Substituent-Controllable Cascade Regioselective Annulation of β-Enaminones with N-Sulfonyl Triazoles for Modular Access to Imidazoles and Pyrroles

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Article

Substituent-Controllable Cascade Regioselective Annulation of *β*-Enaminones with *N*-Sulfonyl Triazoles for Modular Access to Imidazoles and Pyrroles

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Abstract: A controllable synthesis of trisubstituted imidazoles and pyrroles has been developed through rhodium(II)-catalyzed regioselective annulation of *N*-sulfonyl-1,2,3-trizaoles with *β*-enaminones. The imidazole ring was formed through 1,1-insertion of N-H bond to *α*-imino rhodium carbene and subsequential intramolecular 1,4-conjugate addition when *α*-carbon atom of amino group bearing with methyl, whereas utilizing phenyl substituent constructed pyrrole ring via intramolecular nucleophilic addition. Features such as mild conditions, good functional groups tolerance, gram-scale synthesis, and valuable transformations of the products qualified this unique protocol as an efficient tool for the synthesis of *N*-heterocycles.

Keywords: annulation; *β*-enaminones; imidazoles; pyrroles; *N*-sulfonyl trizaoles

1. Introduction

Nitrogen-containing heterocycles are privileged structural motifs in various of natural products and bioactive compounds.[1,2] Among them, imidazole and pyrrole framework are very common structural units widely distributed in natural products, pharmaceutics, agrochemicals, and other functional materials.[3,4] For this reason, the synthesis of such compounds continues to be a hot topic in modern synthetic chemistry.[5–8] Consequently, a large number of new reactions have been developed to construct structurally diverse imidazole and pyrrole derivatives, such as multicomponent reactions,[9,10] [3+2] cycloaddition,[11–14] as well as both metal-catalyzed inter-[15,16] and intramolecular[17,18] cyclization strategies. Despite all the achievements, the development of efficient methods for their synthesis, particularly regiocontrolled synthesis of those containing multiple substituents, from readily accessible compounds is of ever-increasing importance.

In the past decades, 1,2,3-triazoles have emerged as capable precursors for the synthesis of various nitrogen heterocycles.[19,20] Upon treatment with rhodium(II) catalysts, N-sulfonyl-1,2,3-triazoles readily undergo denitrogenative reaction to form *α*-imino rhodium carbenes, a versatile intermediate that could promote a wide range of transformations.[21,22] Besides the common reactivity, such as cyclopropanation,[23,24] X-H insertion,[25–28] and ylide formation,[29–31] *α*-imino rhodium carbenes can be employed as [1C]- or aza-[3C]-synthons to participate in stepwise cycloadditions, giving various N-heterocycles.[32–35] As the major part of our research efforts in developing new methodologies for the construction of heterocycles,[36–39] we herein describe an efficient strategy for regioselective synthesis of trisubstituted imidazoles and pyrroles involving the cascade N-H insertion to *α*-imino rhodium carbene followed by substituent-controllable intramolecular annulation (Scheme 1), in which the *α*-imino rhodium carbene acted as a [2C] and aza-[3C]-synthons, respectively.

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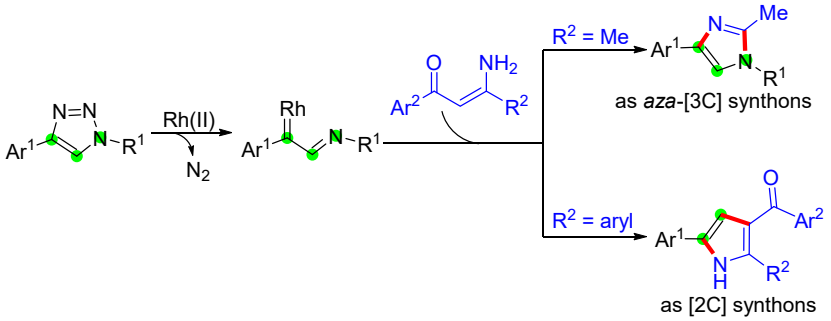
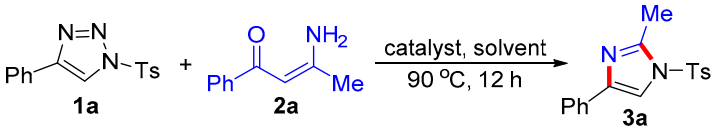


Scheme 1. Substituent-controllable cascade strategy for the synthesis of trisubstituted imidazoles and pyrroles.

2. Results and Discussion

The optimization of a one-pot procedure for the formation of imidazole 3a from triazole **1a** and *β*-enaminone **2a** was undertaken (Table 1). Screening of various transition-metal catalysts revealed that dirhodium catalyst $Rh_2(OAc)_4$ and $Rh_2(oct)_4$ were demonstrated more efficient than other metal catalysts for this reaction (Table 1, entries 1-6). Further investigation showed that at a lower catalytic loading (2 mol%) had a positive effect on the reaction (Table 1, entries 7-10). Other solvents, including toluene and chlorobenzene, could better promote this transformation and then utilization chlorobenzene for further optimization (Table 1, entries 11-16). Further variation of reaction temperatures revealed that 80 °C was the optimal condition (Table 1, entries 17-19). Extension of reaction time did not find beneficial to the product yield (Table 1, entries 20-22). Thus, the optimal reaction conditions were found to be $Rh_2(oct)_4$ in chlorobenzene at 90 °C for 12 h (Table 1, entry 13).

Table 1. Optimization of the reaction conditions[a].



Entry	Catalyst (x mol%)	Solvent	Yield (%) [b]
1	$Rh_2(OAc)_4$ (4)	DCM	56
2	$Rh_2(oct)_4$ (4)	DCM	58
3	CuI (4)	DCM	44
4	$Sc(OTf)_3$ (4)	DCM	trace
5	$Co_2(CO)_8$ (4)	DCM	nr
6	$Ni(acac)_2$ (4)	DCM	nr
7	$Rh_2(oct)_4$ (3)	DCM	73
8	$Rh_2(oct)_4$ (2)	DCM	79
9	$Rh_2(oct)_4$ (1)	DCM	41
10	/	DCM	nr
11	$Rh_2(oct)_4$ (2)	DCE	55
12	$Rh_2(oct)_4$ (2)	toluene	84
13	$Rh_2(oct)_4$ (2)	PhCl	96
14	$Rh_2(oct)_4$ (2)	CH_3OH	trace
15	$Rh_2(oct)_4$ (2)	CH_3NO_2	trace
16	$Rh_2(oct)_4$ (2)	DMF	nr
17[c]	$Rh_2(oct)_4$ (2)	PhCl	nr

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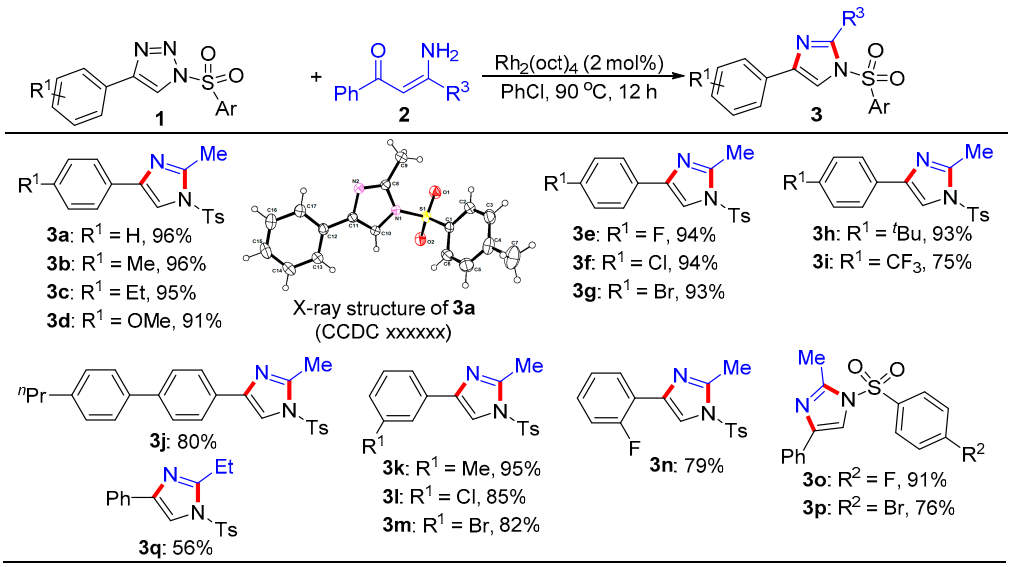
18[d]	$Rh_2(oct)_4$ (2)	PhCl	87
19[e]	$Rh_2(oct)_4$ (2)	PhCl	94
20[f]	$Rh_2(oct)_4$ (2)	PhCl	56
21[g]	$Rh_2(oct)_4$ (2)	PhCl	78
22[h]	$Rh_2(oct)_4$ (2)	PhCl	96

