Supporting Information

C–H heteroarylation of aromatics *via* catalyst free S_N2' coupling cycloaromatization

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1. General information

All reactions were carried out under the argon atmosphere with magnetic stirring unless otherwise noted. Reagents were purchased from commercial sources. TsN₃ was 75% w/w in ethyl acetate solution. All solvents were dried or distilled prior to use. DCM was distilled over CaH₂, THF was distilled over Na/benzophenone and PhMe was distilled over Na. Glassware was dried in an oven before use. All new compounds were characterized by NMR spectroscopy, IR spectroscopy, high-resolution mass spectroscopy (HRMS).

<u>¹H and ¹³C NMR spectra</u> were recorded on Bruker 500 spectrometer (¹H at 500 MHz and ¹³C at 126 MHz) and Bruker 400 spectrometer (¹H at 400 MHz and ¹³C at 100 MHz). Chemical shifts for ¹H NMR spectra are reported as δ in units of parts per million (ppm) downfield from SiMe₄ (δ 0.00) and relative to the signal of SiMe₄ (δ 0.00 singlet). ¹H NMR splitting patterns are designated as singlet (s), doublet (d), triplet (t), quartet (q), multiplets (m), doublet of doublet (dd). Coupling constants are reported as a *J* value in Hz. ¹³C NMR spectra are reported as δ in units of parts per million (ppm) downfield from SiMe₄ (δ 0.00) and relative to the signal of Coupling (ppm) downfield so the signal of SiMe₄ (δ 0.00) and relative to the signal of parts per million (ppm) downfield from SiMe₄ (δ 0.00) and relative to the signal of chloroform-*d* (δ 77.00 triplet).¹³C NMR spectra were recorded on the same spectrometer with complete proton decoupling.

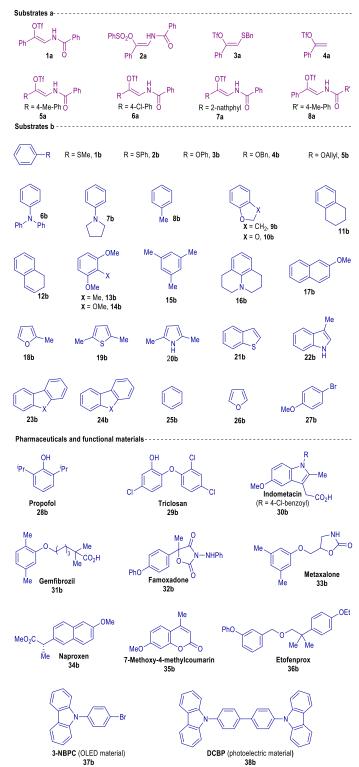
Infrared (IR) spectra were measured on Thermofisher Nicolet iN10 FM-IR spectrometer using KBr plates.

<u>High resolution mass spectral analysis (HRMS)</u> was recorded on a FT-ICR (Fourier transform ion cyclotron resonance) mass spectrometer by using electrospray ionization (ESI) techniques.

Column chromatographic was performed on 200-300 mesh silica gel and reactions were monitored by thin layer chromatography (TLC) using silica gel GF254 plates. Visualisation was by ultraviolet fluorescene ($\lambda = 254$ nm) and staining with the solution of phosphomolybdic acid in EtOH.

2. Preparation of substrates 1a-8a

Substrates $1a^1$, $2a^1$, $3a^2$, $4a^3$, $5a-8a^1$ were synthesized according to literatures. All acyl halides, sodium sulfonates, sulfonyl azides, terminal alkynes, aldehydes and arenes were commercially available. All structure of substrates as below in the figure.



Syntheses of (Z)- β -sulfonyl substituted enamides 1a, 2a, 5a–8a

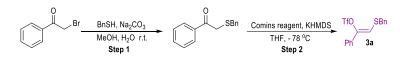
$$R \longrightarrow \begin{bmatrix} CuTc, TsN_3 \\ PhMe, r.t. \\ Step 1 \end{bmatrix} \xrightarrow{N=N} N - Ts \xrightarrow{K_2CO_3} R \xrightarrow{N=N} NH \xrightarrow{R'=Cl} R''SO_2O \\ R''SO_3Na, CHCl_3, 60 \ C \\ a \end{bmatrix} \xrightarrow{R''SO_2O} H \\ R''SO_3Na, CHCl_3, 60 \ C \\ a \end{bmatrix} \xrightarrow{R''} NH \xrightarrow{R''SO_2O} H \\ R''SO_3Na, CHCl_3, 60 \ C \\ a \end{bmatrix} \xrightarrow{R''SO_2O} H \\ R''SO_3Na, CHCl_3, 60 \ C \\ a \\ CHCCL \\$$

Step 1: Copper(I) thiophene-2-carboxylate hydrate (CuTc) (1.0 mmol, 0.1 equiv.) was added to PhMe (40.0 mL) in a 100.0 mL two-necked flask. Then terminal alkynes (10.0 mmol) and TsN₃ (11.0 mmol) were slowly injected into the flask. The reaction was stirred at room temperature (r.t.) for one day. After terminal alkynes were completely reacted (monitored by TLC). The reaction mixture was filtered to remove inorganic compound, the solvent was dried over and recrystallized to get *N*1-sulfonyl-1,2,3-triazoles.

Step 2: The resulting *N*1-sulfonyl-1,2,3-triazoles were added to CH₃OH (40.0 mL) in a 100 mL round bottomed flask, and K_2CO_3 (50.0 mg) was added into the flask, then the reaction was stirred at room temperature for 2.0 h (monitored by TLC). The organic solvent was removed and the residue was directly purified by flash column chromatography (PE : EA = 5:1) to afford N1-H-1,2,3,-triazoles.

Step 3: Under argon, N1-H-1,2,3-triazoles (10.0 mmol, 1.0 equiv.) and sodium sulfonates (10.0 mmol, 1.0 equiv.) in CHCl₃ (30 mL) were added into a 100 mL two-necked round bottomed flask, then acyl halides (20.0 mmol, 2.0 equiv.) were added. The mixture was stirred at 60 °C in oil bath for 16.0 h. After N1-H-1,2,3-triazoles have been completely reacted, the reaction was cooled to the room temperature. Then the solvent was removed under vacuo, the crude product was directly purified by column chromatography (PE : EA = 50 : 1) to get the desired products $1a^1$, $2a^1$, $5a-8a^1$.

Synthesis of (Z)-2-(benzylthio)-1-phenylvinyl triflate 3a



Step 1: Benzyl thioalcohol (2.0 mmol, 1.0 equiv.) was added dropwise to a stirred solution of α -bromoacetophenone (2.2 mmol, 1.1 equiv.) and sodium carbonate (4.0 mmol, 2.0 equiv.) in methanol (10.0 mL) and water (10.0 mL) and stirred for 1.0 h.

Then, the reaction mixture was poured into 10.0 mL of cold 1 M HCl. The product was filtered under vacuum and washed with 10.0 mL ice-cold methanol and 10.0 mL water. Recrystallisation from methanol and filtration gave compound 2-(benzylthio)-1-phenylethan-1-one.

Step 2: The solution of recrystallizd product (1.0 mmol, 1.0 equiv.) in dry THF (5 mL) was added KHMDS (1.1 mmol, 1.1 equiv.) at -78 °C, and the mixture was stirred at the same temperature for 0.5 h. The solution was added Comins reagent (N-(5-chloro-2-pyridyl) triflimide) (1.1 mmol, 1.1 equiv.) which was dissolved in dry THF (2.0 mL) at -78 °C, and the mixture was then stirred at the same temperature for additional 3.0 h. The reaction was quenched by saturated aqueous solution of NH₄Cl (5.0 mL), and the mixture was extracted with Et₂O (3 x 10.0 mL). The combined organic extracts were concentrated under vacuo, and the crude product was directly purified by column chromatography (PE : EA = 10 : 1) to get the desired product $3a^2$.

Synthesis of 1-phenylvinyl triflate 4a



The solution of acetophenone (5.0 mmol, 1.0 equiv.) in dichloromethane (15.0 mL) was cooled to 0 °C and then 2,6-di-tert-butyl-4-methylpyridine (DTBMP) (5.5 mmol, 1.1 equiv.) and trifluoromethanesulfonic anhydride (6.0 mmol, 1.2 equiv.) were added to the mixture. The reaction was warmed to the room temperature, stirred overnight, and the solvent was evaporated under vacuum. Petroleum ether was added and the solid pyridinium triflate was filtered off (the residue base can be recovered) which was washed with petroleum ether. The combined petroleum ether solution was washed subsequently with cool HCl (1 M) and saturated brine, and dried over with anhydrous sodium sulfate. The combined organic extracts were concentrated under vacuo, and the crude product was directly purified by column chromatography (PE : EA = 30 : 1) to get the desired product $4a^3$.

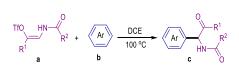
3. Conditions optimization

The condition optimization of aryl-substituted aza-1,4-dicarbonyl product $1c^{a,b}$

	$\begin{array}{cccccccccccccccccccccccccccccccccccc$						
	PhS	BO ₂ O HN Ph	TfOSBn Ph 3a	TfO Ph 4a			
entry	substrate a	solvent	1b (Equiv.)	T (°C)	yield (%)		
1	1a	Hexane	2.0	100	33		
2	1a	CHCl ₃	2.0	100	55		
3	1a	DCE	2.0	100	83		
4	1a	THF	2.0	100	28		
5	1a	Dioxane	2.0	100	30		
6	1a	DCE	2.0	80	52		
7	1a	DCE	2.0	120	75		
8	1a	DCE	1.1	100	58		
9	1a	DCE	1.5	100	75		
10	1a	DCE	2.5	100	82		
11	2a	DCE	2.0	100	N.R.		
12	3 a	DCE	2.0	100	N.R.		
13	4 a	DCE	2.0	100	N.D.		

^{*a*}All reactions of **a** (0.1 mmol, 1.0 equiv.) and **1b** were carried out in 1.0 mL solvent for 10.0 h under air atmosphere in Schlenk tube, and the reaction was heated by oil bath. ^{*b*}Isolated yields. N.R. = No reaction. N.D. = Not detected.

3.1 The synthesis of 1c-42c via Sn2' reaction

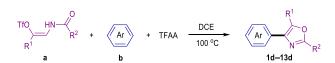


Procedure A: The solution of substrates **a** (0.1 mmol, 1.0 equiv.) and aromatics **b** (0.2 mmol, 2.0 equiv.) in DCE (1.0 mL) was added into a Schlenk tube (10.0 mL), then the reaction was stirred at 100 °C in oil bath for 10.0 h. Then, the reaction was cooled to the room temperature. The solvent was concentrated under *vacuo*, and the crude product was purified by column chromatography to get the desired products 1c-42c.

NOTE 1: Toluene 8b, benzene 25b and furan 26b (1.0 mL) were used as substrate and

solvent under the same condition to get the corresponding product 8c, 25c and 26c. NOTE 2: For the late-stage modification of pharmaceuticals (32c–40c) and functional materials (41c and 42c), the procedure is consistent with the procedure A.

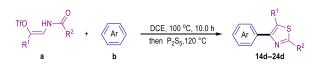
3.2 The synthesis of aryl-oxazoles 1d–13d



Procedure B: The solution of substrates **a** (0.1 mmol, 1.0 equiv.), aromatics **b** (0.2 mmol, 2.0 equiv.) and trifluoroacetic anhydride (0.11 mmol, 1.1 equiv.) in DCE (1.0 mL) was added into a Schlenk tube (10.0 mL), then the reaction was stirred at 100 °C in oil bath for 12.0 h. Then, the reaction was cooled to the room temperature and was concentrated under *vacuo*, and the crude product was purified by column chromatography to get the desired products **1d–13d**.

NOTE: Toluene **8b** (1.0 mL) was used as substrate and solvent under the same condition to get the corresponding product **1d**.

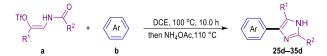
3.3 The synthesis of aryl-thiazoles 14d–24d



Procedure C: The solution of substrates **1a** (0.1 mmol, 1.0 equiv.) and aromatics **b** (0.2 mmol, 2.0 equiv.) in DCE (1.0 mL) was added into a Schlenk tube (10.0 mL), then the reaction was stirred at 100 °C in oil bath for 10.0 h. Then the reaction mixture was cooled to room temperature and phosphorus pentasulfide (0.3 mmol, 3.0 equiv.) was added. The resulting reaction system was stirred at 120 °C in oil bath for 12.0 h once again. Finally, the reaction was cooled to the room temperature and the solvent was removed under *vacuo*, and the crude product was purified by column chromatography to get the desired products **14d–24d**.

NOTE: Toluene **8b** (1.0 mL) was used as substrate and solvent under the same condition to get the corresponding product **14d**.

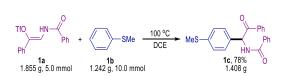
3.4 The synthesis of aryl-imidazoles 25d–35d



Procedure D: The solution of substrates **1a** (0.1 mmol, 1.0 equiv.) and arenes **b** (0.2 mmol, 2.0 equiv.) in DCE (1.0 mL) was added into a Schlenk tube (10.0 mL), then the reaction was stirred at 100 °C in oil bath for 10.0 h. Then the reaction mixture was cooled to room temperature and ammonium acetate (0.3 mmol, 3.0 equiv.) was added. The resulting reaction system was stirred at 110 °C in oil bath for 10.0 h once again. Finally, the reaction was cooled to the room temperature and the solvent was removed under *vacuo*, and the crude product was purified by column chromatography to get the desired products **25d–35d**.

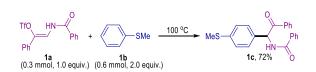
NOTE: Toluene **8b** (1.0 mL) was used as substrate and solvent under the same condition to get the corresponding product **25d**.

4. Gram-scale reaction



According to the procedure A, the gram-scale reaction of **1a** (1.855 g, 5.0 mmol, 1.0 equiv.) and **1b** (1.242 g, 10.0 mmol) was performed to get desired product **1c** (1.408 g) in 78% yield.

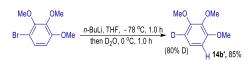
5. Solvent free reaction



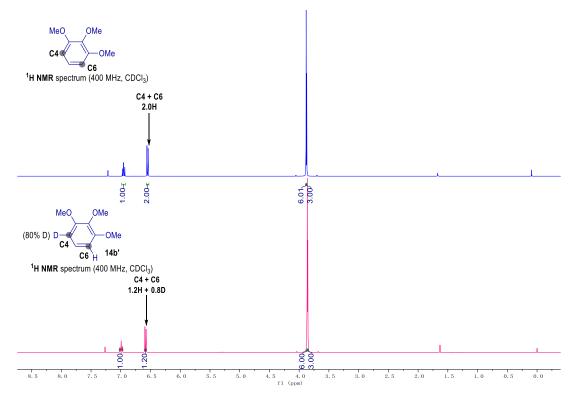
The substrate **1a** (0.3 mmol, 1.0 equiv.) and thioanisole **1b** (0.6 mmol, 2.0 equiv.) were added into a Schlenk tube (10.0 mL). The reaction was stirred at 100 °C in oil bath for 10.0 h. Then, the reaction was cooled to the room temperature. The residue thioanisole was removed under *vacuo*, and the crude product was purified by column chromatography (PE : EA = 7:1) to get desired product **1c** (78.2 mg) in 72% yield.

6. Mechanistic study

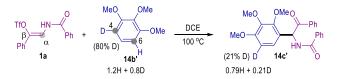
6.1 The preparation of deuterated substrate 14b'



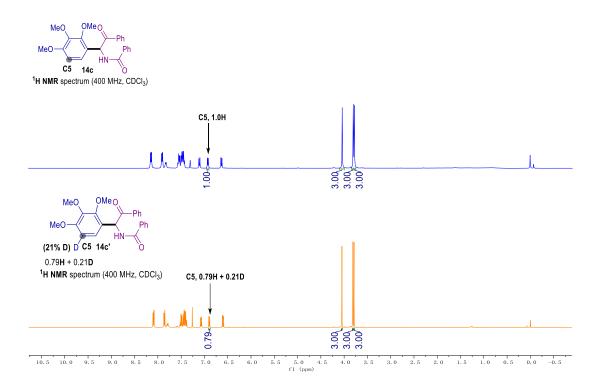
1-Bromo-2,3,4-trimethoxybenzene (2.0 mmol, 1.0 equiv.) was added to THF (10.0 mL) with a 50.0 mL two-necked flask under argon atmosphere. Then *n*-BuLi (2.2 mmol, 1.1 equiv.) was added dropwise at -78 °C. The resulting mixture was stirred at -78 °C for 1.0 h, and D₂O (1.0 mL) was added dropwise. The mixture was stirred at 0 °C for 1.0 h, and 10 mL of water was added. Then the aqueous layer was extracted with ethyl acetate (3 x 10.0 mL). The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated under vacuo, the crude product was directly purified by column chromatography (PE : EA = 50 : 1) to afford deuterated product **14b'** (85% yield, 80% D).



6.2 KIE experiment



The reaction of **1a** (0.1 mmol, 1.0 equiv.) and **14b'** (0.2 mmol, 2.0 equiv.) was performed under our standard reaction condition. For the calculation of the KIE value, the H/D ratio was determined by NMR spectroscopy. The control experiment shown that the H/D ratio of product **14c'** (0.79H/0.21D) is higher than 0.6H/0.4D, namely deuterium atom has a higher reaction rate than hydrogen atom. This result exhibited an inverse ($k_{\rm H}/k_{\rm D} < 1$) KIE and strongly correspond with the S_N2' mechanism.



7. Characterization data for key compounds

N-(1-(4-(methylthio)phenyl)-2-oxo-2-phenylethyl)benzamide (1c)

According to procedure A, the title product **1c** (30.0 mg) was isolated by column chromatography (PE : EA = 7:1) as a yellow amorphous solid in 83% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 7.2 Hz, 2H), 7.84 (d, *J* = 7.2 Hz, 2H), 7.75 (d, *J* = 6.8 Hz, 1H), 7.57 – 7.49 (m, 2H), 7.46 – 7.38 (m, 6H), 7.18 (d, *J* = 8.4 Hz, 2H), 6.70 (d, *J* = 6.8 Hz, 1H), 2.42(s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.7, 166.4, 139.2, 134.3, 134.0, 133.9, 133.9, 131.7, 129.2, 128.8, 128.8, 128.6, 127.2, 127.0, 58.5, 15.5; **IR(cm⁻¹)**: v 3414, 2919, 1688, 1654, 1480, 1447, 1246, 1094; **HRMS**: m/z: [M+H]⁺ calculated for C₂₂H₂₀NO₂S⁺, 362.1209, found 362.1205.

N-(2-oxo-2-phenyl-1-(4-(phenylthio)phenyl)ethyl)benzamide (2c)

According to procedure A, the title product 2c (31.8 mg) was isolated by column chromatography (PE : EA = 10:1) as a yellow amorphous solid in 75% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, J = 7.2 Hz,

2H), 7.85 (d, J = 7.2 Hz, 2H), 7.77 (d, J = 7.2 Hz, 1H), 7.58 – 7.49 (m, 3H), 7.46 – 7.42

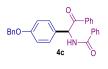
(m, 5H), 7.39 - 7.34 (m, 3H), 7.29 (d, J = 7.2 Hz, 2H), 7.19 (d, J = 8.0 Hz, 2H), 6.71(d, J = 7.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 195.6, 166.4, 137.4, 135.5, 134.2, 134.0, 133.9, 132.4, 131.8, 130.2, 129.3, 129.2, 129.0, 128.8, 128.6, 128.5, 127.8, 127.2, 58.4; IR (cm⁻¹): v 3407, 3058, 1686, 1650, 1512, 1477, 1447, 1178; HRMS: m/z: $[M+H]^+$ calculated for C₂₇H₂₂NO₂S⁺, 424.1365, found 424.1361.

N-(2-oxo-1-(4-phenoxyphenyl)-2-phenylethyl)benzamide (3c)

According to procedure A, the title product 3c (29.4 mg) was isolated solid in 72% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, J = 7.2 Hz,

2H), 7.86 (d, J = 7.2 Hz, 2H), 7.81 (d, J = 6.8 Hz, 1H), 7.56 – 7.48 (m, 2H), 7.45 – 7.41 (m, 6H), 7.29 (t, J = 8.0 Hz, 2H), 7.09 (t, J = 7.2 Hz, 1H), 6.97 – 6.90 (m, 4H), 6.75 (d, J = 6.8 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 195.8, 166.4, 157.6, 156.3, 134.2, 133.9, 133.8, 131.7, 131.6, 130.0, 129.7, 129.2, 128.7, 128.5, 127.1, 123.7, 119.4, 118.9, 58.2; **IR (cm⁻¹)**: v 3374, 1683, 1646, 1588, 1504, 1447, 1350, 1243, 1169; **HRMS**: m/z: $[M+H]^+$ calculated for $C_{27}H_{22}NO_3^+$, 408.1594, found 408.1586.

N-(1-(4-(benzyloxy)phenyl)-2-oxo-2-phenylethyl)benzamide (4c)



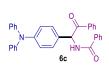
According to procedure A, the title product **4c** (29.5 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 70% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, J = 7.2 Hz,

2H), 7.85 (d, J = 7.2 Hz, 2H), 7.72 (d, J = 7.2 Hz, 1H), 7.55 - 7.48 (m, 2H), 7.45 - 7.40 (m, 5H), 7.39 – 7.29 (m, 6H), 6.92 (d, J = 8.8 Hz, 2H), 6.70 (d, J = 7.2 Hz, 1H), 4.98 (s, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 195.9, 166.3, 158.8, 136.6, 134.3, 134.0, 133.8, 131.7, 129.6, 129.5, 129.2, 128.7, 128.6, 128.5, 128.0, 127.4, 127.1, 115.5, 70.0, 58.3; **IR** (cm⁻¹): v 3411, 3061, 2925, 1686, 1654, 1508, 1480, 1244, 1177; **HRMS**: m/z: $[M+H]^+$ calculated for C₂₈H₂₄NO₃⁺, 422.1740, found 422.1750.

N-(1-(4-(allyloxy)phenyl)-2-oxo-2-phenylethyl)benzamide (5c)

Alyon f_{35} According to procedure A, the title product **5c** (25.2 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 68% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, J = 7.2 Hz, 2H), 7.85 (d, J= 7.2 Hz, 2H), 7.71 (d, J = 6.4 Hz, 1H), 7.55 – 7.49 (m, 2H), 7.45 – 7.38 (m, 6H), 6.85 (d, J = 8.8 Hz, 2H), 6.70 (d, J = 7.2 Hz, 1H), 6.04 – 5.95 (m, 1H), 5.36 (d, J = 17.2 Hz, 1H), 5.25 (d, J = 10.4 Hz, 1H), 4.46 (d, J = 5.2 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 195.9, 166.3, 158.6, 134.3, 134.0, 133.8, 133.0, 131.7, 129.6, 129.4, 129.2, 129.1, 128.7, 128.5, 127.1, 117.8, 115.4, 68.8, 58.3; IR (cm⁻¹): v 3411, 3062, 2922, 1650, 1508, 1482, 1244, 1108; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₂NO₃⁺, 372.1594, found 372.1584.

N-(1-(4-(diphenylamino)phenyl)-2-oxo-2-phenylethyl)benzamide (6c)



According to procedure A, the title product **6c** (35.2 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 73% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.06 (d, J = 7.2 Hz,

2H), 7.87 (d, J = 7.2 Hz, 2H), 7.70 (d, J = 7.2 Hz, 1H), 7.59 – 7.43 (m, 6H), 7.30 (d, J = 8.0 Hz, 2H), 7.22 (t, J = 8.0 Hz, 4H), 7.04 – 6.96 (m, 8H), 6.70 (d, J = 7.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 195.8, 166.3, 147.9, 147.3, 134.4, 134.0, 133.8, 131.7, 130.1, 129.3, 129.2, 129.1, 128.8, 128.6, 127.2, 124.9, 123.3, 123.0, 58.2; IR (cm⁻¹): v 3415, 3060, 1655, 1594, 1507, 1490, 1273, 696; HRMS: m/z: [M+Na]⁺ calculated for C₃₃H₂₆N₂NaO₂⁺, 505.1887, found 505.1890.

