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Palladium-Catalyzed Oxidative Three-Component Coupling of Anthranilamides with Isocyanides and Arylboronic Acids: Access to 2,3-Disubstituted Quinazolinones

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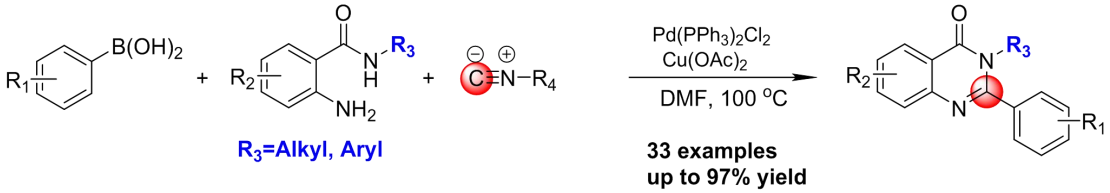


- Base-free oxidant coupling
- Broad substrate scope
- New C-N/C-C bond formation
- Valuable quinazolinones

ABSTRACT: A novel palladium-catalyzed oxidative three-component coupling of easily accessible *N*-substituted anthranilamides with isocyanides and arylboronic acids is achieved. This protocol offers an alternative approach toward 2,3-disubstituted quinazolinones with wide substrate scope and good functional group tolerance.

INTRODUCTION

Quinazolinones are an important class of fused heterocyclic compounds that attracted significant attention in recent organic and medicinal chemistry. In particular, 2,3-disubstituted quinazolinones show a broad

range of biological and pharmacological activities, including anti-inflammatory, anticancer, antifungal, antibacterial, antidiabetic, anticonvulsant and antiviral properties.[1] Moreover, their wide presence in natural products as well as clinical drugs has also been reported (Figure 1).[2] In view of their importance, various methods to synthesize these compounds have been developed. As shown in Scheme 1, representative examples of these approaches include (a) condensation of anthranilamides with aldehydes,[3] benzyl halides,[4] benzyl alcohols,[5] or orthoesters;[6] (b) dehydrative cyclization of the diamide derivatives of 2-aminobenzoic acids;[7] (c) Cu-catalyzed aryl amidation of *N*-substituted 2-bromobenzamides with amides;[8] (d) arylation of quinazolinones with aryl halides catalyzed by metal catalyst;[9] (e) condensation of methyl anthranilate with amides with the aid of the Ph_3P-I_2 system;[10] Although these methodologies have their own advantages, most of them suffer from a variety of limitations including multistep procedures, limited substrate scopes as well as relatively harsh reaction conditions in the process.

Notably, carbon monoxide (CO) has emerged as valuable C1 building block to synthesize 2-aryl quinazolinones from anthranilamides and bromobenzenes (Scheme 1, f).[11] To overcome the problems associated with high pressure, long reaction time and manipulation complexity, in 2014, our group reported that 2-aryl quinazolinones could be efficiently synthesized from anthranilamides and aryl halides via isocyanides

insertion (Scheme 1, g).[12] However, the approach needs at least one equivalent of base, which is not compatible with base sensitive functional groups in the substrates. On the other hand, only trace amount of 2,3-disubstituted quinazolinones could be obtained by this route. For C1 source, many applications of isocyanide have been reported. Especially, palladium-catalyzed isocyanide insertion into carbon-halogen bonds[13] and carbon-hydrogen bonds[14]. Nevertheless, palladium-catalyzed isocyanide insertion into the C-B bond has rarely been described.[15] Very recently, Gao *et al*.[15c] discovered an oxidative cross-coupling reaction of arylboronic acids and isocyanides for the synthesis of amides and diaryl ketones. In a continuation of our efforts on isocyanides chemistry and oxidative cross-couplings, we considered that 2,3-disubstituted quinazolinones could be synthesized by building a C−N bond between readily available *N*-substituted 2-aminobenzamides and arylboronic acids. We envisioned that palladium-catalyzed oxidative coupling of anthranilamides with isocyanides and arylboronic acids would be of interest, considering that arylboronic acids hold great promise due to their commercially available and also air-stable. In addition, using arylboronic acids, isocyanides and *N*-substituted 2-aminobenzamides for the formation of 2,3-disubstituted quinazolinones would extend the reported methods and also develop a new path to form potentially bioactive nitrogen heterocycles. To our knowledge, palladium catalyst has never

been applied in oxidative coupling of anthranilamides with arylboronic acids and isocyanides. Thus, we illustrate the first palladium-catalyzed oxidative three-component coupling of arylboronic acids, isocyanides and *N*-substituted 2-aminobenzamides to construct 2,3-disubstituted quinazolinones.

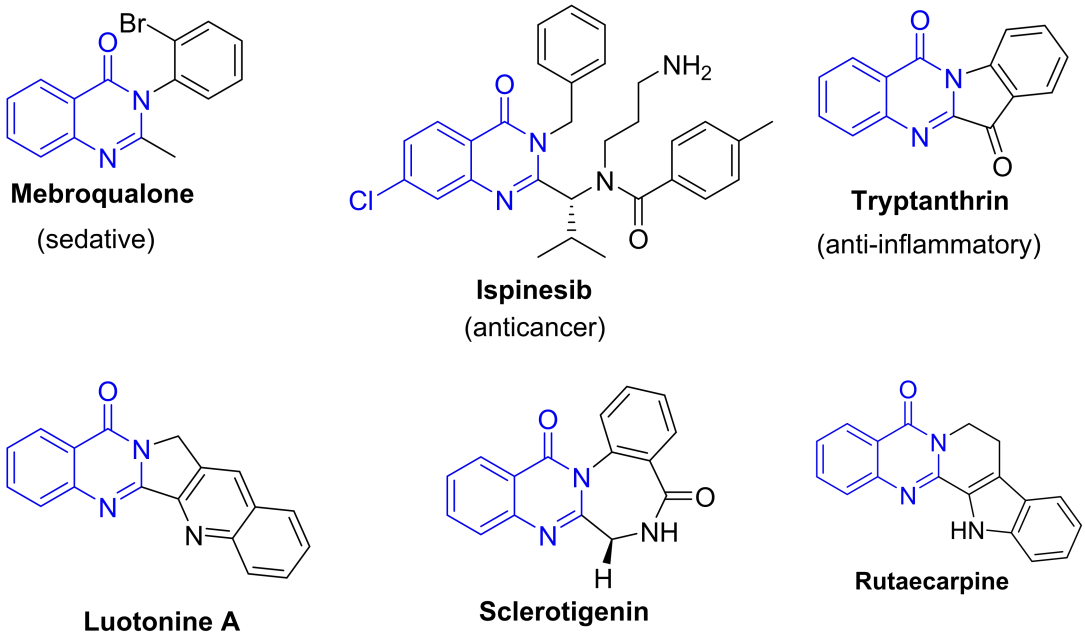
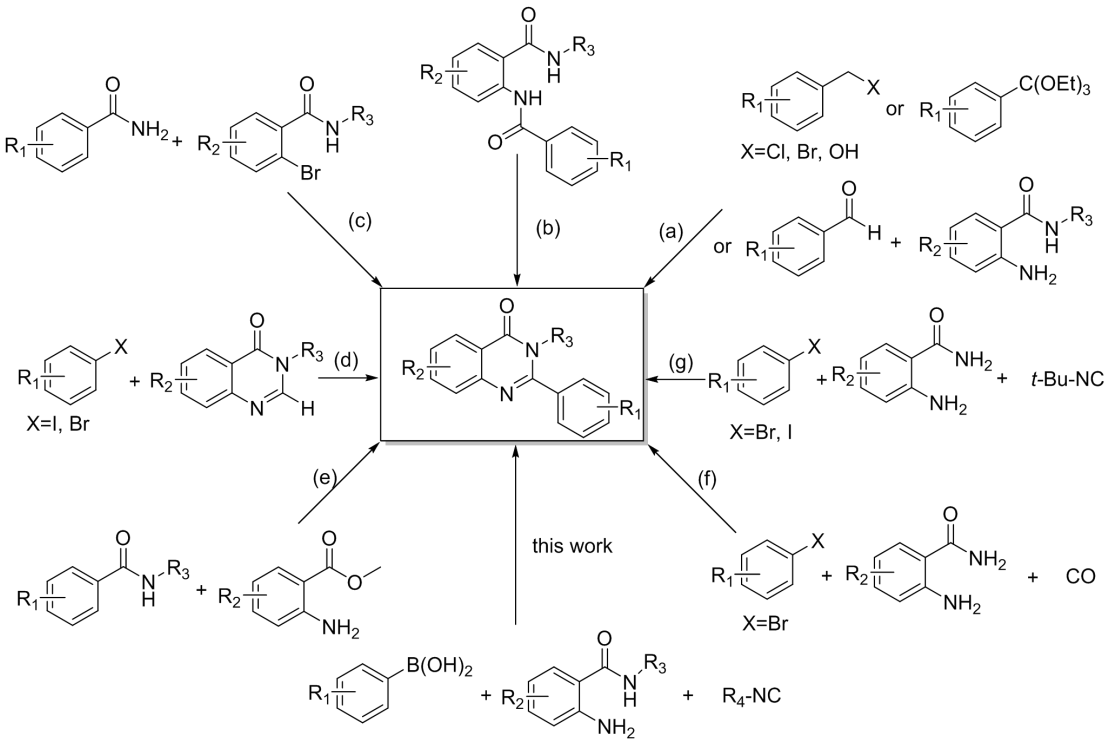