[a]Reaction conditions: 4-phenyl-1-tosyl-1*H*-1,2,3-triazole **1a** (0.2 mmol), 3-amino-1-phenylbut-2-en-1-one **2a** (0.2 mmol), and catalyst in solvent (2 mL) at 90 ºC for 12 h under argon atmosphere. [b] Isolated yields. [c] At 60 ºC. [d] At 80 ºC. [e] At 100 ºC. [f] For 2 h. [g] For 6 h. [h] For 18 h. nr = no reaction.

With the optimal conditions in hand, we set out to explore the scope and generality of this [3+2] annulation with a combination of various substituted *N*-sulfonyl-1,2,3-triazoles **1** and *β*-enamino ketones **2** (Scheme 2). We firstly evaluated the effect of substituents of R^1 group on the phenyl of *N*-sulfonyl-1,2,3-triazoles, and the results indicated that the introduction of electron-neutral (-Me, -Et), electron-rich (-OMe), and electron-deficient (-F, -Cl, -Br) substituents at the *para*-positions were tolerated to this transformation and gave the desired imidazoles (products **3b**-**3g**) in 91-96% yields. Notably, the triazoles **1** bearing a bulky *tert*-butyl or a strong electron-withdrawing trifluoromethyl at the para-position of benzene ring led to a smooth reaction process, generating the corresponding products **3h** and **3i** in 93% and 75% yields, respectively. Moreover, the extended π structure did not show an influence, and the desired product **3j** was successfully obtained in 80% yield. Additionally, substituents variations on the *meta*- and *ortho*-position could work well to produce the corresponding products **3k**-**3n** in 79-95% yields. Furthermore, the *N*-arylsulfonyl groups of the triazole substrates were also examined. The reactions of fluoro- and bromo-substituted phenylsulfonyl triazoles proceeded well, giving the desired products **3o** and **3p** in 91% and 76% yields, respectively. In addition, (*Z*)-3-amino-1-phenylpent-2-en-1-one was also viable substrate for the transformation, generating the product **3q** in 56% yield.



Scheme 2. Substrate scope of *N*-sulfonyl-1,2,3-triazoles and *β*-enaminones for the synthesis of trisubstituted imidazoles. Reaction conditions: *N*-sulfonyl-1,2,3-triazoles **1** (0.2 mmol), *β*-enaminones **2** (0.2 mmol), and $Rh_2(oct)_4$ (2 mol%) in PhCl (2 mL) at 90 ºC for 12 h under argon atmosphere. Isolated yields were reported.

Subsequently, an unexpected pyrrole product **5a** was obtained in 91% yield under the standard conditions when the phenyl group (**4a**) replace of methyl group of *β*-enaminones. We further evaluated the feasibility by using the 2,5-diaryl *β*-enaminones as starting materials (Scheme 3). As

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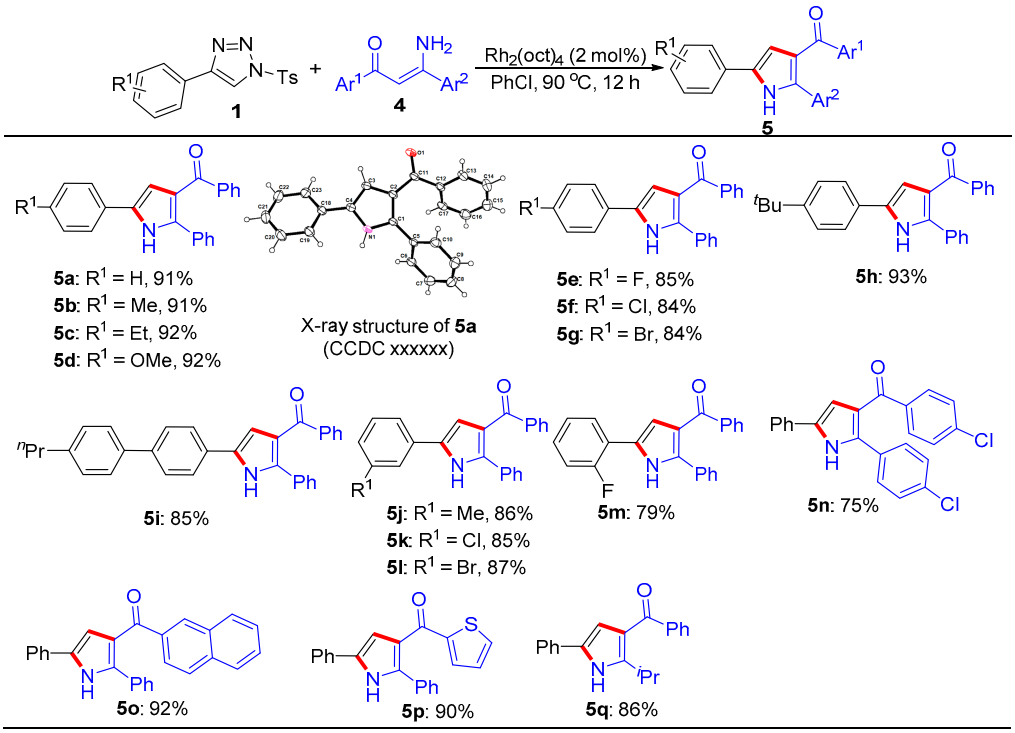
expected, a wide range of electronically different substituents, including alkyl, methoxy, halogen, and bulky *tert*-butyl groups, were successfully installed into the products **5a**-**5h**. Also, an extended π-system was implemented on the pyrrole structure (product **5i**). Particularly noteworthy is that the halogen groups (e.g. -F, -Cl, -Br) remained intact during the course of the reaction, which makes this transformation particularly attractive in terms of increasing the molecular complexity via transition metal-catalyzed coupling reactions (**5e-5g** and **5k-5m**). Additionally, we turned our attention to investigating the suitability of the substrate 1,3-diaryl *β*-enaminones **4**, and the desired products **5n**–**5q** were successfully obtained in 76-92% yields. It was gratifying that the introduction of a naphthyl and thienyl group also proceeded smoothly to produce the desired products **5o** and **5p** in a yield of 92% and 90%, respectively. Likewise, changing the phenyl group to a bulky isopropyl was also tolerated in the reaction to give the desired product **5r** in 86% yield.



Scheme 3. Substrate scope of *N*-sulfonyl-1,2,3-triazoles and *β*-enaminones for the synthesis of trisubstituted pyrroles. Reaction conditions: *N*-sulfonyl-1,2,3-triazoles **1** (0.2 mmol), *β*-enaminones **2** (0.2 mmol), and $Rh_2(oct)_4$ (2 mol%) in PhCl (2 mL) at 90 °C for 12 h under argon atmosphere. Isolated yields were reported.