N-(2-oxo-2-phenyl-1-(4-(pyrrolidin-1-yl)phenyl)ethyl)benzamide (7c)

According to procedure A, the title product 7c (30.0 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 78% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, *J* = 8.0

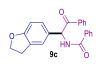
Hz, 2H), 7.84 (d, J = 7.2 Hz, 2H), 7.62 (d, J = 7.2 Hz, 1H), 7.51 – 7.45 (m, 2H), 7.43 – 7.37 (m, 4H), 7.31 (d, J = 8.0 Hz, 2H), 6.66 (d, J = 7.2 Hz, 1H), 6.48 (d, J = 8.0 Hz, 2H), 3.20 (t, J = 6.0 Hz, 4H), 1.95 – 1.92 (m, 4H); ¹³C NMR (100 MHz, CDCl₃) δ

196.0, 166.3, 147.7, 134.6, 134.2, 133.4, 131.5, 129.3, 129.1, 128.6, 128.4, 127.1, 123.1, 112.0, 58.6, 47.4, 25.4; **IR (cm⁻¹)**: v 3411, 3326, 3060, 2964, 1686, 1611, 1521, 1178; **HRMS**: m/z: [M+H]⁺ calculated for C₂₅H₂₅N₂O₂⁺, 385.1911, found 385.1918.

N-(2-oxo-2-phenyl-1-(p-tolyl)ethyl)benzamide (8c)

According to procedure A, the title product **8c** (32.2 mg) was isolated by column chromatography (PE : EA = 15:1) as a white amorphous solid in 98% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, *J* = 7.2 Hz, 2H), 7.84 (d, *J* = 7.2 Hz, 2H), 7.71 (d, *J* = 6.8 Hz, 1H), 7.55 – 7.48 (m, 2H), 7.45 – 7.40 (m, 4H), 7.37 (d, *J* = 8.0 Hz, 2H), 7.13 (d, *J* = 8.0 Hz, 2H), 6.72 (d, *J* = 6.8 Hz, 1H), 2.27 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 196.0, 166.3, 138.3, 134.4, 134.3, 134.1, 133.8, 131.6, 129.9, 129.2, 128.7, 128.5, 128.2, 127.2, 58.7, 21.1; IR (cm⁻¹): v 3328, 3057, 2922, 1682, 1638, 1579, 1513, 1353; HRMS: m/z: [M+H]⁺ calculated for C₂₂H₂₀NO₂⁺, 330.1488, found 330.1481.

N-(1-(2,3-dihydrobenzofuran-5-yl)-2-oxo-2-phenylethyl)benzamide (9c)



According to procedure A, the title product 9c (29.7 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 83% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, J = 7.2

Hz, 2H), 7.85 (d, J = 7.2 Hz, 2H), 7.72 (d, J = 6.8 Hz, 1H), 7.56 – 7.49 (m, 2H), 7.46 – 7.42 (m, 4H), 7.32 (s, 1H), 7.21 (d, J = 7.2 Hz, 1H), 6.72 – 6.67 (m, 2H), 4.52 (t, J = 8.8 Hz, 2H), 3.15 (t, J = 8.8 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 195.9, 166.3, 160.3, 134.3, 134.0, 133.7, 131.7, 129.2, 129.1, 128.7, 128.5, 128.4, 128.2, 127.1, 125.0, 109.8, 71.4, 58.5, 29.5; **IR** (cm⁻¹): v 3407, 3059, 2918, 1689, 1642, 1483, 1447, 1240; **HRMS**: m/z: [M+H]⁺ calculated for C₂₃H₂₀NO₃⁺, 358.1437, found 358.1433.

N-(1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-2-phenylethyl)benzamide (10c)



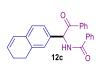
According to procedure A, the title product 10c (32.0 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 89% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, J = 7.2 Hz, 2H), 7.85 (d, J = 7.2Hz, 2H), 7.72 (d, J = 7.2 Hz, 1H), 7.57 – 7.49 (m, 2H), 7.44 (t, J = 8.0 Hz, 4H), 7.00 – 6.95 (m, 2H), 6.74 (d, J = 8.0 Hz, 1H), 6.65 (d, J = 7.2 Hz, 1H), 5.90 (d, J = 7.2 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 195.6, 166.3, 148.2, 147.7, 134.2, 133.9, 131.7, 130.9, 129.1, 128.8, 128.7, 128.6, 127.1, 122.2, 108.9, 108.6, 101.3, 58.5; **IR (cm⁻¹)**: v 3408, 2921, 1687, 1650, 1485, 1445, 1345, 1250, 1038, 694; **HRMS**: m/z: [M+H]⁺ calculated for C₂₂H₁₈NO₄⁺, 360.1230, found 360.1220.

N-(2-oxo-2-phenyl-1-(5,6,7,8-tetrahydronaphthalen-2-yl)ethyl)benzamide (11c)



According to procedure A, the title product 11c (22.9 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 62% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, J = 7.2 Hz, 2H), 7.84 (d, J = 7.2 Hz, 2H), 7.65 (d, J = 7.2 Hz, 1H), 7.55 – 7.48 (m, 2H), 7.43 (t, J = 8.0 Hz, 4H), 7.20 - 7.15 (m, 2H), 7.00 (d, J = 8.0 Hz, 1H), 6.69 (d, J = 7.2 Hz, 1H), 2.70 – 2.68 (m, 4H), 1.74 – 1.71 (m, 4H); ¹³C NMR (100 MHz, CDCl₃) δ 196.0, 166.3, 138.2, 137.6, 134.5, 134.1, 133.7, 131.6, 130.7, 130.0, 129.2, 128.8, 128.7, 128.5, 127.2, 125.4, 58.7, 29.3, 29.1, 23.0, 22.9; **IR (cm⁻¹)**: v 3411, 3059, 2927, 2856, 1650, 1512, 1481, 1259, 689; **HRMS**: m/z: [M+H]⁺ calculated for C₂₅H₂₄NO₂⁺, 370.1801, found 370.1791.

N-(1-(7,8-dihydronaphthalen-2-yl)-2-oxo-2-phenylethyl)benzamide (12c)



According to procedure A, the title product 12c (30.1 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 82% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, J = 7.2 Hz,

2H), 7.88 (d, J = 7.2 Hz, 2H), 7.58 (t, J = 7.2 Hz, 2H), 7.52 – 7.44 (m, 5H), 7.12 – 7.07 (m, 2H), 7.04 - 7.00 (m, 2H), 6.67 (s, 1H), 6.39 (d, J = 7.2 Hz, 1H), 2.82 - 2.67 (m, 2H), 2.45 – 2.26 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 196.1, 166.7, 135.8, 134.6, 134.5, 134.0, 133.4, 131.8, 130.1, 129.0, 128.9, 128.8, 128.6, 127.6, 127.2, 127.1, 126.6, 126.5, 59.8, 27.9, 24.2; **IR (cm⁻¹)**: v 3319, 3060, 2928, 2831, 1646, 1482, 1248, 1107,

757; **HRMS**: m/z: [M+H]⁺ calculated for C₂₅H₂₂NO₂⁺, 368.1645, found 368.1641.

N-(1-(2,4-dimethoxy-3-methylphenyl)-2-oxo-2-phenylethyl)benzamide (13c)

According to procedure A, the title product **13c** (31.6 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 81% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.11 (d, *J* = 7.2 Hz, 2H), 7.86 (d, *J* = 7.2 Hz, 2H), 7.70 (d, *J* = 6.8 Hz, 1H), 7.48 (d, *J* = 7.2 Hz, 2H), 7.44 – 7.37 (m, 4H), 7.18 (d, *J* = 8.8 Hz, 1H), 6.97 (d, *J* = 7.2 Hz, 1H), 6.57 (d, *J* = 8.8 Hz, 1H), 3.96 (s, 3H), 3.74 (s, 3H), 2.14 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 196.2, 166.5, 159.1, 157.0, 134.4, 134.3, 133.5, 131.6, 130.1, 129.1, 128.5, 127.1, 126.4, 122.5, 120.5, 106.6, 61.5, 55.5, 54.0, 9.5; IR (cm⁻¹): v 3330, 2937, 2600, 1658, 1473, 1106, 1003, 798; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₄NO₄⁺, 390.1699, found 390.1696.

N-(2-oxo-2-phenyl-1-(2,3,4-trimethoxyphenyl)ethyl)benzamide (14c)



According to procedure A, the title product 14c (36.2 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 89% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.09

(d, J = 7.2 Hz, 2H), 7.86 (d, J = 7.2 Hz, 2H), 7.77 (d, J = 6.8 Hz, 1H), 7.51 (t, J = 7.2 Hz, 2H), 7.46 – 7.38 (m, 4H), 7.07 (d, J = 8.8 Hz, 1H), 6.89 (d, J = 7.2 Hz, 1H), 6.60 (d, J = 8.8 Hz, 1H), 4.05 (s, 3H), 3.81 (s, 3H), 3.79 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.9, 166.3, 154.0, 151.4, 142.3, 134.3, 133.5, 131.6, 129.0, 128.5, 127.1, 123.6, 123.4, 107.6, 61.3, 60.6, 55.9, 54.3; IR (cm⁻¹): v 3408, 2934, 1655, 1493, 1448, 1279, 1099, 798, 691; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₄NO₅⁺, 406.1649, found 406.1632.

N-(1-mesityl-2-oxo-2-phenylethyl)benzamide (15c)



According to procedure A, the title product **15c** (27.2 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 76% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, *J* = 7.2 Hz, 2H), 7.79 (d, J = 7.2 Hz, 2H), 7.57 (d, J = 6.8 Hz, 1H), 7.50 – 7.42 (m, 4H), 7.34 (t, J = 8.0 Hz, 2H), 6.83 (s, 2H), 6.66 (d, J = 6.8 Hz, 1H), 2.50 (s, 6H), 2.21 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 197.6, 166.7, 138.1, 137.2, 135.3, 134.2, 133.3, 131.6, 131.3, 130.7, 128.6, 128.5, 128.4, 127.2, 57.9, 20.8, 20.7; **IR (cm⁻¹)**: v 3397, 2920, 1697, 1658, 1479, 1227, 852, 689, 597; **HRMS**: m/z: $[M+H]^+$ calculated for $C_{24}H_{24}NO_2^+$, 358.1801, found 358.1802.

N-(2-oxo-2-phenyl-1-(2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-ij]quinolin-9yl)ethyl)benzamide (16c)



According to procedure A, the title product 16c (29.6 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 72% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.05 (d, J = 7.2 Hz, 2H), 7.84 (d, J = 7.2 Hz, 2H), 7.53 – 7.46 (m, 3H), 7.42 (t, J = 7.2 Hz, 4H), 6.85 (s, 2H), 6.57 (d, J = 7.2 Hz, 1H), 3.08 (t, J = 5.6 Hz, 4H), 2.68 (t, J = 6.4 Hz, 4H), 1.92 -1.86 (m, 4H); ¹³C NMR (100 MHz, CDCl₃) δ 196.1, 166.2, 142.9, 134.8, 134.2, 133.4, 131.5, 129.2, 128.6, 128.4, 127.2, 126.8, 123.0, 121.9, 58.4, 49.8, 27.6, 21.7; IR (cm⁻ ¹): v 3322, 2926, 1650, 1514, 1447, 1312, 1160, 713, 691; **HRMS**: m/z: [M+H]⁺ calculated for C₂₇H₂₇N₂O₂⁺, 411.2067, found 411.2064.

N-(1-(2-methoxynaphthalen-1-yl)-2-oxo-2-phenylethyl)benzamide (17c)

According to procedure A, the title product 17c (24.9 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 63% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.56 (d, J = 8.0 Hz, 1H), 7.85 -7.75 (m, 6H), 7.67 - 7.60 (m, 2H), 7.45 - 7.32 (m, 6H), 7.20 - 7.11 (m, 3H), 3.81 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 197.0, 167.0, 155.2, 134.9, 134.3, 132.8, 132.2, 131.5, 131.0, 129.6, 128.8, 128.4, 128.2, 128.1, 128.0, 127.2, 123.9, 122.9, 119.4, 113.3, 56.2, 53.5; **IR (cm⁻¹)**: v 3423, 2934, 2840, 1697, 1650, 1513, 1446, 1253, 813, 709; **HRMS**: m/z: $[M+H]^+$ calculated for $C_{26}H_{22}NO_3^+$, 396.1594, found 396.1588.

N-(1-(5-methylfuran-2-yl)-2-oxo-2-phenylethyl)benzamide (18c)



According to procedure A, the title product 18c (25.5 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 80% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.13 – 8.06 (m, 3H), 7.89 (d, J = 7.2 Hz, 2H), 7.63 - 7.57 (m, 2H), 7.49 - 7.43 (m, 4H), 6.87 (d, J = 7.2 Hz, 1H),6.33 (d, J = 3.2 Hz, 1H), 5.88 (d, J = 3.2 Hz, 1H), 2.19 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) § 193.2, 166.4, 153.0, 147.4, 134.2, 133.9, 133.8, 131.8, 129.0, 128.7, 128.6, 127.2, 110.5, 107.0, 52.7, 13.6; **IR (cm⁻¹)**: v 3425, 1693, 1601, 1580, 1517, 1483, 1449, 1223, 1024, 790; **HRMS**: m/z: $[M+H]^+$ calculated for C₂₀H₁₈NO₃⁺, 320.1281, found 320.1282.

N-(1-(2,5-dimethylthiophen-3-yl)-2-oxo-2-phenylethyl)benzamide (19c)



According to procedure A, the title product 19c (34.2 mg) was isolated $_{\text{Me}}$ $\stackrel{\text{Ph}}{\longrightarrow}$ by column chromatography (PE : EA = 15:1) as a white amorphous solid in 98% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.96 (d, J = 7.2 Hz, 2H),

7.85 (d, J = 7.2 Hz, 2H), 7.56 (t, J = 7.2 Hz, 2H), 7.50 (d, J = 7.2 Hz, 1H), 7.45 (t, J =7.2 Hz, 4H), 6.66 (d, J = 7.2 Hz, 1H), 6.44 (s, 1H), 2.68 (s, 3H), 2.29 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 196.1, 166.4, 137.1, 135.5, 134.5, 133.8, 131.7, 131.4, 130.1, 128.7, 128.5, 128.4, 127.2, 124.2, 53.1, 15.1, 13.3; **IR (cm⁻¹)**: v 3414, 2921, 1655, 1491, 1276, 1096, 1013, 798, 712; **HRMS**: m/z: [M+H]⁺ calculated for C₂₁H₂₀NO₂S⁺, 350.1209, found 350.1208.

N-(1-(2,5-dimethyl-1H-pyrrol-3-yl)-2-oxo-2-phenylethyl)benzamide (20c)

According to procedure A, the title product **20c** (24.6 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid

in 74% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, J = 7.2 Hz, 2H),

7.84 (d, J = 7.2 Hz, 2H), 7.56 – 7.47 (m, 3H), 7.44 – 7.40 (m, 5H), 6.59 (d, J = 7.2 Hz, 1H), 5.66 (s, 1H), 2.43 (s, 3H), 2.11 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 196.3, 166.3, 135.0, 134.3, 133.2, 131.4, 128.8, 128.5, 128.4, 127.1, 126.3, 124.5, 114.7, 105.1, 52.1, 12.9, 11.4; **IR (cm⁻¹)**: v 3313, 3060, 1686, 1642, 1513, 1482, 1447, 688; **HRMS**: m/z: [M+Na]⁺ calculated for C₂₁H₂₀N₂NaO₂⁺, 355.1417, found 355.1421.

According to procedure A, the title product 21c (27.1 mg) was isolated

N-(1-(benzo[b]thiophen-2-yl)-2-oxo-2-phenylethyl)benzamide (21c)

S HN 21c

by column chromatography (PE : EA = 7:1) as a white amorphous solid in 73% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.26 (d, J = 8.0 Hz, 1H),
8.01 (d, J = 8.0 Hz, 2H), 7.87 – 7.83 (m, 3H), 7.55 – 7.48 (m, 4H), 7.43 – 7.38 (m, 5H),
7.36 (s, 1H), 7.26 – 7.25 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 195.8, 166.8, 140.6,
137.2, 134.4, 134.0, 133.8, 131.9, 131.6, 128.9, 128.8, 128.6, 127.2, 126.9, 125.1, 125.0,
123.0, 122.3, 52.7; IR (cm⁻¹): v 3311, 3058, 1691, 1646, 1511, 1480, 1339, 761; HRMS:
m/z: [M+Na]⁺ calculated for C₂₃H₁₇NNaO₂S⁺, 394.0872, found 394.0874.

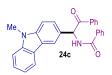
N-(1-(3-methyl-1H-indol-2-yl)-2-oxo-2-phenylethyl)benzamide (22c)

According to procedure A, the title product **22c** (28.7 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 78% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.94 (s, 1H), 8.06 (d, *J* = 7.2 Hz, 2H), 7.89 (d, *J* = 6.4 Hz, 1H), 7.82 (d, *J* = 7.2 Hz, 2H), 7.55 – 7.46 (m, 3H), 7.42 – 7.38 (m, 4H), 7.25 – 7.24 (m, 1H), 7.14 (t, *J* = 7.2 Hz, 1H), 7.07 – 7.03 (m, 1H), 6.98 (d, *J* = 6.4 Hz, 1H), 2.28 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.0, 167.1, 135.9, 134.2, 134.1, 133.4, 132.0, 129.0, 128.9, 128.8, 128.7, 128.6, 127.1, 122.8, 119.4, 119.0, 111.1, 110.4, 52.4, 8.6; IR (cm⁻¹): v 3388, 3059, 1687, 1638, 1482, 1448, 1263, 711; HRMS: m/z: [M+Na]⁺ calculated for C₂₄H₂₀N₂NaO₂⁺, 391.1417, found 391.1430.

N-(1-(dibenzo[b,d]furan-2-yl)-2-oxo-2-phenylethyl)benzamide (23c)

According to procedure A, the title product **23c** (34.1 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 84% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.09 – 8.05 (m, 3H), 7.93 – 7.86 (m, 4H), 7.59 (d, J = 8.0 Hz, 1H), 7.51 – 7.47 (m, 4H), 7.44 – 7.38 (m, 5H), 7.31 (t, J = 7.2 Hz, 1H), 6.92 (d, J = 7.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 195.9, 166.4, 156.6, 155.9, 134.2, 133.9, 133.8, 131.9, 131.7, 129.2, 128.8, 128.6, 127.5, 127.4, 127.2, 125.1, 123.7, 122.9, 120.9, 120.8, 112.4, 111.7, 58.9; **IR (cm⁻¹)**: v 3408, 3058, 2924, 1650, 1510, 1448, 1198, 1024, 799; **HRMS**: m/z: [M+H]⁺ calculated for C₂₇H₂₀NO₃⁺, 406.1437, found 406.1425.

N-(1-(9-methyl-9H-carbazol-3-yl)-2-oxo-2-phenylethyl)benzamide (24c)



According to procedure A, the title product **24c** (26.0 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 62% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.19

(s, 1H), 8.08 (d, J = 7.2 Hz, 3H), 7.86 (d, J = 7.2 Hz, 2H), 7.81 (d, J = 7.2 Hz, 1H), 7.62 (d, J = 8.0 Hz, 1H), 7.51 – 7.42 (m, 5H), 7.40 – 7.34 (m, 4H), 7.22 (t, J = 7.2 Hz, 1H), 6.94 (d, J = 7.2 Hz, 1H), 3.79 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 196.1, 166.4, 141.3, 140.7, 134.5, 134.1, 133.6, 131.6, 130.1, 129.2, 128.7, 128.5, 127.6, 127.2, 126.0, 123.4, 122.4, 120.6, 120.4, 119.2, 109.2, 108.5, 59.3, 29.1; IR (cm⁻¹): v 3408, 2925, 1654, 1510, 1482, 1447, 1325, 1248, 689; HRMS: m/z: [M+H]⁺ calculated for C₂₈H₂₃N₂O₂⁺, 419.1754, found 419.1757.

N-(2-oxo-1,2-diphenylethyl)benzamide (25c)



According to procedure A, the title product 25c (13.5 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in

42% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.06 – 8.00 (m, 2H), 7.88 – 7.83 (m, 2H), 7.75 (d, J = 7.2 Hz, 1H), 7.56 – 7.40 (m, 8H), 7.36 – 7.28 (m, 3H), 6.76 (d, J = 7.2 Hz, 1H); IR (cm⁻¹): v 3328, 2922, 1682, 1638, 1579, 1513, 1485, 1448, 689; HRMS: m/z: [M+H]⁺ calculated for C₂₁H₁₈NO₂⁺, 316.1332, found 316.1327. This is a known compound⁷.

N-(1-(furan-2-yl)-2-oxo-2-phenylethyl)benzamide (26c)

N-(1-(5-bromo-2-methoxyphenyl)-2-oxo-2-phenylethyl)benzamide (27c)



According to procedure A, the title product 27c (23.0 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid

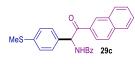
in 54% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, J = 7.6 Hz, 2H),

7.86 (d, J = 7.6 Hz, 2H), 7.75 – 7.68 (m, 1H), 7.58 – 7.38 (m, 7H), 7.32 (d, J = 8.4 Hz, 1H), 6.89 (d, J = 6.8 Hz, 1H), 6.74 (d, J = 8.4 Hz, 1H), 3.88 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.7, 166.4, 155.8, 134.20, 133.74, 133.60, 132.52, 132.02, 131.75, 130.12, 128.79, 128.56, 128.42, 127.19, 113.46, 113.34, 56.08, 53.87; IR (cm⁻¹): v 3422, 2923, 1650, 1597, 1514, 1482, 1448, 1263, 1026; HRMS: m/z: [M+H]⁺ calculated for C₂₂H₁₉BrNO₃⁺, 424.0542, found 424.0535.

N-(1-(4-(methylthio)phenyl)-2-oxo-2-(p-tolyl)ethyl)benzamide (28c)

According to procedure A, the title product **28c** (30.8 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 82% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, *J* = 8.0 Hz, 2H), 7.84 (d, *J* = 7.2 Hz, 2H), 7.79 (d, *J* = 7.2 Hz, 1H), 7.50 (d, *J* = 7.2 Hz, 1H), 7.46 – 7.38 (m, 4H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 2H), 6.67 (d, *J* = 7.2 Hz, 1H), 2.42 (s, 3H), 2.38 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.2, 166.3, 145.1, 139.0, 134.2, 133.9, 131.7, 131.6, 129.5, 129.3, 128.7, 128.6, 127.1, 126.9, 58.3, 21.7, 15.4; **IR (cm⁻¹)**: v 3408, 3059, 1651, 1480, 1282, 1177, 1095, 711; **HRMS**: m/z: $[M+H]^+$ calculated for C₂₃H₂₂NO₂S⁺, 376.1366, found 376.1369.

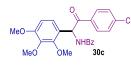
N-(1-(4-(methylthio)phenyl)-2-(naphthalen-2-yl)-2-oxoethyl)benzamide (29c)



According to procedure A, the title product **29c** (32.1 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 78% yield. ¹H NMR (400 MHz, CDCl₃) δ

8.58 (s, 1H), 8.04 (d, J = 8.0 Hz, 1H), 7.94 (d, J = 8.0 Hz, 1H), 7.88 – 7.82 (m, 5H), 7.63 – 7.51 (m, 3H), 7.46 (t, J = 7.2 Hz, 4H), 7.18 (d, J = 8.0 Hz, 2H), 6.87 (d, J = 7.2 Hz, 1H), 2.40 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.6, 166.4, 139.2, 135.9, 134.0, 133.9, 132.3, 131.8, 131.5, 131.4, 129.8, 129.1, 128.8, 128.7, 128.6, 127.8, 127.2, 127.0, 126.9, 124.2, 58.5, 15.4; **IR (cm⁻¹)**: v 3411, 2920, 1685, 1647, 1509, 1482, 1095, 711; **HRMS**: m/z: [M+Na]⁺ calculated for C₂₆H₂₁NNaO₂S⁺, 434.1185, found 434.1189.

