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Direct C2-arylation of *N*-acyl pyrroles with aryl halides under palladium catalysis†

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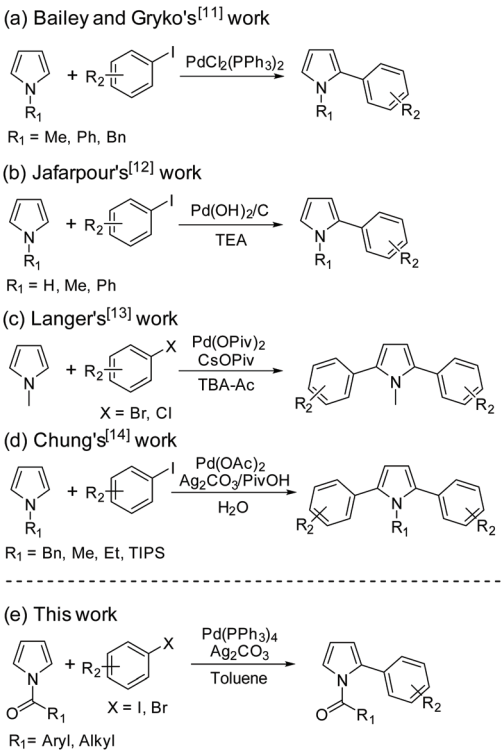
C2-arylation of *N*-acyl pyrroles with aryl halides is developed for the first time using $Pd(PPh_3)_4$ as a catalyst in combination with Ag_2CO_3 under air, which allowed the application of a good compatibility catalytic system. This protocol provides a straightforward method for the preparation of valuable arylated pyrroles in moderate to good yields under the standard conditions with good substrate tolerance. Interestingly, while *N*-benzoyl pyrroles reacted well, the use of substrates with a thiophene or furan ring indicated that the thiophene and furan rings are more reactive than pyrrole for the present catalytic system.

Introduction

Arylated pyrroles are important compounds in chemical research with applications ranging from materials science to pharmaceutical chemistry.[1–3] Owing to the widespread applications of arylated pyrroles, it is desirable to develop new and more convenient synthesis methods.[4] On the other hand, over the past few decades, the area of transition-metal-catalyzed direct arylation through cleavage of C–H bonds, followed by its functionalization into a C–X bond, has received considerable attention as a potentially applicable and powerful approach for its synthetic applications in organic synthesis.[5] Among the transition metals catalyzing C–H arylation, palladium catalysts have significantly contributed to direct C–H arylation reactions due to their high activities and excellent tolerance of substrates.[6] Ohta reported the Pd-catalyzed arylation of heteroarenes through C–H bond activation,[7] which was subsequently used for the synthesis of arylated heteroarenes by other groups.[8–10] In particular, the Pd-catalyzed direct C2-arylation of pyrroles by C–H bond activation using aryl halides is a topic of ongoing interest in recent years. Bailey, Gryko, and Jafarpour developed a Pd-catalyzed C2-arylation of *N*–H, *N*–Me, *N*–Ph, and *N*–Bn pyrroles with aryl iodides (Scheme 1a and b).[11,12] Shortly afterwards, Langer reported a Pd-catalyzed bis-arylation of *N*–Me pyrroles by using tetrabutyl-ammonium acetate as an ionic solvent (Scheme 1c).[13] Recently, Chung developed an aqueous Pd-catalyzed bis-arylation of electron-rich pyrroles with aryl iodides (Scheme 1d).[14] These Pd-catalyzed pyrrole arylation methods usually focused on electron-rich pyrroles, without touching relatively electron-deficient *N*-acyl pyrroles. However, *N*-acyl pyrroles are versatile motifs in natural products[15] and biomolecules (Fig. 1).[16] Various *N*-acyl pyrroles are required because their applications are increasing, which makes the development of synthesis methods for their derivation a high priority. In contrast to electron-rich pyrroles,



Scheme 1 Pd-Catalyzed direct C2-arylation of pyrroles with aryl halides.

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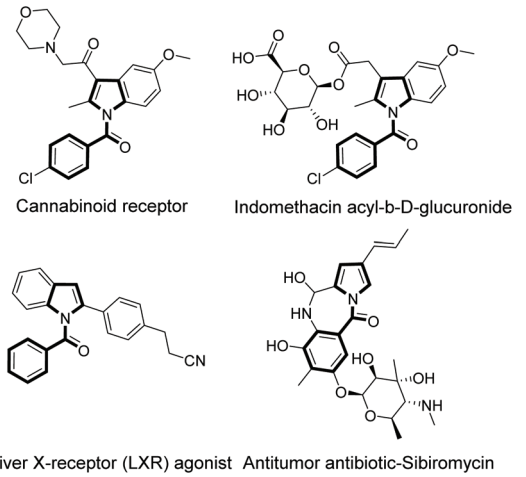


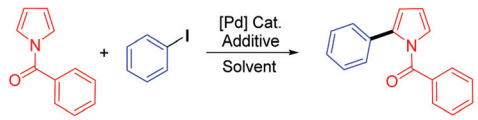
Fig. 1 *N*-Acyl pyrrole moieties in bioactive molecules.

N-acyl pyrroles are relatively inert substrates due to their electron-withdrawing *N*-acyl group. Thus, alternative strategies should be considered, such as the use of the *N*-acyl moiety for Pd-catalyzed arylation of *N*-acyl pyrroles. It is worth noting that the coordination of an effective chelating group to the Pd catalyst could enhance reactivity and induce regioselectivity.[9a,17] In this context, we report here our research on Pd-catalyzed direct C2-arylation of *N*-acyl pyrroles with aryl halides (Scheme 1e).

Results and discussion

We commenced our investigation by examining a reaction of phenyl(1*H*-pyrrol-1-yl)methanone (**1a**) with iodobenzene (**2a**) in the presence of a palladium catalyst, and the reaction was performed under an atmosphere of air, with no special precautions taken to exclude moisture. The representative results are summarized in Table 1. Based on the study of the Pd/Ag system in a previous report,[18] substrates **1a** and **2a** were firstly reacted in the presence of $Pd(OAc)_2$ (0.05 equiv.) and different silver salts (1.00 equiv.) in toluene at 120 °C for 24 h under air (entries 1–8). When Ag_2CO_3 was used as the additive (entry 3), C2-arylation product **3aa** was obtained in a higher yield than those using other silver salts. Subsequently, various palladium catalysts were tested in combination with Ag_2CO_3 under otherwise identical conditions. The C2-arylation product **3aa** was obtained in low yield with the use of $PdCl_2(CH_3CN)_2$, $Pd(TFA)_2$, $Pd_2(dba)_3$, and $Pd(dba)_2$ as the catalysts (entries 10, 11, 14, and 15). Palladium catalysts $PdCl_2(PPh_3)_2$, $PdCl_2$(dppp) and $Pd(PPh_3)_4$ improved the yields of **3aa** to 62%, 54% and 82%, respectively, under otherwise similar reaction conditions (entries 9, 12, and 13). Furthermore, other additives such as K_2CO_3, $Cu(OAc)_2$ and $PhI(OAc)_2$ inhibited the reaction (entries 16–18). When the reaction was carried out at 110 °C and 90 °C, the yields of **3aa** were decreased to 66% and 39%, respectively (entries 19 and 20). Later, the dosage of Ag_2CO_3 was changed to 0.10 equiv., 0.25 equiv., 0.50 equiv., 0.75 equiv., 1.00 equiv., and 1.25 equiv. and the reaction was carried out according to the general conditions. The relationship between the dosages of Ag_2CO_3 and the yields of **3aa** is presented in Fig. 2. No further increase in the yield of **3aa** was observed when the loading of Ag_2CO_3 exceeded 1.0 equiv. Furthermore, photographs of each equivalent reaction solution are also presented in Fig. 2; the formation of a silver mirror indicated that oxidation was involved. Other solvents such as dichloroethane, dioxane, CH_3CN, and DMF were also investigated but none gave better yields than toluene (entries 21–24), indicating that toluene likely stabilizes palladium-ligated phosphine species within the catalytic cycle. To sum up, the optimal reaction conditions [$Pd(PPh_3)_4$ (0.05 equiv.), Ag_2CO_3 (1.00 equiv.), toluene, air, 120 °C, 24 h] were chosen in our investigations because they led to the best yield (entries 1–24). We attempted to extend our arylation protocol to aryl bromides and chlorides. The C2-arylation product **3aa** was obtained in 79% yield when bromobenzene was used instead of iodobenzene (**2a**) (entry 25). However, when chlorobenzene was reacted