Based on the above results, we hypothesis that the reaction of *N*-sulfonyl-1,2,3-triazoles and *β*-enaminones might be controlled by the steric hinder of the substituent on the *α*-position of amino. When a moderate steric group, such as *n*-propyl and *n*-butyl, was subjected to the amino *α*-position of *β*-enaminones under the standard conditions, the corresponding products imidazoles (**3r** and **3s**) and pyrroles (**5s** and **5t**) were obtained (Scheme 4a). In the synthesis of pyrroles, the presence of a methyl *p*-tolyl on the amino group resulted in a satisfactory yield of compounds **7a** and **7b** (72% and 85%), respectively (Scheme 4b).

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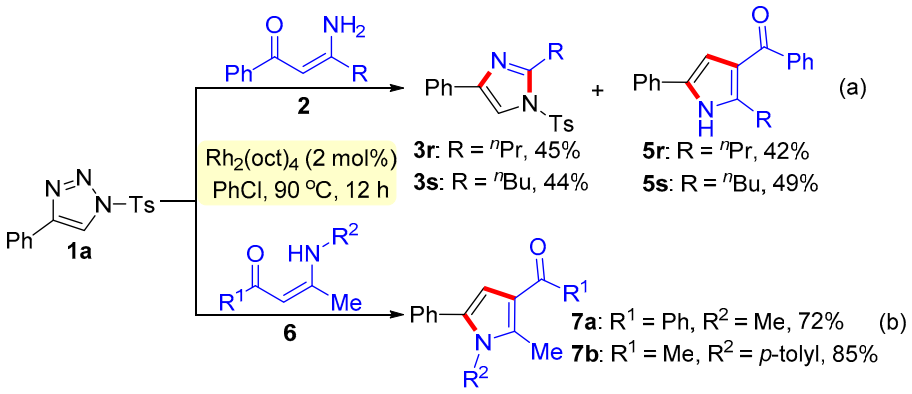
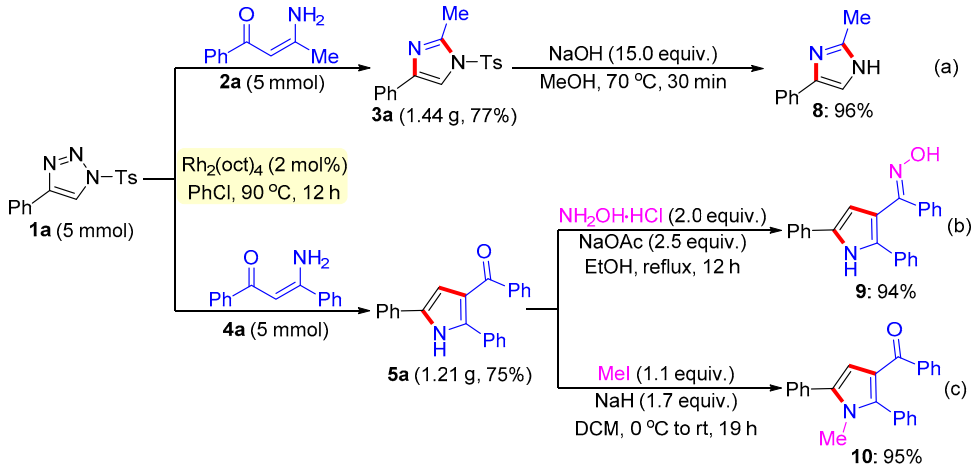


Scheme 4. Further studies.

Notably, the reaction could be easily scaled up. As shown in Scheme 3, imidazole **3a** could be obtained in a satisfactory yield of 77% (1.44 g) when the scale of the reaction was increased to 5 mmol, and the 3,5-disubstituted pyrrole **5a** was obtained in an 75% yield (1.21 g) on the same scale. Subsequently, several transformations were performed to demonstrate the utility of the target products. The desulfonation of imidazole **3a** afforded the unprotected imidazole **8** in 96% yield (Scheme 5a). In addition, treating pyrrole **5a** with hydroxylamine hydrochloride and iodomethane successfully realized the formation of the pyrrolyl oxime **9** in 94% yield (Scheme 5b). In the presence of sodium hydride, *N*-methylation between compound **5a** and iodomethane easily generated *N*-methylpyrrole derivative **10** in 95% yield (Scheme 5c), highlighting the synthetic utility of the current protocol.



Scheme 5. Gram-scale synthesis and further synthetic transformations.

The mechanism of this reaction was proposed as shown in Scheme 4 on the basis of the above experimental results and previous reports.[40–42] *α*-Diazo imino intermediate **A**, which was generated from the ring-chain tautomerization of triazole **1a**, could be decomposed by the rhodium(II) catalyst efficiently to form *α*-imino rhodium carbene intermediate **B** along with the release of nitrogen gas. *β*-Enaminones (**2a** or **4a**) attacked the electrophilic carbene center of intermediate **B**, and 1,1-insertion occurred to convert intermediate **D** with the regeneration of the rhodium(II) catalyst. When R was methyl group, an imino-enamine taumerization could be induced to give more stable intermediate **E**, which could undergo an intramolecular 1,4-conjugate addition affording the intermediate **F**. The elimination of the intermediate **F** results the desired product **3a**. In the case of **4a**, the phenyl group was bulky to form the intermediate **D'**. Therefore, after the subsequential intramolecular nucleophilic addition and elimination process, the corresponding product **5a** was obtained.

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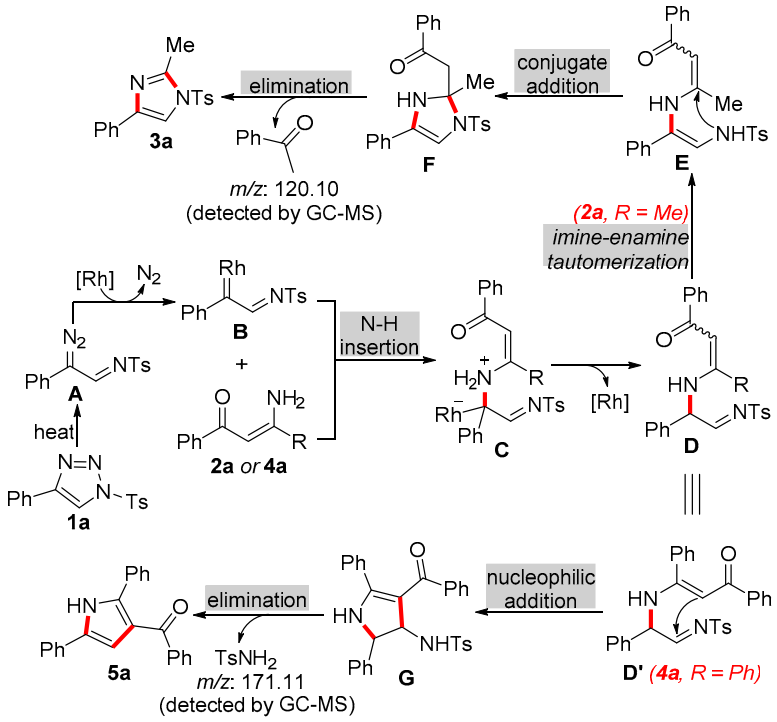

Scheme 4. Proposed mechanism for the formation of NH-free isoquinolones.

3. Materials and Methods

The detailed procedures for the synthesis and characterization of the products are given in Appendix A section.

4. Conclusions

In conclusion, we have demonstrated that the Rh(II)-catalyzed substituent-controllable regioselective annulations provide a new synthetic strategy to trisubstituted imidazoles and pyrroles. The highlight of the current reaction is the substituent-dependent product selectivity. The imidazole skeleton was formed *via* N-H insertion to α-imino rhodium carbene, followed by intramolecular 1,4-conjugate addition when α-carbon atom of amino group bearing with methyl. Switching methyl to phenyl group, the pyrrole framework was generated through N-H insertion and intramolecular nucleophilic addition process. The large-scale reactions and transformations of the products further demonstrated the potential synthetic value of this strategy.