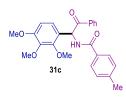
N-(2-(4-chlorophenyl)-2-oxo-1-(2,3,4-trimethoxyphenyl)ethyl)benzamide (30c)



According to procedure A, the title product **30c** (33.0 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 75% yield. ¹H NMR (400 MHz, CDCl₃) δ

8.04 (d, J = 8.8 Hz, 2H), 7.85 (d, J = 7.2 Hz, 2H), 7.74 (d, J = 7.2 Hz, 1H), 7.51 (t, J = 7.2 Hz, 1H), 7.44 (t, J = 7.2 Hz, 2H), 7.37 (d, J = 8.8 Hz, 2H), 7.03 (d, J = 8.8 Hz, 1H), 6.85 (d, J = 7.2 Hz, 1H), 6.60 (d, J = 8.8 Hz, 1H), 4.06 (s, 3H), 3.82 (s, 3H), 3.80 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 194.8, 166.3, 154.1, 151.3, 142.4, 140.0, 134.1, 132.6, 131.7, 130.4, 128.9, 128.5, 127.1, 123.4, 123.0, 107.7, 61.4, 60.7, 55.9, 54.2; IR (cm⁻¹): v 3408, 2941, 2836, 1693, 1592, 1280, 1098, 798; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₃ClNO₅⁺, 440.1259, found 440.1249.

4-methyl-N-(2-oxo-2-phenyl-1-(2,3,4-trimethoxyphenyl)ethyl)benzamide (31c)



According to procedure A, the title product **31c** (31.9 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 76% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 8.0 Hz, 2H), 7.68 (d, *J* = 8.0 Hz, 3H), 7.42 (t, *J* = 7.2 Hz,

1H), 7.32 (t, J = 7.2 Hz, 2H), 7.15 (d, J = 7.2 Hz, 2H), 6.99 (d, J = 8.8 Hz, 1H), 6.81 (d, J = 7.2 Hz, 1H), 6.52 (d, J = 8.8 Hz, 1H), 4.00 (s, 3H), 3.73 (s, 3H), 3.70 (s, 3H), 2.31 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 196.0, 166.2, 153.9, 151.4, 142.3, 142.0, 134.3, 133.5, 131.4, 129.1, 129.0, 128.5, 127.1, 123.6, 123.5, 107.6, 61.3, 60.6, 55.8, 54.2, 21.4; **IR (cm⁻¹)**: v 3408, 2940, 2835, 1921, 1417, 1210, 1099, 1012, 800; **HRMS**: m/z: [M+H]⁺ calculated for C₂₅H₂₆NO₅⁺, 420.1805, found 420.1798.

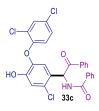
N-(1-(4-hydroxy-3,5-diisopropylphenyl)-2-oxo-2-phenylethyl)benzamide (32c)



According to procedure A, the title product **32c** (31.6 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 76% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 7.2 Hz, 2H),

7.85 (d, J = 7.2 Hz, 2H), 7.58 (d, J = 7.2 Hz, 1H), 7.53 – 7.47 (m, 2H), 7.44 – 7.38 (m, 4H), 7.12 (s, 2H), 6.71 (d, J = 7.2 Hz, 1H), 3.11 – 3.04 (m, 2H), 1.21 (d, J = 6.8 Hz, 6H), 1.18 (d, J = 6.8 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 196.5, 166.5, 150.2, 134.8, 134.5, 134.2, 133.5, 131.6, 129.0, 128.7, 128.6, 128.5, 127.2, 123.7, 58.9, 27.3, 22.6, 22.5; **IR (cm⁻¹)**: v 3322, 2953, 1652, 1564, 1479, 1277, 1191, 875, 812; **HRMS**: m/z: [M+H]⁺ calculated for C₂₇H₃₀NO₃⁺, 416.2220, found 416.2225.

N-(1-(2-chloro-5-(2,4-dichlorophenoxy)-4-hydroxyphenyl)-2-oxo-2phenylethyl)benzamide (**33c**)

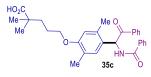


According to procedure A, the title product **33c** (29.5 mg) was isolated by column chromatography (PE : EA = 4:1) as a white amorphous solid in 56% yield. ¹H NMR (400 MHz, CD₃OCD₃) δ 8.26 (d, *J* = 7.2 Hz, 1H), 7.85 (d, *J* = 7.2 Hz, 2H), 7.75 (d, *J* = 7.2 Hz, 2H), 7.48 (t, *J* = 7.2 Hz, 1H), 7.39 – 7.36 (m, 4H), 7.29 (t, J = 8.0 Hz, 2H), 7.07 – 7.04 (m, 1H), 7.02 (s, 1H), 6.94 – 6.90 (m, 2H), 6.52 (d, J = 8.8 Hz, 1H); ¹³C NMR (100 MHz, CD₃OCD₃) δ 195.4, 166.3, 152.2, 149.7, 141.6, 135.3, 134.0, 133.5, 131.6, 129.9, 128.8, 128.4, 128.3, 128.3, 128.0, 127.5, 127.5, 126.3, 124.0, 122.5, 118.4, 118.1, 55.9; IR (cm⁻¹): v 3405, 2924, 1643, 1505, 1473, 1448, 1292, 1234, 1099, 711; HRMS: m/z: [M+H]⁺ calculated for C₂₇H₁₉Cl₃NO₄⁺, 526.0374, found 526.0380.

2-(6-(1-benzamido-2-oxo-2-phenylethyl)-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl)acetic acid (**34c**)

According to procedure A, the title product **34c** (39.9 mg) was isolated by column chromatography (PE : EA = 1:1) as a white amorphous solid in 67% yield. ¹H NMR (**400 MHz, CDCl**₃) δ 7.97 (d, *J* = 7.2 Hz, 2H), 7.76 (d, *J* = 7.2 Hz, 2H), 7.56 – 7.33 (m, 10H), 7.25 (s, 1H), 6.94 – 6.88 (m, 2H), 6.82 (s, 1H), 3.95 (s, 3H), 3.63 (s, 2H), 2.36 (s, 3H); ¹³C NMR (**100 MHz, CDCl**₃) δ 195.8, 174.9, 168.0, 166.2, 153.3, 139.5, 138.9, 136.9, 134.4, 133.9, 133.5, 133.3, 131.6, 131.2, 130.5, 129.1, 128.8, 128.5, 128.4, 127.1, 122.0, 114.9, 111.5, 100.5, 56.3, 54.1, 29.8, 13.1; **IR (cm**⁻¹): v 3406, 3064, 2924, 1686, 1481, 1324, 1226, 1089, 753; **HRMS**: m/z: [M+H]⁺ calculated for C₃₃H₂₈ClN₂O₆⁺, 583.1630, found 583.1632.

5-(4-(1-benzamido-2-oxo-2-phenylethyl)-2,5-dimethylphenoxy)-2,2dimethylpentanoic acid (**35c**)

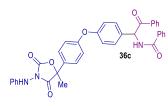


According to procedure A, the title product **35c** (31.7 mg) was isolated by column chromatography (PE : EA = 2:1) as a white amorphous solid in 65% yield. ¹H NMR (400 MHz, CDCl₃) δ

7.91 (d, J = 7.2 Hz, 2H), 7.84 (d, J = 7.2 Hz, 2H), 7.52 – 7.47 (m, 2H), 7.44 – 7.35 (m, 5H), 6.90 (s, 1H), 6.76 (d, J = 7.2 Hz, 1H), 6.63 (s, 1H), 3.89 (t, J = 6.0 Hz, 2H), 2.66 (s, 3H), 2.06 (s, 3H), 1.80 – 1.66 (m, 4H), 1.22 (s, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 197.0, 183.5, 166.5, 157.0, 135.4, 135.0, 134.0, 133.4, 131.6, 129.8, 128.7, 128.6,

128.5, 127.2, 126.3, 125.1, 113.7, 67.9, 55.9, 41.8, 36.8, 25.1, 25.0, 24.9, 19.8, 15.7; **IR (cm⁻¹)**: v 3334, 3061, 2954, 1697, 1650, 1511, 1477, 1277, 1245, 707; **HRMS**: m/z: [M+H]⁺ calculated for C₃₀H₃₄NO₅⁺, 488.2431, found 488.2428.

N-(1-(4-(4-(5-methyl-2,4-dioxo-3-(phenylamino)oxazolidin-5-yl)phenoxy)phenyl)-2oxo-2-phenylethyl)benzamide (**36c**)



According to procedure A, the title product 36c (32.4 mg) was isolated by column chromatography (PE : EA = 3:1) as a white amorphous solid in 53% yield. ¹H NMR (400 MHz,

CDCl₃) δ 7.98 (d, J = 7.2 Hz, 2H), 7.83 (d, J = 7.2 Hz, 2H),

7.72 (d, J = 7.2 Hz, 1H), 7.54 – 7.49 (m, 4H), 7.45 – 7.35 (m, 8H), 7.17 (t, J = 7.2 Hz, 1H), 7.03 (t, J = 7.2 Hz, 4H), 6.68 – 6.63 (m, 3H), 6.23 – 6.20 (m, 1H), 1.96 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.7, 171.8, 166.3, 158.6, 156.1, 152.6, 144.3, 134.1, 134.0, 133.8, 131.8, 130.0, 130.0, 129.7, 129.2, 128.8, 128.6, 127.1, 126.0, 124.1, 119.6, 119.6, 118.6, 114.5, 85.1, 58.2, 25.5; IR (cm⁻¹): v 3371, 2922, 1827, 1759, 1656, 1508, 1448, 1242, 692; HRMS: m/z: [M+H]⁺ calculated for C₃₇H₃₀N₃O₆⁺, 612.2129, found 612.2139.

N-(1-(2,6-dimethyl-4-((2-oxooxazolidin-5-yl)methoxy)phenyl)-2-oxo-2-

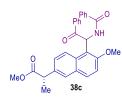
phenylethyl)benzamide (37c)

According to procedure A, the title product **37c** (28.0 mg) was isolated by column chromatography (PE : EA = 1:1) as a white amorphous solid in 61% yield. ¹H NMR (400 MHz, CDCl₃) δ

7.90 (d, J = 7.2 Hz, 2H), 7.86 (d, J = 7.2 Hz, 2H), 7.72 (d, J = 7.2 Hz, 1H), 7.49 – 7.43 (m, 4H), 7.34 (d, J = 7.2 Hz, 2H), 6.83 (d, J = 7.2 Hz, 1H), 6.69 (s, 1H), 6.48 (s, 1H), 5.71 – 5.64 (m, 1H), 4.91 (s, 1H), 4.27 (d, J = 10.4 Hz, 1H), 3.99 (d, J = 10.4 Hz, 1H), 3.82 – 3.71 (m, 2H), 2.64 (s, 3H), 2.23 (s, 3H),; ¹³C NMR (100 MHz, CDCl₃) δ 196.9, 166.6, 159.4, 155.8, 139.4, 138.4, 134.9, 134.0, 133.3, 131.6, 130.0, 128.6, 128.2, 127.3, 125.7, 122.6, 110.7, 74.0, 67.7, 54.5, 42.3, 21.4, 20.3; IR (cm⁻¹): v 3415, 2923, 1759,

1655, 1483, 1447, 1236, 1085, 692; **HRMS**: m/z: [M+H]⁺ calculated for C₂₇H₂₇N₂O₅⁺, 459.1914, found 459.1897.

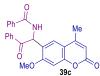
Methyl(2S)-2-(5-(1-benzamido-2-oxo-2-phenylethyl)-6-methoxynaphthalen-2yl)propanoate (**38c**)



According to procedure A, the title product **38c** (36.6 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 76% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.52 (d, J = 8.8 Hz, 1H), 7.84 (t, J = 7.2 Hz, 4H), 7.75 (d, J = 8.8 Hz,

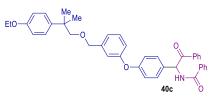
1H), 7.68 (s, 1H), 7.59 (d, J = 8.0 Hz, 2H), 7.47 (d, J = 7.2 Hz, 1H), 7.43 – 7.36 (m, 4H), 7.22 (t, J = 8.0 Hz, 2H), 7.14 (d, J = 8.0 Hz, 1H), 3.88 (q, J = 7.2 Hz, 1H), 3.83 (s, 3H), 3.69 (d, J = 1.6 Hz, 3H), 1.59 (d, J = 3.2 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 197.0, 174.9, 167.0, 155.2, 135.9, 134.9, 134.3, 132.9, 131.5, 131.4, 130.9, 129.7, 128.4, 128.2, 128.1, 128.0, 127.3, 126.9, 123.5, 119.4, 113.6, 56.3, 53.6, 52.1, 45.1, 18.6; **IR (cm⁻¹)**: v 2925, 1687, 1597, 1507, 1426, 1326, 1176, 1073, 708; **HRMS**: m/z: [M+Na]⁺ calculated for C₃₀H₂₇NNaO₅⁺, 504.1781, found 504.1792.

N-(1-(7-methoxy-4-methyl-2-oxo-2H-chromen-6-yl)-2-oxo-2-phenylethyl)benzamide (**39c**)



According to procedure A, the title product **39c** (20.6 mg) was isolated by column chromatography (PE : EA = 4:1) as a white amorphous solid in 48% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 7.2

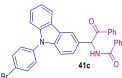
Hz, 1H), 8.01 (d, J = 7.2 Hz, 2H), 7.87 (d, J = 7.2 Hz, 2H), 7.48 – 7.42 (m, 5H), 7.37 – 7.33 (m, 2H), 7.27 (d, J = 7.2 Hz, 1H), 6.86 (d, J = 9.2 Hz, 1H), 6.13 (s, 1H), 4.05 (s, 3H), 2.33 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 195.3, 166.3, 160.0, 159.8, 152.6, 152.4, 134.9, 134.1, 133.3, 131.6, 128.5, 128.4, 128.3, 127.3, 125.8, 114.3, 113.8, 112.4, 107.9, 56.7, 51.1, 18.7; **IR(cm⁻¹)**: v 3414, 3062, 2924, 1709, 1658, 1509, 1479, 1288, 710; **HRMS**: m/z: [M+H]⁺ calculated for C₂₆H₂₂NO₅⁺, 428.1492, found 428.1488. N-(1-(4-(3-((2-(4-ethoxyphenyl)-2-methylpropoxy)methyl)phenoxy)phenyl)-2-oxo-2phenylethyl)benzamide (40c)



According to procedure A, the title product 40c (31.3 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 51% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, J = 7.2 Hz,

2H), 7.82 (d, J = 7.2 Hz, 2H), 7.63 (d, J = 7.2 Hz, 1H), 7.50 – 7.45 (m, 2H), 7.41 (t, J = 7.0 Hz, 3H), 7.32 (t, J = 7.2 Hz, 4H), 7.24 - 7.17 (m, 2H), 7.09 (t, J = 7.2 Hz, 1H), 6.98 (d, J = 7.2 Hz, 2H), 6.94 - 6.85 (m, 4H), 6.72 (d, J = 8.8 Hz, 1H), 4.36 (s, 2H), 4.11 - 4.04 (m, 1H), 4.00 - 3.93 (m, 1H), 3.37 (s, 2H), 1.44 (t, J = 7.2 Hz, 3H), 1.27 (d, J = 4.0 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 196.3, 166.5, 157.2, 157.1, 154.3, 140.9, 140.1, 134.9, 134.4, 133.1, 131.5, 130.1, 129.7, 129.5, 128.8, 128.5, 128.4, 128.3, 127.9, 127.3, 127.1, 124.8, 123.2, 121.9, 118.9, 117.6, 117.5, 111.7, 80.1, 72.7, 64.0, 55.6, 38.6, 26.1, 26.0, 14.8; IR (cm⁻¹): v 3436, 2963, 1693, 1658, 1581, 1484, 1446, 1252, 690; **HRMS**: m/z: $[M+H]^+$ calculated for C₄₀H₄₀NO₅⁺, 614.2901, found 614.2878.

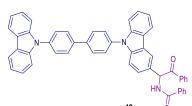
N-(1-(9-(4-bromophenyl)-9H-carbazol-3-yl)-2-oxo-2-phenylethyl)benzamide (41c)



According to procedure A, the title product 41c (34.2 mg) was isolated by column chromatography (PE : EA = 10:1) as a white amorphous solid in 61% yield. ¹Η NMR (400 MHz, CDCl₃) δ 8.24 (s, 1H), 8.10 (t, J = 8.0 Hz, 3H), 7.88 (t, J = 7.2 Hz, 3H), 7.69 (d, J = 8.0 Hz, 2H), 7.55 (d, J = 8.8 Hz, 1H), 7.49 (t, J = 7.2 Hz, 2H), 7.44 – 7.34 (m, 7H), 7.29 (t, J = 8.0Hz, 3H), 6.95 (d, J = 7.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 196.0, 166.4, 141.0, 140.3, 136.4, 134.3, 134.0, 133.7, 133.1, 131.7, 130.1, 129.3, 129.1, 128.7, 128.6, 128.5, 128.4, 127.2, 126.5, 126.4, 124.1, 123.0, 121.1, 120.6, 120.5, 120.4, 110.4, 109.6, 59.2; IR (cm⁻¹): v 3411, 2923, 1685, 1650, 1494, 1233, 1183, 1069, 711; HRMS: m/z: $[M+H]^+$ calculated for C₃₃H₂₄BrN₂O₂⁺, 559.1015, found 559.1008.

N-(1-(9-(4'-(9H-carbazol-9-yl)-[1,1'-biphenyl]-4-yl)-9H-carbazol-3-yl)-2-oxo-2-

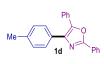
phenylethyl)benzamide (42c)



According to procedure A, the title product 42c (41.2 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 57% yield. ¹H NMR (400 **MHz, CDCl₃**) δ 8.28 (s, 1H), 8.16 (t, J = 7.2 Hz, 3H),

8.11 (d, J = 7.2 Hz, 2H), 7.90 – 7.86 (m, 7H), 7.70 (d, J = 8.0 Hz, 2H), 7.63 – 7.58 (m, 3H), 7.52 - 7.48 (m, 4H), 7.46 - 7.39 (m, 9H), 7.34 - 7.29 (m, 3H), 6.97 (d, J = 7.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 196.0, 166.4, 141.2, 140.8, 140.6, 139.5, 139.1, 137.3, 136.8, 134.4, 134.1, 133.7, 131.7, 129.3, 128.9, 128.7, 128.5, 128.5, 128.4, 127.5, 127.4, 127.4, 127.2, 126.5, 126.3, 126.0, 124.1, 123.5, 123.1, 120.6, 120.5, 120.4, 120.1, 110.7, 109.9, 109.8, 59,2; **IR (cm⁻¹)**: v 3420, 2923, 1658, 1600, 1505, 1451, 1334, 1231, 749; **HRMS**: m/z: $[M+H]^+$ calculated for $C_{51}H_{36}N_3O_2^+$, 722.2802, found 722.2815.

2,5-diphenyl-4-(p-tolyl)oxazole (1d)



According to procedure B, the title product 1d (29.8 mg) was isolated by column chromatography (PE : EA = 100:1) as yellow oil in 96% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.14 (d, J = 7.5 Hz, 2H), 7.66 (d, J = 7.5 Hz, 2H), 7.61 (d, J = 7.5 Hz, 2H), 7.43 (q, J = 7.5 Hz, 3H), 7.30 (d, J = 7.5 Hz, 2H), 7.43 (q, J = 7.5 Hz, 2H), 7.43 (d, J = 7.5 Hz, 2H), 7.44 (d, J = 7.5 Hz, 2H

Hz, 1H), 7.19 (d, J = 7.5 Hz, 2H), 2.37 (s, 3H). This is a known compound⁴.

4-(4-(methylthio)phenyl)-2,5-diphenyloxazole (2d)

According to procedure B, the title product 2d (27.8 mg) was isolated by column chromatography (PE : EA = 100:1) as yellow oil in 81% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.15 (d, J = 7.5 Hz, 2H), 7.69 – 7.65 (m, 4H), 7.50 - 7.45 (m, 3H), 7.40 (t, J = 7.5 Hz, 2H), 7.35 (d, J = 7.5 Hz, 1H), 7.28 (d, J = 7.5Hz, 2H), 2.52 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 160.1, 145.4, 138.7, 136.3, 130.8, 130.3, 129.2, 129.0, 128.7, 128.6, 128.5, 128.4, 127.3, 126.6, 126.4, 15.6; IR (cm⁻¹): v 3052, 1726, 1603, 1501, 1326, 1094, 964, 766; **HRMS**: m/z: [M+H]⁺ calculated for C₂₂H₁₈NOS⁺, 344.1104, found 344.1116.

2,5-diphenyl-4-(4-(phenylthio)phenyl)oxazole (3d)



According to procedure B, the title product 3d (30.5 mg) was isolated by column chromatography (PE : EA = 100:1) as yellow oil in 74% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.14 (d, J = 7.5 Hz, 2H), 7.67 (d, J = 7.5 Hz, 4H), 7.47 (d, J = 5.5 Hz, 3H), 7.40 (t, J = 7.5 Hz, 4H), 7.35 - 7.31 (m, T)5H), 7.27 (d, J = 7.5 Hz, 1H); ¹³C NMR (125 MHz, CDCl₃) δ 160.2, 145.7, 136.1, 136.0, 135.1, 131.4, 131.1, 130.7, 130.4, 129.2, 128.8, 128.8, 128.7, 128.7, 128.6, 127.3, 127.2, 126.6, 126.4; **IR (cm⁻¹)**: v 3058, 1701, 1589, 1249, 1083, 966, 690; **HRMS**: m/z: $[M+H]^+$ calculated for C₂₇H₂₀NOS⁺, 406.1260, found 406.1249.

4-(4-methoxyphenyl)-2,5-diphenyloxazole (4d)

According to procedure B, the title product 4d (25.5 mg) was isolated by column chromatography (PE : EA = 100:1) as a white amorphous solid in 78% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.15 (d, J = 7.5 Hz, 2H), 7.69 – 7.65 (m, 4H), 7.49 - 7.47 (m, 3H), 7.39 (t, J = 7.5 Hz, 2H), 7.33 (d, J = 7.5 Hz, 1H), 6.95 (d, J =J = 7.5 Hz, 2H), 3.85 (s, 3H). This is a known compound⁴.

4-(2,3-dihydrobenzofuran-5-yl)-2,5-diphenyloxazole (5d)



According to procedure B, the title product 5d (25.8 mg) was isolated by column chromatography (PE : EA = 100:1) as colorless oil in 76% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.15 (d, J = 7.2 Hz, 2H), 7.69 (d,

J = 7.2 Hz, 2H), 7.58 (s, 1H), 7.51 – 7.43 (m, 4H), 7.38 (t, J = 7.2 Hz, 2H), 7.33 (d, J =7.2 Hz, 1H), 6.80 (d, J = 7.2 Hz, 1H), 4.62 (t, J = 8.8 Hz, 2H), 3.24 (t, J = 8.8 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 160.2, 159.9, 144.6, 137.0, 130.2, 129.2, 128.7, 128.6, 128.3, 128.2, 127.5, 127.4, 126.4, 126.3, 125.0, 124.8, 109.3, 71.5, 29.6; IR (cm⁻¹): v 2922, 1696, 1604, 1486, 1238, 1105, 981, 699; HRMS: m/z: [M+H]⁺ calculated for C₂₃H₁₈NO₂⁺, 340.1332, found 340.1317.

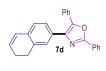
4-(benzo[d][1,3]dioxol-5-yl)-2,5-diphenyloxazole (6d)



According to procedure B, the title product 6d (28.7 mg) was isolated by column chromatography (PE : EA = 100:1) as colorless oil in 84% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.14 (d, J = 6.0 Hz, 2H), 7.68 (d, J = 6.0 Hz, 2H), 7.50 – 7.45 (m, 3H), 7.40 (t, J = 6.0 Hz, 2H), 7.34 (d, J = 6.0 Hz, 1H), 7.23 (d, J = 6.0 Hz, 1H), 7.19 (s, 1H), 6.85 (d, J = 6.0 Hz, 1H), 6.00 (s, 2H); ¹³C NMR (100 MHz, CDCl₃) & 159.9, 147.8, 147.6, 145.0, 136.5, 130.3, 129.0, 128.8, 128.7, 128.5, 127.3, 126.5, 126.4, 126.3, 122.1, 108.7, 108.6, 101.2; **IR (cm⁻¹)**: v 1731, 1656, 1481, 1447, 1238, 1102, 1039, 879, 704; HRMS: m/z: [M+H]⁺ calculated for

C₂₂H₁₆NO₃⁺, 342.1124, found 342.1119.