Figure 1. Selected quinazolinone drugs and natural products.

Scheme 1. Classical Routes and the Present Method To Synthesize Quinazolinones

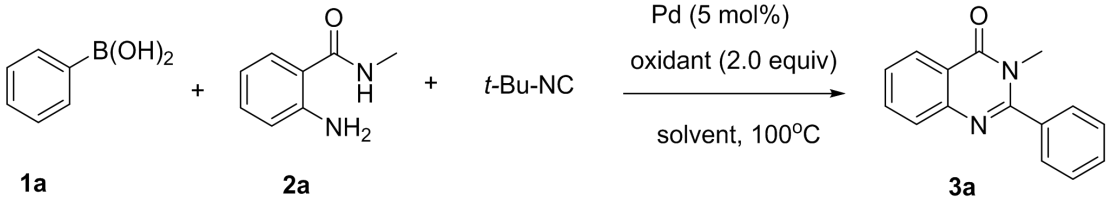


RESULTS AND DISCUSSION

Initially, we performed a reaction using phenylboronic acid **1a**, 2-amino-*N*-methylbenzamide **2a** and *tert*-butyl isocyanide in the presence of $Pd(OAc)_2$ and $Cu(OAc)_2$. When the reaction was carried out in DMF as a solvent under nitrogen at 100 ^oC for 8 h, we could isolate the desired product **3a** in 37% yield (Table 1, entry 1). Meanwhile, various catalytic systems were examined and $Pd(PPh_3)Cl_2$ proved the best catalyst for this reaction giving **3a** in an optimised yield of 95% (Table 1, entries 2-8). Of all the oxidants we tested, $Cu(OAc)_2$ performed best indicating that acetates could have a promoting effect on the reaction (Table 1, entries 9-14). When the reaction was carried out under free air and oxygen atmospheres, respectively, but no desired product could be detected. (Table 1, entries 15-16). Subsequent screening of other solvents including

DMSO, DCE, and toluene did not give better results (Table 1, entries 17-19). Overall, the optimized reaction conditions include $Pd(PPh_3)_2Cl_2$ (5 mol%) as the catalyst and $Cu(OAc)_2$ (2.0 equiv.) as the oxidant under a nitrogen atmosphere at 100 °C for 8 hours in DMF.

Table 1. Conditions for Optimization of the Reaction[a]



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

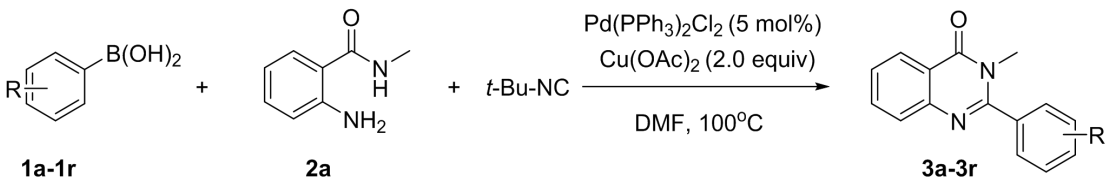
Entry	Catalyst	Oxidant	Solvent	Yield [%]
1	$Pd(OAc)_2$	$Cu(OAc)_2$	DMF	37
2	$Pd(OAc)_2$ /PPh_3	$Cu(OAc)_2$	DMF	69
3	$Pd(dppf)Cl_2$	$Cu(OAc)_2$	DMF	81
4	$Pd(CH_3CN)_2Cl_2$	$Cu(OAc)_2$	DMF	80
5	$Pd(dppp)Cl_2$	$Cu(OAc)_2$	DMF	72
6	$Pd(PPh_3)_4$	$Cu(OAc)_2$	DMF	89
7	**$Pd(PPh_3)_2Cl_2$**	**$Cu(OAc)_2$**	**DMF**	**95**
8	—	$Cu(OAc)_2$	DMF	0
9	$Pd(PPh_3)_2Cl_2$	$Cu(TFA)_2$	DMF	72
10	$Pd(PPh_3)_2Cl_2$	$Cu(OTf)_2$	DMF	0
11	$Pd(PPh_3)_2Cl_2$	AgOAc	DMF	12
12	$Pd(PPh_3)_2Cl_2$	$CuBr_2$	DMF	trace
13	$Pd(PPh_3)_2Cl_2$	$CuCl_2$	DMF	0
14	$Pd(PPh_3)_2Cl_2$	—	DMF	0
15	$Pd(PPh_3)_2Cl_2$	—	DMF	0[b]

16	$Pd(PPh_3)_2Cl_2$	—	DMF	0[c]
17	$Pd(PPh_3)_2Cl_2$	$Cu(OAc)_2$	DMSO	61
18	$Pd(PPh_3)_2Cl_2$	$Cu(OAc)_2$	Toluene	70
19	$Pd(PPh_3)_2Cl_2$	$Cu(OAc)_2$	DCE	80