Supplementary Materials: The following supporting information can be downloaded at the website of this paper posted on Preprints.org. Characterization data for product 3 and 4, include ^{1}H- and ^{13}C-NMR spectroscopies are available online. CCDC 2260879 and 2260880 contain the supplementary crystallographic data for this paper. These data can be obtained free of charge via www.ccdc.cam.ac.uk/data_request/cif, or by emailing data_request@ccdc.cam.ac.uk, or by contacting The Cambridge Crystallographic Data Centre, 12 Union Road, Cambridge CB2 1EZ, UK; Fax: +44 1223 336033.

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Informed Consent Statement: Not applicable.

Data Availability Statement: The data presented in this study are available in this article.

Conflicts of Interest: The authors declare no conflict of interest.

Sample Availability: Samples of the compounds are available from the authors.

Appendix A. Experimental Section

Unless otherwise specified, all reagents and starting materials were purchased from commercial sources and used as received, and the solvents were purified and dried using standard procedures. The chromatography solvents were technical grade and distilled prior to use. The NMR spectra were recorded with a Bruker Avance 500 spectrometer (500 MHz for ^{1}H and 125 MHz for ^{13}C) with $CDCl_3$ as solvent with tetramethylsilane (TMS) as the internal standard at room temperature. Chemical shifts are given in δ relative to TMS, the coupling constants J are given in Hz. HRMS spectra were obtained with an Agilent 6200 using a quadrupole time-of-flight mass spectrometer equipped with an ESI source. The melting points were measured using SGWX-4 melting point apparatus and not corrected. The X-ray source used for the single crystal X-ray diffraction analysis of compound **3a** and **5a** was Mo Kα (λ = 0.71073 Å), and the thermal ellipsoid was drawn at the 30% probability level.

General procedure for the synthesis of trisubstituted imidazoles 3 and pyrroles 5. *N*-Sulfonyl-1H-1,2,3-triazoles **1** (0.2 mmol), *β*-enaminones **2** (0.2 mmol), and $Rh_2(oct)_4$ (2 mol%) were successively added to a Schlenk reaction tube. The reaction set was evacuated and backfilled with argon atmosphere for three times. Then chlorobenzene (2.0 mL) was added into the reaction tube through a syringe. The reaction mixture was stirred vigorously in an oil bath preheated to 90 °C for 12 hours. After the reaction was complete, the reaction mixture was cooled to room temperature, extracted with CH_2Cl_2 (3 × 10 mL), and washed with brine. The organic layers were combined, dried over Na_2SO_4 and then evaporated under vacuum. The residue was purified by flash column chromatography on silica gel (200-300 mesh) using ethyl acetate and petroleum ether (1:8, v/v) as the elution solvent to give desired products **3** or **5**.

General procedure for the synthesis of compound 8. 2-Methyl-4-phenyl-1-tosyl-1*H*-imidazole **3a** (0.15 mmol) and NaOH (2.25 mmol) were successively added to a Schlenk reaction tube. The reaction set was evacuated and backfilled with argon atmosphere for three times. Then methanol (2.0 mL) was added into the reaction tube through a syringe. The reaction mixture was stirred vigorously in an oil bath preheated to 70 °C for 30 minutes. After the reaction was complete, the reaction mixture was cooled to room temperature, extracted with CH_2Cl_2 (3 × 10 mL), and washed with brine. The organic layers were combined, dried over Na_2SO_4 and then evaporated under vacuum. The residue was purified by flash column chromatography on silica gel (200-300 mesh) using ethyl acetate and petroleum ether (1:3, v/v) as the elution solvent to give desired product **8** in 96% yield.

General procedure for the synthesis of compound 9. A mixture of (2,5-diphenyl-1*H*-pyrrol-3-yl)(phenyl)methanone **5a** (0.2 mmol), hydroxylamine hydrochloride (0.4 mmol), and sodium acetate (0.5 mmol) were added to a round-bottomed flask with reflux condenser. Ethanol (4 mL) was then added and the reaction mixture was stirred vigorously at reflux in oil bath with stirring 12 hours. After quenching with water, the residue was extracted with ethyl acetate twice. The combined layer was washed with brine, dried over Na_2SO_4 and then evaporated under vacuum. The residue was purified by flash column chromatography on silica gel (200-300 mesh) using ethyl acetate and petroleum ether (1:8, v/v) as the elution solvent to give desired product **9** in 94% yield.

General procedure for the synthesis of compound 10. NaH (60% in mineral oil, 0.5 mmol, 1.7 equiv.) was added to a solution of the **5a** (0.25 mmol) in DCM (4 mL) at 0 °C in portions. After stirring for 5 min at 0 °C, MeI (0.22 mmol, 1.1 equiv.) was added drop-wise and the reaction mixture was allowed to warm to room temperature and stirred for another 19 h. After quenching with water, the residue was extracted with ethyl acetate twice. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated, and purified by column chromatography to afford **10** in 95% yield.

2-Methyl-4-phenyl-1-tosyl-1H-imidazole (***3a***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 96% yield (60 mg); mp 122-124 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 (d, J = 8.5 Hz, 2H), 7.73 (d, J = 7.0 Hz, 2H), 7.67 (s, 1H), 7.39-7.35 (m, 4H), 7.25 (d, J = 7.5 Hz, 1H), 2.57 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.5, 146.4, 141.0, 135.5, 132.7, 130.8, 129.1, 128.2, 127.8, 125.6, 114.4, 22.1, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{17}N_2O_2S$ 313.1005; Found 313.1006.

2-Methyl-4-(p-tolyl)-1-tosyl-1H-imidazole (***3b***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 96% yield (62 mg); mp 60-62 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 8.5 Hz, 2H), 7.62 (d, J = 8.0 Hz, 3H), 7.35 (d, J = 8.0 Hz, 2H), 7.18 (d, J = 8.0 Hz, 2H), 2.57 (s, 3H), 2.44 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.4, 146.3, 141.0, 138.0, 135.4, 130.7, 129.9, 129.8, 127.7, 125.5, 113.9, 22.1, 21.7, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{19}N_2O_2S$ 327.1162; Found 327.1170.

4-(4-Ethylphenyl)-2-methyl-1-tosyl-1H-imidazole (***3c***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 95% yield (64 mg); mp 77-79 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 8.5 Hz, 2H), 7.65 (d, J = 8.0 Hz, 3H), 7.34 (d, J = 8.0 Hz, 2H), 7.21 (d, J = 8.0 Hz, 2H), 2.64 (q, J = 7.5 Hz, 2H), 2.57 (s, 3H), 2.42 (s, 3H), 1.23 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.4, 146.3, 144.4, 141.0, 135.4, 130.8, 130.1, 128.6, 127.7, 125.5, 113.9, 29.1, 22.1, 15.9, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{19}H_{21}N_2O_2S$ 341.1318; Found 341.1319.

4-(4-Methoxyphenyl)-2-methyl-1-tosyl-1H-imidazole (***3d***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 91% yield (62 mg); mp 66-68 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 8.5 Hz, 2H), 7.65 (d, J = 9.0 Hz, 2H), 7.57 (s, 1H), 7.34 (d, J = 8.0 Hz, 2H), 6.90 (d, J = 9.0 Hz, 2H), 3.81 (s, 3H), 2.56 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.8, 146.4, 146.3, 140.8, 135.4, 130.7, 127.7, 126.9, 125.5, 114.5, 113.2, 55.7, 22.1, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{19}N_2O_3S$ 343.1111; Found 343.1112.

