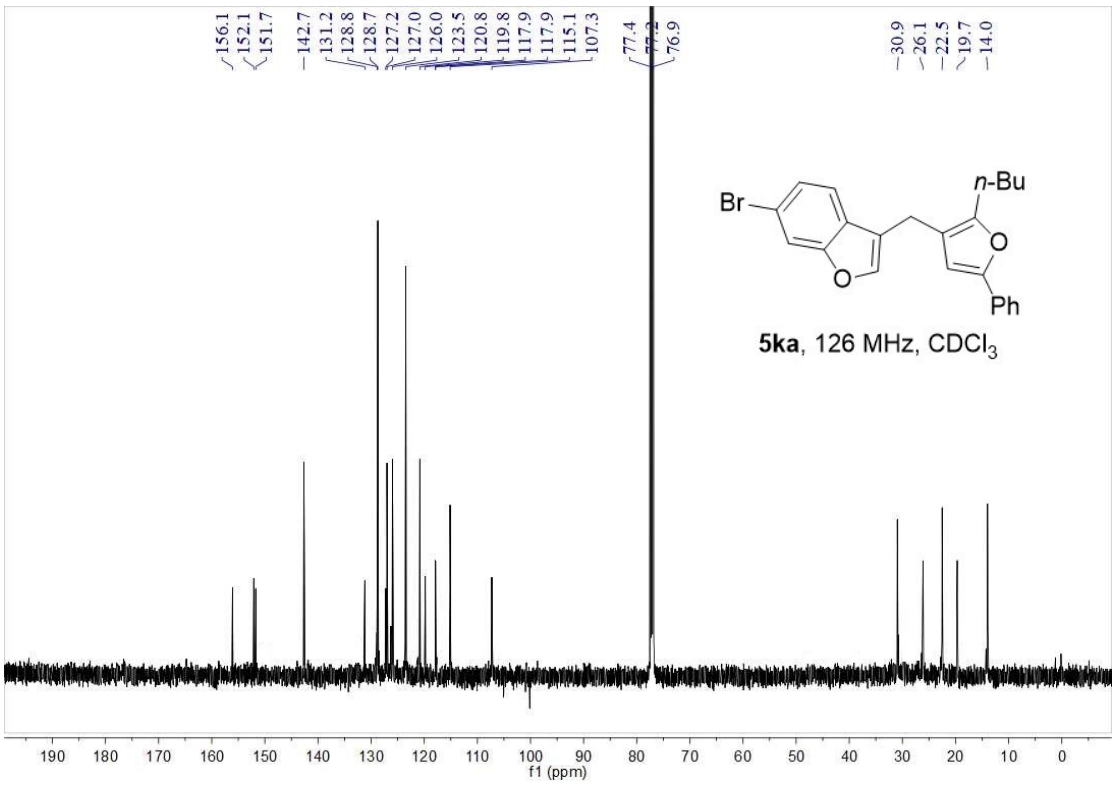
5ia, 126 MHz, $CDCl_3$

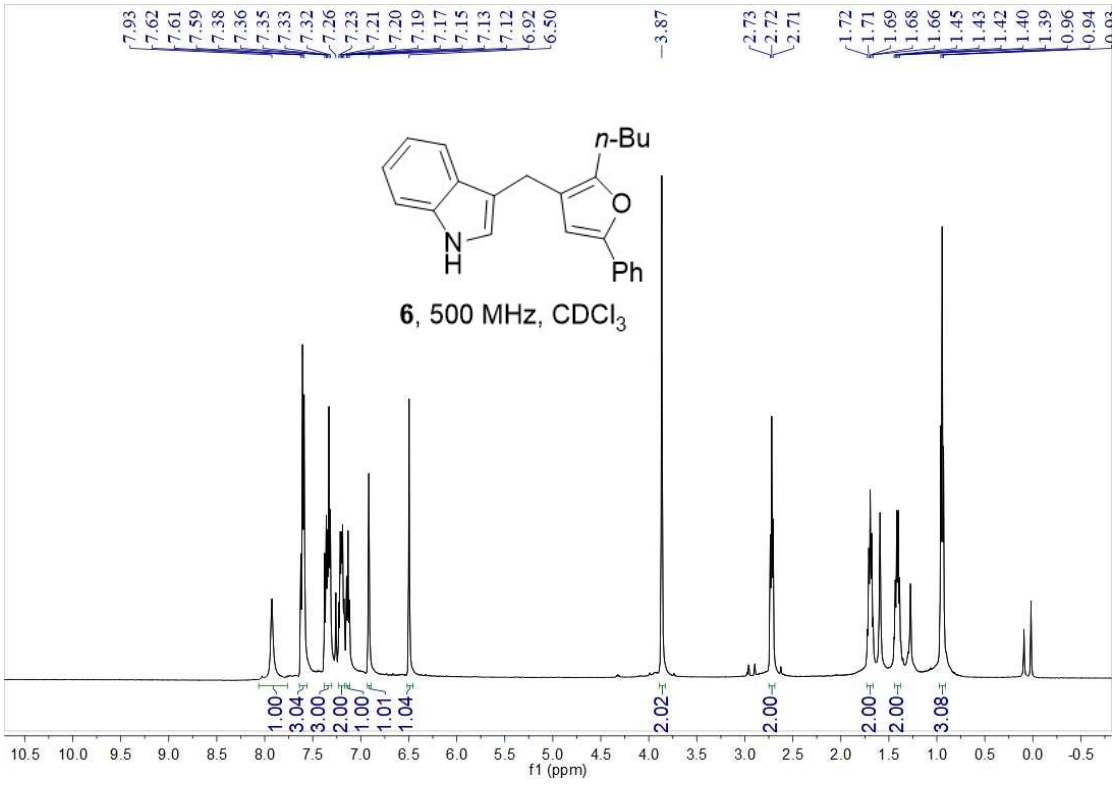

F
n-Bu
O
O
Ph
5ja, 500 MHz, CDCl3
f1 (ppm)