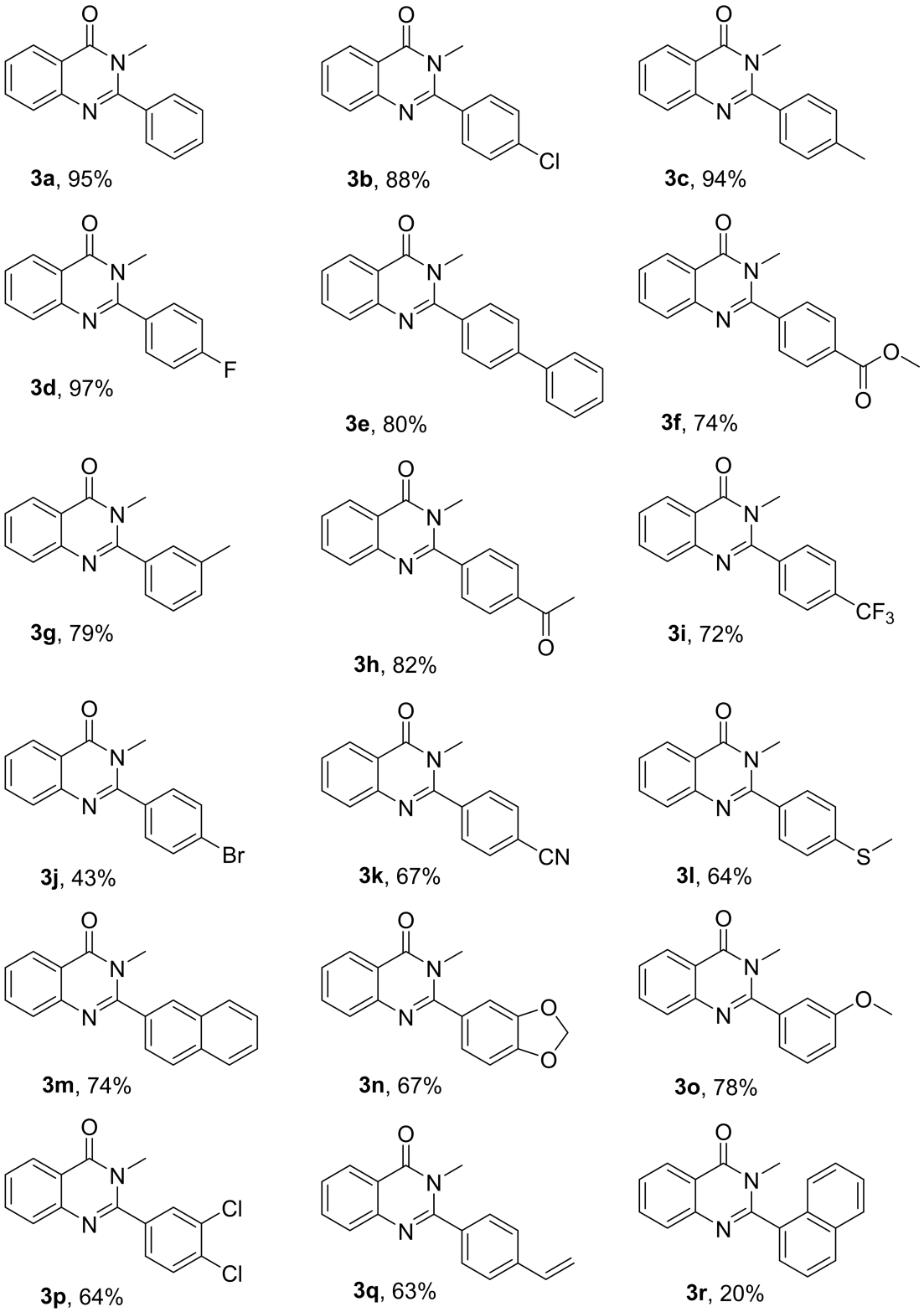
[a] General conditions: the reactions were carried out under a nitrogen atmosphere with **1a** (0.5 mmol), **2a** (1.1 equiv.), *tert*-butyl isocyanide (1.2 equiv.), catalyst (5 mol%), oxidant (2.0 equiv.) in 2 mL of the solvent at 100 °C for 8 h in a sealed tube. [b]Under air without $Cu(OAc)_2$. [c]Under O_2 without $Cu(OAc)_2$.

With the optimum reaction conditions established, we evaluated the substrate scope of the reaction. As depicted in Table 2, a wide variety of arylboronic acids bearing either electron-withdrawing or electron-donating substituents on the aryl ring could be transformed into the corresponding compounds in moderate to excellent yields. In addition, ester, ketone, cyano and vinyl groups were well-tolerated in this system, and provided the desired products over 63% yield (**3f**, **3h**, **3k**, and **3q**). 2-Naphthylboronic acid and (4-(methylthio)phenyl)boronic acid were also compatible with the method under the standard conditions (**3l**, **3m**). Unfortunately, the effect of steric hindrance was obvious, 1-naphthylboronic acid provided the product **3r** in low yield .

Table 2. Reactions of Different Arylboronic Acids with 2-Amino-*N*-methylbenzamide and *tert*-Butyl Isocyanide To Synthesize Various Quinazolinones[a]



1a-1r **2a** **3a-3r**

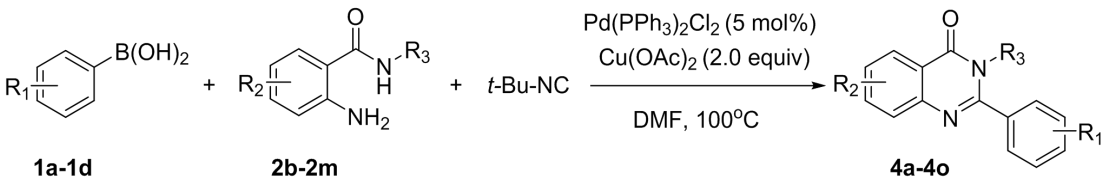


[a] General conditions: the reactions were carried out under a nitrogen atmosphere with arylboronic acids (0.5 mmol), **2a** (1.1 equiv.), *tert*-butyl isocyanide (1.2 equiv.), $Pd(PPh_3)_2Cl_2$ (5 mol%), $Cu(OAc)_2$ (2.0 equiv.) in 2 mL of DMF at 100 °C for 8 h in a sealed tube.

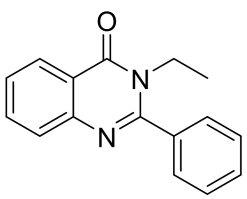
To further explore the application of this oxidative coupling reaction, a

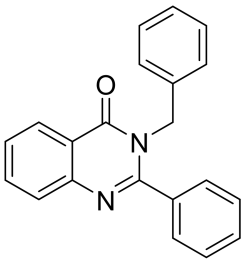
series of anthranilamides were investigated, and the results are illustrated in Table 3. Most *N*-alkyl anthranilamide substrates could be converted into the corresponding products in excellent yields (**4a**, **4b**). However, 2-amino-*N*-cyclopropylbenzamide, as an *N*-alkyl functional groups, gave a moderate yield (Table 3, **4e**). In addition, this process could also be applied to general *N*-aryl anthranilamides. Meanwhile, the influence of substituents on the *N*-aryl ring of the anthranilamides was assessed. Compared to the substrates having electron-poor groups, such as *p*-F, *p*-Cl and *p*-Br, on N-aryl substituent (**4f-4h**), the substrates having electron-rich groups , such as *m*-CH_3 and *p*-CH_3, provided lower yields of products (**4c**, **4d**). Remarkably, *N*-methyl anthranilamide bearing electron-donating group on the aromatic ring obtained preferable result (**4k**). As for electron-withdrawing substituents, such as *p*-chloro and *p*-fluoro groups, the targeted products were generated in 61−66% yields (Table 3, **4i**, **4j**). Unfortunately, 2-aminobenzamide, used as the substrate, was tested in our model system but no desired product could be detected.

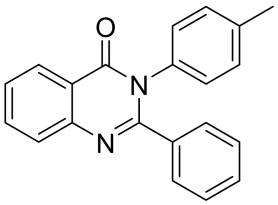
Table 3. Reactions of Various Arylboronic Acids with Different Anthranilamides and *tert*-Butyl Isocyanide To Construct Various Quinazolinones