4-(4-Fluorophenyl)-2-methyl-1-tosyl-1H-imidazole (***3e***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 94% yield (62 mg); mp 107-109 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 (d, J = 8.5 Hz, 2H), 7.69 (dd, J = 8.5, 5.0 Hz, 2H), 7.61 (s, 1H), 7.36 (d, J = 8.0 Hz, 2H), 7.06 (t, J = 8.5 Hz, 2H), 2.56 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 162.9 (d, $J_{C\text{-}F}$ = 246.3 Hz), 146.6, 146.5, 140.1, 135.3, 130.8, 129.0, 127.8, 127.3 (d, $J_{C\text{-}F}$ = 8.0 Hz), 116.0 (d, $J_{C\text{-}F}$ = 21.6 Hz), 114.0, 22.1, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{16}FN_2O_2S$ 331.0911; Found 331.0909.

4-(4-Chlorophenyl)-2-methyl-1-tosyl-1H-imidazole (***3f***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 94% yield (65 mg); mp 107-109 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 7.5 Hz, 2H), 7.66-7.65 (m, 3H), 7.36-7.32 (m, 4H), 2.56 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.6, 146.6, 139.9, 135.2, 133.8, 131.3, 130.8, 129.2, 127.8, 126.8, 114.5, 22.1, 15.5; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{16}ClN_2O_2S$ 347.0616; Found 347.0612.

4-(4-Bromophenyl)-2-methyl-1-tosyl-1H-imidazole (***3g***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 93% yield (72 mg); mp 104-106 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 8.5 Hz, 2H), 7.67 (s, 1H), 7.60 (d, J = 8.5 Hz, 2H), 7.48 (d, J = 8.5 Hz, 2H), 7.35 (d, J = 8.5 Hz, 2H), 2.56 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.7, 146.6, 139.9, 135.2, 132.2, 131.7, 130.8, 127.8, 127.1, 122.0, 114.6, 22.1, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{16}BrN_2O_2S$ 391.0110; Found 391.0109.

4-(4-(tert-Butyl)phenyl)-2-methyl-1-tosyl-1H-imidazole (***3h***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 93% yield (68 mg); mp 69-71 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79 (d, J = 7.0 Hz, 2H), 7.69-7.64 (m, 3H), 7.39 (d, J = 7.0 Hz, 2H), 7.34 (d, J = 8.0 Hz, 2H), 2.57 (s, 3H), 2.42 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 151.3, 146.4, 146.4, 141.0, 135.5, 130.7, 129.9, 127.7, 126.0, 125.3, 114.0, 35.0, 31.7, 22.1, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{21}H_{25}N_2O_2S$ 369.1631; Found 369.1634.

2-Methyl-1-tosyl-4-(4-(trifluoromethyl)phenyl)-1H-imidazole (***3i***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 75% yield (57

mg); mp 76-78 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84-7.82 (m, 4H), 7.76 (s, 1H), 7.62 (d, J = 8.0 Hz, 2H), 7.38 (d, J = 8.0 Hz, 2H), 2.58 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.8, 139.5, 136.2, 135.1, 130.9, 129.9 (q, J_{C-F} = 32.5 Hz), 128.5, 127.9, 126.6, 126.1(q, J_{C-F} = 3.8 Hz), 124.6(q, J_{C-F} = 270.0 Hz), 115.6, 22.1, 15.5.; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{16}F_3N_2O_2S$ 381.0879; Found 381.0878.

*2-Methyl-4-(4′-propyl-[1,1′-biphenyl]-4-yl)-1-tosyl-1H-imidazole (**3j**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 80% yield (69 mg); mp 94-96 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.83-7.79 (m, 4H), 7.71(s, 1H), 7.61 (d, J = 8.5 Hz, 2H), 7.54 (d, J = 8.0 Hz, 2H), 7.36 (d, J = 8.0 Hz, 2H), 7.26 (d, J = 8.5 Hz, 2H), 2.63 (t, J = 7.5 Hz, 2H), 2.59 (s, 3H), 1.72-1.64 (m, 2H), 0.98 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.5, 146.5, 142.4, 140.9, 140.7, 138.4, 135.4, 131.4, 130.8, 129.3, 127.8, 127.6, 127.1, 125.9, 114.3, 38.1, 25.0, 22.1, 15.6, 14.3; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{26}H_{27}N_2O_2S$ 431.1788; Found 431.1781.

*2-Methyl-4-(m-tolyl)-1-tosyl-1H-imidazole (**3k**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 95% yield (62 mg); mp 107-109 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 8.5 Hz, 2H), 7.67 (s, 1H), 7.58 (s, 1H), 7.51 (d, J = 7.5 Hz, 1H), 7.35 (d, J = 8.0 Hz, 2H), 7.26 (t, J = 7.5 Hz, 1H), 7.09 (d, J = 7.5 Hz, 1H), 2.57 (s, 3H), 2.43 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.5, 146.4, 141.0, 138.8, 135.4, 132.5, 130.8, 129.0, 127.8, 126.2, 122.6, 114.3, 22.1, 21.8, 15.6; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{19}N_2O_2S$ 327.1162; Found 327.1163.

*4-(3-Chlorophenyl)-2-methyl-1-tosyl-1H-imidazole (**3l**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 85% yield (59 mg); mp 83-85 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 (d, J = 8.0 Hz, 2H), 7.73 (s, 1H), 7.68 (s, 1H), 7.59 (d, J = 7.5 Hz, 1H), 7.37 (d, J = 8.5 Hz, 2H), 7.29 (t, J = 8.0 Hz, 1H), 7.24 (d, J = 8.0 Hz, 1H), 2.56 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.7, 139.7, 135.1, 134.6, 130.8, 130.3, 128.1, 127.8, 125.7, 123.6, 115.0, 22.1, 15.5; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{16}ClN_2O_2S$ 347.0616; Found 347.0625.

*4-(3-Bromophenyl)-2-methyl-1-tosyl-1H-imidazole (**3m**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 82% yield (64 mg); mp 79-81 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.89 (s, 1H), 7.80 (d, J = 8.5 Hz, 2H), 7.67 (s, 1H), 7.64 (d, J = 7.5 Hz, 1H), 7.39-7.35 (m, 3H), 7.22 (t, J = 8.0 Hz, 1H), 2.56 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.7, 146.6, 139.5, 135.2, 134.8, 131.0, 130.8, 130.6, 128.6, 127.8, 124.1, 123.3, 115.0, 22.1, 15.5; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{16}BrN_2O_2S$ 391.0110; Found 391.0119.

*4-(2-Fluorophenyl)-2-methyl-1-tosyl-1H-imidazole (**3n**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 79% yield (52 mg); mp 57-59 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03 (t, J = 7.5 Hz, 1H), 7.85 (d, J = 4.0 Hz, 1H), 7.82 (d, J = 8.0 Hz, 2H), 7.35 (d, J = 8.0 Hz, 2H), 7.23 (t, J = 7.0 Hz, 1H), 7.17 (t, J = 7.5 Hz, 1H), 7.10 (t, J = 10 Hz, 1H), 2.58 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 160.2 (d, J_{C-F} = 247.9 Hz), 146.5, 145.9, 135.4, 134.5, 130.8, 129.1 (d, J_{C-F} = 8.5 Hz), 128.2 (d, J_{C-F} = 3.6 Hz), 127.8, 124.7 (d, J_{C-F} = 3.6 Hz), 120.6 (d, J_{C-F} = 12.5 Hz), 118.4 (d, J_{C-F} = 15.4 Hz), 116.0 (d, J_{C-F} = 21.5 Hz), 22.1, 15.5; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{16}FN_2O_2S$ 331.0911; Found 331.0914.

*1-((4-Fluorophenyl)sulfonyl)-2-methyl-4-phenyl-1H-imidazole (**3o**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 91% yield (57 mg); mp 107-109 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97-7.94 (m, 2H), 7.73 (d, J = 7.5 Hz, 2H), 7.67 (s, 1H), 7.38 (t, J = 8.0 Hz, 2H), 7.29 (t, J = 7.5 Hz, 1H), 7.24 (t, J = 8.0 Hz, 2H), 2.58 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 166.6 (d, J_{C-F} = 257.5 Hz), 146.4, 141.3, 134.4 (d, J_{C-F} = 2.8 Hz), 132.5, 130.7 (d, J_{C-F} = 9.8 Hz), 129.1, 128.4, 125.6, 117.7 (d, J_{C-F} = 22.9 Hz), 114.2, 15.7; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{16}H_{14}FN_2O_2S$ 317.0755; Found 317.0760.