Table 1 Optimization of reaction conditions for **3aa** [a]



1a **2a** **3aa**

Entry	[Pd] Cat.	Additive	Solvent	Yield[b] (%)
1	$Pd(OAc)_2$	AgOAc	Toluene	29
2	$Pd(OAc)_2$	AgOTf	Toluene	12
3	$Pd(OAc)_2$	Ag_2CO_3	Toluene	41
4	$Pd(OAc)_2$	$AgNO_3$	Toluene	33
5	$Pd(OAc)_2$	Ag_3PO_4	Toluene	23
6	$Pd(OAc)_2$	AgF	Toluene	17
7	$Pd(OAc)_2$	$AgBF_4$	Toluene	31
8	$Pd(OAc)_2$	$AgNTf_2$	Toluene	<5
9	$PdCl_2(PPh_3)_2$	Ag_2CO_3	Toluene	62
10	$PdCl_2(CH_3CN)_2$	Ag_2CO_3	Toluene	29
11	$Pd(TFA)_2$	Ag_2CO_3	Toluene	26
12	$PdCl_2$(dppp)	Ag_2CO_3	Toluene	54
13	$Pd(PPh_3)_4$	Ag_2CO_3	Toluene	82
14	Pd_2 (dba)$_3$	Ag_2CO_3	Toluene	18
15	Pd (dba)$_2$	Ag_2CO_3	Toluene	20
16	$Pd(PPh_3)_4$	K_2CO_3	Toluene	0
17	$Pd(PPh_3)_4$	$Cu(OAc)_2$	Toluene	<5
18	$Pd(PPh_3)_4$	$PhI(OAc)_2$	Toluene	<5
19[c]	$Pd(PPh_3)_4$	Ag_2CO_3	Toluene	66
20[d]	$Pd(PPh_3)_4$	Ag_2CO_3	Toluene	39
21	$Pd(PPh_3)_4$	Ag_2CO_3	DCE	21
22	$Pd(PPh_3)_4$	Ag_2CO_3	Dioxane	54
23	$Pd(PPh_3)_4$	Ag_2CO_3	CH_3CN	39
24	$Pd(PPh_3)_4$	Ag_2CO_3	DMF	23
25[e]	$Pd(PPh_3)_4$	Ag_2CO_3	Toluene	79
26[f]	$Pd(PPh_3)_4$	Ag_2CO_3	Toluene	0

[a] General conditions: [Pd] Cat. (0.01 mmol), additive (0.20 mmol), *N*-acyl pyrrole (**1a**, 0.20 mmol), and iodobenzene (**2a**, 0.30 mmol) in toluene (2.0 mL) at 120 °C for 24 h under air. [b] Isolated yields. [c] Run at 110 °C. [d] Run at 90 °C. [e] [Pd] Cat. (0.01 mmol), additive (0.20 mmol), *N*-acyl pyrrole (**1a**, 0.20 mmol), and bromobenzene (0.30 mmol) in toluene (2.0 mL) at 120 °C for 24 h under air. [f] [Pd] Cat. (0.01 mmol), additive (0.20 mmol), *N*-acyl pyrrole (**1a**, 0.20 mmol), and chlorobenzene (0.30 mmol) in toluene (2.0 mL) at 120 °C for 24 h under air.

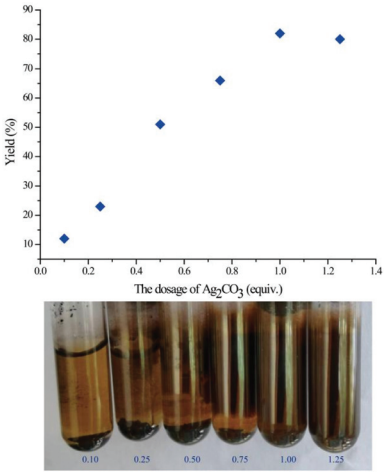


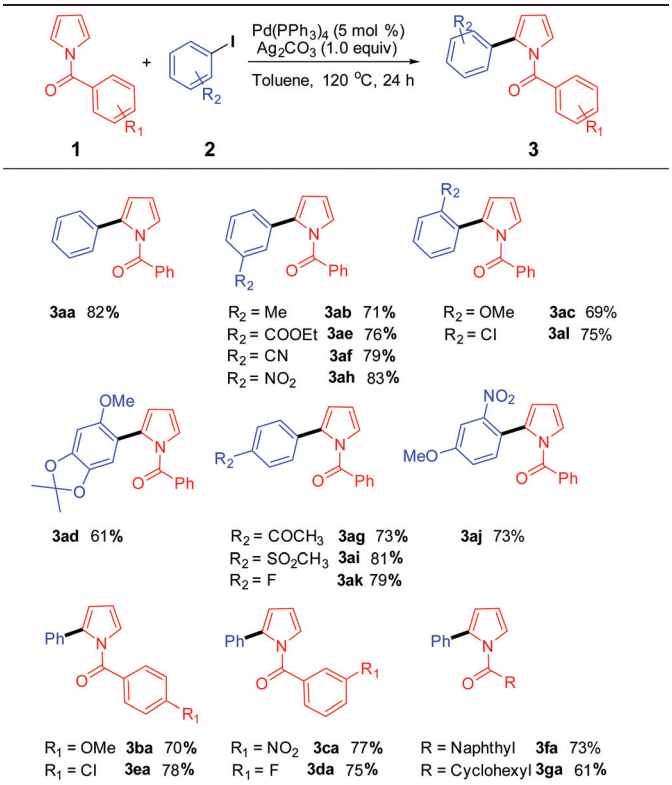
Fig. 2 The relationship between the dosage of Ag_2CO_3 and the yield of **3aa**.

with phenyl(1*H*-pyrrol-1-yl)methanone (**1a**), trace biphenyl was obtained and the starting material (**1a**) was recovered, indicating that the reaction conditions were not suitable for the substrates of aryl chlorides (entry 26). Besides, we used the previous reaction conditions from ref. 11*b* and 14 for *N*-benzoylpyrroles, and no desired product was obtained under these conditions.