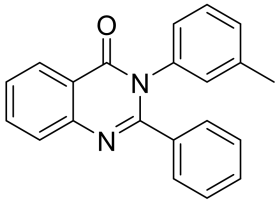


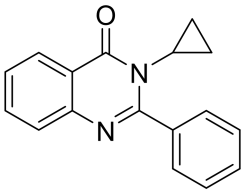
1a-1d **2b-2m** **4a-4o**

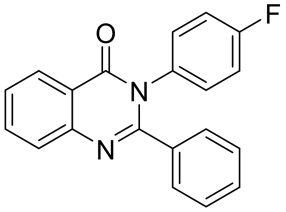

4a, 97%

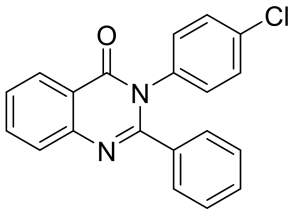

4b, 94%

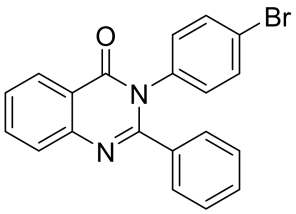

4c, 74%

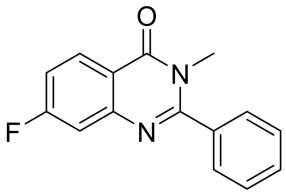

4d, 71%

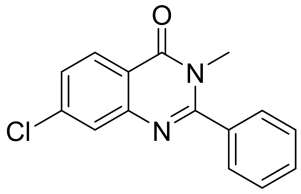

4e, 59%

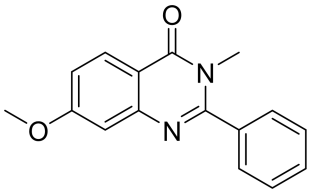

4f, 87%

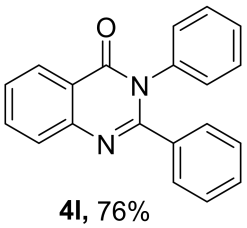

4g, 86%

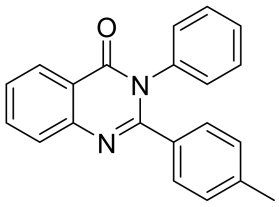

4h, 90%

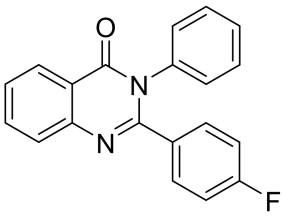

4i, 61%

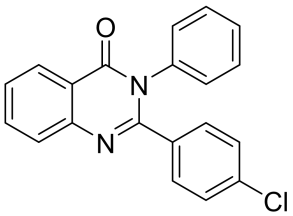

4j, 66%


4k, 86%


4l, 76%


4m, 75%


4n, 87%

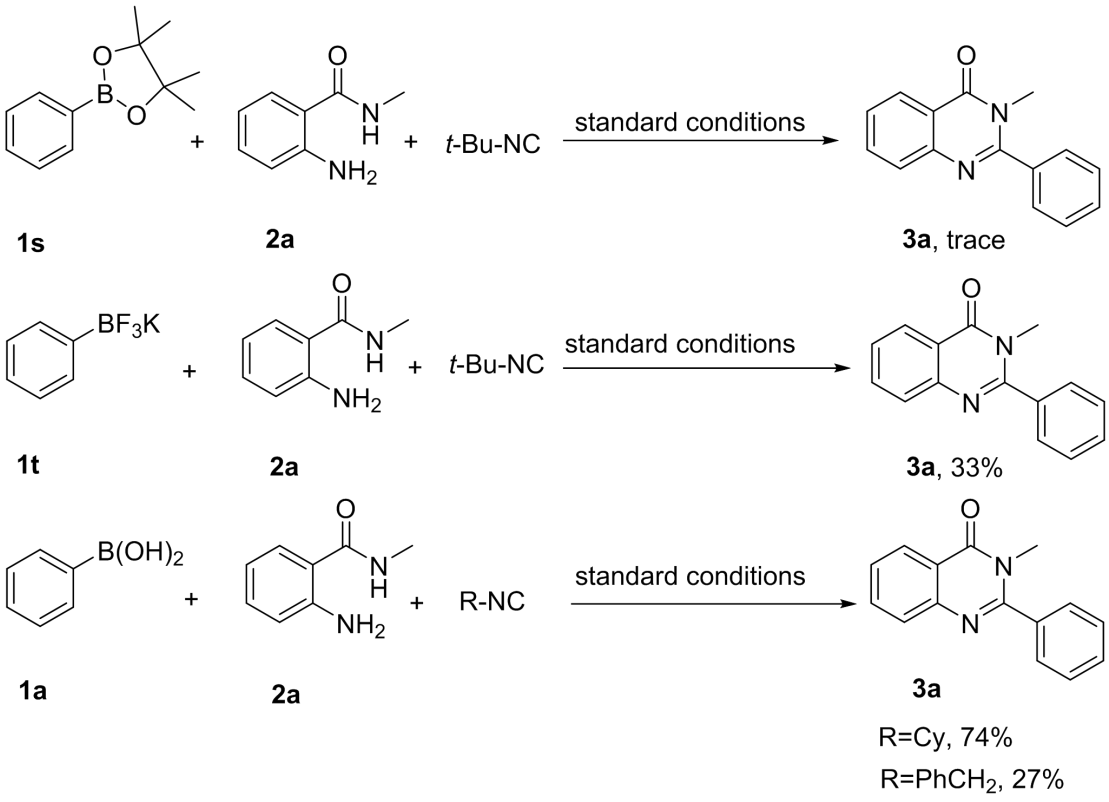

4o, 80%

[a]General conditions: the reactions were carried out under a nitrogen atmosphere with arylboronic acids (0.5 mmol), anthranilamides (1.1 equiv.), *ter*t-butyl isocyanide (1.2 equiv.), $Pd(PPh_3)_2Cl_2$ (5 mol%), $Cu(OAc)_2$ (2.0 equiv.) in 2 mL of DMF at 100 °c for 8 h in a sealed tube.

Next, to extend the scope of the oxidative coupling reaction, we examined other isocyanides and aromatic borides. Since arylboronic acids can be varied quite well in this reaction, the compatability of the

conditions with aromatic borides was investigated. As shown in Scheme 2, pinacol phenylboronate **1s** provided trace amount of the product **3a** possibly due to the effect of the steric hindrance. However, this process to form the product **3a** is compatible with potassium phenyltrifluoroborate **1t**. Other isocyanides were examined in this reaction to extend the scope of isocyanide as valuable C1 building block. Satisfactorily, cyclohexyl isocyanide and benzyl isocyanide could proceed smoothly to give the product **3a** in reasonably yields.

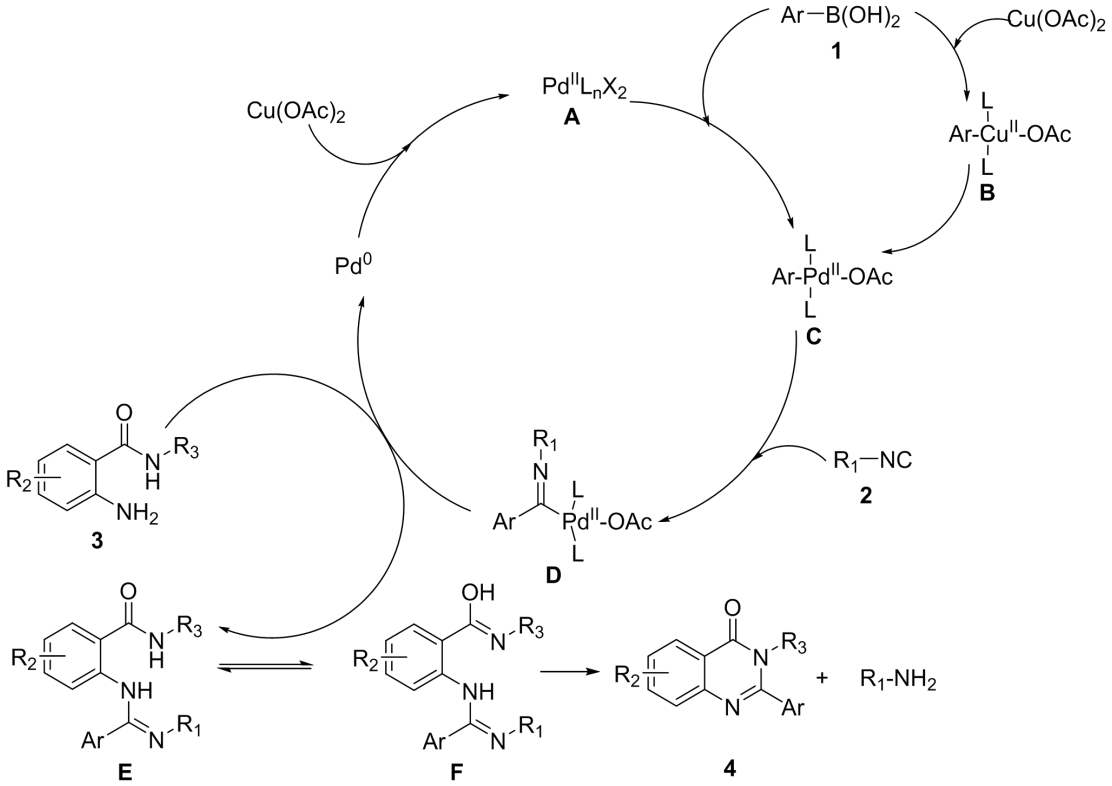
Scheme 2. Oxidative Coupling Reactions of Other Aromatic Borides and Isocyanides



On the basis of the above experimental results and previous literature investigation,[16-18]a plausible reaction mechanism is delineated in Scheme

3. Initial, arylboronic acid **1** faces two pathways. On the one hand, transmetalation of arylboronic acid **1** with $Cu(OAc)_2$ leads to a copper complex **B**, which could be exchanged with palladium to form the intermediate **C**. On the other hand, arylboronic acid **1** and $Pd^{II}L_nX_2$ (**A**) can undergo a direct transmetalation process to generate intermediate **C**, followed by isocyanide insertion to get the complex **D**. Then, **D** could further reductive elimination to deliver Pd^0 species and react with anthranilamide **3** to form the intermediate **E**. At this point, **E** undergoes a tautomerization process to generate complex **F**, which is followed by further cyclization with losing amine to form the product **4**. At last, the presence of $Cu(OAc)_2$ allows the oxidation of the Pd(0) to Pd(II) and completes the catalytic cycle.[19-25]It is worth noting that although amines are formed during the reaction process, the reaction system still remains neutral, and base-sensitive functional group substituted substrates could work smoothly.