*1-((4-Bromophenyl)sulfonyl)-2-methyl-4-phenyl-1H-imidazole (**3p**)*. This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 76% yield (57 mg); mp 97-99 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 (d, J = 9.0 Hz, 2H), 7.72 (t, J = 9.0 Hz, 4H), 7.65 (s, 1H), 7.38 (d, J = 7.5 Hz, 2H), 7.29 (d, J = 7.5 Hz, 1H), 2.58 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 146.5, 141.4, 137.3, 133.6, 132.4, 130.6, 129.1, 129.1, 128.4, 125.6, 114.2, 15.7; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{16}H_{14}BrN_2O_2S$ 376.9954; Found 376.9952.

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2-Ethyl-4-phenyl-1-tosyl-1H-imidazole (***3q***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 56% yield (37 mg); mp 49-51 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79 (d, J = 8.5 Hz, 2H), 7.75 (d, J = 7.0 Hz, 2H), 7.67 (s, 1H), 7.39-7.34 (m, 4H), 7.28 (d, J = 7.5 Hz, 1H), 2.90 (q, J = 7.5 Hz, 2H), 2.43 (s, 3H), 1.32 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 151.4, 146.4, 140.9, 135.7, 132.9, 130.7, 129.0, 128.1, 127.7, 125.6, 114.3, 22.4, 22.1, 12.5; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{18}H_{19}N_2O_2S$ 327.1162; Found 327.1163.

4-Phenyl-2-propyl-1-tosyl-1H-imidazole (***3r***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a colorless oil in 45% yield (31 mg); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79 (d, J = 8.5 Hz, 2H), 7.75 (d, J = 7.0 Hz, 2H), 7.66 (s, 1H), 7.38-7.33 (m, 4H), 7.27 (t, J = 7.5 Hz, 1H), 2.85 (t, J = 7.5 Hz, 2H), 2.43 (s, 3H), 1.81-1.74 (m, 2H), 0.98 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 150.4, 146.4, 141.0, 135.8, 132.9, 130.7, 129.0, 128.1, 127.6, 125.6, 114.3, 30.8, 22.1, 21.9, 14.3; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{19}H_{21}N_2O_2S$ 341.1318; Found 341.1312.

2-Butyl-4-phenyl-1-tosyl-1H-imidazole (***3s***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a colorless oil in 44% yield (31 mg); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79 (d, J = 8.5 Hz, 2H), 7.75 (d, J = 7.5 Hz, 2H), 7.67 (s, 1H), 7.38-7.33 (m, 4H), 7.28 (d, J = 7.5 Hz, 1H), 2.87 (t, J = 8 Hz, 2H), 2.43 (s, 3H), 1.72-1.69 (m, 2H), 1.42-1.37 (m, 2H), 0.91 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 150.5, 146.4, 140.9, 135.7, 132.9, 130.7, 129.1, 128.2, 127.7, 125.6, 114.3, 30.5, 28.6, 22.9, 22.1, 14.2; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{20}H_{23}N_2O_2S$ 355.1475; Found 355.1482.

(2,5-Diphenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5a***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 91% yield (59 mg); mp 81-83 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.98 (s, 1H), 7.80 (d, J = 7.0 Hz, 2H), 7.54 (d, J = 7.5 Hz, 2H), 7.45-7.42 (m, 3H), 7.39 (t, J = 7.5 Hz, 2H), 7.32 (t, J = 7.5 Hz, 2H), 7.29-7.24 (m, 4H), 6.84 (d, J = 3.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.9, 139.8, 138.3, 132.3, 132.1, 131.8, 130.1, 129.5, 128.9, 128.8, 128.5, 128.3, 127.5, 124.5, 122.3, 110.9; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{23}H_{18}NO$ 324.1383; Found 324.1382.

Phenyl(2-phenyl-5-(p-tolyl)-1H-pyrrol-3-yl)methanone (***5b***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 91% yield (61 mg); mp 81-83 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.12 (s, 1H), 7.79 (d, J = 8.0 Hz, 2H), 7.47 – 7.38 (m, 5H), 7.31 (t, J = 7.7 Hz, 2H), 7.21 - 7.17 (m, 5H), 6.78 (s, 1H), 2.36 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 139.8, 138.1, 137.3, 132.5, 132.2, 132.1, 130.1, 130.1, 129.1, 128.9, 128.7, 128.4, 128.3, 124.6, 122.2, 110.4, 21.6; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{24}H_{20}NO$ 338.1539; Found 338.1545.

(5-(4-Ethylphenyl)-2-phenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5c***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 92% yield (64 mg); mp 71-73 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.92 (s, 1H), 7.80 (d, J = 7.0 Hz, 2H), 7.47-7.42 (m, 5H), 7.32 (t, J = 7.5 Hz, 2H), 7.26-7.22 (m, 5H), 6.81 (d, J = 3.0 Hz, 1H), 2.67 (q, J = 7.5 Hz, 2H), 1.26 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.0, 143.8, 139.8, 138.0, 132.5, 132.2, 132.1, 130.1, 129.3, 128.9, 128.9, 128.7, 128.4, 128.3, 124.6, 122.2, 110.4, 29.0, 15.9; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{25}H_{22}NO$ 352.1693; Found 352.1689.

(5-(4-Methoxyphenyl)-2-phenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5d***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 92% yield (65 mg); mp 111-113 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.96 (s, 1H), 7.79 (d, J = 7.5 Hz, 2H), 7.46 (d, J = 8.5 Hz, 2H), 7.41 (d, J = 7.0 Hz, 3H), 7.31 (t, J = 7.5 Hz, 2H), 7.22 (d, J = 7.5 Hz, 3H), 6.92 (d, J = 8.5 Hz, 2H), 6.72 (d, J = 3.0 Hz, 1H), 3.82 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 159.3, 139.9, 137.9, 132.4, 132.3, 132.1, 130.1, 128.9, 128.7, 128.3, 128.3, 126.0, 124.8, 122.2, 114.9, 109.8, 55.8; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{24}H_{20}NO$ 354.1489; Found 354.1489.

(5-(4-Fluorophenyl)-2-phenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5e***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 85% yield (58 mg); mp 104-106 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.93 (s, 1H), 7.78 (d, J = 7.0 Hz, 2H), 7.50 (dd, J = 9.0, 5.0 Hz, 2H), 7.45-7.41 (m, 3H), 7.31 (t, J = 7.5 Hz, 2H), 7.24-7.22 (m, 3H), 7.08 (t, J = 8.5 Hz, 2H), 6.76 (d, J = 7.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.9, 162.4 (d, $J_{C\text{-}F}$ = 245.0 Hz), 139.7, 138.3, 132.2,

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132.1, 131.5, 130.1, 128.8 (d, J_{C-F} = 6.3 Hz), 128.6, 128.3, 128.2, 126.4, 126.3, 122.4, 116.5 (d, J_{C-F} = 22.5 Hz), 110.7; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{23}H_{17}FNO$ 342.1289; Found 342.1287.

(5-(4-Chlorophenyl)-2-phenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5f***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 84% yield (60 mg); mp 112-114 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.04 (s, 1H), 7.77 (d, *J* = 7.0Hz, 2H), 7.46 – 7.42 (m, 3H), 7.39 (dd, *J* = 6.5, 3.0 Hz, 2H), 7.35-7.30 (m, 4H), 7.23 – 7.19 (m, 3H), 6.79 (d, *J* = 3.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.9, 139.6, 138.6, 133.1, 132.2, 131.9, 131.3, 130.4, 130.1, 129.6, 128.9, 128.8, 128.6, 128.3, 125.8, 122.4, 111.2; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{23}H_{17}ClNO$ 358.0993; Found 358.1002.