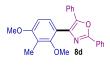
4-(7,8-dihydronaphthalen-2-yl)-2,5-diphenyloxazole (7d)



According to procedure B, the title product 7d (26.9 mg) was isolated by column chromatography (PE : EA = 100:1) as a white amorphous solid in 77% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.14 (d, J = 7.2 Hz,

2H), 7.73 (d, J = 7.2 Hz, 2H), 7.52 – 7.36 (m, 7H), 7.21 – 7.10 (m, 4H), 2.92 (t, J = 8.0Hz, 2H), 2.65 (d, J = 8.0 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 159.9, 145.8, 137.3, 135.2, 134.2, 130.8, 130.3, 129.3, 128.8, 128.7, 128.6, 128.5, 127.5, 127.4, 127.3, 127.2, 126.7, 126.6, 126.5, 28.1, 25.7; **IR (cm⁻¹)**: v 2925, 1691, 1599, 1486, 1448, 1241, 1109, 690; **HRMS**: m/z: [M+H]⁺ calculated for C₂₅H₂₀NO⁺, 350.1539, found 350.1548.

4-(2,4-dimethoxy-3-methylphenyl)-2,5-diphenyloxazole (8d)



According to procedure B, the title product 8d (29.0 mg) was isolated by column chromatography (PE : EA = 75:1) as a white amorphous solid in 78% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.18 (d, J = 6.0

Hz, 2H), 7.57 (d, J = 6.0 Hz, 2H), 7.48 (q, J = 6.0 Hz, 3H), 7.31 (t, J = 6.0 Hz, 2H), 7.28 - 7.24 (m, 2H), 6.73 (d, J = 6.0 Hz, 1H), 3.89 (s, 3H), 3.58 (s, 3H), 2.22 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 159.5, 159.4, 157.7, 146.1, 134.3, 130.2, 128.9, 128.8, 128.7, 128.5, 127.9, 127.5, 126.4, 125.1, 120.4, 119.0, 106.3, 61.1, 55.7, 9.07; IR (cm⁻

¹): v 2933, 1603, 1486, 1447, 1271, 1110, 703; **HRMS**: m/z: [M+H]⁺ calculated for C₂₄H₂₂NO₃⁺, 372.1594, found 372.1585.

According to procedure B, the title product 9d (33.0 mg) was isolated

2,5-diphenyl-4-(2,3,4-trimethoxyphenyl)oxazole (9d)



by column chromatography (PE : EA =75:1) as a white amorphous solid in 85% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.17 (d, J = 7.2Hz, 2H), 7.56 (d, J = 7.2 Hz, 2H), 7.50 – 7.46 (m, 3H), 7.32 (t, J = 7.2 Hz, 2H), 7.27 (d, J = 7.2 Hz, 1H), 7.18 (d, J = 8.0 Hz, 1H), 6.77 (d, J = 8.0 Hz, 1H), 3.93 (s, 3H),3.91 (s, 3H), 3.70 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 159.6, 156.4, 152.3, 146.3, 142.6, 133.4, 130.2, 128.9, 128.7, 128.4, 127.9, 127.5, 126.4, 125.7, 125.3, 119.9, 107.6, 61.3, 61.0, 56.1; **IR (cm⁻¹)**: v 1634, 1487, 1412, 1384, 1293, 1101, 1021, 769; **HRMS**: $m/z: [M+H]^+$ calculated for $C_{24}H_{22}NO_4^+$, 388.1543, found 388.1544.

4-(2,5-dimethylthiophen-3-yl)-2,5-diphenyloxazole (10d)



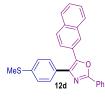
According to procedure B, the title product 10d (30.5 mg) was isolated by column chromatography (PE : EA = 200:1) as yellow oil in 92% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.15 (d, J = 7.5 Hz, 2H), 7.61 (d, J = 7.5 Hz, 2H), 7.47 (q, J = 7.5 Hz, 3H), 7.37 (t, J = 7.5 Hz, 2H), 7.29 (t, J = 7.5 Hz, 1H), 6.78 (s, 1H), 2.45 (s, 3H), 2.24 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 159.7, 145.9, 136.6, 135.9, 132.5, 130.3, 129.0, 128.8, 128.7, 128.6, 128.0, 127.4, 126.5, 126.4, 125.2, 15.2, 12.2; **IR (cm⁻¹)**: v 1697, 1599, 1486, 1260, 1136, 1027, 805, 699; **HRMS**: m/z: $[M+H]^+$ calculated for $C_{21}H_{18}NOS^+$, 332.1104, found 332.1106.

5-(4-chlorophenyl)-4-(4-(methylthio)phenyl)-2-phenyloxazole (11d)



According to procedure B, the title product 11d (28.0 mg) was isolated by column chromatography (PE : EA = 100:1) as yellow oil in 74% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.14 (s, 2H), 7.62 (t, J = 6.0 Hz, 4H), 7.48 (s, 3H), 7.36 (d, J = 7.5 Hz, 2H), 7.29 (d, J = 7.5 Hz, 2H), 2.53 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 160.1, 145.3, 136.2, 130.3, 129.2, 128.9, 128.7, 128.7, 128.5, 128.4, 127.3, 126.5, 126.4, 126.3, 125.0, 15.6. IR (cm⁻¹): v 3448, 2923, 1700, 1589, 1487, 1249, 1093, 718; HRMS: m/z: [M+H]⁺ calculated for C₂₂H₁₇ClNOS⁺, 378.0714, found 378.0707.

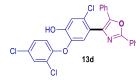
4-(4-(methylthio)phenyl)-5-(naphthalen-2-yl)-2-phenyloxazole (12d)



According to procedure B, the title product **12d** (29.6 mg) was isolated by column chromatography (PE : EA = 100:1) as yellow oil in 75% yield. ¹H NMR (500 MHz, CDCl₃) δ 8.20 (s, 3H), 7.85 – 7.82 (m, 3H), 7.70 (t, *J* = 9.0 Hz, 3H), 7.52 – 7.50 (m, 5H), 7.29 (d, *J* = 8.0

Hz, 2H), 2.53 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 160.3, 145.5, 138.8, 138.6, 133.2, 133.1, 130.4, 129.2, 128.8, 1285, 128.4, 128.3, 127.7, 127.3, 126.7, 126.6, 126.5, 126.4, 126.3, 125.7, 124.1, 15.6; **IR (cm⁻¹)**: v 2924, 1690, 1686, 1487, 1241, 1082, 965, 766, 691; **HRMS**: m/z: [M+H]⁺ calculated for C₂₆H₂₀NOS⁺, 394.1260, found 394.1254.

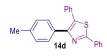
5-chloro-2-(2,4-dichlorophenoxy)-4-(2,5-diphenyloxazol-4-yl)phenol (13d)



According to procedure B, the title product 13d (22.4 mg) was isolated by column chromatography (PE : EA =10:1) as a white amorphous solid in 44% yield. ¹H NMR (400 MHz, CDCl₃) δ

8.14 (d, J = 7.2 Hz, 2H), 8.08 (d, J = 8.0 Hz, 2H), 7.66 – 7.62 (m, 1H), 7.54 – 7.49 (m, 5H), 7.39 – 7.34 (m, 2H), 7.29 – 7.28 (m, 1H), 7.15 (s, 1H), 7.00 (d, J = 8.0 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 167.2, 151.9, 151.0, 143.5, 140.4, 133.8, 132.3, 130.5, 129.8, 129.5, 128.9, 128.8, 128.7, 128.1, 127.5, 126.5, 126.4, 126.3, 124.6, 121.0, 119.9, 114.4, 113.1; IR (cm⁻¹): v 3609, 3061, 1649, 1605, 1473, 1388, 892, 769, 705; HRMS: m/z: [M+H]⁺ calculated for C₂₇H₁₇Cl₃NO₃⁺, 508.0269, found 508.0285.

2,5-diphenyl-4-(p-tolyl)thiazole (14d)



According to procedure C, the title product 14d (26.5 mg) was isolated by column chromatography (PE : EA = 20:1) as a white amorphous solid in 81% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01

(d, *J* = 6.8 Hz, 2H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.46 – 7.35 (m, 8H), 7.18 (d, *J* = 8.0 Hz, 2H), 2.49 (s, 3H). This is a known compound⁵.

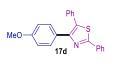
4-(4-(methylthio)phenyl)-2,5-diphenylthiazole (15d)

According to procedure C, the title product **15d** (26.0 mg) was isolated by column chromatography (PE : EA = 25:1) as a white amorphous solid in 72% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 6.8 Hz, 2H), 7.50 – 7.40 (m, 6H), 7.36 – 7.31 (m, 4H), 7.12 (d, *J* = 7.6 Hz, 2H), 2.35(s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 165.3, 150.9, 137.6, 133.7, 132.4, 132.2, 132.1, 129.9, 129.6, 129.0, 128.9, 128.7, 128.3, 128.1, 126.4, 21.3; IR (cm⁻¹): v 1598, 1477, 1442, 1253, 1181, 1071, 980, 759; HRMS: m/z: [M+Na]⁺ calculated for C₂₂H₁₈NS₂⁺, 360.0875, found 360.0871.

2,5-diphenyl-4-(4-(phenylthio)phenyl)thiazole (16d)

According to procedure C, the title product **16d** (25.7 mg) was isolated by column chromatography (PE : EA = 50:1) as a white amorphous solid in 61% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 6.8 Hz, 2H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.48 – 7.42 (m, 3H), 7.41 – 7.31 (m, 8H), 7.29 – 7.24 (m, 4H); ¹³C NMR (100 MHz, CDCl₃) δ 165.6, 149.9, 135.6, 135.3, 133.6, 133.5, 133.3, 131.9, 131.4, 130.4, 130.1, 129.7, 129.6, 129.2, 128.9, 128.8, 128.3, 127.2, 126.4; IR (cm⁻¹): v 3057, 1637, 1474, 1439, 1260, 980, 760, 668; HRMS: m/z: [M+H]⁺ calculated for C₂₇H₂₀NS₂⁺, 422.1031, found 422.1032.

4-(4-methoxyphenyl)-2,5-diphenylthiazole (17d)



According to procedure C, the title product 17d (25.4 mg) was isolated by column chromatography (PE : EA = 20:1) as a white amorphous solid in 74% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01

(d, J = 6.8 Hz, 2H), 7.54 (d, J = 6.8 Hz, 2H), 7.46 – 7.39 (m, 5H), 7.35 – 7.31 (m, 3H), 6.84 (d, J = 8.4 Hz, 2H), 3.81(s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 165.3, 159.3,

150.6, 133.7, 132.3, 131.8, 130.4, 129.9, 129.6, 128.9, 128.8, 128.1, 127.6, 126.4, 113.7, 55.3; **IR (cm⁻¹)**: v 3060, 1609, 1508, 1478, 1249, 1175, 1031, 761, 694; **HRMS**: m/z: $[M+H]^+$ calculated for C₂₂H₁₈NOS⁺, 344.1104, found 344.1105.

4-(2,3-dihydrobenzofuran-5-yl)-2,5-diphenylthiazole (18d)



by column chromatography (PE : EA = 25:1) as a white amorphous solid in 63% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, J = 6.8 Hz, 2H), 7.52(s, 1H), 7.47 – 7.40 (m, 5H), 7.35 – 7.31 (m, 3H), 7.25(s, 1H), 6.69 (d, J = 8.4 Hz, 1H), 4.59 (t, J = 8.8 Hz, 2H), 3.19(t, J = 8.8 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) & 165.2, 159.9, 151.0, 133.7, 132.4, 131.5, 129.9, 129.5, 129.3, 128.9, 128.7, 127.9, 127.5, 127.1, 126.4, 125.9, 109.0, 71.4, 29.6; IR (cm⁻¹): v 3059, 1612, 1473, 1442, 1233, 1169, 982, 760; HRMS: m/z: [M+H]⁺ calculated for C₂₃H₁₈NOS⁺, 356.1104, found 356.1111.

According to procedure C, the title product 18d (22.4 mg) was isolated

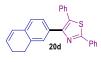
According to procedure C, the title product 19d (26.8 mg) was isolated

4-(benzo[d][1,3]dioxol-5-yl)-2,5-diphenylthiazole (19d)



by column chromatography (PE : EA = 25:1) as a white amorphous solid in 75% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, J = 6.8 Hz, 2H), 7.45 – 7.34 (m, 8H), 7.10 – 7.07 (m, 2H), 6.75 (d, *J* = 8.4 Hz, 1H), 5.96 (s, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 165.3, 150.3, 147.5, 147.3, 133.6, 132.1, 130.0, 129.6, 129.0, 128.9, 128.8, 128.2, 126.7, 126.4, 123.1, 109.6, 108.2, 101.0; **IR** (cm⁻¹): v 3061, 1613, 1475, 1443, 1234, 1038, 760, 690; HRMS: m/z: [M+H]⁺ calculated for C₂₂H₁₆NO₂S⁺, 358.0896, found 358.0897.

4-(7,8-dihydronaphthalen-2-yl)-2,5-diphenylthiazole (20d)



According to procedure C, the title product 20d (26.7 mg) was isolated by column chromatography (PE : EA = 50:1) as a white amorphous solid in 73% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, J = 6.8 Hz, 2H), 7.53 – 7.51 (m, 2H), 7.45 – 7.36 (m, 6H), 7.14 – 7.12 (m, 3H), 7.02 – 7.00 (m, 2H), 2.84 (t, J = 8.0 Hz, 2H), 2.55 (t, J = 8.0 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 165.1, 151.6, 135.1, 134.4, 133.9, 133.6, 132.9, 132.4, 130.0, 129.6, 129.6, 128.9, 128.6, 128.2, 127.6, 127.1, 126.7, 126.5, 126.4, 28.3, 26.5; IR (cm⁻¹): v 2960, 1718, 1617, 1486, 1233, 1107, 981, 821, 695; HRMS: m/z: [M+H]⁺ calculated for C₂₅H₂₀NS⁺, 366.1311 found 366.1303.

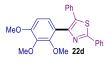
4-(2,4-dimethoxy-3-methylphenyl)-2,5-diphenylthiazole (21d)



According to procedure C, the title product **21d** (26.4 mg) was isolated by column chromatography (PE : EA = 20:1) as a white amorphous solid in 68% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.01

(d, J = 6.8 Hz, 2H), 7.46 – 7.40 (m, 3H), 7.32 – 7.30 (m, 2H), 7.26 – 7.23 (m, 3H), 7.14 (d, J = 8.4 Hz, 1H), 6.64 (d, J = 8.4 Hz, 1H), 3.85 (s, 3H), 3.60 (s, 3H), 2.17 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 164.5, 159.0, 157.6, 148.9, 134.3, 133.8, 132.3, 129.8, 129.0, 128.8, 128.5, 128.4, 127.6, 126.4, 121.6, 120.1, 106.0, 60.9, 55.6, 9.1; IR (cm⁻¹): v 3058, 1600, 1474, 1403, 1270, 1228, 1109, 760, 690; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₂NO₂S⁺, 388.1366, found 388.1367.

2,5-diphenyl-4-(2,3,4-trimethoxyphenyl)thiazole (**22d**)



According to procedure C, the title product **22d** (30.3 mg) was isolated by column chromatography (PE : EA = 20:1) as a white amorphous solid in 75% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.00

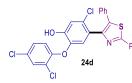
(d, J = 6.8 Hz, 2H), 7.45 – 7.40 (m, 3H), 7.33 – 7.22 (m, 5H), 7.11 (d, J = 8.4 Hz, 1H), 6.70 (d, J = 8.4 Hz, 1H), 3.89 (s, 3H), 3.82 (s, 3H), 3.82 (s, 3H); ¹³C NMR (100 MHz, CDCI₃) δ 164.8, 154.1, 152.1, 148.1, 142.5, 134.5, 133.8, 132.4, 129.8, 128.8, 128.5, 128.5, 127.7, 126.4, 126.0, 122.4, 107.3, 60.9, 60.8, 56.0; IR (cm⁻¹): v 3062, 1680, 1579, 1470, 1352, 1150, 709, 687; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₂NO₃S⁺, 404.1315, found 404.1318. 4-(2,5-dimethylthiophen-3-yl)-2,5-diphenylthiazole (23d)



According to procedure C, the title product 23d (28.5 mg) was isolated by column chromatography (PE : EA = 50:1) as a white amorphous solid in 82% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, J = 6.8 Hz, 2H), 7.46 – 7.40 (m, 3H), 7.37 – 7.27 (m, 5H), 6.68 (s, 1H), 2.40 (s, 3H), 2.09 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 165.0, 147.1, 135.9, 135.5, 133.8, 133.7, 132.3, 131.6, 129.9, 128.8, 128.7, 128.6, 127.8, 127.0, 126.4, 15.2, 14.1; IR (cm⁻¹): v 3060, 1692,

1598, 1442, 1383, 1030, 760, 690; **HRMS**: m/z: $[M+H]^+$ calculated for $C_{21}H_{18}NS_2^+$, 348.0875, found 348.0878.

5-chloro-2-(2,4-dichlorophenoxy)-4-(2,5-diphenylthiazol-4-yl)phenol (24d)



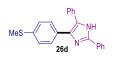
According to procedure C, the title product 24d (21.0 mg) was $_{N} = _{Ph}$ isolated by column chromatography (PE : EA = 7:1) as a white ^{24d} amorphous solid in 40% yield. ¹H NMR (400 MHz, CDCl₃) δ

7.94 (d, J = 6.8 Hz, 2H), 7.44 – 7.42 (m, 3H), 7.36 (s, 1H), 7.31 – 7.29 (m, 3H), 7.23 – 7.21 (m, 2H), 7.16 (s, 1H), 7.06 (d, J = 6.8 Hz, 1H), 6.75 – 6.73 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 163.9, 160.0, 150.8, 147.0, 142.1, 134.0, 132.8, 130.8, 130.6, 130.3, 129.6, 129.3, 128.8, 128.6, 128.4, 128.1, 127.0, 126.5, 126.0, 125.9, 125.3, 122.3, 120.7; IR (cm⁻¹): v 3605, 3060, 1609, 1478, 1441, 1249, 1175, 761; HRMS: m/z: $[M+H]^+$ calculated for C₂₇H₁₇Cl₃NO₂S⁺, 524.0040, found 524.0032.

2,5-diphenyl-4-(p-tolyl)-1H-imidazole (25d)

According to procedure C, the title product **25d** (29.2 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 94% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, J = 7.2 Hz, 2H), 7.58 (d, J= 7.2 Hz, 2H), 7.47 - 7.29 (m, 8H), 7.16 (d, J = 7.2 Hz, 2H), 2.37 (s, 3H). This is a known compound⁶.

4-(4-(methylthio)phenyl)-2,5-diphenyl-1H-imidazole (26d)



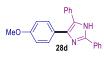
According to procedure C, the title product **26d** (25.5 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 74% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.84

(d, J = 7.2 Hz, 2H), 7.47 (d, J = 7.2 Hz, 2H), 7.40 – 7.28 (m, 8H), 7.15 – 7.13 (m, 2H), 2.46 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 146.1, 137.4, 129.7, 128.7, 128.6, 128.5, 128.3, 128.1, 127.8, 127.4, 126.3, 125.3, 15.6; IR (cm⁻¹): v 3414, 3058, 1602, 1486, 1404, 1261, 1098, 772, 695; HRMS: m/z: [M+H]⁺ calculated for C₂₂H₁₉N₂S⁺, 343.1263, found 343.1264.

2,5-diphenyl-4-(4-(phenylthio)phenyl)-1H-imidazole (27d)

According to procedure C, the title product **27d** (35.2 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 87% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.85 (d, J = 7.2 Hz, 2H), 7.47 (t, J = 7.2 Hz, 4H), 7.41 – 7.27 (m, 11H), 7.24 – 7.20 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 146.2, 131.1, 130.9, 129.7, 129.2, 128.8, 128.7, 128.3, 127.9, 127.7, 127.1, 125.3; IR (cm⁻¹): v 3415, 3059, 1649, 1479, 1445, 1261, 1089, 1026, 692; HRMS: m/z: [M+H]⁺ calculated for C₂₇H₂₁N₂S⁺, 405.1420, found 405.1422.

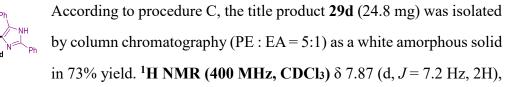
4-(4-methoxyphenyl)-2,5-diphenyl-1H-imidazole (28d)



According to procedure C, the title product **28d** (25.5 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 78% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.92

(d, *J* = 7.2 Hz, 2H), 7.56 (d, *J* = 7.2 Hz, 2H), 7.54 – 7.31 (m, 8H), 6.89 (d, *J* = 8.4 Hz, 2H), 3.83 (s, 3H). This is a known compound⁶.

4-(2,3-dihydrobenzofuran-5-yl)-2,5-diphenyl-1H-imidazole (29d)



7.54 (d, J = 7.2 Hz, 2H), 7.42 – 7.28 (m, 6H), 7.25 – 7.19 (m, 2H), 6.72 (d, J = 7.2 Hz, 1H), 4.57 (t, J = 8.8Hz, 2H), 3.15 (t, J = 8.8Hz, 2H) ; ¹³C NMR (100 MHz, CDCl₃) δ 159.7, 145.6, 129.9, 128.8, 128.6, 128.5, 128.1, 127.5, 127.4, 127.1, 125.2, 124.8, 109.3, 71.4, 29.6; **IR (cm⁻¹)**: v 3421, 3059, 1650, 1488, 1232, 1107, 982, 772, 696; **HRMS**: m/z: [M+H]⁺ calculated for C₂₃H₁₉N₂O⁺, 339.1492, found 339.1493.

4-(benzo[d][1,3]dioxol-5-yl)-2,5-diphenyl-1H-imidazole (**30d**)

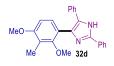
O 30d Ph NH NH Ph Ph NH According to procedure C, the title product **30d** (32.0 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 94% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, *J* = 7.2 Hz, 2H),

7.54 (d, J = 7.2 Hz, 2H), 7.44 (t, J = 7.2 Hz, 2H), 7.39 – 7.33 (m, 3H), 7.29 (d, J = 7.2 Hz, 1H), 7.03 (d, J = 8.0Hz, 2H), 6.79 (d, J = 8.0Hz, 1H), 5.97 (s, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 147.7, 147.0, 145.7, 129.8, 128.9, 128.8, 128.6, 127.8, 127.4, 125.2, 121.7, 108.6, 108.5, 101.1; IR (cm⁻¹): v 3422, 3061, 1604, 1483, 1460, 1231, 1038, 696; HRMS: m/z: [M+H]⁺ calculated for C₂₂H₁₇N₂O₂⁺, 341.1284, found 341.1280.

4-(7,8-dihydronaphthalen-2-yl)-2,5-diphenyl-1H-imidazole (**31d**)

According to procedure C, the title product **31d** (28.6 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 82% yield.¹**H NMR (400 MHz, CDCl3)** δ 7.88 (d, *J* = 7.2 Hz, 2H), 7.59 (d, *J* = 7.2 Hz, 2H), 7.43 – 7.34 (m, 5H), 7.31 (d, *J* = 7.2 Hz, 1H), 7.15 – 7.11 (m, 3H), 7.00 (d, *J* = 7.2 Hz, 1H), 6.81 (s, 1H), 2.81 (t, *J* = 8.0 Hz, 2H), 2.48 (t, *J* = 8.0 Hz, 2H); ¹³**C NMR (100 MHz, CDCl3)** δ 145.7, 134.9, 134.4, 129.8, 128.9, 128.8, 128.4, 128.3, 127.8, 127.5, 127.3, 127.0, 126.6, 126.3, 125.4, 125.3, 125.2; **IR (cm⁻¹)**: v 3442, 2922, 1641, 1485, 1446, 1231, 1108, 1038, 695; **HRMS**: m/z: [M+H]⁺ calculated for C₂₅H₂₁N₂⁺, 349.1699, found 349.1693.

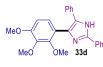
4-(2,4-dimethoxy-3-methylphenyl)-2,5-diphenyl-1H-imidazole (**32d**)



According to procedure C, the title product **32d** (29.0 mg) was isolated by column chromatography (PE : EA = 5:1) as a white amorphous solid in 78% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.91

(d, J = 7.2 Hz, 2H), 7.69 (d, J = 7.2 Hz, 2H), 7.44 (t, J = 7.2 Hz, 2H), 7.36 – 7.29 (m, 3H), 7.22 (d, J = 7.2 Hz, 1H), 7.16 (d, J = 8.8 Hz, 1H), 6.57 (d, J = 8.8 Hz, 1H), 3.83 (s, 3H), 3.62 (s, 3H), 2.21 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 158.6, 156.1 145.5, 130.1, 128.9, 128.6, 128.5, 128.3, 127.8, 126.8, 125.1, 120.2, 106.5, 60.5, 55.7, 8.9; IR (cm⁻¹): v 3423, 3061, 1604, 1484, 1407, 1270, 1110, 774, 695; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₃N₂O₂⁺, 371.1759, found 371.1754.