Scheme 3. Plausible Mechanism for the Synthesis of 4



CONCLUSION

In conclusion, we have demonstrated a palladium-catalyzed oxidative three-component coupling of easily accessible *N*-substituted anthranilamides with isocyanides and arylboronic acids for synthesis of 2,3-disubstituted quinazolinones. The protocol constructs a C−N bond without requiring basic reaction conditions, which is beneficial to the usage of base-sensitive functional group substituted substrates. Furthermore, this strategy offers an alternative approach toward 2,3-disubstituted quinazolinones with broad substrate scope and good functional group tolerance.

EXPERIMENTAL SECTION

General Information. Reactants and reagents were purchased from commercial suppliers. All solvents were dried and freshly distilled. TLC was performed on silica HSGF254 plates. Melting points were determined with a digital melting-point apparatus. ^{1}H and ^{13}C NMR spectra were obtained from a solution in deuterated chloroform ($CDCl_3$) with TMS as internal standard using a 400/101 MHz ($^{1}H/^{13}C$) or 600/151MHz ($^{1}H/^{13}C$) spectrometer. Chemical shifts (δ) are given in ppm and *J* in Hz. High-resolution mass spectra (HRMS) analyses were carried out on a chemical ionization (CI) apparatus using time-of-flight (TOF) mass spectrometry.

Synthesis of 2-Amino-*N*-alkylbenzamide.[27b] Isatoic anhydride (5 mmol) and alkylamine (5 mmol) were added to DMF (25 mL) and the mixture was stirred at 50 ^{o}C in air for 3 h. Upon completion, the solution was diluted with EtOAc, and then washed by brine. The organic layer was dried over anhydrous Na_2SO_4, concentrated and then purified by flash column chromatography on silica gel to afford the desired substrate.

Synthesis of 2-Amino-*N*-arylbenzamide.[27b] Isatoic anhydride (5 mmol), aniline (5 mmol), and iodine (0.5 mmol) were added to EtOH (10 mL) and the mixture was heated at reflux in air. The progress of the reaction was monitored by TLC. Upon completion, the solvent was distilled off and the residue was diluted with EtOAc. The mixture was quenched by

saturated $Na_2S_2O_3$ solution and then washed by brine. The organic layer was dried over anhydrous Na_2SO_4 , concentrated and then purified by flash column chromatography on silica gel to afford the desired substrate.

Synthesis of 2-Amino-4-fluoro(chloro,methoxy)-*N*-methylbenzamide. [27c]A suspension of CDI (1.2 eq.) and the appropriate 2-amino-benzoic acid (1 eq.) in THF (1M) was stirred under a hydrogen atmosphere at room temperature over-night. A 1N solution of methylamine in THF (2 eq.) was added dropwise. The resulting solution was stirred for 3h. The reaction mixture was concentrated in vacuo, and the residue was dissolved in EtOAc and washed with a saturated aqueous sodium bicarbonate solution, water, and brine. The organic phase was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by flash chromatography on silica gel (30% EtOAc=DCM) to give the expected product .

General Procedure for the Synthesis of 2,3-Disubstituted Quinazolinones. 1 (0.5 mmol, 1 equiv.), **2** (0.55 mmol, 1.1 equiv.), *tert*-butyl isocyanide (0.6 mmol, 68 μL, 1.2 equiv.), $Pd(PPh_3)_2Cl_2$ (0.025 mmol, 18 mg, 5 mol %), $Cu(OAc)_2$ (1.0 mmol, 2 equiv) and anhydrous DMF (2 mL) were added into a 15 mL sealed tube equipped with a magnetic stirring bar. The tube was purged with nitrogen gas and stirred at 100 °C for 8 h. After completion of the reaction indicated by TLC, it was poured into brine (30 mL) and extracted using ethyl acetate (3 × 30

mL). The combined organic layers were dried (Na_2SO_4) and evaporated. The residue was purified on a silica gel column using petroleum ether/EtOAc as the eluent to give the pure target product .

*3-Methyl-2-phenylquinazolin-4(3H)-one (**3a**).*[26a] White solid (112 mg, 95% yield). Mp: 148–151 °C. EtOAc/petroleum ether =1/30. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.87 (s, 2H), 7.64 (d, J = 2.0 Hz, 6H), 3.61 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.7, 156.1, 147.3, 135.4, 134.3, 130.1, 128.9, 128.0, 127.5, 127.0, 126.7, 120.5, 34.3. HRMS (CI): m/z calcd for $C_{15}H_{13}N_2O$ $[M + H]^+$, 237.1029; found, 237.1034.

*2-(4-Chlorophenyl)-3-methylquinazolin-4(3H)-one (**3b**).*[26a] White solid (118 mg, 88% yield). Mp: 166–168 °C. EtOAc/petroleum ether =1/40. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (dd, J = 8.0, 0.8 Hz, 1H), 7.80–7.71 (m, 2H), 7.60–7.46 (m, 5H), 3.51 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.6, 155.0, 147.2, 136.4, 134.4, 133.8, 129.6, 129.2, 127.5, 127.2, 126.7, 120.5, 34.3. HRMS (CI): m/z calcd for $C_{15}H_{12}ClN_2O$ $[M + H]^+$, 271.0639; found, 271.0633.

*3-Methyl-2-(p-tolyl)quinazolin-4(3H)-one (**3c**).*[26a] White solid (117 mg, 94% yield). Mp: 137–139 °C. EtOAc/petroleum ether =1/40. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, J = 7.9 Hz, 1H), 7.75 (d, J = 3.7 Hz, 2H), 7.49 (dd, J = 13.2, 5.8 Hz, 3H), 7.33 (d, J = 7.7 Hz, 2H), 3.51 (s, 3H), 2.44 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.8, 156.3, 147.4,

140.3, 134.3, 132.6, 129.5, 128.0, 127.5, 126.9, 126.7, 120.5, 34.3, 21.4. HRMS (CI): m/z calcd for $C_{16}H_{15}N_2O$ $[M + H]^+$, 251.1185; found, 251.1186.

*2-(4-Fluorophenyl)-3-methylquinazolin-4(3H)-one (**3d**).*[27b] White solid (123 mg, 97% yield). Mp: 186–189 °C. EtOAc/petroleum ether =1/30. ^1H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, J = 7.1 Hz, 1H), 7.68 (d, J = 11.4 Hz, 2H), 7.56–7.39 (m, 3H), 7.17 (dd, J = 15.3, 7.7 Hz, 2H), 3.43 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 164.4, 162.0 (d, $J_{C\text{-}F}$ = 31.3 Hz), 154.7, 146.7, 133.9, 131.1 (d, $J_{C\text{-}F}$ = 3.5 Hz), 129.8 (d, $J_{C\text{-}F}$ = 8.6 Hz), 127.0, 126.7, 126.2, 120.0, 115.6 (d, $J_{C\text{-}F}$ = 22.0 Hz), 33.8. HRMS (CI): m/z calcd for $C_{15}H_{12}FN_2O$ $[M+ H]^+$, 255.0934; found, 255.0937.