(5-(4-Bromophenyl)-2-phenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5g***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 84% yield (67 mg); mp 127-129 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.77 (s, 1H), 7.79 (d, *J* = 8.5 Hz, 2H), 7.52 (d, *J* = 8.5 Hz, 2H), 7.46-7.43 (m, 3H), 7.40 (d, *J* = 8.5 Hz, 2H), 7.33 (t, *J* = 7.5 Hz, 2H), 7.29-7.27 (m, 3H), 6.85 (d, *J* = 7.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.0, 139.6, 138.7, 132.5, 132.3, 131.9, 131.3, 130.8, 130.1, 128.9, 128.7, 128.6, 128.3, 126.1, 122.4, 121.1, 111.3; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{23}H_{17}BrNO$ 402.0488; Found 402.0489.

(5-(4-(tert-Butyl)phenyl)-2-phenyl-1H-pyrrol-3-yl)(phenyl)methanone (***5h***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 93% yield (70 mg); mp 98-100 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.89 (s, 1H), 7.80 (d, *J* = 8.0 Hz, 2H), 7.49 – 7.40 (m, 7H), 7.32 (t, *J* = 8.0 Hz, 2H), 7.28 – 7.23 (m, 3H), 6.82 (s, 1H), 1.34 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.9, 150.7, 139.8, 138.0, 132.4, 132.3, 132.1, 130.1, 129.1, 128.9, 128.8, 128.4, 128.3, 126.4, 124.3, 122.3, 110.5, 35.0, 31.7; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{27}H_{26}NO$ 380.2009; Found 380.2008.

Phenyl(2-phenyl-5-(4'-propyl-[1,1'-biphenyl]-4-yl)-1H-pyrrol-3-yl)methanone (***5i***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 85% yield (72 mg); mp 149-151 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.43 (s, 1H), 7.80 (d, *J* = 7.5 Hz, 2H), 7.59 (s, 4H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.44 (t, *J* = 7.5 Hz, 1H), 7.41-7.36 (m, 2H), 7.32 (t, *J* = 7.5 Hz, 2H), 7.25 (d, *J* = 8.0 Hz, 2H), 7.20 – 7.15 (m, 3H), 6.85 (d, *J* = 3.0 Hz, 1H), 2.64 (d, *J* = 8.0 Hz, 2H), 1.69 (m, 2H), 0.99 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.3, 142.5, 140.0, 139.8, 138.7, 138.2, 132.3, 132.2, 132.1, 130.5, 130.2, 129.4, 129.0, 128.7, 128.4, 128.3, 127.8, 127.1, 125.0, 122.3, 110.9, 38.1, 25.0, 14.3; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{32}H_{28}NO$ 442.2165; Found 442.2170.

Phenyl(2-phenyl-5-(m-tolyl)-1H-pyrrol-3-yl)methanone (***5j***). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 86% yield (58 mg); mp 118-120 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.08 (s, 1H), 7.80 (d, *J* = 7.5 Hz, 2H), 7.43 (d, *J* = 6.0 Hz, 3H), 7.37-7.31 (m, 4H), 7.27 (d, *J* = 7.5 Hz, 1H), 7.22 (t, *J* = 6.0 Hz, 3H), 7.08 (d, *J* = 7.5 Hz, 1H), 6.82 (d, *J* = 2.5 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 139.8, 139.0, 138.4, 132.5, 132.2, 132.1, 131.8, 130.1, 129.3, 128.9, 128.7, 128.4, 128.3, 125.4, 122.2, 121.7, 110.8, 21.9; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{24}H_{20}NO$ 338.1539; Found 338.1531.

(5-(3-Chlorophenyl)-2-phenyl-1*H*-pyrrol-3-yl)(phenyl)methanone (**5k**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 85% yield (60 mg); mp 83-85 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.19 (s, 1H), 7.78 (d, *J* = 7.5 Hz, 2H), 7.51 (s, 1H), 7.44 (t, *J* = 7.5 Hz, 1H), 7.41-7.38 (m, 3H), 7.34-7.27 (m, 3H), 7.23 – 7.18 (m, 4H), 6.80 (d, *J* = 2.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.0, 139.6, 138.9, 135.4, 133.6, 132.3, 131.8, 130.9, 130.6, 130.1, 128.9, 128.7, 128.6, 128.4, 127.3, 124.6, 122.6, 122.3, 111.7; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{23}H_{17}ClNO$ 358.0993; Found 358.0992.

(5-(3-Bromophenyl)-2-phenyl-1*H*-pyrrol-3-yl)(phenyl)methanone (**5l**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 87% yield (69 mg); mp 99-101 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.10 (s, 1H), 7.78 (d, *J* = 7.0 Hz, 2H), 7.67 (s, 1H), 7.46 – 7.40 (m, 4H), 7.37 (d, *J* = 8.0 Hz, 1H), 7.33 (t, *J* = 8.0 Hz, 2H), 7.25 – 7.21 (m, 4H), 6.81 (d, *J* = 2.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.9, 139.6, 138.9, 133.9, 132.3, 131.8, 130.9, 130.7, 130.2, 130.1, 128.9, 128.8, 128.7, 128.4, 127.4, 123.6, 123.1, 122.4, 111.7; HRMS (ESI-TOF) *m/z*: [M + H]$^+$ Calcd for $C_{23}H_{17}BrNO$ 402.0488; Found 402.0489.

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(5-(2-Fluorophenyl)-2-phenyl-1*H*-pyrrol-3-yl)(phenyl)methanone (**5m**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 79% yield (54 mg); mp 77-79 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.39 (s, 1H), 7.81 (d, J = 7.0 Hz, 2H), 7.64 (t, J = 8.0 Hz, 1H), 7.48 - 7.44 (m, 3H), 7.34 (t, J = 8.0 Hz, 2H), 7.29 - 7.26 (m, 3H), 7.23 – 7.13 (m, 3H), 6.98 (d, J = 3.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ192.8, 159.2 (d, J_{C-F} = 124.1 Hz), 139.7, 138.3, 132.2, 132.0, 130.1, 128.8, 128.6, 128.57 (d, J_{C-F} = 8.5 Hz), 128.4, 127.3 (d, J_{C-F} = 4.0 Hz), 127.1, 125.3 (d, J_{C-F} = 3.0 Hz), 121.7, 119.4, 119.3, 116.8 (d, J_{C-F} = 23.8 Hz), 112.7; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{23}H_{17}FNO$ 342.1289; Found 342.1281.

(4-Chlorophenyl)(2-(4-chlorophenyl)-5-phenyl-1*H*-pyrrol-3-yl) methanone (**5n**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 75% yield (58 mg); mp 94-96 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.75 (s, 1H), 7.77 (d, J = 9.0 Hz, 2H), 7.53 (d, J = 7.0 Hz, 2H), 7.46 (d, J = 8.5 Hz, 2H), 7.42 (t, J = 8.0 Hz, 2H), 7.34 (m, 4H), 6.80 (d, J = 2.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 191.2, 138.7, 138.0, 136.8, 134.8, 132.7, 131.4, 130.5, 130.0, 129.6, 129.2, 128.8, 127.9, 124.6, 122.3, 110.8; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{23}H_{16}Cl_2NO$ 392.0603; Found 392.0612.

(2,5-Diphenyl-1*H*-pyrrol-3-yl) (naphthalen-2-yl) methanone (**5o**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 92% yield (68 mg); mp 107-109 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.81 (s, 1H), 8.34 (s, 1H), 7.94 (d, J = 8.5 Hz, 1H), 7.83 (t, J = 8.0 Hz, 3H), 7.60 – 7.52 (m, 5H), 7.49 (d, J = 7.0 Hz, 1H), 7.42 (t, J = 8.0 Hz, 2H), 7.31 – 7.26 (m, 3H), 7.22 (d, J = 7.5 Hz, 1H), 6.92 (d, J = 2.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.7, 138.1, 137.0, 135.4, 132.7, 132.3, 132.2, 131.8, 131.7, 129.7, 129.5, 128.9, 128.8, 128.6, 128.2, 128.2, 128.1, 127.6, 126.8, 126.1, 124.5, 122.6, 111.0; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{27}H_{20}NO$ 374.1539; Found 374.1537.