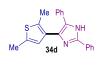
2,5-diphenyl-4-(2,3,4-trimethoxyphenyl)-1H-imidazole (**33d**)



According to procedure C, the title product **33d** (32.5 mg) was isolated by column chromatography (PE : EA =5:1) as a white amorphous solid in 84% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.92

(d, J = 7.2 Hz, 2H), 7.71 - 7.61 (m, 2H), 7.45 (t, J = 7.2 Hz, 2H), 7.39 - 7.31 (m, 3H), 7.39 - 7.25 (m, 1H), 7.05 (d, J = 8.8 Hz, 1H), 6.59 (d, J = 8.8 Hz, 1H), 3.93 (s, 3H), 3.87 (s, 3H), 3.81 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 153.5, 150.9, 145.4, 142.6, 130.1, 128.9, 128.7, 128.4, 128.0, 127.0, 125.2, 125.0, 107.9, 61.3, 61.1, 56.0; IR (cm⁻¹): v 3415, 3060, 1655, 1594, 1507, 1327, 1273, 696; HRMS: m/z: [M+H]⁺ calculated for C₂₄H₂₃N₂O₃⁺, 387.1703, found 387.1707.

4-(2,5-dimethylthiophen-3-yl)-2,5-diphenyl-1H-imidazole (34d)

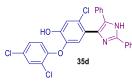


According to procedure C, the title product **34d** (30.0 mg) was isolated by column chromatography (PE : EA = 7:1) as a white amorphous solid in 91% yield. ¹H NMR (500 MHz, CDCl3) δ 8.16 (d, *J* = 7.5 Hz, 2H),

7.62 (d, J = 7.5 Hz, 2H), 7.47 (d, J = 7.5 Hz, 3H), 7.38 (t, J = 7.5 Hz, 2H), 7.31 (d, J = 7.5 Hz, 1H), 6.78 (s, 1H), 2.46 (s, 3H), 2.24 (s, 3H); ¹³C NMR (125 MHz, CDCl3) δ 159.7, 145.9, 136.6, 135.9, 132.5, 130.3, 129.0, 128.8, 128.7, 128.6, 128.0, 127.3, 126.5, 126.4, 125.2, 15.2, 14.2; **IR (cm-1)**: v 3448, 2957, 1654, 1460, 1384, 1244, 773, 695;

HRMS: m/z: [M+H]+ calculated for C₂₁H₁₉N₂S+, 331.1264, found 331.1266.

5-chloro-2-(2,4-dichlorophenoxy)-4-(2,5-diphenyl-1H-imidazol-4-yl)phenol (35d)



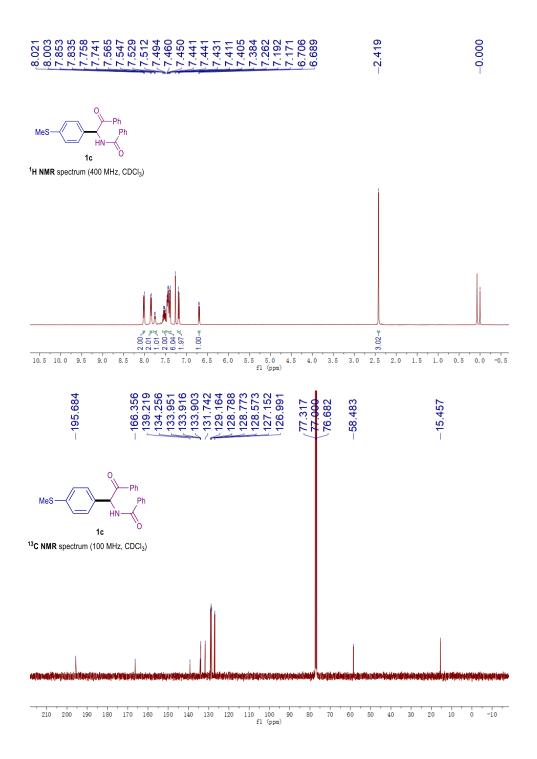
According to procedure C, the title product **35d** (22.9 mg) was isolated by column chromatography (PE : EA =5:1) as a white amorphous solid in 45% yield. ¹H NMR (400 MHz, CDCl₃) δ

7.98 (d, J = 7.2 Hz, 2H), 7.81 – 7.79 (m, 3H), 7.63 – 7.60 (m, 1H), 7.55 – 7.52 (m, 3H), 7.38 (d, J = 7.2 Hz, 2H), 7.23 – 7.20 (m, 1H), 7.10 (d, J = 8.8 Hz, 1H), 6.99 (d, J = 8.8 Hz, 1H), 6.75 (d, J = 8.8 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 163.4, 158.0, 141.5, 136.9, 134.0, 132.8, 132.0, 131.2, 130.8, 130.1, 129.6, 129.1, 128.5, 128.0, 127.8, 127.1, 126.1, 125.9, 125.9, 125.8, 125.8, 125.3, 122.6; IR (cm-1): v 3605, 3415, 3063, 1647, 1473, 1256, 1099, 695; HRMS: m/z: [M+H]⁺ calculated for C₂₇H₁₈Cl₃N₂O₂⁺, 507.0434, found 507.0428.

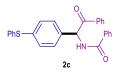
8. References

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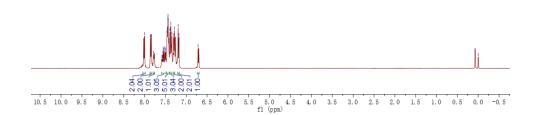
9. Copies of NMR spectra



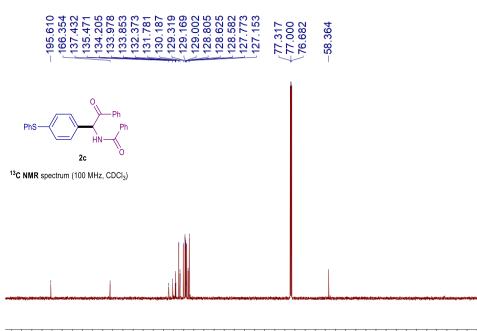




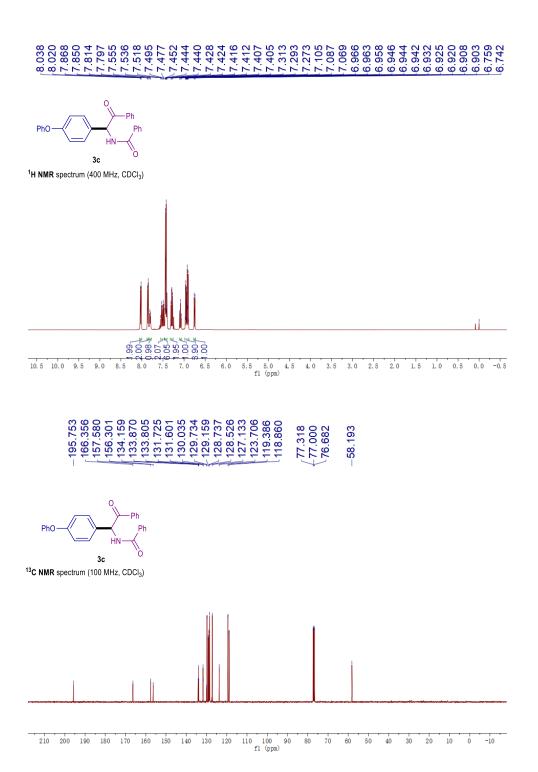
¹H NMR spectrum (400 MHz, CDCl₃)

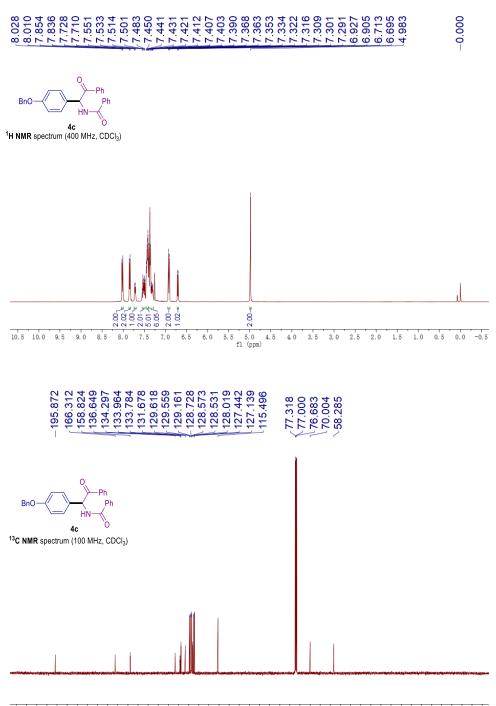


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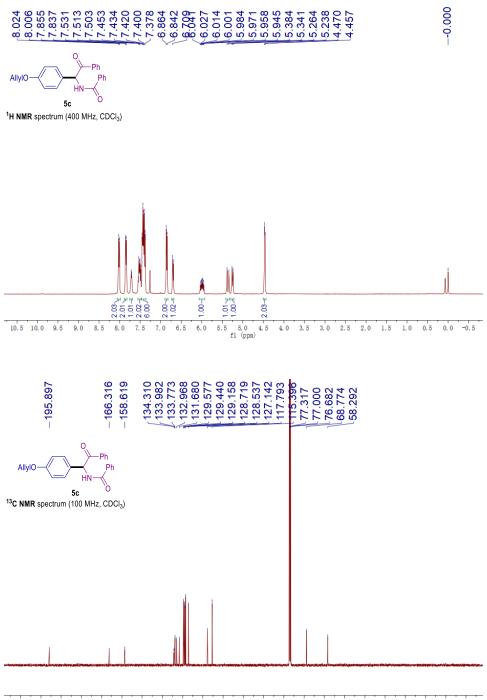


210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 fl (ppm)

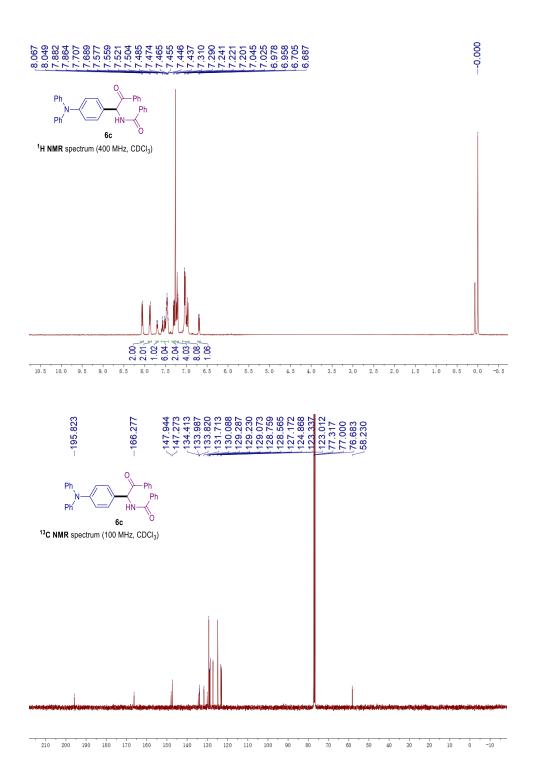




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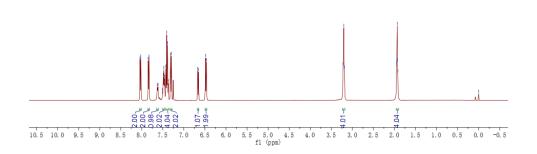


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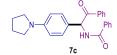




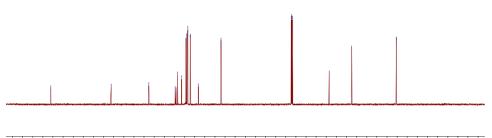
¹H NMR spectrum (400 MHz, CDCl₃)



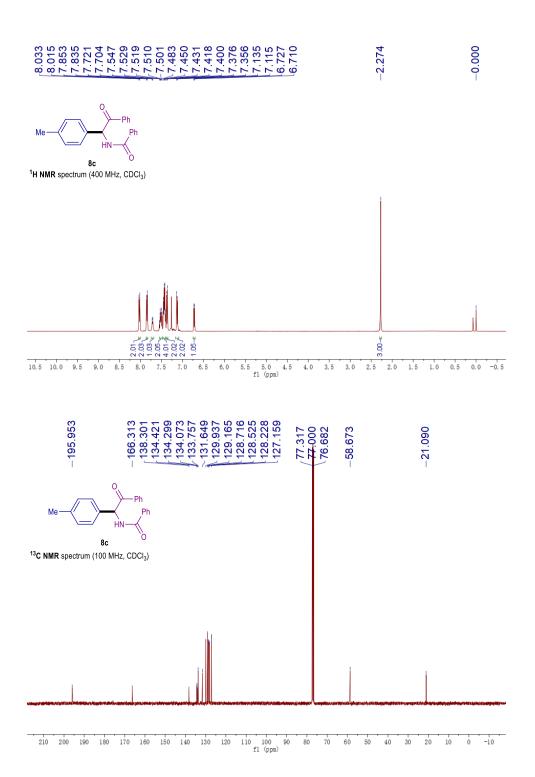


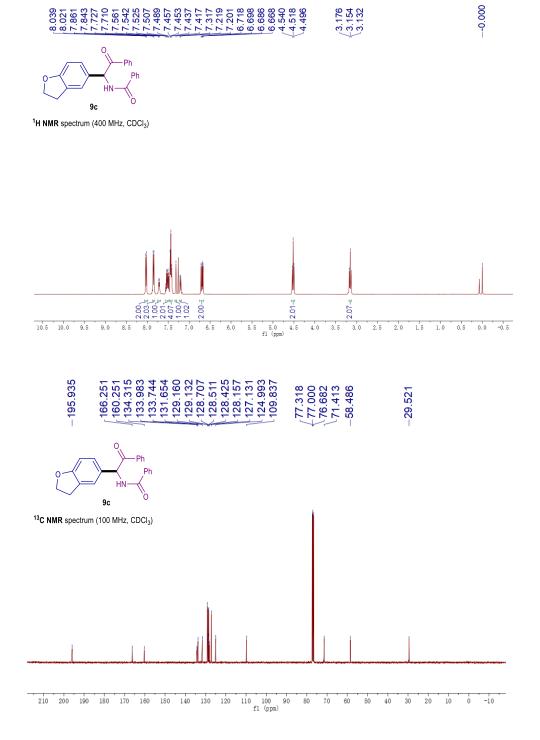


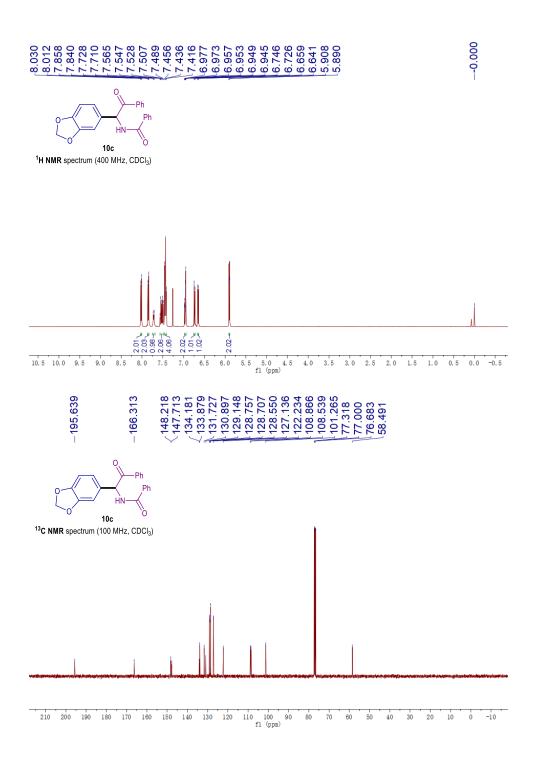
13C NMR spectrum (100 MHz, CDCl₃)

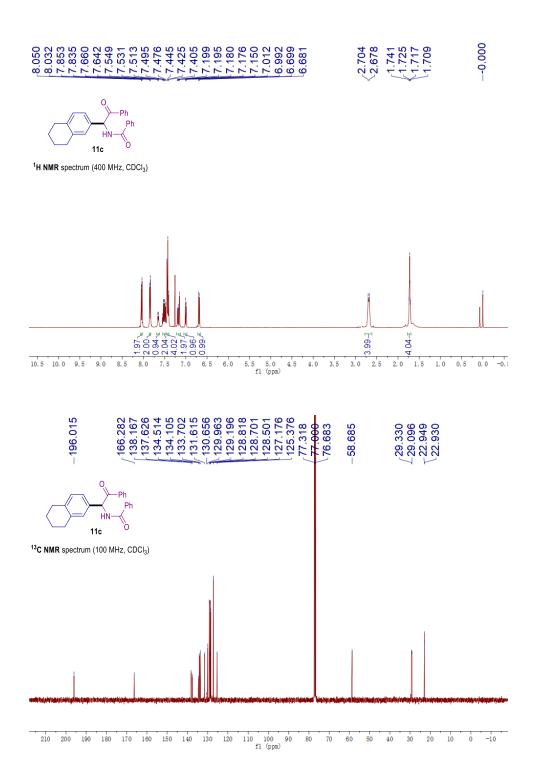


210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 fl (ppm)

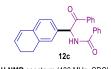


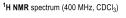


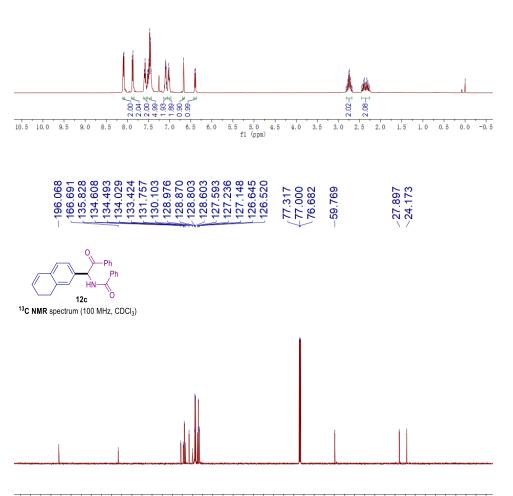




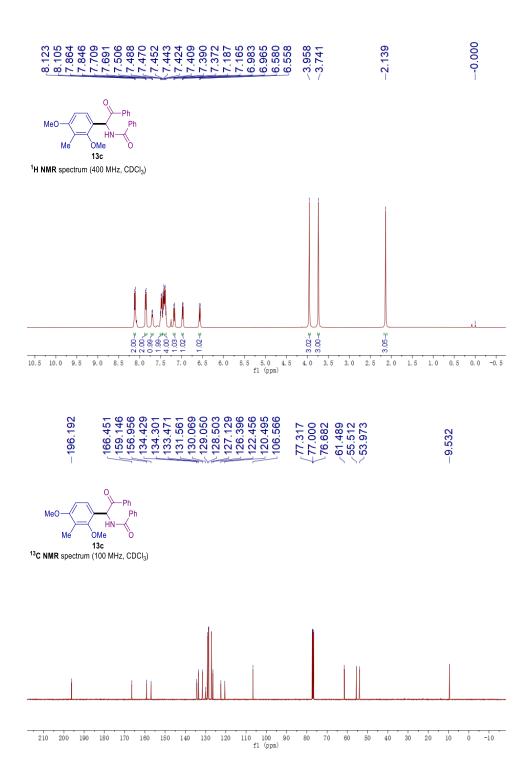


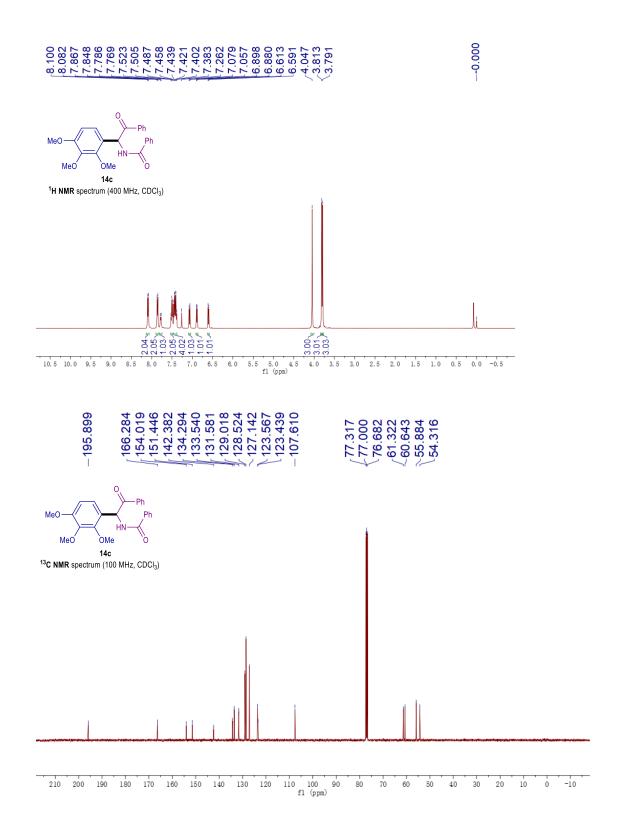


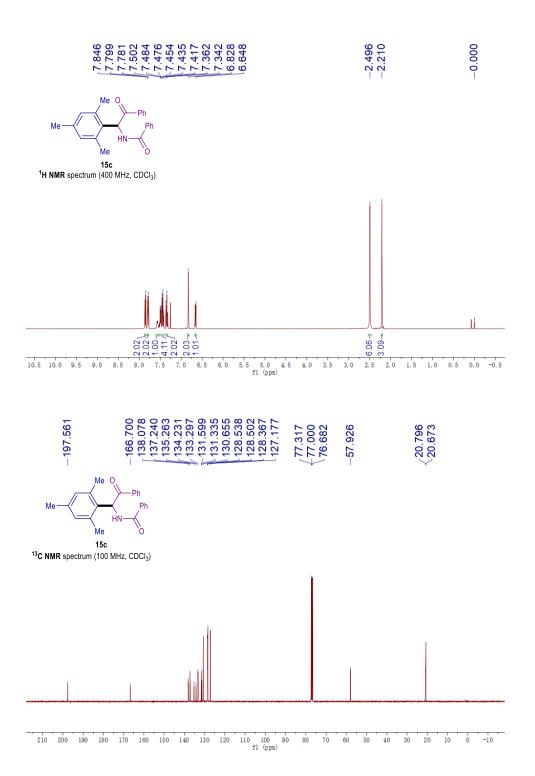


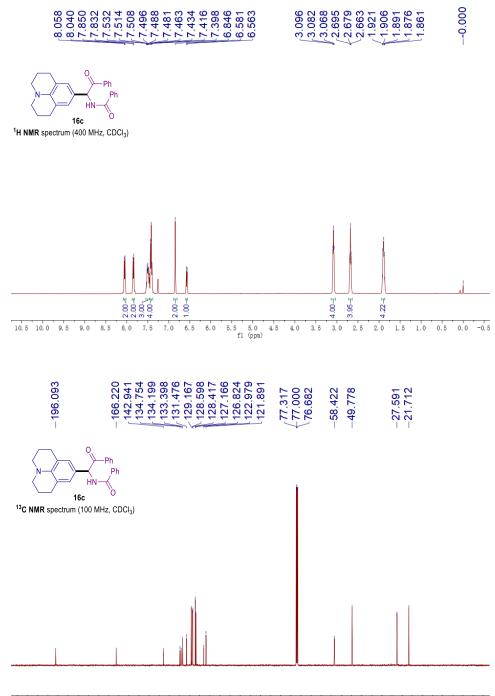


210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 fl (ppm)