After establishing the optimal reaction conditions, we investigated the substrate scope using various *N*-acyl pyrroles **1** and aryl iodides **2**, and the representative results are summarized in Table 2. Firstly, we examined the substituent effect of aryl iodides **2** with **1a** as a partner. The aryl iodides **2b–d** bearing electron-donating groups delivered the desired C2-arylation products **3ab–ad** in moderate to good yields. Similar results could be obtained for aryl iodides **2e–i** with electron-withdrawing groups under the standard conditions. To our delight, when aryl iodide **2j** bearing an electron-donating group and an electron-withdrawing group was used in the reaction, the corresponding product **3aj** was isolated in a yield of 73%. The corresponding products **3ak** and **3al** were obtained in 79% and 75% yields when phenyl(1*H*-pyrrol-1-yl)methanone (**1a**) was reacted with aryl iodides **2k** and **2l** bearing –F or –Cl groups. Next, a variety of *N*-acyl pyrroles **1** were investigated, and the results showed that the *N*-acyl pyrroles bearing both electron-withdrawing and electron-donating substituents at different positions could react smoothly with iodobenzene (**2a**) to afford the corresponding C2-arylation products **3ba–ea** in good yields. *N*-Acyl pyrroles **1f** bearing a naphthyl group proceeded well under the standard conditions to generate the desired product **3fa** in 73% yield. We were pleased that cyclohexyl(1*H*-pyrrol-1-yl)methanone (**1g**) was a well-tolerated substrate to afford the desired C2-arylation product **3ga** in 61% yield, indicating the great synthetic value of our arylation protocol.

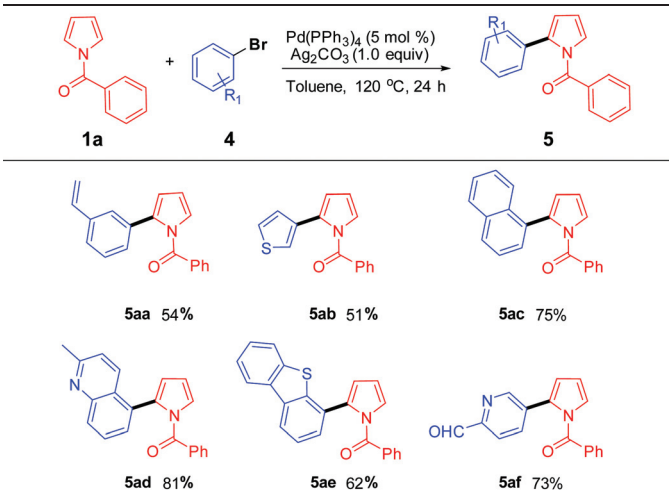
It is known that aryl bromides are often cheaper than aryl iodides because they represent industrial products that are prepared on a large scale. Therefore, we studied the applicability

Table 2 Direct C2-arylation reaction of *N*-acyl pyrroles with aryl iodides[a,b]



[a] General conditions: $Pd(PPh_3)_4$ (0.01 mmol), Ag_2CO_3 (0.20 mmol), *N*-acyl pyrrole (**1**, 0.20 mmol), and aryl iodide (**2**, 0.30 mmol) in toluene (2.0 mL) at 120 °C for 24 h under air. [b] Isolated yields.

Table 3 Direct C2-arylation reaction of *N*-acyl pyrrole **1a** with aryl bromides[a,b]



[a] General conditions: $Pd(PPh_3)_4$ (0.01 mmol), Ag_2CO_3 (0.20 mmol), *N*-acyl pyrrole (**1**, 0.20 mmol), and aryl bromide (**2**, 0.30 mmol) in toluene (2.0 mL) at 120 °C for 24 h under air. [b] Isolated yields.

of our methodology to several aryl bromides and the results are presented in Table 3. When phenyl(1*H*-pyrrol-1-yl)methanone (**1a**) was reacted with aryl bromides **4a** and **4b**, the

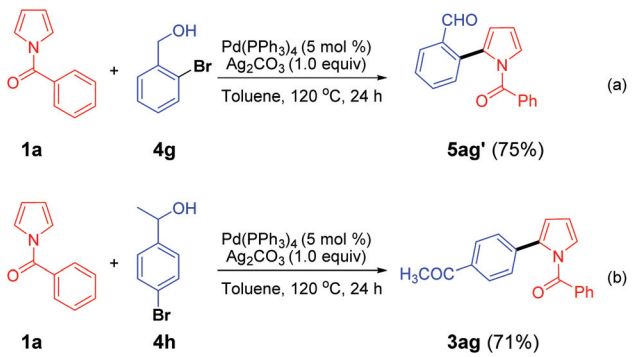
desired C2-arylation products **5aa** and **5ab** were obtained in moderate yields under the optimized reaction conditions. The aryl bromides **4c** and **4d** bearing a naphthyl group or a quinoline group reacted well under the standard conditions to generate the desired products **5ac** and **5ad** in 75% and 81% yields, respectively. The aryl bromides **4e** and **4f** were also well-tolerated and afforded the desired C2-arylation products **5ae** and **5af** in good yields, respectively. However, when aryl bromide **4g** bearing a methylol group was used in the reaction, the desired C2-arylation product was not observed. Instead, the C2-arylation product **5ag′** was obtained in 75% yield (Scheme 2a). A similar result was observed with the use of aryl bromide **4h** instead of aryl bromide **4g** (Schemes 2b and 2a).[19]

Phenylboronic acid (**6**), sodium benzenesulfinate (**7**), diphenyliodonium triflate (**8**) and benzenesulfonyl chloride (**9**) were also investigated instead of aryl halides, and the results are shown in Scheme 3. The treatment of phenyl(1*H*-pyrrol-1-yl) methanone (**1a**) with **6** and **9** under the standard conditions did not give the C2-arylation product **3aa**. Instead, **7** and **8** could react with **1a** to afford the corresponding C2-arylation product **3aa** in 29% and 54% yields, respectively.

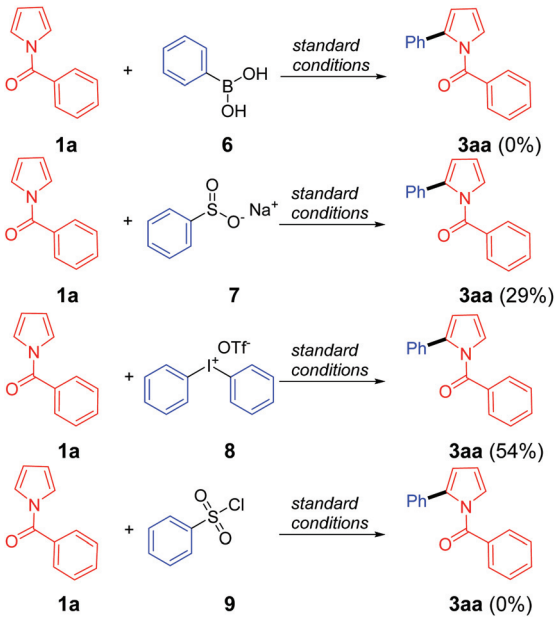
To demonstrate the utility of our direct C2-arylation, (1*H*-indol-1-yl)(phenyl)methanone (**10**) was used in the reaction with aryl bromide **4h** under the standard conditions to afford the desired C2-arylation product **11** in 73% yield (Scheme 4). Compound **11** is a very important bioactive molecule, which could be used as a liver X-receptor (LXR) agonist.[20]

Thiophene- and furan-containing *N*-acyl pyrroles **1h** and **1i** have also been investigated, and they were converted into the C2-arylation products **12** and **13** at the C2-position of the thiofuran and furan rings in moderate yields under the standard reaction conditions, respectively (Scheme 5a and b), indicating that thiophene and furan rings are more reactive than pyrrole for the present catalytic system.