(2,5-Diphenyl-1*H*-pyrrol-3-yl) (thiophen-2-yl) methanone (**5p**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 90% yield (59 mg); mp 86-88 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.77 (s, 1H), 7.66 (d, J = 3.5 Hz, 1H), 7.60 – 7.54 (m, 5H), 7.42 (t, J = 8.0 Hz, 2H), 7.36 (t, J = 7.0 Hz, 2H), 7.33 – 7.28 (m, 2H), 7.04 (dd, J = 5.0, 4.0 Hz, 1H), 6.99 (d, J = 3.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 184.1, 145.9, 137.4, 134.1, 133.2, 132.4, 132.1, 131.8, 129.5, 129.0, 128.7, 128.6, 128.0, 127.6, 124.6, 122.3, 110.2; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{21}H_{16}NOS$ 330.0947; Found 330.0955.

(2-Isopropyl-5-phenyl-1*H*-pyrrol-3-yl) (phenyl)methanone (**5q**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 86% yield (50 mg); mp 85-87 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.79 (s, 1H), 7.84 (d, J = 7.0 Hz, 2H), 7.53 (t, J = 7.0 Hz, 1H), 7.48 – 7.44 (m, 4H), 7.36 (t, J = 7.5 Hz, 2H), 7.23 (t, J = 7.5 Hz, 1H), 6.64 (d, J = 3.0 Hz, 1H), 3.87 (m, 1H), 1.37 (d, J = 7.0 Hz, 6H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.8, 147.8, 141.1, 132.2, 131.6, 129.8, 129.5, 129.4, 128.5, 127.2, 124.3, 120.2, 110.1, 26.8, 22.4; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{20}H_{20}NO$ 290.1539; Found 290.1530.

Phenyl(5-phenyl-2-propyl-1*H*-pyrrol-3-yl) methanone (**5r**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 42% yield (24 mg); mp 74-76 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.68 (s, 1H), 7.87 – 7.82 (m, 2H), 7.53 (t, J = 7.5 Hz, 1H), 7.49 – 7.44 (m, 4H), 7.39 – 7.34 (m, 2H), 7.23 (t, J = 7.5 Hz, 1H), 6.66 (d, J = 3.0 Hz, 1H), 3.02 (t, J = 7.5 Hz, 2H), 1.76 (m, 2H), 1.60 (s, 3H), 1.01 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.7, 142.4, 141.0, 132.1, 131.6, 130.0, 129.5, 129.4, 128.5, 127.1, 124.2, 121.2, 109.8, 30.1, 23.1, 14.4; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{20}H_{20}NO$ 290.1539; Found 290.1542.

(2-Butyl-5-phenyl-1*H*-pyrrol-3-yl) (phenyl)methanone (**5s**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 49% yield (30 mg); mp 74-76 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.24 (s, 1H), 7.85 (d, J = 8.0 Hz, 2H), 7.55 - 7.45 (m, 5H), 7.34 (t, J = 7.0 Hz, 2H), 7.21 (t, J = 7.5 Hz, 1H), 6.67 (s, 1H), 3.01 (t, J = 7.5 Hz, 2H), 1.7.-1.64 (m, 2H), 1.39 - 1.32 (m, 2H), 0.89 (t, J = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 192.7, 142.7, 140.7, 131.8, 131.3, 129.8, 129.1, 129.0, 128.1, 126.6, 123.9, 120.6, 109.4, 31.7, 27.5, 22.6, 14.0, 13.9; HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd for $C_{21}H_{22}NO$ 304.1696; Found 304.1702.

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(1,2-Dimethyl-5-phenyl-1*H*-pyrrol-3-yl) (phenyl)methanone (**7a**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 72% yield (40 mg); mp 96-98 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, J = 7.0 Hz, 2H), 7.26 (t, J = 7.5Hz, 1H), 7.14 (t, J = 7.5 Hz, 2H), 7.04 (q, J = 8.0 Hz, 4H), 6.98 (d, J = 6.5 Hz, 1H), 6.64 (s, 1H), 3.62 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 194.4, 140.0, 135.8, 135.6, 131.9, 130.2, 128.8, 128.2, 128.0, 126.2, 125.9, 120.2, 120.1, 34.2, 11.7; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{19}H_{18}NO$ 276.1383; Found 276.1388.

1-(2-Methyl-5-phenyl-1-(*p*-tolyl)-1*H*-pyrrol-3-yl) ethan-1-one (**7b**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a yellow solid in 85% yield (49 mg); mp 66-68 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 (d, J = 4.0 Hz, 4H), 7.32 – 7.27 (m, 3H), 7.21 (d, J = 8.5 Hz, 2H), 6.64 (s, 1H), 2.42 (s, 3H), 2.39 (s, 3H), 2.07 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 198.1, 138.5, 136.6, 136.5, 135.8, 130.3, 129.7, 128.7, 127.2, 126.6, 126.4, 122.8, 121.1, 31.5, 21.5, 13.3; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}NO$ 290.1539; Found 290.1538.

2-Methyl-4-phenyl-1*H*-imidazole (**8**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 3) to afford a white solid in 96% yield (30 mg); mp 57-59 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.67 (d, J = 7.0 Hz, 2H), 7.37 (s, 1H), 7.30 (t, J = 7.5Hz, 2H), 7.13 (t, J = 7.5 Hz, 1H), 2.29 (s, 3H); ^{13}C NMR (125 MHz, DMSO-d_6) δ 145.7, 138.2, 133.2, 129.1, 127.2, 125.1, 115.6, 14.2; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{10}H_{11}N_2$ 159.0917; Found 159.091.

(*E*)-(2,5-Diphenyl-1*H*-pyrrol-3-yl) (phenyl)methanone oxime (**9**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a white solid in 94% yield (63 mg); mp 95-97 °C; ^{1}H NMR (500 MHz, DMSO-d_6) δ 11.44 (s, 1H), 11.19 (s, 1H), 7.79 (d, J = 7.5 Hz, 2H), 7.49 (d, J = 7.5 Hz, 4H), 7.37 (t, J = 8.0 Hz, 2H), 7.26-7.23 (m, 5H), 7.19 (t, J = 7.5 Hz, 1H), 7.12 (t, J = 7.5 Hz, 1H), 6.52 (d, J = 3.0 Hz, 1H); ^{13}C NMR (125 MHz, DMSO-d_6) δ 153.7, 137.7, 133.2, 132.8, 131.6, 129.6, 129.5, 129.1, 129.0, 128.8, 127.4, 127.2, 127.0, 126.5, 124.8, 115.1, 109.2; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{19}N_2O$ 339.1492; Found 339.1496.

(1-Methyl-2,5-diphenyl-1*H*-pyrrol-3-yl) (phenyl)methanone (**10**). This compound was purified by column chromatography (ethyl acetate/petroleum ether = 1: 8) to afford a colorless oil in 98% yield (66 mg); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.76 (d, J = 7.0 Hz, 2H), 7.50 (d, J = 8.0 Hz, 2H), 7.45 (t, J = 8.0 Hz, 2H), 7.40 – 7.35 (m, 5H), 7.34 (s, 1H), 7.32 – 7.27 (m, 3H), 6.67 (s, 1H), 3.49 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.3, 140.8, 140.1, 135.7, 132.9, 132.3, 131.6, 131.2, 129.8, 129.4, 129.0, 128.6, 128.5, 128.1, 128.1, 122.3, 112.3, 34.3; HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{20}NO$ 338.1539; Found 338.1544.

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