*2-([1,1'-Biphenyl]-4-yl)-3-methylquinazolin-4(3H)-one (**3e**).*[28b] White solid (124 mg, 80% yield). Mp: 176–178 °C. EtOAc/petroleum ether =1/30. ^1H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, J = 7.9 Hz, 1H), 7.69 (dd, J = 9.7, 6.1 Hz, 4H), 7.58 (dd, J = 11.1, 8.2 Hz, 4H), 7.42 (s, 3H), 7.33 (t, J = 7.2 Hz, 1H), 3.50 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.7, 156.0, 147.2, 143.1, 140.1, 134.4, 134.0, 129.0, 128.6, 128.0, 127.6, 127.4, 127.2, 127.1, 126.7, 120.5, 34.4. HRMS (CI): m/z calcd for $C_{21}H_{17}N_2O$ $[M + H]^+$, 313.1342; found, 313.1343.

*Methyl4-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)benzoate(**3f**).* White solid (108 mg, 74% yield). Mp: 173–175 °C. EtOAc/petroleum ether =1/10. ^1H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, J = 7.8 Hz,1H), 8.22 (d, J

= 7.5 Hz, 2H), 7.78 (s, 2H), 7.68 (d, *J* = 7.5 Hz, 2H), 7.54 (s, 1H), 3.98 (s, 3H), 3.49 (s, 3H). $^{13}C\{^{1}H\}$NMR (101 MHz, $CDCl_3$) δ 166.2, 162.5, 155.2, 147.0, 139.2, 134.5, 131.7, 130.2, 128.2, 127.5, 127.4, 126.8, 120.6, 52.4, 34.3. HRMS (CI): m/z calcd for $C_{17}H_{15}N_2O_3$ $[M + H]^+$, 295.1083; found, 295.1087.

*3-Methyl-2-(m-tolyl)quinazolin-4(3H)-one (**3g**).* White solid (98mg, 79% yield). Mp: 126–128 °C. EtOAc/petroleum ether =1/30. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.9 Hz, 1H), 7.75 (s, 2H), 7.54–7.46 (m, 1H), 7.39 (dd, *J* = 20.4, 13.2 Hz, 4H), 3.50 (s, 3H), 2.44 (s, 3H). $^{13}C\{^{1}H\}$NMR (101 MHz, $CDCl_3$) δ 162.7, 156.4, 147.3, 138.9, 135.3, 134.3, 130.8, 128.7, 128.5, 127.5, 126.9, 126.7, 125.0, 120.5, 34.3, 21.5. HRMS (CI): m/z calcd for $C_{16}H_{15}N_2O$ $[M + H]^+$, 251.1185; found, 251.1186.

*2-(4-Acetylphenyl)-3-methylquinazolin-4(3H)-one (**3h**).* White solid (114 mg, 82% yield). Mp: 218–220 °C. EtOAc/petroleum ether =1/5. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.8 Hz, 1H), 8.13 (d, *J* = 8.0 Hz, 2H), 7.76 (dd, *J* = 14.8, 7.7 Hz, 2H), 7.70 (d, *J* = 8.0 Hz, 2H), 7.54 (t, *J* = 7.1 Hz, 1H), 3.50 (s, 3H), 2.68 (s, 3H). $^{13}C\{^{1}H\}$NMR (101 MHz, $CDCl_3$) δ 196.7, 162.0, 154.6, 146.7, 139.0, 137.6, 134.0, 128.3, 128.0, 127.1, 126.9, 126.3, 120.2, 33.7, 26.3. HRMS (CI): m/z calcd for $C_{17}H_{15}N_2O_2$ $[M + H]^+$, 279.1134; found, 279.1141.

*3-Methyl-2-(4-(trifluoromethyl)phenyl)quinazolin-4(3H)-one(**3i**).*[26a] White solid (109 mg, 72% yield). Mp: 119−121 °C. EtOAc/petroleum ether

=1/30. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (d, J = 7.9 Hz, 1H), 7.69 (dt, J = 18.4, 7.9 Hz, 6H), 7.45 (t, J = 7.3 Hz, 1H), 3.41 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 161.9, 154.2, 146.6, 138.3, 134.0, 131.6 (d, J_{C-F} = 32.9 Hz), 128.2, 127.0 (d, J_{C-F} = 11.5 Hz), 126.3, 125.5 (d, J_{C-F} = 3.7 Hz), 124.5, 121.8, 120.1, 33.7. HRMS (CI): m/z calcd for $C_{16}H_{12}F_3N_2O$ [M + H]$^+$, 305.0902; found, 305.0911.

*2-(4-Bromophenyl)-3-methylquinazolin-4(3H)-one (**3j**).*[28b] White solid (68 mg, 43% yield). Mp: 165–166 °C. EtOAc/petroleum ether =1/75. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, J = 7.8 Hz, 1H), 7.81–7.64 (m, 4H), 7.56–7.44 (m, 3H), 3.52 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.6, 155.1, 147.1, 134.5, 134.1, 132.2, 129.8, 127.4, 127.3, 126.8, 124.7, 120.5, 34.3. HRMS (CI): m/z calcd for $C_{15}H_{12}BrN_2O$ [M + H]$^+$, 315.0134; found, 315.0132.

*4-(3-Methyl-4-oxo-3,4-dihydroquinazolin-2-yl)benzonitrile (**3k**).*[26b] White solid (87 mg, 67% yield). Mp: 216–218 °C. EtOAc/petroleum ether =1/5. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, J = 7.8 Hz, 1H), 7.92–7.68 (m, 6H), 7.55 (t, J = 7.3 Hz, 1H), 3.49 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.3, 154.1, 146.9, 139.4, 134.6, 132.7, 129.1, 127.7, 127.6, 126.8, 120.6, 117.9, 114.1, 34.2. HRMS (CI): m/z calcd for $C_{16}H_{12}N_3O$ [M + H]$^+$, 262.0981; found, 262.0985.

*3-Methyl-2-(4-(methylthio)phenyl)quinazolin-4(3H)-one (**3l**).* White solid (90 mg, 64% yield). Mp: 144–145 °C. EtOAc/petroleum ether =1/10. ^{1}H

NMR (400 MHz, $CDCl_3$) δ 8.32 (d, J = 7.9 Hz, 1H), 7.75 (s, 2H), 7.51 (d, J = 7.8 Hz, 3H), 7.37 (d, J = 8.0 Hz, 2H), 3.53 (s, 3H), 2.54 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.7, 155.8, 147.1, 141.9, 134.4, 131.4, 128.6, 127.3, 127.0, 126.7, 126.1, 120.4, 34.4, 15.3. HRMS (CI): m/z calcd for $C_{16}H_{15}N_2OS$ $[M + H]^+$, 283.0906; found, 283.0909.

*3-Methyl-2-(naphthalen-2-yl)quinazolin-4(3H)-one (**3m**)*. White solid (105 mg, 74% yield). Mp: 161–162 °C. EtOAc/petroleum ether =1/40. ^1H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, J = 7.9 Hz, 1H), 8.08 (s, 1H), 7.95 (t, J = 9.1 Hz, 1H), 7.89 (d, J = 6.9 Hz, 2H), 7.76 (s, 2H), 7.63–7.47 (m, 4H), 3.52 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.7, 156.3, 147.2, 134.4, 133.7, 132.9, 132.4, 128.8, 128.7, 128.3, 127.9, 127.6, 127.4, 127.14, 127.08, 126.8, 124.8, 120.6, 34.6. HRMS (CI): m/z calcd for $C_{19}H_{15}N_2O$ $[M + H]^+$, 287.1185; found, 287.1185.

*2-(Benzo[d][1,3]dioxol-5-yl)-3-methylquinazolin-4(3H)-one (**3n**)*. White solid (93 mg, 67% yield). Mp: 158–160 °C. EtOAc/petroleum ether =1/10. ^1H NMR (400 MHz, $CDCl_3$) δ 8.32 (d, J = 7.9 Hz, 1H), 7.75 (d, J = 5.5 Hz, 2H), 7.50 (t, J = 5.9 Hz, 1H), 7.12 – 7.01 (m, 2H), 6.94 (d, J = 7.9 Hz, 1H), 6.06 (s, 2H), 3.53 (s, 3H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.3, 155.2, 148.7, 147.5, 146.7, 133.9, 128.4, 126.9, 126.5, 126.2, 122.1, 119.9, 108.25, 108.19, 101.2, 33.9. HRMS (CI): m/z calcd for $C_{16}H_{13}N_2O_3$ $[M + H]^+$, 281.0927; found, 281.0932.