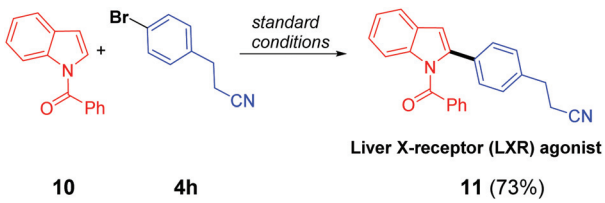
To obtain a better understanding of this reaction, several verification experiments were carried out and are illustrated in Scheme 6. The control experiment demonstrated that both a Pd catalyst and an Ag additive were essential to this system, and no product was observed in the absence of $Pd(PPh_3)_4$ or



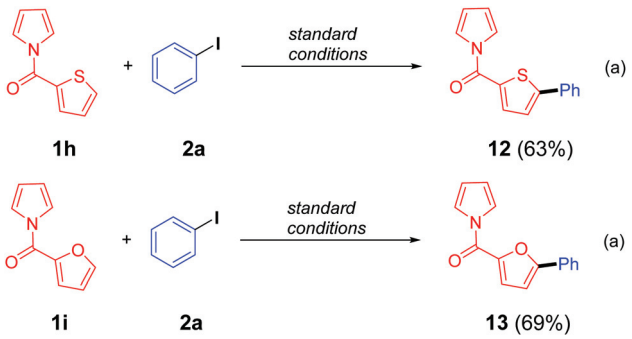
Scheme 2 Direct C2-arylation reaction of *N*-acyl pyrrole **1a** with aryl bromides **4g** and **4h**.



Scheme 3 Direct *N*-acyl pyrrole C2-arylation reactions using phenylboronic acid (**6**), sodium benzenesulfinate (**7**), diphenyliodonium triflate (**8**) and benzenesulfonyl chloride (**9**) as the substrates.

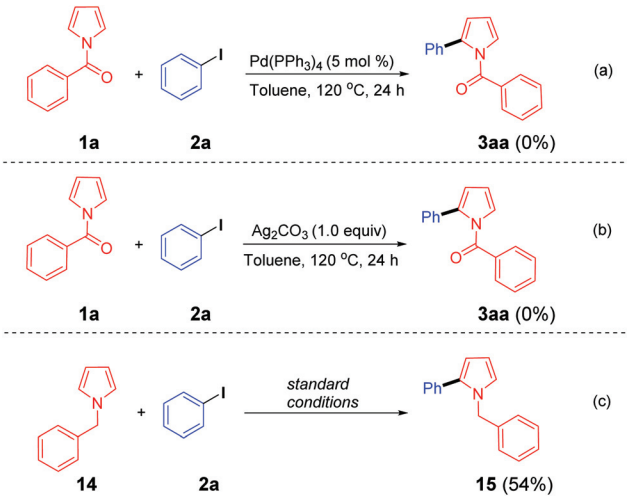


Scheme 4 Synthetic application to a bioactive molecule.



Scheme 5 Direct C2-arylation reaction of substrates with a thiophene or furan ring.

Ag_2CO_3 (Scheme 6a and b). When 1-benzyl-1*H*-pyrrole (**14**) was reacted with iodobenzene (**2a**) under the standard conditions, the C2-arylation product was obtained in 54% yield (Scheme 6c). At this stage, the palladium-directing ability of the acyl group on the pyrrole C–H bond activation/functionalization remains to be addressed.



Scheme 6 Verification experiments.

Conclusions

In summary, we have developed an efficient strategy for the direct C2-arylation reaction of *N*-acyl pyrroles with aryl halides under palladium catalysis. The use of an air-stable silver salt along with a common palladium catalyst as a high-efficiency catalytic system allowed the arylation of substrates with widely available aryl halides. This protocol provides a straightforward method for the preparation of valuable arylated pyrroles, a structural motif for applications ranging from materials science to pharmaceutical chemistry. *N*-Benzoyl pyrroles reacted well under the standard conditions, while substrates with a thiophene or furan ring showed that thiophene and furan are more reactive than pyrrole for the present catalytic system. Expansion of the derived methodology for direct arylation of other cross-coupling partners is under investigation.

Experimental

General information

All reactions were carried out under an atmosphere of air except noted. Dichloromethane and toluene were distilled prior to use under a nitrogen atmosphere. Silica gel (200–300 mesh) was used for flash chromatography. The *N*-acyl pyrroles were prepared according to the literature procedures.[1] Formyl chloride, aryl iodides, aryl bromides, and other reagents were purchased from commercial sources and used directly. High-resolution mass spectra (HRMS) were recorded by using an Electrothermal LTQ-Orbitrap mass spectrometer. Melting points were measured by using a Gongyi X-5 microscopy digital melting point apparatus and are uncorrected. ^{1}H and ^{13}C-NMR spectra were recorded with a Bruker Avance III 400 MHz NMR spectrometer with $CDCl_3$ as solvent. The chemical shifts are reported in ppm relative to $CDCl_3$ (δ = 7.26) for ^{1}H NMR and relative to the central $CDCl_3$ resonance (δ = 77.0) for ^{13}C NMR. Coupling constants (J) are quoted in Hz. NMR data of known compounds is in agreement with literature values. Multiplicities are reported as follows: singlet (s), doublet (d), doublet of doublets (dd), triplet (t), quartet (q), and multiplet (m).

General procedure for the synthesis of *N*-acyl pyrroles[21]

Acyl chloride (10.0 mmol) was added dropwise to a stirred solution of pyrrole (0.85 g, 12.7 mmol), triethylamine (1.30 g, 12.8 mmol) and DMAP (122 mg, 1.0 mmol) in dry dichloromethane (15 mL) at 0 °C. The solution was allowed to warm to room temperature and stirred till the end of the reaction. The reaction mixture was then diluted with Et_2O, washed with 1 M HCl (15 mL), saturated aqueous $NaHCO_3$ (15 mL) and brine (15 mL), dried over Na_2SO_4 and filtered. The volatiles were removed *in vacuo*, and the residue was subjected to flash column chromatography to give the *N*-acyl pyrroles.

Procedure for the synthesis of aryl iodide 2d [22]

Into a two-necked flask, equipped with a distillation apparatus in one neck and a stopcock in the other, were added benzene-1,2,4-triol (315 mg, 2.50 mmol), pyridinium *p*-toluenesulfonate (0.05 mg, 0.002 mmol), and anhydrous toluene (25 mL), and the mixture was stirred and heated to 110 °C. To the mixture was added 2,2-dimethoxypropane (0.45 mL, 3.65 mmol) portionwise. Then, the mixture was continued to stir for 2 h. After cooling down, the solvent was removed under reduced pressure, and the residue was purified by flash chromatography to give 2,2-dimethylbenzo[*d*][1,3]dioxol-5-ol as a colorless oil.