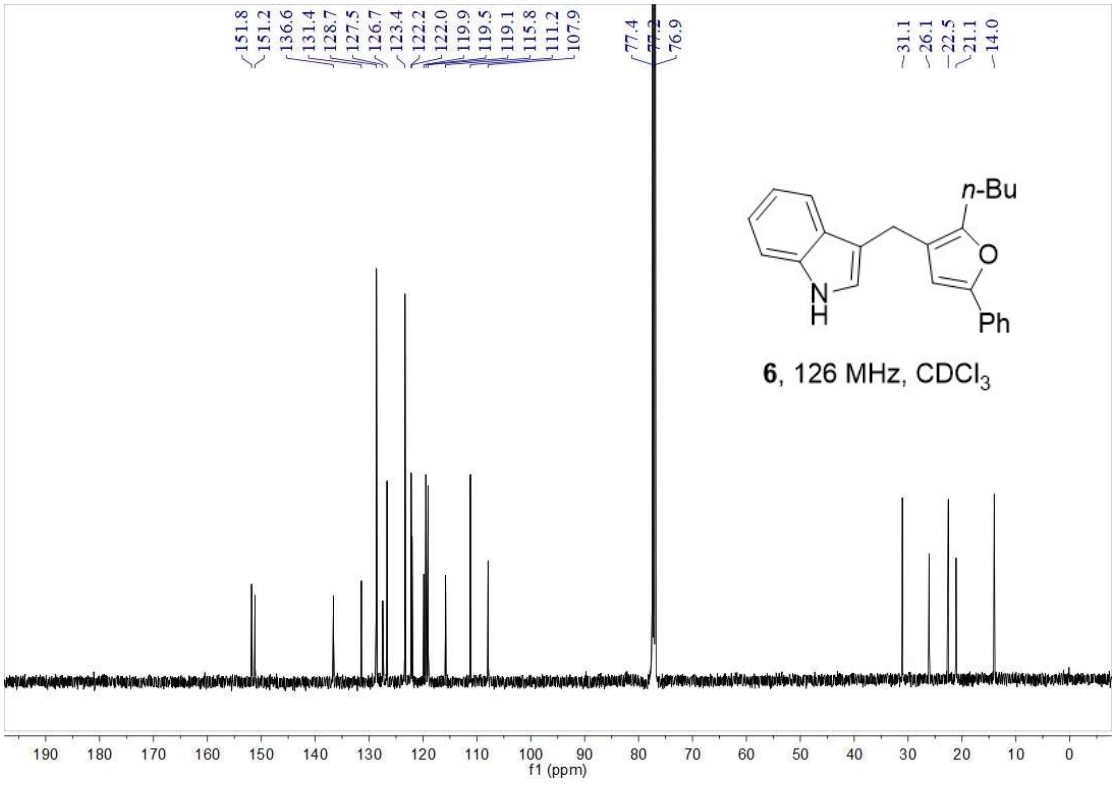

F
n-Bu
O
O
Ph
5ja, 126 MHz, CDCl3
f1 (ppm)


-121.10
-121.11
-121.12
-121.13
-121.14
-121.15
F
n-Bu
O
O
Ph
5ja, 470 MHz, CDCl3
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -120 -140 -160 -180 -200 -220 -240
f1 (ppm)


7.65
7.60
7.59
7.35
7.33
7.28
7.26
7.25
7.22
7.21
7.19
6.45
3.74
2.71
2.70
2.68
1.70
1.68
1.67
1.65
0.95
0.94
0.93
Br
n-Bu
O
O
Ph
5ka, 500 MHz, CDCl3
1.05
1.98
4.03
1.00
1.06
0.96
2.00
2.01
2.07
2.08
2.98
f1 (ppm)


156.1
152.1
151.7
142.7
131.2
128.8
128.7
127.2
127.0
126.0
123.5
120.8
119.8
117.9
117.9
115.1
107.3
77.4
77.2
76.9
30.9
26.1
22.5
19.7
14.0
Br
n-Bu
O
O
Ph
5ka, 126 MHz, CDCl3
f1 (ppm)


7.93
7.62
7.61
7.59
7.38
7.36
7.35
7.33
7.32
7.26
7.23
7.21
7.20
7.19
7.17
7.15
7.13
7.12
6.92
6.50
3.87
2.73
2.72
2.71
1.72
1.71
1.69
1.68
1.66
1.45
1.43
1.42
1.40
1.39
0.96
0.94
n-Bu
O
N
H
Ph
6, 500 MHz, CDCl3
1.00
3.04
3.00
2.00
1.00
1.01
1.04
2.02
2.00
2.00
2.00
3.08
f1 (ppm)


151.8
151.2
136.6
131.4
128.7
127.5
126.7
123.4
122.2
122.0
119.9
119.5
119.1
115.8
111.2
107.9
77.4
77.2
76.9
31.1
26.1
22.5
21.1
14.0
n-Bu
O
N
H
Ph
6, 126 MHz, CDCl3
f1 (ppm)