*2-(3-Methoxyphenyl)-3-methylquinazolin-4(3H)-one (**3o**).*[28b] White solid (104 mg, 78% yield). Mp: 110–111 °C. EtOAc/petroleum ether =1/40. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.8 Hz, 1H), 7.78–7.72 (m, 2H), 7.53–7.47 (m, 1H), 7.44 (d, *J* = 7.9 Hz, 1H), 7.14–7.04 (m, 3H), 3.88 (s, 3H), 3.51 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.7, 159.9, 155.9, 147.3, 136.5, 134.3, 130.1, 127.5, 127.0, 126.7, 120.6, 120.1, 115.9, 113.5, 55.5, 34.2. HRMS (CI): m/z calcd for $C_{16}H_{15}N_2O_2$ $[M + H]^+$, 267.1134; found, 267.1142.

*2-(3,4-Dichlorophenyl)-3-methylquinazolin-4(3H)-one (**3p**).* White solid (80 mg, 64% yield). Mp: 160–161 °C. EtOAc/petroleum ether =1/80. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.80–7.69 (m, 3H), 7.63 (d, *J* = 8.3 Hz, 1H),7.53 (dd, *J* = 10.9, 4.1 Hz, 1H), 7.44 (dd, *J* = 8.3, 2.0 Hz, 1H), 3.52 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.4, 153.7, 147.0, 135.0, 134.7, 134.5, 133.5, 130.9, 130.3, 127.52, 127.48, 127.3, 126.8, 120.6, 34.2. HRMS (CI): m/z calcd for $C_{15}H_{11}Cl_2N_2O$ $[M + H]^+$, 305.0249; found, 305.0251.

*3-methyl-2-(4-vinylphenyl)quinazolin-4(3H)-one (**3q**).* White solid (82 mg, 63% yield). Mp: 151–152 °C. EtOAc/petroleum ether =1/40. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.9 Hz, 1H), 7.79 (d, *J* = 3.4 Hz, 2H), 7.63–7.50 (m, 5H), 6.81 (dd, *J* = 17.6, 10.9 Hz, 1H), 5.89 (d, *J* = 17.6 Hz, 1H), 5.41 (d, *J* = 10.9 Hz, 1H), 3.55 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.7, 155.9, 147.2, 139.4, 135.9, 134.4, 134.3, 128.4, 127.4,

127.0, 126.7, 126.6, 120.5, 115.9, 34.3. HRMS (CI): m/z calcd for $C_{17}H_{15}N_2O$ [M + H] $^+$, 263.1185; found, 263.1193.

*3-Methyl-2-(naphthalen-1-yl)quinazolin-4(3H)-one (**3r**)* White solid (29 mg, 20% yield). Mp: 251–253 °C. EtOAc/petroleum ether =1/80. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 7.9 Hz, 1H), 8.00 (dd, *J* = 5.9, 2.6 Hz, 1H), 7.94 (d, *J* = 7.9 Hz, 1H), 7.78 (s, 2H), 7.60 (d, *J* = 5.8 Hz, 2H), 7.57–7.46 (m, 4H), 3.30 (s, 3H). ^{13}C{^{1}H}NMR (151 MHz, $CDCl_3$) δ 162.4, 155.4, 147.4, 134.4, 133.5, 132.7, 130.3, 130.2, 128.7, 128.0, 127.6, 127.2, 126.8, 126.7, 126.1, 125.4, 124.2, 120.8, 33.1. HRMS (CI): m/z calcd for $C_{19}H_{15}N_2O$ [M + H]$^+$, 287.1185; found, 287.1183.

*3-Ethyl-2-phenylquinazolin-4(3H)-one (**4a**).*[26a] White solid (121 mg, 97% yield). Mp: 155−156 °C. EtOAc/petroleum ether =1/50. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.8 Hz, 1H), 7.75 (d, *J* = 5.9 Hz, 2H), 7.53 (s, 6H), 4.05 (dd, *J* = 13.6, 6.7 Hz, 2H), 1.22 (t, *J* = 6.9 Hz, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.0, 156.2, 147.1, 135.5, 134.3, 129.8, 128.8, 127.7, 127.4, 127.0, 126.7, 121.0, 41.2, 14.1. HRMS (CI): m/z calcd for $C_{16}H_{15}N_2O$ [M + H]$^+$, 251.1185; found, 251.1188.

*3-Benzyl-2-phenylquinazolin-4(3H)-one (**4b**).*[26a] White solid (125 mg, 94% yield). Mp: 167–169 °C. EtOAc/petroleum ether =1/50. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.9 Hz, 1H), 7.78 (s, 2H), 7.59–7.50 (m, 1H), 7.48–7.30 (m, 5H), 7.24–7.13 (m, 3H), 6.93 (d, *J* = 3.4 Hz, 2H), 5.28 (s, 2H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.0, 155.9, 146.8,

136.1, 134.8, 134.1, 129.4, 128.12 , 128.05, 127.6, 127.1, 127.0, 126.7, 126.6, 126.5, 120.4, 48.3. HRMS (CI): m/z calcd for $C_{21}H_{17}N_2O$ [M + H]$^+$, 313.1342; found, 313.1345.

*2-Phenyl-3-(p-tolyl)quinazolin-4(3H)-one (**4c**).*[5a] White solid (115 mg, 74% yield). Mp: 177–179 °C. EtOAc/petroleum ether =1/40. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.8 Hz, 1H), 7.81 (s, 2H), 7.53 (t, *J* = 6.2 Hz, 1H), 7.35 (d, *J* = 7.0 Hz, 2H), 7.24 (t, *J* = 7.6 Hz, 3H), 7.11 (d, *J* = 7.7 Hz, 2H), 7.02 (d, *J* = 7.8 Hz, 2H), 2.30 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.4, 155.4, 147.5, 138.3, 135.6, 135.0, 134.7, 129.7, 129.2, 129.0, 128.8, 128.0, 127.7, 127.23, 127.20, 121.0, 21.2. HRMS (CI): m/z calcd for $C_{21}H_{17}N_2O$ [M + H]$^+$, 313.1342; found, 313.1344.

*2-Phenyl-3-(m-tolyl)quinazolin-4(3H)-one (**4d**).*[5a] White solid (110 mg, 71% yield). Mp: 155–157 °C. EtOAc/petroleum ether =1/30. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 7.4 Hz, 1H), 7.88 (s, 2H), 7.60 (s, 1H), 7.41 (d, *J* = 5.7 Hz, 2H), 7.26 (dd, *J* = 20.6, 7.4 Hz, 4H), 7.17–7.02 (m, 2H), 6.99 (d, *J* = 7.0 Hz, 1H), 2.34 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 161.9, 154.8, 147.0, 138.5, 137.0, 135.0, 134.2, 129.2, 128.8, 128.7, 128.5, 128.3, 127.5, 127.2, 126.8, 126.7, 125.6, 120.5, 20.7. HRMS (CI): m/z calcd for $C_{21}H_{17}N_2O$ [M + H]$^+$, 313.1342; found, 313.1344.

*3-Cyclopropyl-2-phenylquinazolin-4(3H)-one (**4e**).*[9a] White solid (77 mg, 59% yield). Mp: 112–114 °C. EtOAc/petroleum ether =1/20. ^{1}H NMR

(400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 7.5 Hz, 1H), 7.72 (dd, *J* = 8.4, 2.2 Hz, 4H), 7.50 (s, 4H), 3.14 (d, *J* = 3.2 Hz, 1H), 0.93 (d, *J* = 6.2 Hz, 2H), 0.50 (s, 2H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 164.0, 156.9, 147.1, 136.2, 134.3, 129.8, 128.4, 128.3, 127.4, 126.9, 126.5, 120.9, 30.3, 11.3. HRMS (CI): m/z calcd for $C_{17}H_{15}N_2O$ $[M + H]^+$, 263.1185; found, 263.1191.