2,2-Dimethylbenzo[*d*][1,3]dioxol-5-ol (500 mg, 3.00 mmol), potassium carbonate (621 mg, 4.50 mmol), and *N*,*N*-dimethylformamide (5 mL) were added to a round-bottom flask, and the mixture was stirred at room temperature. To the mixture was added iodomethane (511 mg, 3.54 mmol) portionwise. Then, the mixture was stirred till the end of the reaction. The reaction mixture was then diluted with H_2O (20 mL), extracted with EtOAc (3 × 20 mL), washed with brine (20 mL), dried over Na_2SO_4 and filtered. The volatiles were removed *in vacuo*, and the residue was subjected to flash column chromatography to give 5-methoxy-2,2-dimethylbenzo[*d*][1,3]dioxole as a yellowish oil.

5-Methoxy-2,2-dimethylbenzo[*d*][1,3]dioxole (350 mg, 1.54 mmol), *N*-iodosuccinimide (480 mg, 2.13 mmol), bismuth trifluoromethylsulfonate (125 mg, 0.19 mmol), and acetonitrile (5 mL) were added into a round-bottom flask, and the mixture was stirred at room temperature for 30 min. The reaction mixture was then diluted with saturated NaS_2O_4 (20 mL), extracted with EtOAc (3 × 10 mL), washed with brine (20 mL), dried over Na_2SO_4 and filtered. The volatiles were removed *in vacuo*, and the residue was subjected to flash column chromatography to give aryl iodide **2d** as a yellowish solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.07 (s, 1H), 6.44 (s, 1H), 3.79 (s, 3H), 1.65 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 153.2, 148.7, 142.4, 119.1, 117.5, 95.1, 72.0, 57.3, 25.7. HRMS (ESI) *m*/*z*: calcd for $C_{10}H_{11}INaO_3$ $[M + Na]^+$: 328.9645, found 328.9650.

General procedure for the direct oxidative coupling between *N*-acyl pyrroles and aryl halides

A Schlenk reaction tube equipped with a magnetic stir bar was charged with $Pd(PPh_3)_4$ (0.05 equiv., 0.01 mmol), Ag_2CO_3 (1.0 equiv., 0.20 mmol), *N*-acyl pyrroles 1 (1.0 equiv., 0.20 mmol) and aryl halides 2 (1.5 equiv., 0.30 mmol) in toluene (2.0 mL). The tube was sealed under air and heated to 120 °C with stirring for 24 h. After cooling down, the solvent was removed under reduced pressure, and the residue was purified by flash chromatography (ethyl acetate/petroleum ether mixtures).

Phenyl(2-phenyl-1*H*-pyrrol-1-yl)methanone (3aa). The synthesis was carried out according to the general procedure, and compound **3aa** was obtained in 82% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.80 (d, ^3J = 7.2 Hz, 2H), 7.55 (t, ^3J = 7.6 Hz, 1H), 7.43 (t, ^3J = 7.8 Hz, 2H), 7.31 (t, ^3J = 6.2 Hz, 3H), 7.24–7.20 (m, 2H), 7.10 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.47 (t, ^3J = 4.8 Hz, 1H), 6.33 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.7, 136.4, 133.3, 133.1, 132.9, 130.4, 128.3, 128.0, 126.9, 124.7, 114.9, 111.1. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{13}NNaO$ $[M + Na]^+$: 270.0889, found 270.0887. These spectral data correspond to previously reported data.[23]

Phenyl(2-(*m*-tolyl)-1*H*-pyrrol-1-yl)methanone (3ab). The synthesis was carried out according to the general procedure, and compound **3ab** was obtained in 71% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.79 (d, ^3J = 6.8 Hz, 2H), 7.55 (t, ^3J = 7.4 Hz, 1H), 7.42 (t, ^3J = 7.6 Hz, 2H), 7.17–7.09 (m, 4H), 7.03 (d, ^3J = 7.2 Hz, 1H), 6.45 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.32 (t, ^3J = 3.2 Hz, 1H), 2.31 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.7, 137.5, 136.5, 133.4, 132.9, 132.8, 130.3, 128.7, 128.3, 127.9, 127.7, 125.1, 124.5, 114.7, 111.0, 21.3. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{15}NNaO$ $[M + Na]^+$: 284.1046, found 284.1050.

(2-(2-Methoxyphenyl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3ac). The synthesis was carried out according to the general procedure, and compound **3ac** was obtained in 69% yield as a yellowish solid after purification by silica gel column chromatography. Mp 104–105 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.76 (d, ^3J = 7.2 Hz, 2H), 7.51 (t, ^3J = 7.4 Hz, 1H), 7.42–7.36 (m, 3H), 7.23–7.19 (m, 1H), 7.08 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.97 (t, ^3J = 7.4 Hz, 1H), 6.67 (d, ^3J = 8.0 Hz, 1H), 6.38 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.32 (t, ^3J = 3.2 Hz, 1H), 3.53 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.8, 155.3, 133.2, 132.5, 132.3, 130.4, 129.6, 128.8, 127.9, 123.3, 122.8, 120.7, 114.3, 110.5, 110.2, 54.8. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{15}NNaO_2$ $[M + Na]^+$: 300.0995, found 300.0991. These spectral data correspond to previously reported data.[23]

(2-(6-Methoxy-2,2-dimethylbenzo[*d*][1,3]dioxol-5-yl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3ad). The synthesis was carried out according to the general procedure, and compound **3ad** was obtained in 61% yield as a white solid after purification by silica gel column chromatography. Mp 128–130 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.75 (d, ^3J = 7.2 Hz, 2H), 7.53 (t, ^3J = 7.4 Hz, 1H), 7.41 (t, ^3J = 7.6 Hz, 2H), 7.06 (t, ^3J = 2.6 Hz, 1H), 6.79 (s, 1H), 6.31 (d, ^3J = 2.4 Hz, 2H), 6.23 (s, 1H), 3.46 (s, 3H), 1.68 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.9, 150.4, 147.6, 141.0, 133.4, 132.4, 132.3, 130.4, 127.9, 122.9, 118.2, 114.1, 113.7, 110.4, 109.3, 94.1, 55.6, 25.7. HRMS (ESI) *m*/*z*: calcd for $C_{21}H_{19}NNaO_4$ $[M + Na]^+$: 372.1206, found 372.1209.

Ethyl 3-(1-benzoyl-1*H*-pyrrol-2-yl)benzoate (3ae). The synthesis was carried out according to the general procedure, and compound **3ae** was obtained in 76% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.01 (t, ^4J = 1.6 Hz, 1H), 7.89 (d, $_3J$ = 8.0 Hz, 1H), 7.78 (d, ^3J = 7.2 Hz, 2H), 7.54 (t, ^3J = 7.4 Hz, 1H), 7.47–7.39 (m, 3H), 7.33 (t, ^3J = 7.8 Hz, 1H), 7.11 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.51 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.33 (t, ^3J = 3.2 Hz, 1H), 4.36 (q, ^3J = 7.2 Hz, 2H), 1.37 (t, ^3J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.5, 166.2, 135.2, 133.4, 133.1, 133.0, 132.3, 130.3, 129.0, 128.3, 127.9, 125.0, 115.5, 111.2, 60.9, 14.2. HRMS (ESI) *m*/*z*: calcd for $C_{20}H_{17}NNaO_3$ $[M + Na]^+$: 342.1101, found 342.1105.