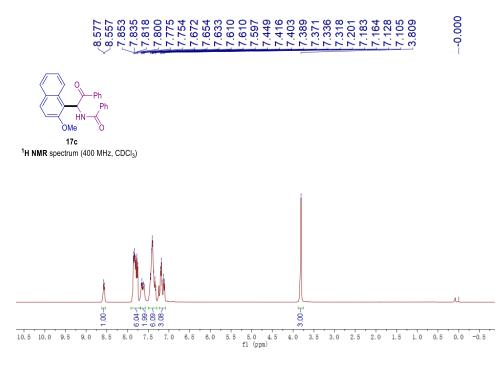


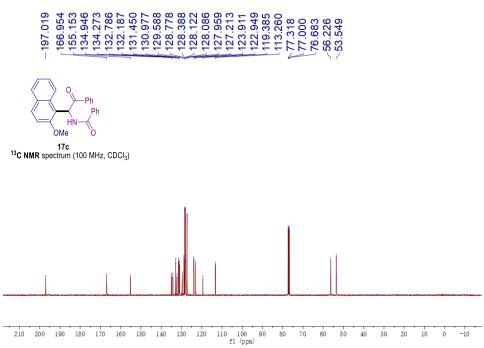


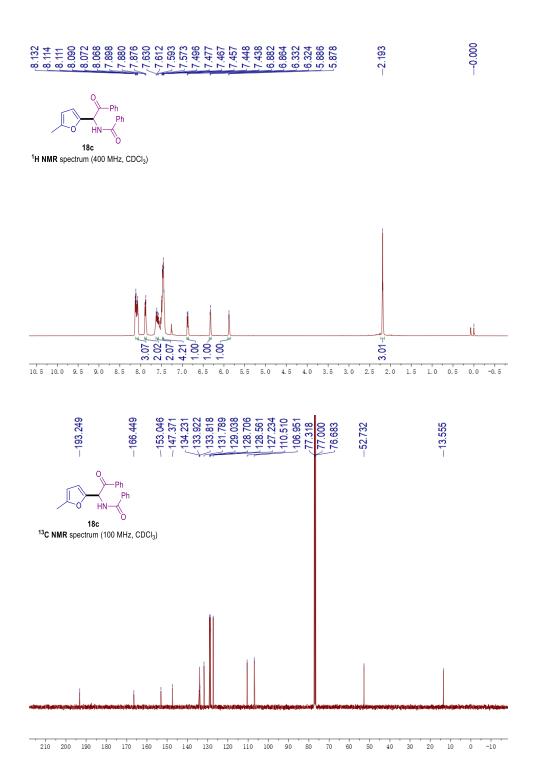


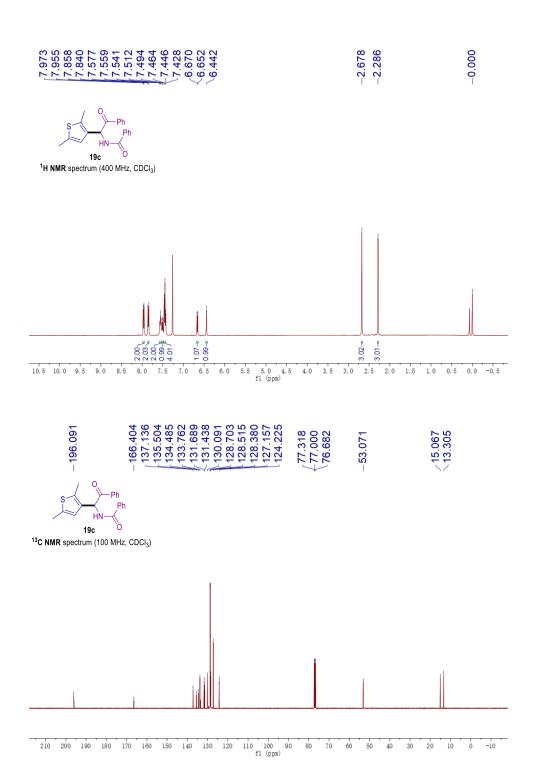


210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 fl (ppm)





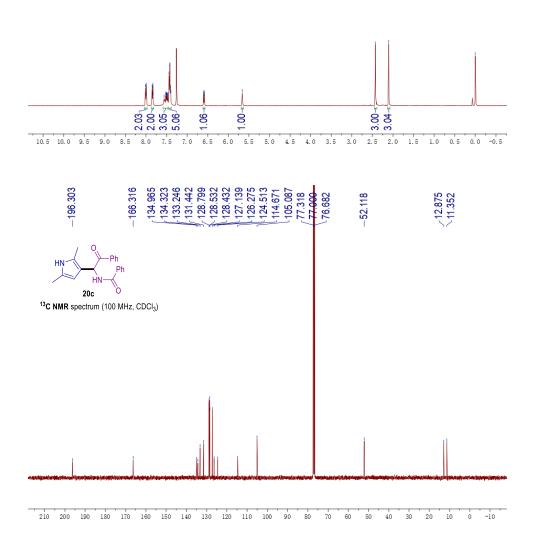


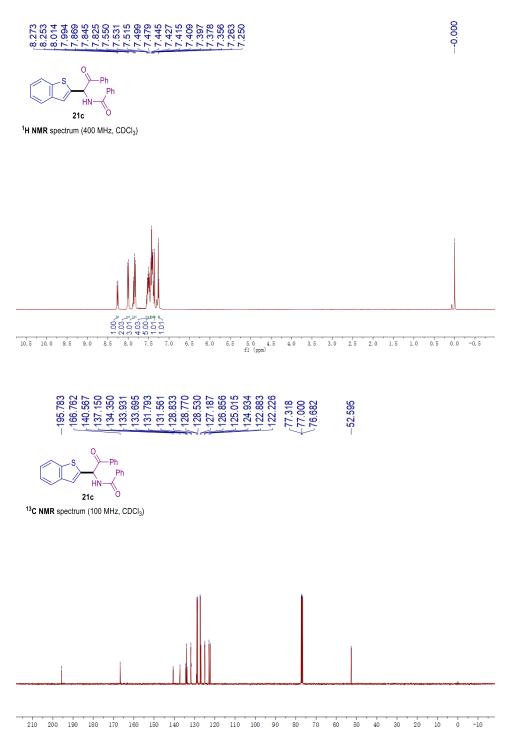


8.013 7.997 7.992 7.992 7.992 7.988 7.988 7.988 7.988 7.569 7.499 7.449 7.749

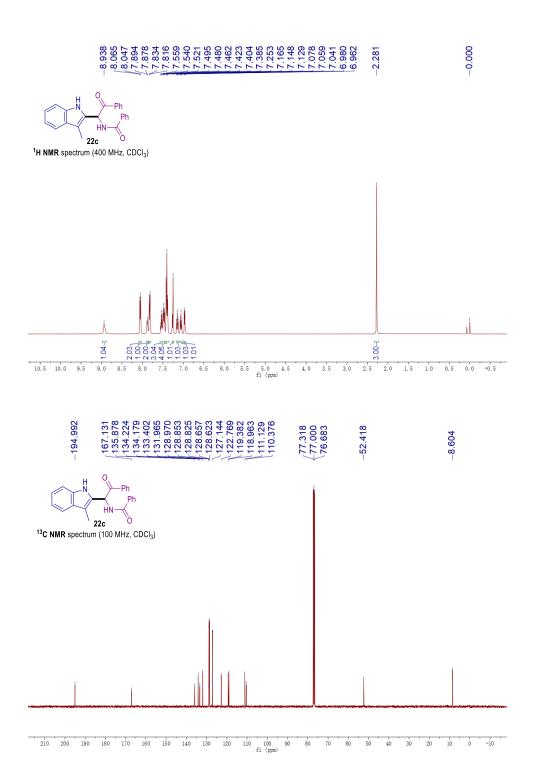


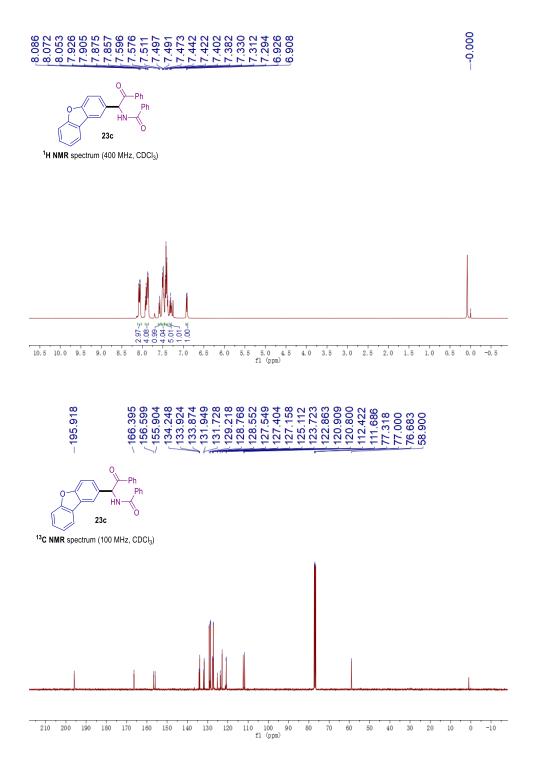
¹H NMR spectrum (400 MHz, CDCl₃)

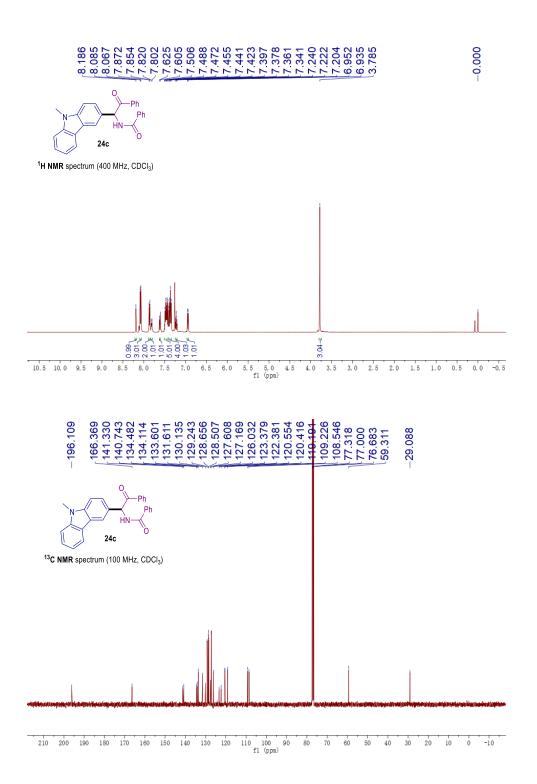


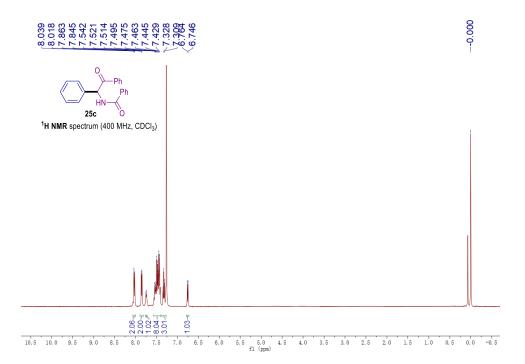


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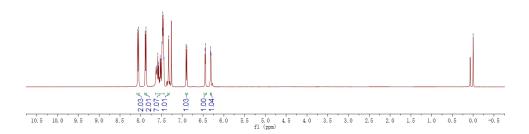


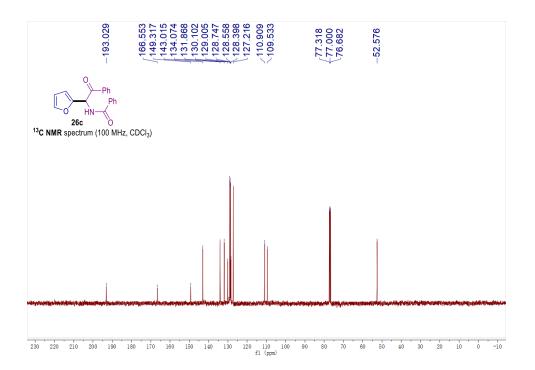




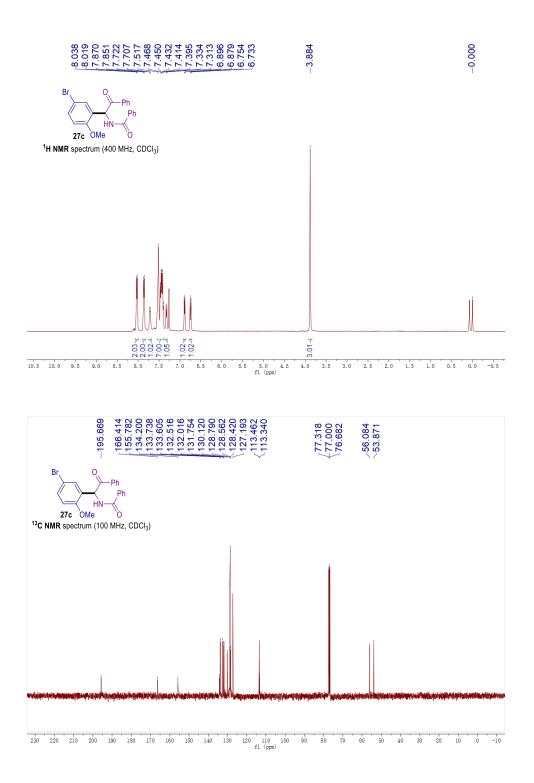


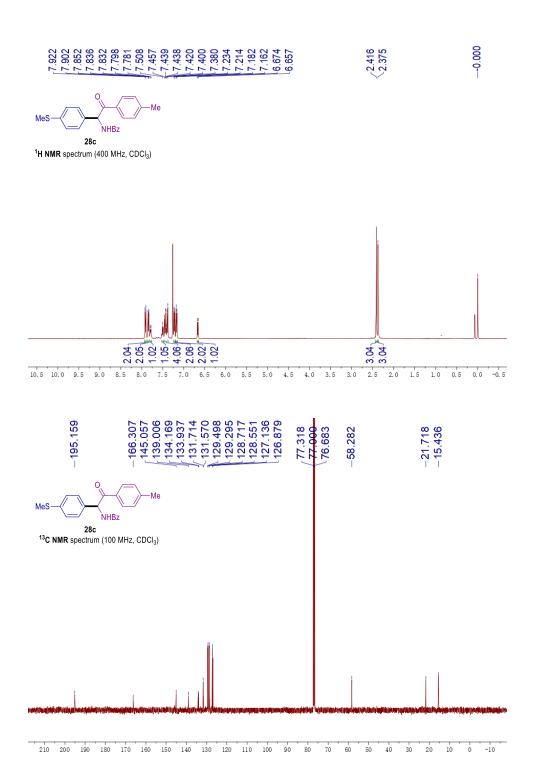


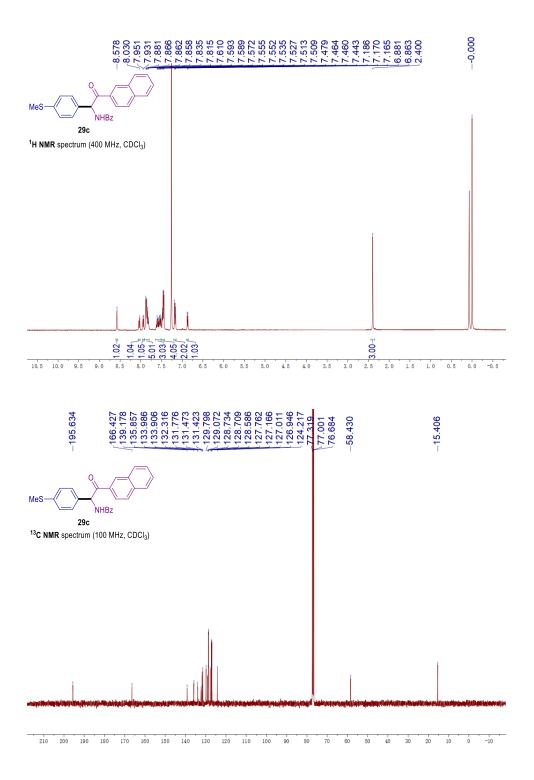


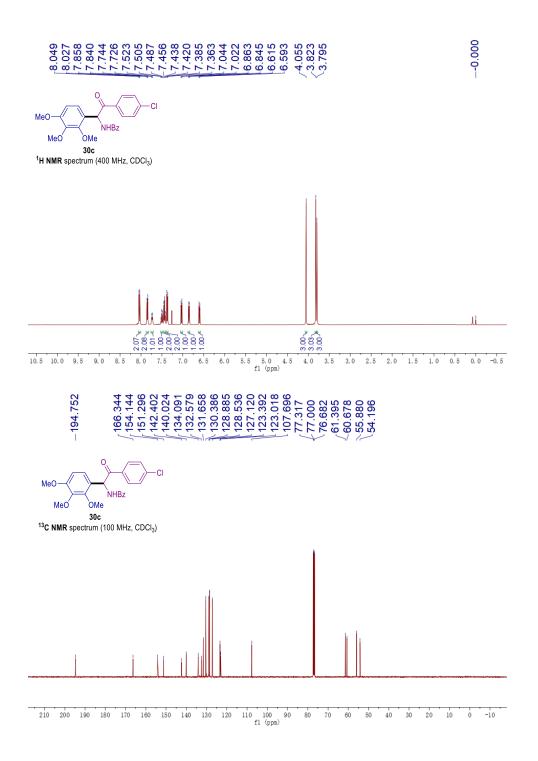


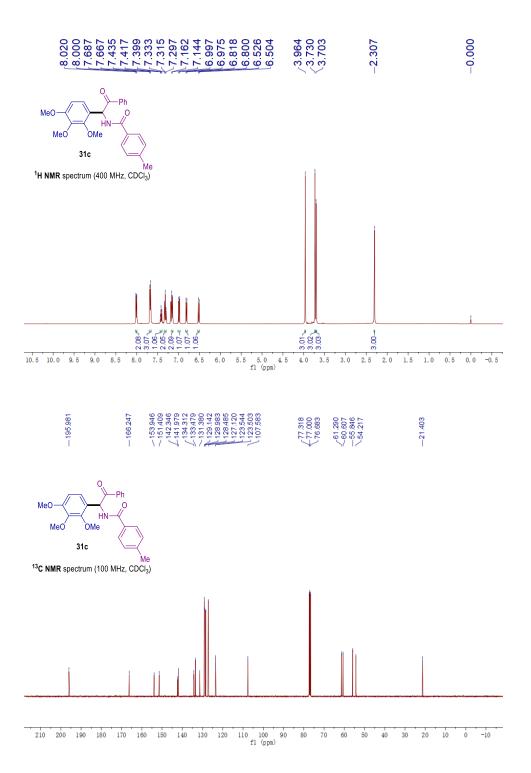
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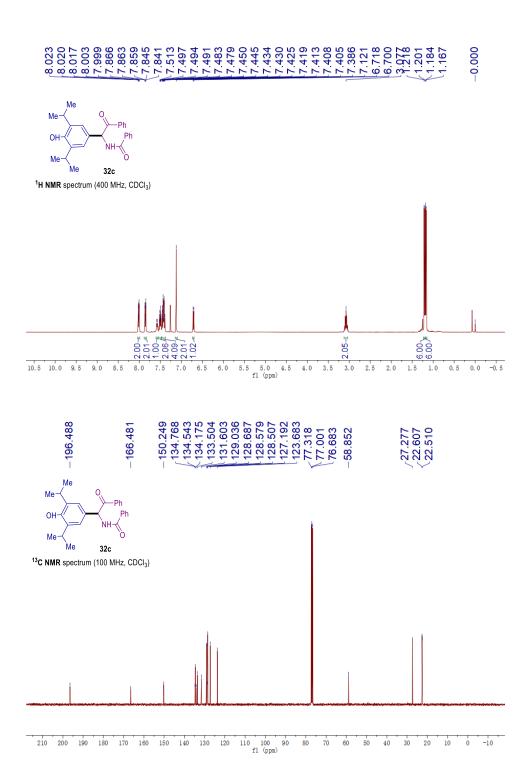


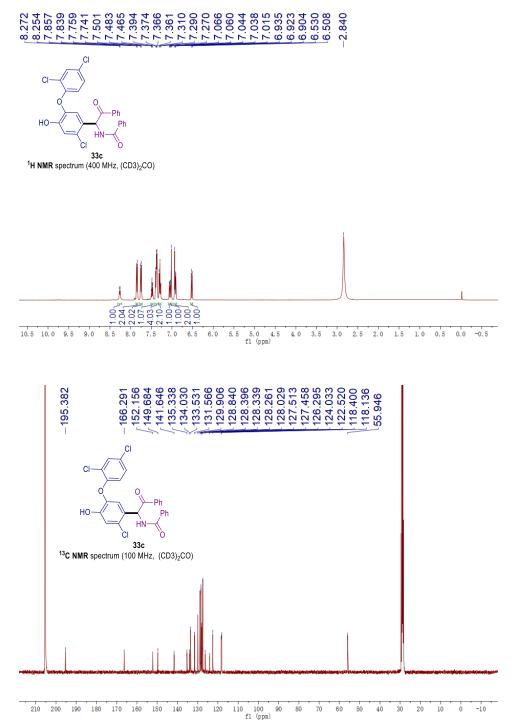




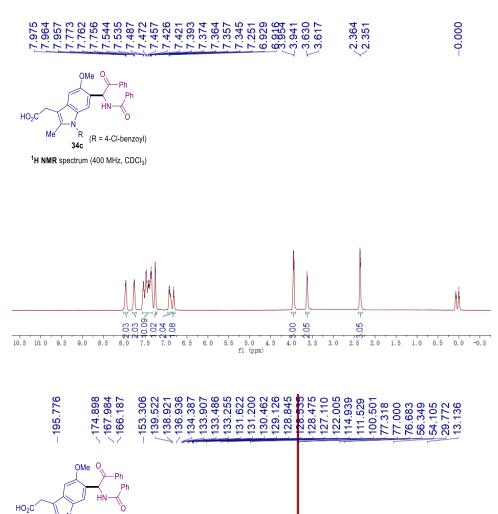


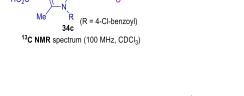




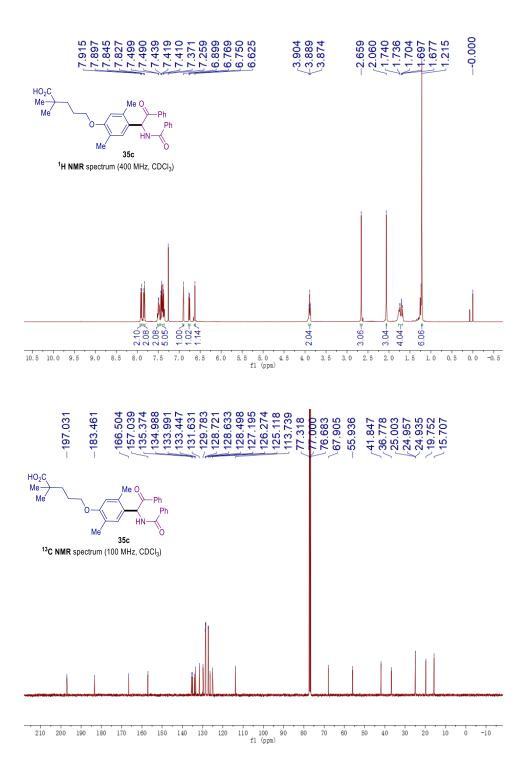


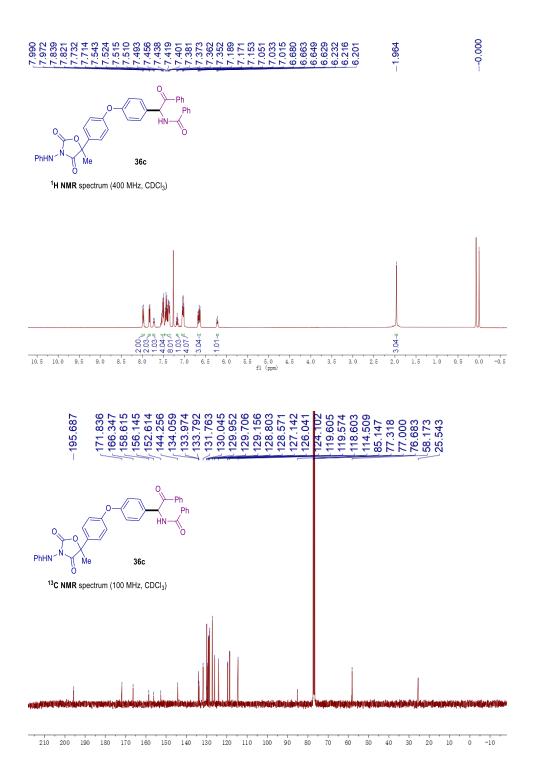
II (DDu)