3-(1-Benzoyl-1*H*-pyrrol-2-yl)benzonitrile (3af). The synthesis was carried out according to the general procedure, and compound **3af** was obtained in 79% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.80 (d, ^3J = 7.2 Hz, 2H), 7.63–7.59 (m, 2H), 7.54–7.46 (m, 4H), 7.38 (t, ^3J = 7.8 Hz, 1H), 7.10 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.51–6.50 (m, 1H), 6.33 (t, ^3J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.3, 134.4, 133.9, 133.3, 132.8, 132.2, 131.3, 130.3, 130.2, 128.7, 128.6, 125.8, 118.6, 116.3, 112.2, 111.4. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{12}N_2NaO$ $[M + Na]^+$: 295.0842, found 295.0839.

1-(4-(1-Benzoyl-1*H*-pyrrol-2-yl)phenyl)ethan-1-one (3ag). Th synthesis was carried out according to the general procedure, and compound **3ag** was obtained in 73% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.88 (d, ^3J = 8.4 Hz, 2H), 7.82 (d, ^3J = 7.2 Hz, 2H), 7.59 (t, ^3J = 7.6 Hz, 1H), 7.46 (t, ^3J = 7.8 Hz, 2H), 7.39 (d, ^3J = 8.4 Hz, 2H), 7.10 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.57 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.33 (t, ^3J = 3.4 Hz, 1H), 2.57 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 197.5, 168.5, 137.7, 135.3, 135.2, 133.3, 133.0, 130.4, 128.5, 128.2, 127.8, 125.9, 116.3, 111.5, 26.5. HRMS (ESI) *m*/*z*: calcd for $C_{19}H_{15}NNaO_2$ $[M + Na]^+$: 312.0995, found 312.0999.

(2-(3-Nitrophenyl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3ah). The synthesis was carried out according to the general procedure, and compound **3ah** was obtained in 83% yield as a yellowish oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.18 (s, 1H), 8.08 (d, ^3J = 8.4 Hz, 1H), 7.81 (d, ^3J = 7.6 Hz, 2H), 7.60 (t, ^3J = 8.6 Hz, 2H), 7.49–7.43 (m, 3H), 7.13 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.57 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.35 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.4, 147.9, 134.8, 133.9, 133.8, 133.3, 132.8, 130.3, 128.8, 128.6, 125.9, 122.8, 121.6, 116.7, 111.5. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}N_2NaO_3$ $[M + Na]^+$: 315.0740, found 315.0736.

(2-(4-(Methylsulfonyl)phenyl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3ai). The synthesis was carried out according to the

general procedure, and compound **3ai** was obtained in 81% yield as a yellowish oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.83 (t, ^3J = 7.6 Hz, 4H), 7.61 (t, ^3J = 7.6 Hz, 1H), 7.47 (t, ^3J = 7.6 Hz, 4H), 7.11 (dd, ^3J = 3.2 Hz, ^4J = 1.2 Hz, 1H), 6.58 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.34 (t, ^3J = 3.2 Hz, 1H), 3.03 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.4, 138.5, 138.3, 134.4, 133.4, 132.7, 130.4, 128.6, 128.4, 127.2, 126.3, 117.1, 111.6, 44.5. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{15}NNaO_3S$ $[M + Na]^+$: 348.0665, found 348.0669.

(2-(4-Methoxy-2-nitrophenyl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3aj). The synthesis was carried out according to the general procedure, and compound **3aj** was obtained in 73% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.75 (d, ^3J = 7.6 Hz, 2H), 7.62 (d, ^3J = 2.8 Hz, 1H), 7.58 (t, ^3J = 7.4 Hz, 1H), 7.46 (t, ^3J = 8.6 Hz, 3H), 7.20–7.17 (m, 1H), 6.99 (d, ^4J = 1.6 Hz, 1H), 6.34 (s, 1H), 6.30 (t, ^3J = 3.2 Hz, 1H), 3.90 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.6, 159.5, 148.3, 133.7, 133.1, 132.5, 130.8, 130.1, 128.3, 124.5, 121.7, 119.5, 115.5, 111.1, 109.4, 55.9. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{14}N_2NaO_4$ $[M + Na]^+$: 345.0846, found 345.0843.

(2-(4-Fluorophenyl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3ak). The synthesis was carried out according to the general procedure, and compound **3ak** was obtained in 79% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.77 (d, ^3J = 7.2 Hz, 2H), 7.57 (t, ^3J = 7.6 Hz, 1H), 7.43 (t, ^3J = 7.6 Hz, 2H), 7.28–7.25 (m, 2H), 7.07 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.96 (t, ^3J = 8.6 Hz, 2H), 6.41 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.30 (t, ^3J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.7, 161.9 (d, J = 245.0 Hz), 135.3, 133.3, 133.0, 130.3, 129.7 (d, J = 8.0 Hz), 129.3 (d, J = 3.5 Hz), 128.4, 124.7, 115.1, 114.9 (d, J = 6.5 Hz), 111.1. ^{19}F NMR (400 MHz, $CDCl_3$): δ (ppm): −115.1. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}FNNaO$ $[M + Na]^+$: 288.0795, found 288.0791. These spectral data correspond to previously reported data.[24]

(2-(2-Chlorophenyl)-1*H*-pyrrol-1-yl)(phenyl)methanone (3al). The synthesis was carried out according to the general procedure, and compound **3al** was obtained in 75% yield as a yellowish solid after purification by silica gel column chromatography. Mp 101–102 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.79 (d, ^3J = 8.0 Hz, 2H), 7.55 (t, ^3J = 7.4 Hz, 1H), 7.43 (t, ^3J = 7.4 Hz, 3H), 7.33–7.28 (m, 2H), 7.25–7.20 (m, 1H), 7.08 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.41 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.34 (t, ^3J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.3, 133.4, 133.0, 132.7, 132.5, 131.3, 130.4, 129.3, 128.8, 128.2, 126.6, 124.0, 115.8, 110.9. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}ClNNaO$ $[M + Na]^+$: 304.0500, found 304.0503. These spectral data correspond to previously reported data.[24]

(4-Methoxyphenyl)(2-phenyl-1*H*-pyrrol-1-yl)methanone (3ba). The synthesis was carried out according to the general procedure, and compound **3ba** was obtained in 70% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.79 (d, ^3J = 8.8 Hz, 2H), 7.30–7.24 (m, 5H), 7.08 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.90 (d, ^3J = 8.8 Hz, 2H), 6.45 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.30 (t, ^3J = 3.2 Hz, 1H), 3.86 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.2, 163.6, 136.3, 133.1, 132.9, 128.0, 127.9, 126.8, 125.5, 124.7, 114.3, 113.7, 110.7, 55.5. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{15}NNaO_2$ $[M + Na]^+$: 300.0995, found 300.0991.

(3-Nitrophenyl)(2-phenyl-1*H*-pyrrol-1-yl)methanone (3ca). The synthesis was carried out according to the general procedure, and compound **3ca** was obtained in 77% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.92–7.90 (m, 1H), 7.74–7.72 (m, 1H), 7.56 (t, ^3J = 7.6 Hz, 1H), 7.36–7.33 (m, 3H), 7.25–7.22 (m, 4H), 6.66 (dd, ^3J = 3.6 Hz, ^4J = 1.6 Hz, 1H), 6.13 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 166.3, 150.0, 137.6, 135.8, 133.0, 131.6, 128.9, 128.5, 128.4, 127.7, 127.4, 125.4, 123.5, 116.2, 112.3. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}N_2NaO_3$ $[M + Na]^+$: 315.0740, found 315.0745.

(3-Fluorophenyl)(2-phenyl-1*H*-pyrrol-1-yl)methanone (3da). The synthesis was carried out according to the general procedure, and compound **3da** was obtained in 75% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.56–7.53 (m, 1H), 7.47–7.44 (m, 1H), 7.39–7.36 (m, 1H), 7.29–7.25 (m, 5H), 7.22 (d, ^3J = 3.6 Hz, 1H), 7.10 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.45 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.34 (t, ^3J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 167.5, 162.2 (d, J = 247.1 Hz), 136.4, 135.5 (d, J = 6.9 Hz), 132.9, 130.1 (d, J = 7.9 Hz), 128.1, 127.1, 126.1 (d, J = 3.0 Hz), 124.4, 120.0 (d, J = 21.2 Hz), 117.4 (d, J = 23.2 Hz), 115.2, 111.6. ^{19}F NMR (400 MHz, $CDCl_3$): δ (ppm): −111.4. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}FNNaO$ $[M + Na]^+$: 288.0795, found 288.0799.

(4-Chlorophenyl)(2-phenyl-1*H*-pyrrol-1-yl)methanone (3ea). The synthesis was carried out according to the general procedure, and compound **3ea** was obtained in 78% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.73 (d, ^3J = 8.4 Hz, 2H), 7.40 (d, ^3J = 8.8 Hz, 2H), 7.33–7.26 (m, 5H), 7.10 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.48–6.47 (m, 1H), 6.36 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 167.7, 139.4, 136.4, 132.9, 131.8, 131.7, 128.7, 128.1, 128.0, 127.1, 124.4, 115.0, 111.5. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}ClNNaO$ $[M + Na]^+$: 304.0500, found 304.0504.

Naphthalen-2-yl(2-phenyl-1*H*-pyrrol-1-yl)methanone (3fa). The synthesis was carried out according to the general procedure, and compound **3fa** was obtained in 73% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.31 (s, 1H), 7.92–7.87 (m, 4H), 7.62 (t, ^3J = 7.0 Hz, 1H), 7.56 (t, ^3J = 7.6 Hz, 1H), 7.34 (d, ^3J = 7.2 Hz, 2H), 7.24 (t, ^3J = 7.6 Hz, 2H), 7.16 (t, ^3J = 7.4 Hz, 2H), 6.50–6.49 (m, 1H), 6.34 (t, ^3J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.8, 136.6, 135.3, 133.1, 132.1, 132.0, 130.5, 129.3, 128.7, 128.4, 128.0, 127.9, 127.8, 127.0, 126.9, 125.9, 124.9, 114.8, 111.1. HRMS (ESI) *m*/*z*: calcd for $C_{21}H_{15}NNaO$ $[M + Na]^+$: 320.1046, found 320.1050.

Cyclohexyl(2-phenyl-1*H*-pyrrol-1-yl)methanone (3ga). The synthesis was carried out according to the general procedure, and compound **3ga** was obtained in 61% yield as a colorless

oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.36–7.31 (m, 5H), 7.29 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.28 (t, ^3J = 3.2 Hz, 1H), 6.26 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 2.79–2.72 (m, 1H), 1.87 (d, ^3J = 13.6 Hz, 2H), 1.76 (d, ^3J = 13.2 Hz, 2H), 1.66–1.62 (m, 1H), 1.23–1.08 (m, 5H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 175.6, 135.1, 134.3, 128.7, 127.9, 127.4, 121.4, 115.3, 111.3, 44.3, 29.5, 25.6, 25.5. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{19}NNaO$ $[M + Na]^+$: 276.1359, found 276.1363.

Phenyl(2-(3-vinylphenyl)-1*H*-pyrrol-1-yl)methanone (5aa). The synthesis was carried out according to the general procedure, and compound **5aa** was obtained in 54% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.77 (d, ^3J = 7.2, 2H), 7.53 (t, ^3J = 7.4 Hz, 1H), 7.40 (t, ^3J = 7.6 Hz, 2H), 7.32 (s, 1H), 7.24–7.21 (m, 2H), 7.17 (t, ^3J = 7.4 Hz, 1H), 7.10 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.69–6.62 (m, 1H), 6.46 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.32 (t, ^3J = 3.2 Hz, 1H), 5.69 (d, ^3J = 17.6 Hz, 1H), 5.22 (d, ^3J = 10.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.8, 137.3, 136.6, 136.2, 133.4, 133.3, 132.9, 130.3, 128.3, 128.1, 127.6, 126.0, 124.8, 124.7, 115.0, 114.0, 111.1. HRMS (ESI) *m*/*z*: calcd for $C_{19}H_{15}NNaO$ $[M + Na]^+$: 296.1046, found 296.1051.

Phenyl(2-(thiophen-3-yl)-1*H*-pyrrol-1-yl)methanone (5ab). The synthesis was carried out according to the general procedure, and compound **5ab** was obtained in 51% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.76 (d, ^3J = 7.6 Hz, 2H), 7.56 (t, ^3J = 7.4 Hz, 1H), 7.43 (t, ^3J = 7.8 Hz, 2H), 7.22–7.18 (m, 2H), 7.05–7.04 (m, 1H), 7.03–7.01 (m, 1H), 6.45 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.29 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.8, 133.5, 133.4, 132.9, 131.3, 130.3, 128.3, 128.1, 124.8, 124.2, 122.1, 114.7, 111.0. HRMS (ESI) *m*/*z*: calcd for $C_{15}H_{11}NNaOS$ $[M + Na]^+$: 276.0454, found 276.0451.

(2-(Naphthalen-1-yl)-1*H*-pyrrol-1-yl)(phenyl)methanone (5ac). The synthesis was carried out according to the general procedure, and compound **5ac** was obtained in 75% yield as a yellow solid after purification by silica gel column chromatography. Mp 133–134 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.84 (t, ^3J = 4.6, 1H), 7.79 (t, ^3J = 4.8 Hz, 1H), 7.73 (d, ^3J = 7.6 Hz, 1H), 7.60 (d, ^3J = 7.2 Hz, 2H), 7.43–7.36 (m, 5H), 7.27 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 7.23 (t, ^3J = 7.8 Hz, 2H), 6.52 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.45 (t, ^3J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.5, 133.6, 133.3, 133.3, 132.5, 132.3, 131.4, 129.8, 128.2, 128.0, 127.9, 127.8, 126.3, 125.6, 125.2, 125.0, 123.7, 116.1, 111.2. HRMS (ESI) *m*/*z*: calcd for $C_{21}H_{15}NNaO$ $[M + Na]^+$: 320.1046, found 320.1051. These spectral data correspond to previously reported data.[23]

(2-(2-Methylquinolin-5-yl)-1*H*-pyrrol-1-yl)(phenyl)methanone (5ad). The synthesis was carried out according to the general procedure, and compound **5ad** was obtained in 81% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.95 (d, ^3J = 8.4 Hz, 1H), 7.90 (d, ^3J = 8.8 Hz, 1H), 7.80 (d, ^3J = 7.2 Hz, 2H), 7.69 (d, ^4J = 2.0 Hz, 1H), 7.60 (dd, ^3J = 10.8 Hz, ^4J = 2.0 Hz, 1H), 7.51 (t, ^3J = 7.4 Hz, 1H), 7.39 (t, ^3J = 7.8 Hz, 2H), 7.23 (d, ^3J = 8.4 Hz, 1H), 7.12 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.55 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.34 (t, ^3J = 3.2 Hz, 1H), 2.71 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.7, 158.8, 146.8, 136.1, 135.7, 133.2, 133.0, 130.5, 130.3, 130.0, 128.4, 128.1, 126.1, 125.8, 125.1, 122.2, 115.7, 111.3, 25.2. HRMS (ESI) *m*/*z*: calcd for $C_{21}H_{16}N_2NaO$ $[M + Na]^+$: 335.1155, found 335.1160.