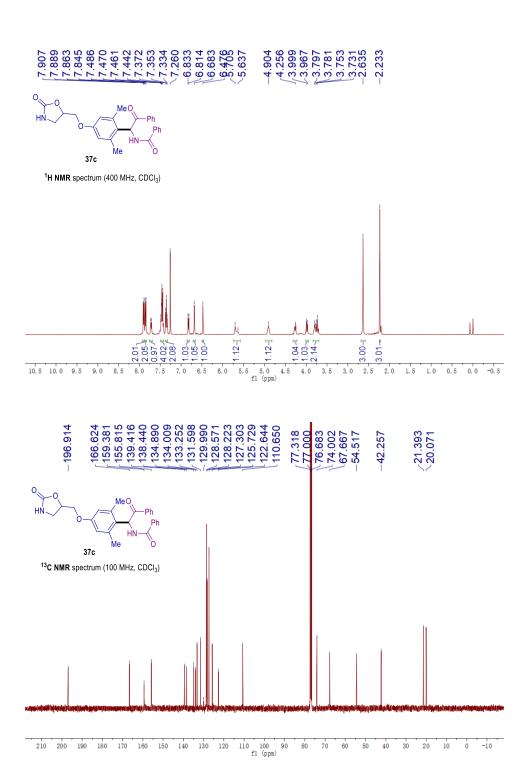


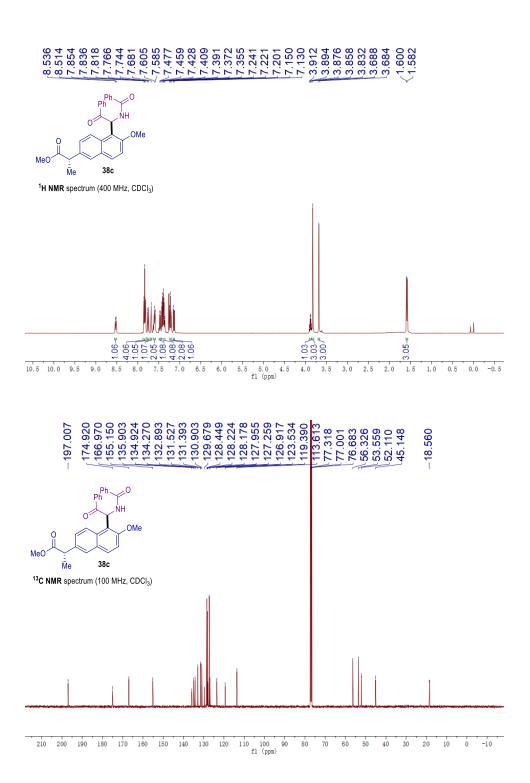


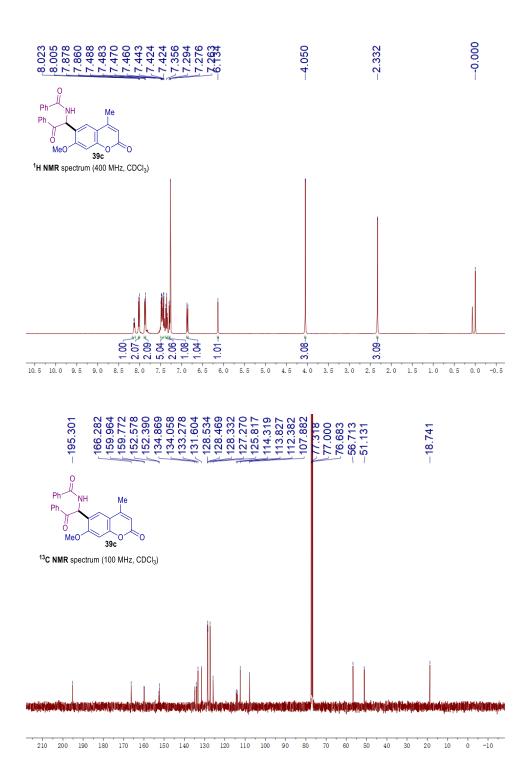


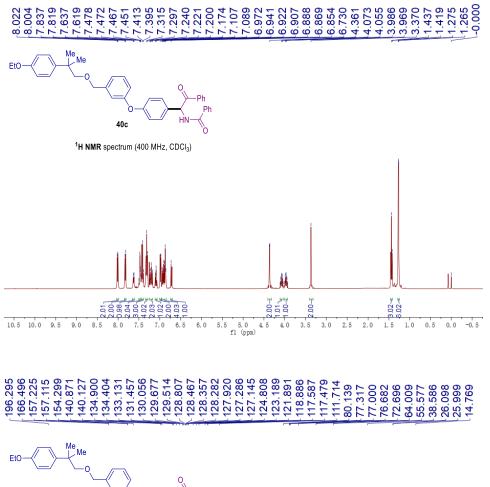


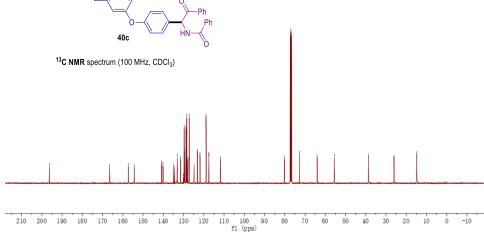


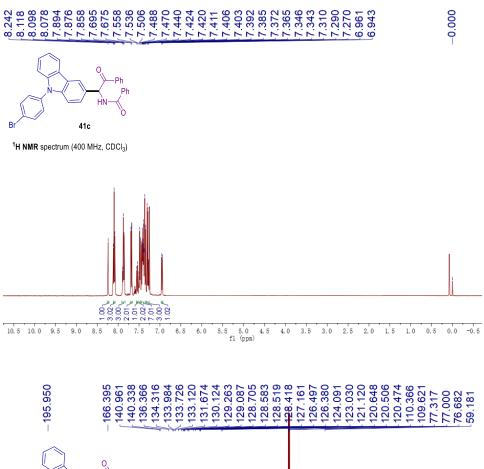


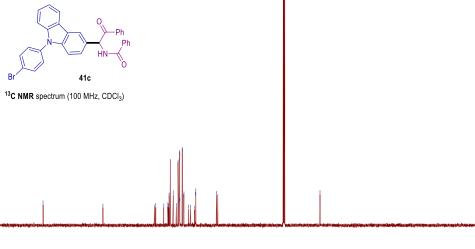


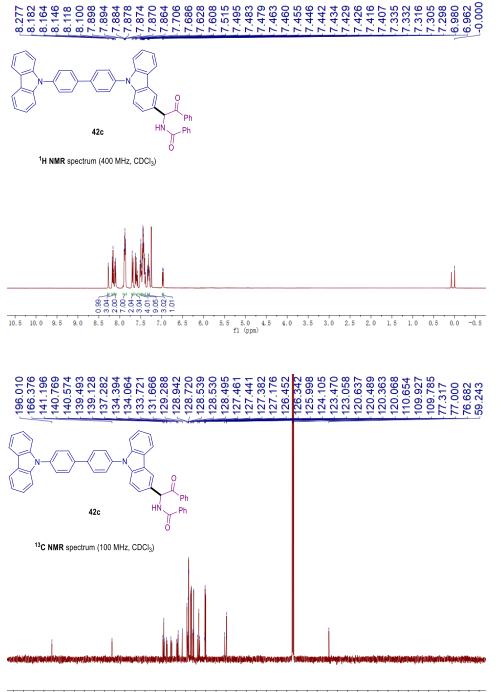


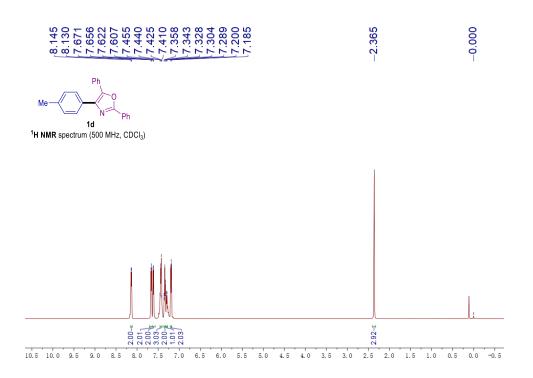


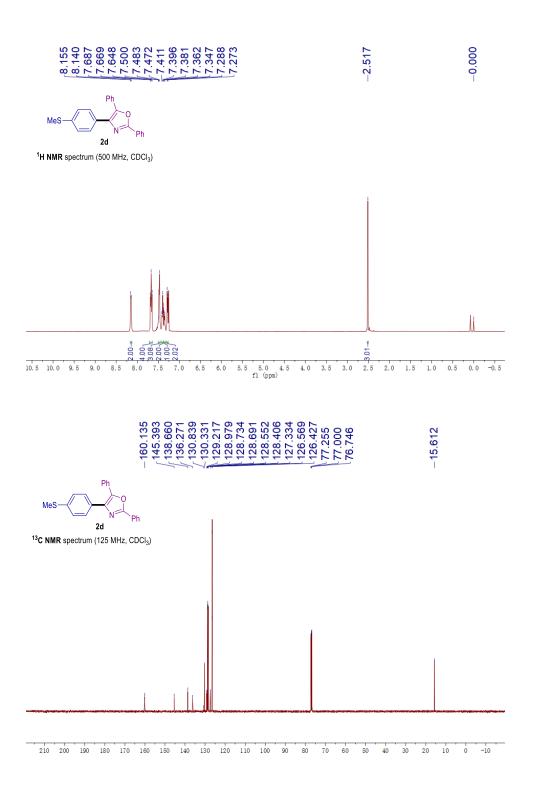


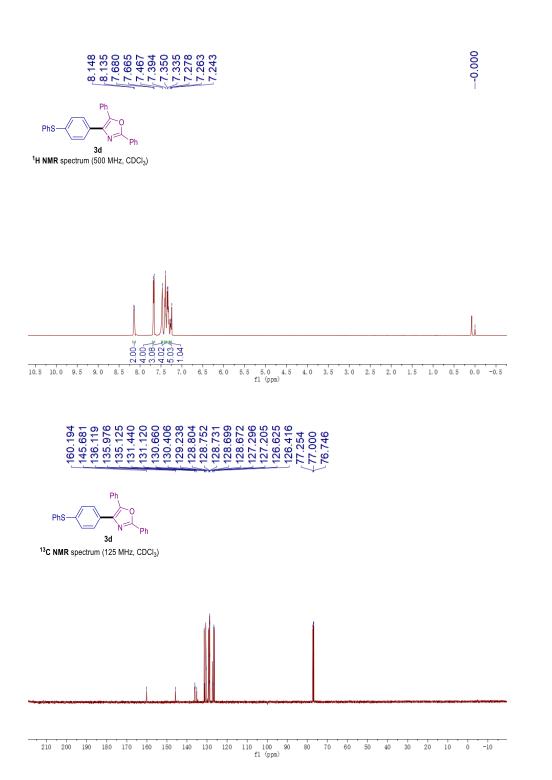


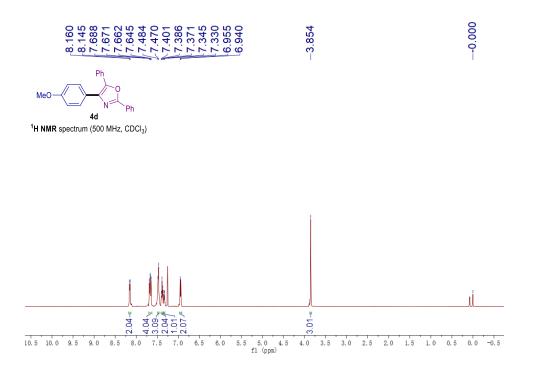


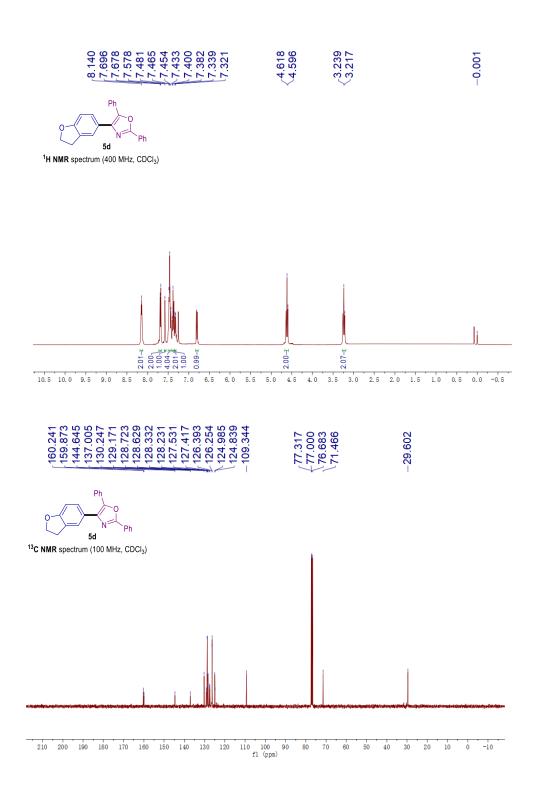


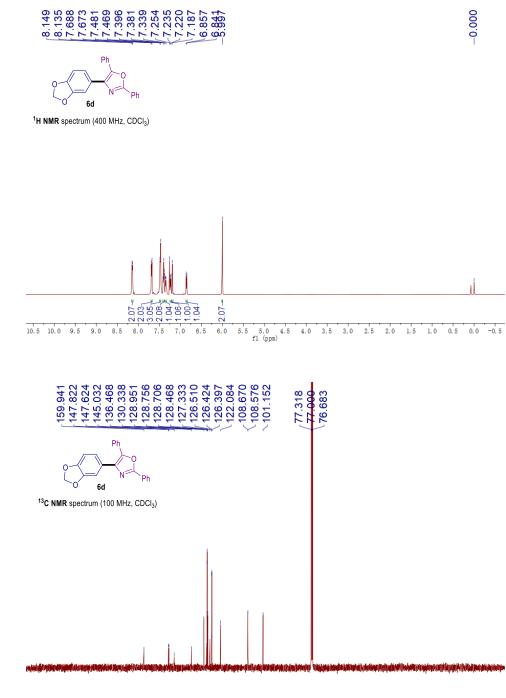


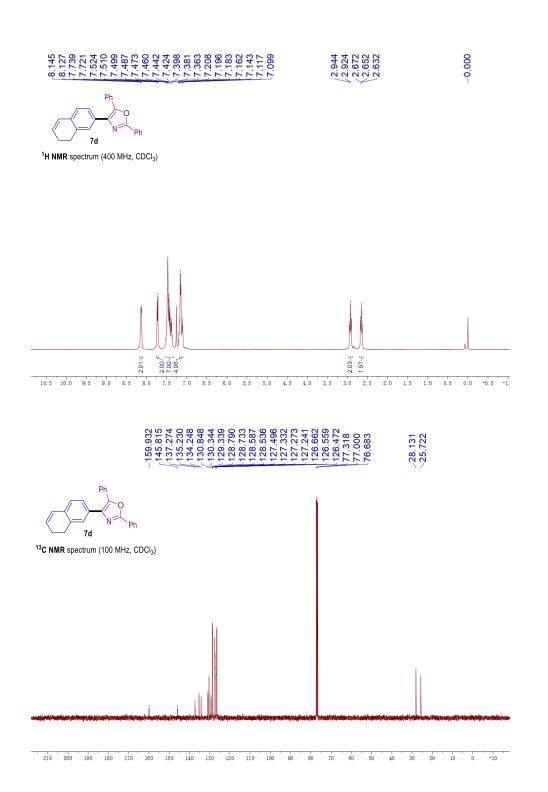


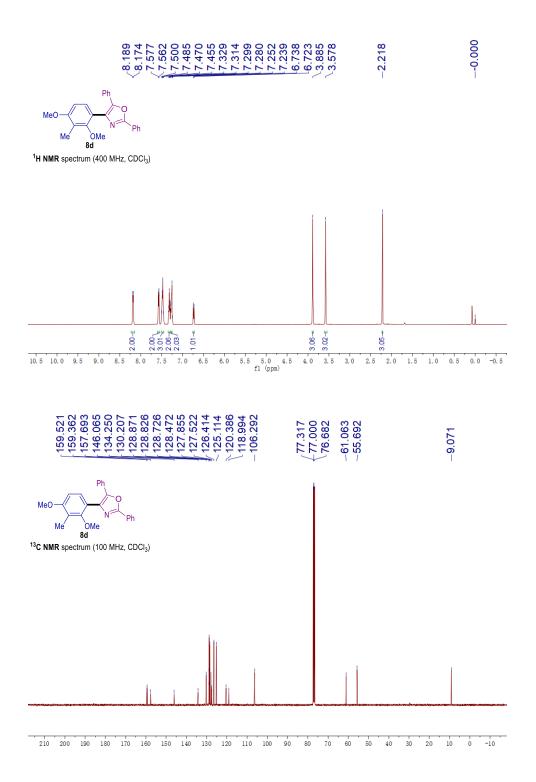


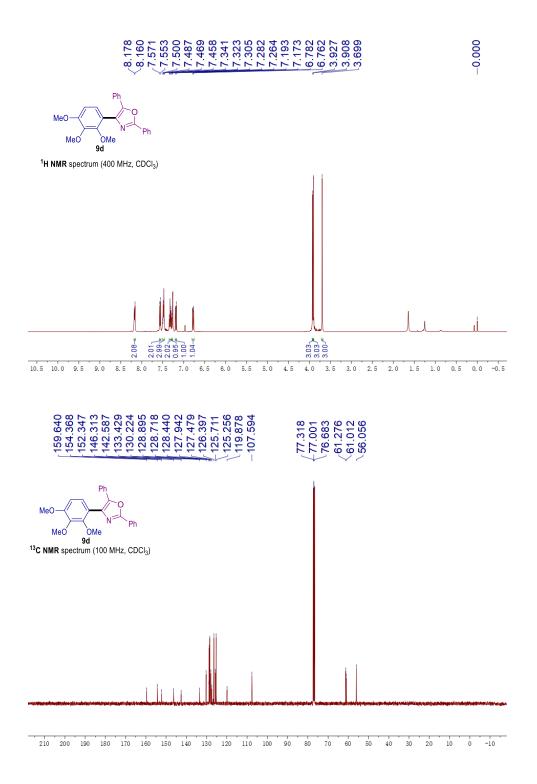


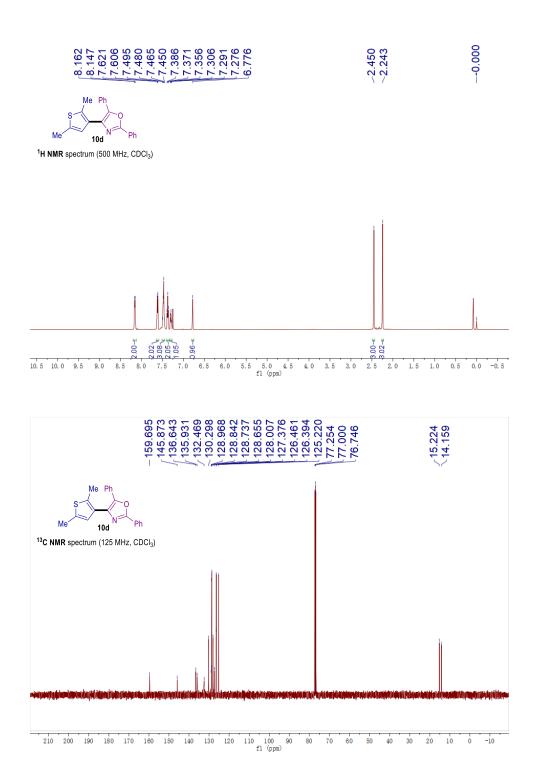


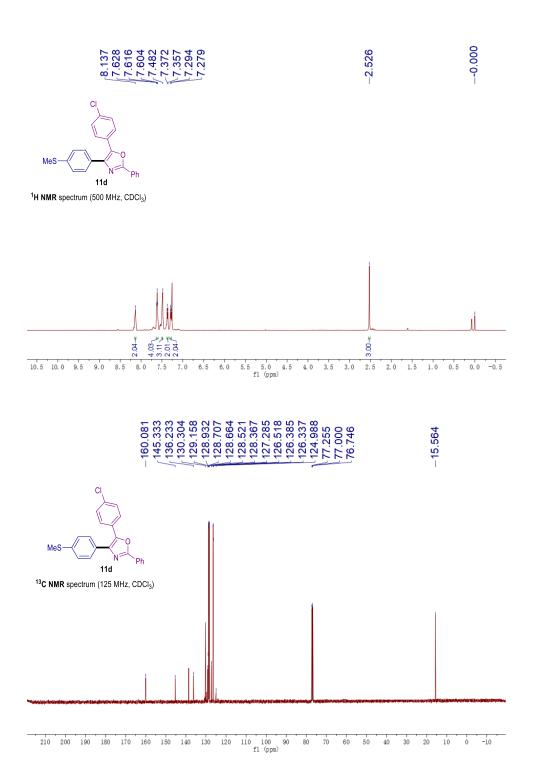


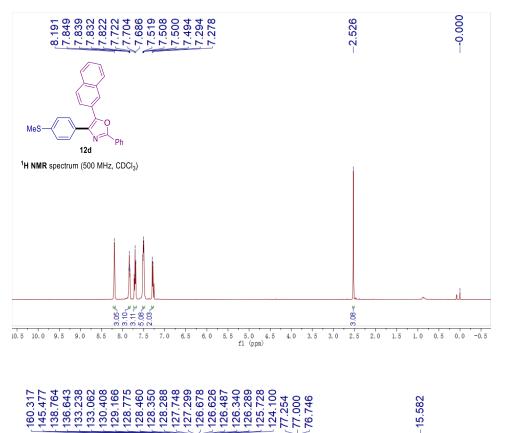


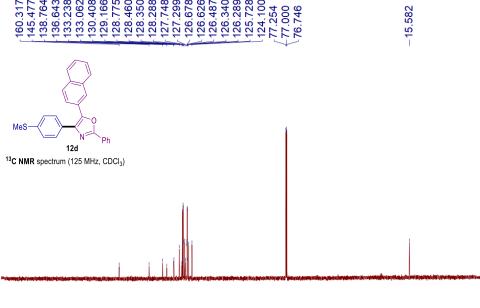


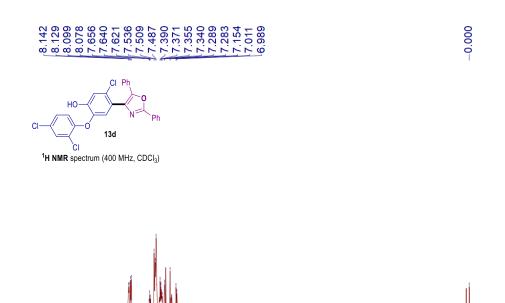




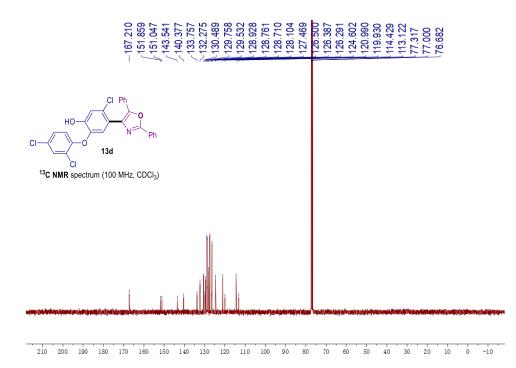


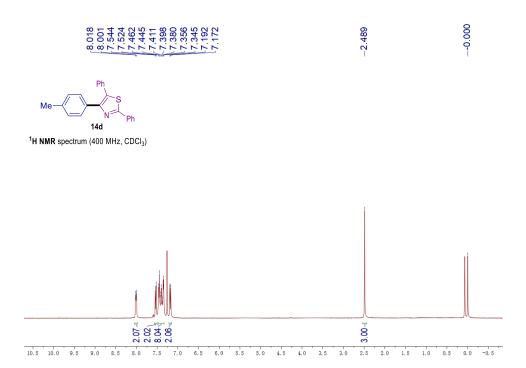


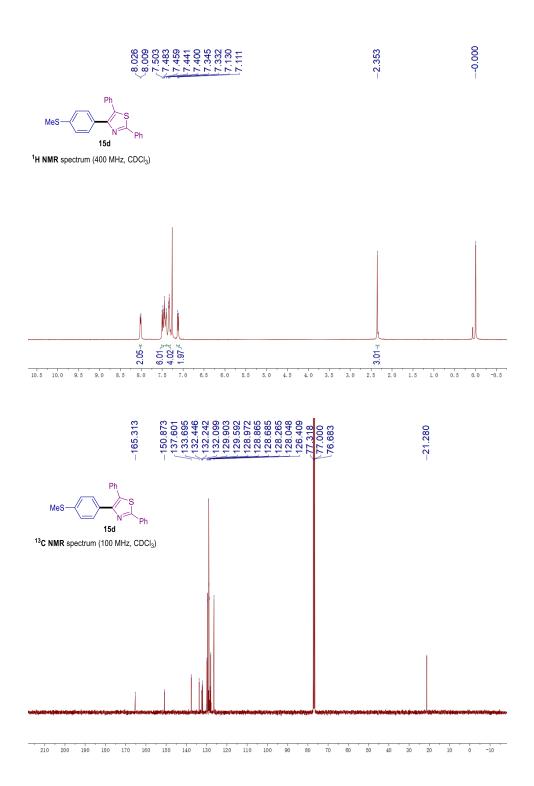


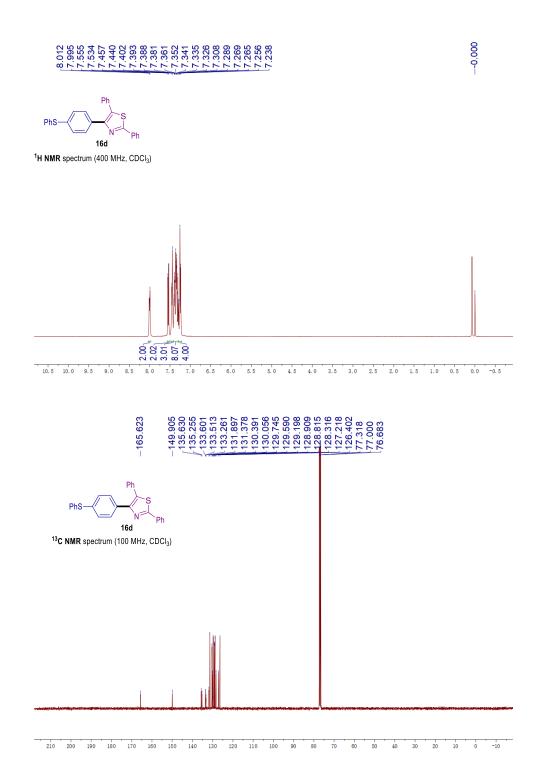


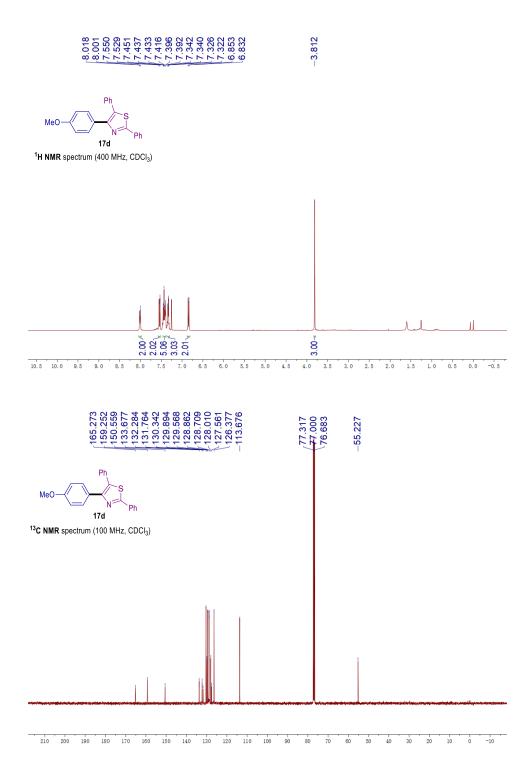
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 f1 (ppm)





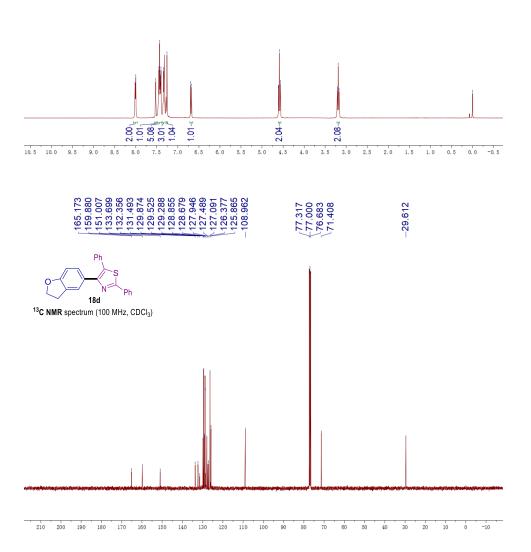








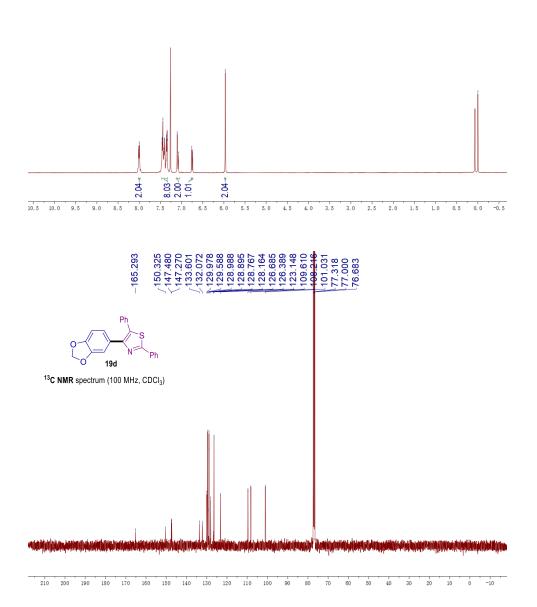




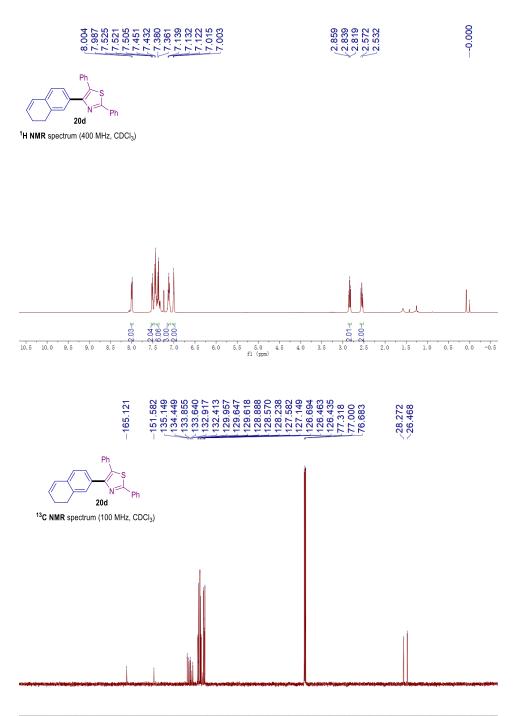