(2-(Dibenzo[*b*,*d*]thiophen-4-yl)-1*H*-pyrrol-1-yl)(phenyl)methanone (5ae). The synthesis was carried out according to the general procedure, and compound **5ae** was obtained in 62% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 8.12–8.10 (m, 1H), 8.01 (d, ^3J = 7.6 Hz, 1H), 7.80–7.75 (m, 3H), 7.47–7.42 (m, 3H), 7.38 (t, ^3J = 7.6 Hz, 1H), 7.32 (t, ^3J = 7.4 Hz, 3H), 7.23 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.72 ((dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.43 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 168.4, 139.4, 139.3, 135.8, 135.7, 133.6, 133.1, 132.8, 130.2, 128.7, 128.1, 127.4, 126.7, 124.5, 124.4, 124.3, 122.7, 121.7, 120.4, 115.6, 111.3. HRMS (ESI) *m*/*z*: calcd for $C_{23}H_{15}NNaOS$ $[M + Na]^+$: 376.0767, found 376.0773.

5-(1-Benzoyl-1*H*-pyrrol-2-yl)picolinaldehyde (5af). The synthesis carried out according to the general procedure, and compound **5af** was obtained in 73% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 10.03 (s, 1H), 8.76 (d, ^4J = 2.0 Hz, 1H), 7.87 (d, ^3J = 8.4 Hz, 1H), 7.82 (d, ^3J = 7.6 Hz, 2H), 7.76–7.73 (m, 1H), 7.62 (t, ^3J = 7.4 Hz, 1H), 7.49 (t, ^3J = 7.6 Hz, 2H), 7.16–7.15 (m, 1H), 6.65–6.64 (m, 1H), 6.38 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 192.9, 168.2, 150.6, 148.7, 135.6, 133.5, 133.2, 132.5, 131.7, 130.3, 128.7, 126.9, 121.0, 117.8, 111.9. HRMS (ESI) *m*/*z*: calcd for $C_{17}H_{12}N_2NaO_2$ $[M + Na]^+$: 299.0791, found299.0796.

2-(1-Benzoyl-1*H*-pyrrol-2-yl)benzaldehyde (5ag′). The synthesis was carried out according to the general procedure, and compound **5ag′** was obtained in 75% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 10.08 (s, 1H), 7.92 (dd, ^3J = 3.6 Hz, ^4J = 0.8 Hz, 1H), 7.80 (d, ^3J = 6.8 Hz, 2H), 7.61–7.56 (m, 2H), 7.48 (t, ^3J = 7.6 Hz, 3H), 7.42 (d, ^3J = 8.0 Hz, 1H), 7.13 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.43 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.39 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 191.9, 168.3, 136.0, 134.4, 133.2, 133.1, 132.9, 131.6, 131.3, 130.2, 129.4, 128.4, 128.0, 124.8, 117.8, 111.2. HRMS (ESI) *m*/*z*: calcd for $C_{18}H_{13}NNaO_2$ $[M + Na]^+$: 298.0838, found 298.0833.

3-(4-(1-Benzoyl-1*H*-indol-2-yl)phenyl)propanenitrile (11). The synthesis was carried out according to the general procedure, and compound **11** was obtained in 73% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.65–7.61 (m, 4H), 7.42 (t, ^3J = 7.4 Hz, 1H), 7.30–7.25 (m, 6H), 7.05 (d, ^3J = 8.0 Hz, 2H), 6.78 (s, 1H), 2.86 (t, ^3J = 7.2 Hz, 2H), 2.52 (t, ^3J = 7.4 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 170.0, 140.7, 138.2, 137.3, 135.0, 132.9, 132.1, 130.2, 129.2, 128.8, 128.3, 128.1, 124.3, 123.1, 120.7, 118.8, 114.1, 109.6, 31.2, 19.2. HRMS (ESI) *m*/*z*: calcd for $C_{24}H_{18}N_2NaO$ $[M + Na]^+$: 373.1311, found 373.1316.

(5-Phenylthiophen-2-yl)(1*H*-pyrrol-1-yl)methanone (12). The synthesis was carried out according to the general procedure, and compound **12** was obtained in 63% yield as a pale yellow solid after purification by silica gel column chromatography. Mp 122–123 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.75 (d, ^3J = 4.0 Hz, 1H), 7.68 (d, ^3J = 7.2 Hz, 2H), 7.50 (t, ^4J = 2.2 Hz, 2H), 7.46–7.39 (m, 3H), 7.37 (d, ^3J = 4.4 Hz, 1H), 6.39 (t, ^4J = 2.0 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 160.5, 152.6, 135.2, 134.3, 132.9, 129.2, 126.3, 123.6, 121.0, 113.1. HRMS (ESI) *m*/*z*: calcd for $C_{15}H_{11}NNaOS$ $[M + Na]^+$: 276.0454, found 276.0450.

(5-Phenylfuran-2-yl)(1*H*-pyrrol-1-yl)methanone (13). The synthesis was carried out according to the general procedure, and compound **13** was obtained in 69% yield as a yellow oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.57 (d, ^4J = 0.8 Hz, 1H), 7.38 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 7.32–7.28 (m, 5H), 7.21 (d, ^3J = 3.6 Hz, 1H), 6.52 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.44 (dd, ^3J = 3.2 Hz, ^4J = 1.6 Hz, 1H), 6.36 (t, ^3J = 3.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 157.4, 147.2, 146.6, 136.0, 133.1, 128.1, 127.8, 126.9, 123.7, 121.5, 114.8, 112.4, 111.5. HRMS (ESI) *m*/*z*: calcd for $C_{15}H_{11}NNaO_2$ $[M + Na]^+$: 260.0682, found 260.0687.

1-Benzyl-2-phenyl-1*H*-pyrrole (15). The synthesis was carried out according to the general procedure, and compound **15** was obtained in 54% yield as a colorless oil after purification by silica gel column chromatography. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.33–7.26 (m, 8H), 7.04 (t, ^3J = 7.2 Hz, 2H), 6.78 (t, ^4J = 2.0 Hz, 1H), 6.31 (d, ^3J = 2.4 Hz, 2H), 5.18 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm): 138.8, 134.9, 133.2, 128.8, 128.6, 128.3, 127.3, 127.0, 126.4, 122.9, 108.8, 108.5, 50.6. These spectral data correspond to previously reported data.[25]

Author contributions

Weiqiang Chen: Investigation, writing-original draft. Hui-Jing Li: Conceptualization, supervision. Yun-Fei Cheng: Data curation, methodology. Yan-Chao Wu: Writing-review and editing, funding acquisition.

Conflicts of interest

There are no conflicts to declare.

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