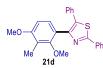
¹H NMR spectrum (400 MHz, CDCl₃)



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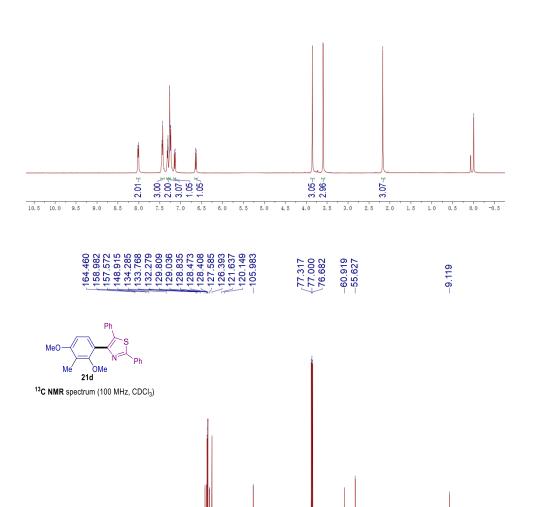




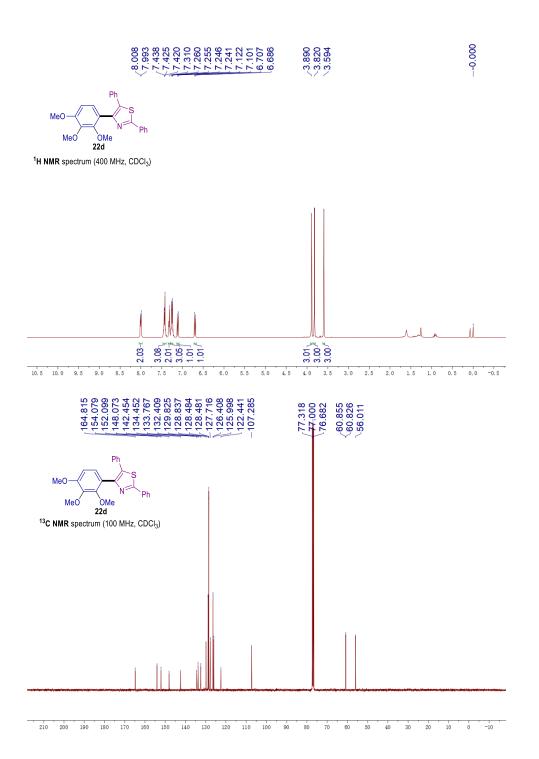


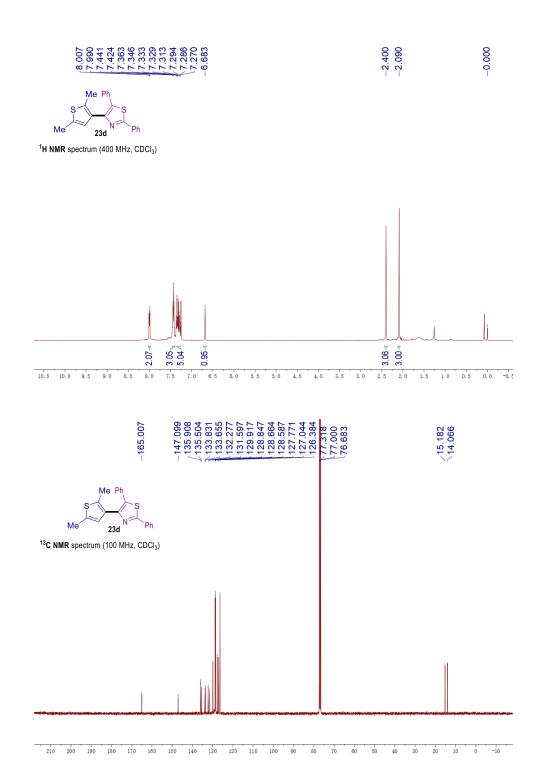
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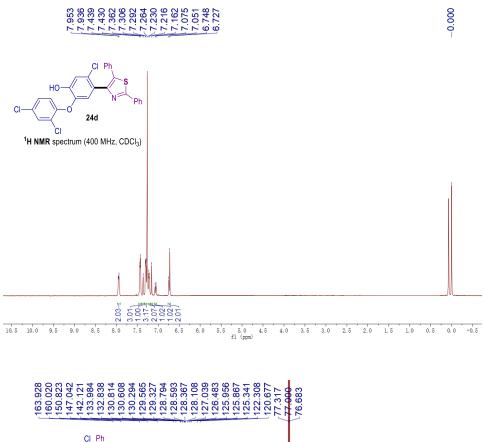
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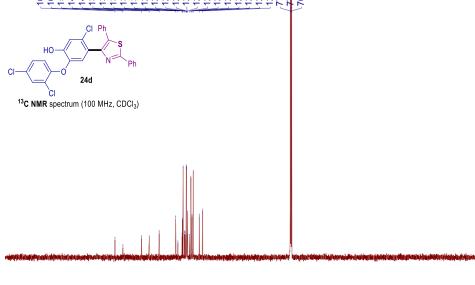


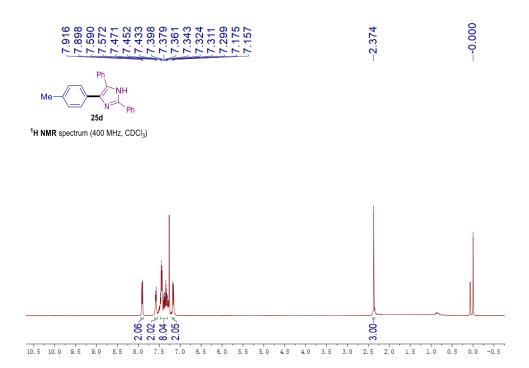
110 100 90 80 70 60 50 40 30 20 10 0 -10

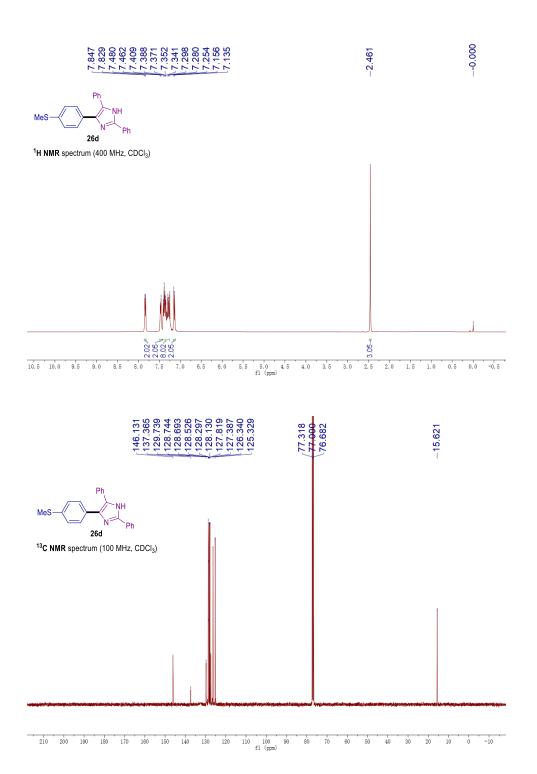


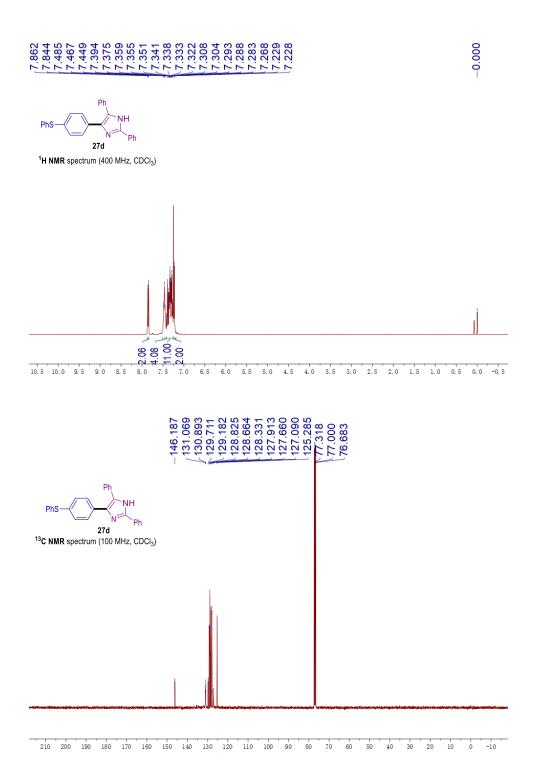


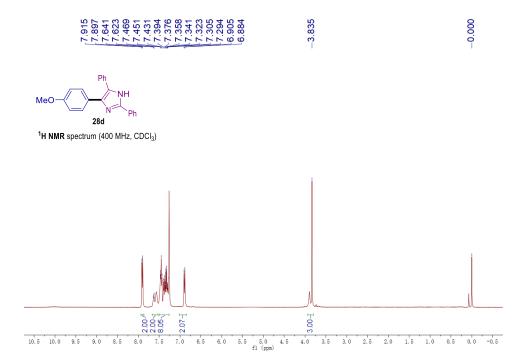






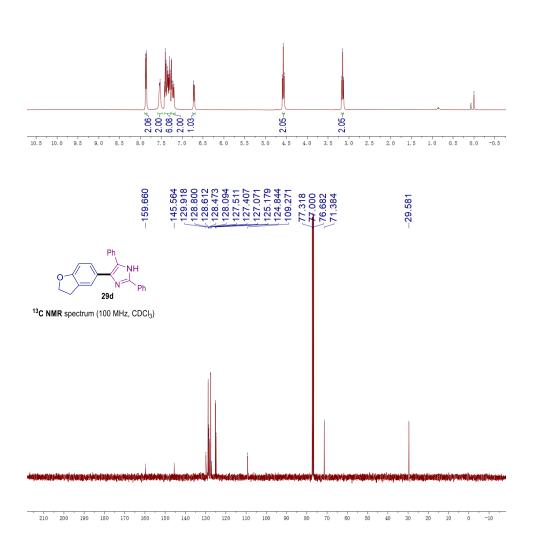


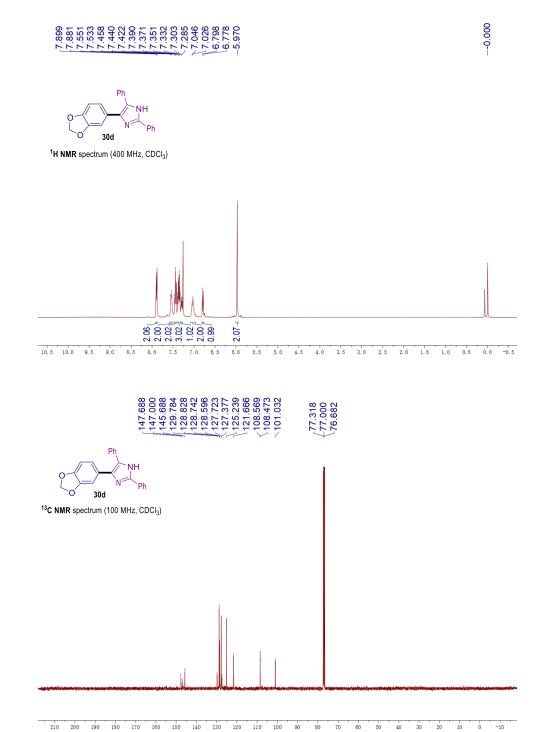






¹H NMR spectrum (400 MHz, CDCl₃)



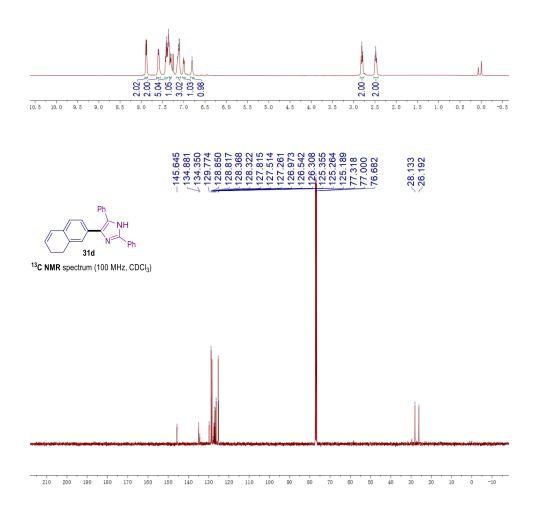


7.895 7.606 7.434 7.337 7.337 7.338 7.338 7.338 7.338 7.338 7.338 7.109 7.109 7.109 6.808 6.808



Ph NH DH 31d

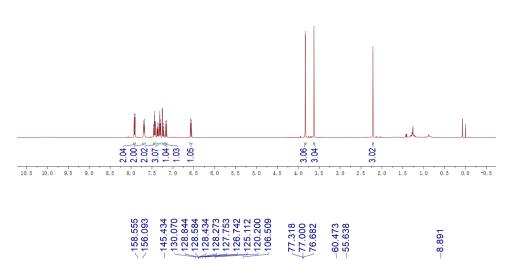
¹H NMR spectrum (400 MHz, CDCl₃)

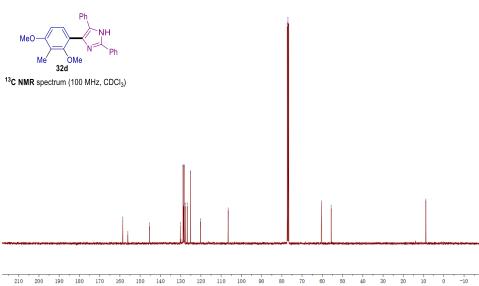


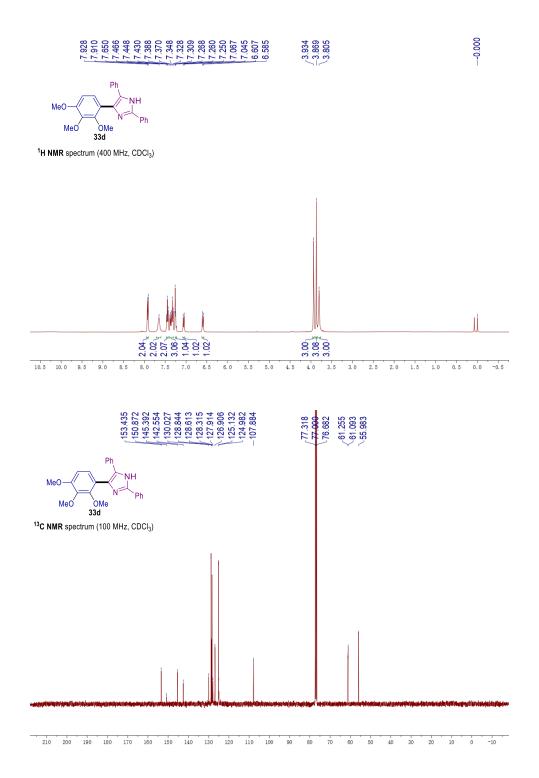


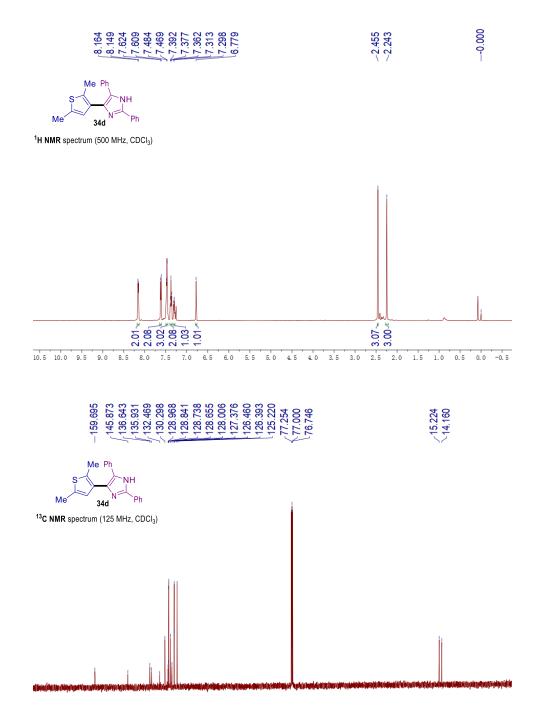
MeO Me OMe 32d

¹H NMR spectrum (400 MHz, CDCl₃)







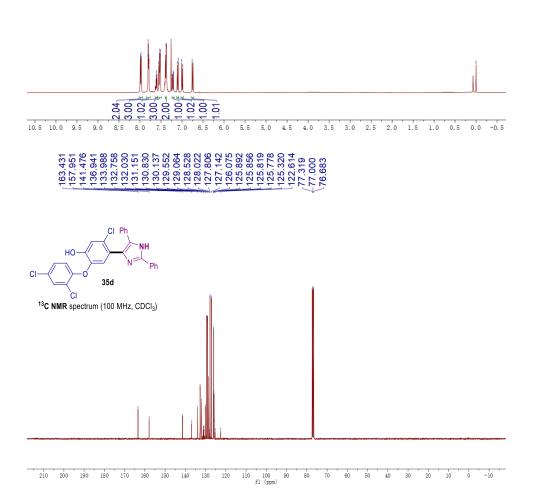


180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10



CI Ph NH HO Ph С 35d ςι

¹H NMR spectrum (400 MHz, CDCl₃)



---0.000