3-(4-Fluorophenyl)-2-phenylquinazolin-4(3H)-one ***(4f)****.*[5a] White solid (137 mg, 87% yield). Mp: 148-150 °C. EtOAc/petroleum ether =1/30. ^1H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.7 Hz, 1H), 7.84 (s, 2H), 7.54 (d, *J* = 5.7 Hz, 1H), 7.30 (dd, *J* = 20.0, 8.5 Hz, 4H), 7.25 (s, 1H), 7.12 (d, *J* = 4.2 Hz, 2H), 7.01 (t, *J* = 8.2 Hz, 2H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.8, 161.0 (d, $J_{C\text{-}F}$ = 149.0 Hz), 154.6 , 146.8, 134.7, 134.4, 133.1, 130.4 (d, $J_{C\text{-}F}$ = 8.8 Hz), 129.0, 128.5, 127.7, 127.3, 127.0, 126.7, 120.3, 115.6 (d, $J_{C\text{-}F}$ = 23.0 Hz). HRMS (CI): m/z calcd for $C_{20}H_{14}FN_2O$ $[M + H]^+$, 317.1091; found, 317.1094.

3-(4-Chlorophenyl)-2-phenylquinazolin-4(3H)-one ***(4g)****.*[5a] White solid (142mg, 86% yield). Mp: 188–190 °C. EtOAc/petroleum ether =1/20. ^1H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.7 Hz, 1H), 7.81 (d, *J* = 2.5 Hz, 2H), 7.57–7.47 (m, 1H), 7.37–7.23 (m, 7H), 7.09 (d, *J* = 8.1 Hz, 2H). $^{13}C\{^1H\}$NMR (101 MHz, $CDCl_3$) δ 162.1, 154.8, 147.4, 136.2, 135.2, 134.9, 134.3, 130.5, 129.6, 129.2, 129.0, 128.2, 127.8, 127.4, 127.2, 120.8. HRMS (CI): m/z calcd for $C_{20}H_{14}ClN_2O$ $[M + H]^+$, 333.0795; found, 333.0794.

*3-(4-Bromophenyl)-2-phenylquinazolin-4(3H)-one (**4h**).*[5a] White solid (169 mg, 90% yield). Mp: 228–230 °C. EtOAc/petroleum ether =1/30. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, J = 7.7 Hz, 1H), 7.82 (d, J = 2.5 Hz, 2H), 7.58–7.50 (m, 1H), 7.45 (d, J = 8.2 Hz, 2H), 7.37–7.25 (m, 5H), 7.03 (d, J = 8.2 Hz, 2H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.1, 154.7, 147.4, 136.7, 135.1, 134.9, 132.2, 130.7, 129.6, 129.0, 128.2, 127.8, 127.5, 127.2, 122.5, 120.8. HRMS (CI): m/z calcd for $C_{20}H_{14}BrN_2O$ [M + H]$^+$, 377.0290; found, 377.0290.

*7-Fluoro-3-methyl-2-phenylquinazolin-4(3H)-one (**4i**).*[27a] White solid (77 mg, 61% yield). Mp: 127–130 °C. EtOAc/petroleum ether =1/70. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (dd, J = 8.5, 6.4 Hz, 1H), 7.54 (d, J = 4.6 Hz, 5H), 7.40–7.33 (m, 1H), 7.21 (dd, J = 12.0, 4.9 Hz, 1H), 3.49 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 166.5 (d, $J_{C\text{-}F}$ = 254.1 Hz), 162.0, 157.4, 149.3, 135.1, 130.3, 129.5 (d, $J_{C\text{-}F}$ = 10.6 Hz), 128.9, 127.9, 117.3, 115.9 (d, $J_{C\text{-}F}$ = 23.6 Hz), 112.7 (d, $J_{C\text{-}F}$ = 21.9 Hz), 34.3. HRMS (CI): m/z calcd for $C_{15}H_{12}FN_2O$ [M + H]$^+$, 255.0934; found, 255.0939.

*7-Chloro-3-methyl-2-phenylquinazolin-4(3H)-one (**4j**).*[26c] White solid (89 mg, 66% yield). Mp: 159–161 °C. EtOAc/petroleum ether =1/60. ^{1}H NMR (400 MHz,$CDCl_3$) δ 8.23 (d, J = 8.5 Hz, 1H), 7.71 (s, 1H), 7.53 (s, 5H), 7.43 (d, J = 8.4 Hz, 1H), 3.48 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.1, 157.4, 148.2, 140.5, 135.1, 130.3, 128.9, 128.2, 128.0,

127.6, 127.0, 119.0, 34.4. HRMS (CI): m/z calcd for $C_{15}H_{12}ClN_2O$ [M + H]$^+$, 271.0639; found, 271.0642.

*7-Methoxy-3-methyl-2-phenylquinazolin-4(3H)-one (**4k**).*[26a] White solid (114 mg, 86% yield). Mp: 177–178 °C. EtOAc/petroleum ether =1/10. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.8 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 5H), 7.08–6.96 (m, 2H), 3.83 (s, 3H), 3.41 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 164.6, 162.2, 156.9, 149.5, 135.5, 130.0, 128.9, 128.2, 127.9, 117.3, 114.1, 107.9, 55.7, 34.1. HRMS (CI): m/z calcd for $C_{16}H_{15}N_2O_2$ [M + H]$^+$, 267.1134; found, 267.1133.

*2,3-Diphenylquinazolin-4(3H)-one (**4l**).*[28a] White solid (114 mg, 76% yield). Mp: 158–159 °C. EtOAc/petroleum ether =1/40. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.8 Hz, 1H), 7.83 (s, 2H), 7.54 (s, 1H), 7.36–7.20 (m, 8H), 7.15 (d, *J* = 7.3 Hz, 2H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.3, 155.2, 147.5, 137.7, 135.5, 134.7, 129.3, 129.1, 129.0, 128.4, 128.0, 127.8, 127.3, 127.2, 121.0. HRMS (CI): m/z calcd for $C_{20}H_{15}N_2O$ [M + H]$^+$, 299.1185; found, 299.1190.

*3-Phenyl-2-(p-tolyl)quinazolin-4(3H)-one (**4m**).*[5a] White solid (117 mg, 75% yield). Mp: 174–176 °C. EtOAc/petroleum ether =1/20. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.7 Hz, 1H), 7.81 (s, 2H), 7.52 (s, 1H), 7.37–7.28 (m, 3H), 7.26–7.20 (m, 2H), 7.16 (d, *J* = 7.1 Hz, 2H), 7.01 (d, *J* = 7.5 Hz, 2H), 2.27 (s, 3H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 162.3, 155.4, 147.4, 139.6, 137.8, 134.7, 132.4, 129.1, 129.04, 128.99, 128.7,

128.4, 127.6, 127.21, 127.19, 120.8, 21.3. HRMS (CI): m/z calcd for $C_{21}H_{17}N_2O$ $[M + H]^+$, 313.1342; found, 313.1345.

*2-(4-Fluorophenyl)-3-phenylquinazolin-4(3H)-one (**4n**).*[5a] White solid (137 mg, 87% yield). Mp: 163−165 °C. EtOAc/petroleum ether =1/30. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, J = 7.3 Hz, 1H), 7.81 (s, 2H), 7.55 (s, 1H), 7.34 (d, J = 6.2 Hz, 5H), 7.15 (d, J = 5.6 Hz, 2H), 6.92 (d, J = 7.9 Hz, 2H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 164.0, 161.8 (d, $J_{C\text{-}F}$ = 49.4 Hz), 154.0, 147.2, 137.4, 134.6, 131.4 (d, $J_{C\text{-}F}$ = 3.5 Hz), 131.0 (d, $J_{C\text{-}F}$ = 8.6 Hz), 128.9 (d, $J_{C\text{-}F}$ = 6.7 Hz), 128.8, 128.4, 127.5, 127.2, 127.1, 120.8, 115.0 (d, $J_{C\text{-}F}$ = 22.0 Hz). HRMS (CI): m/z calcd for $C_{20}H_{14}FN_2O$ $[M + H]^+$, 317.1091; found, 317.1091.

*2-(4-Chlorophenyl)-3-phenylquinazolin-4(3H)-one (**4o**).*[5a] White solid (132 mg, 80% yield). Mp: 169−170 °C. EtOAc/petroleum ether =1/20. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, J = 7.8 Hz, 1H), 7.84 (dd, J = 4.2, 2.0 Hz, 2H), 7.56 (ddd, J = 8.2, 5.5, 2.9 Hz, 1H), 7.40–7.30 (m, 5H), 7.23–7.15 (m, 4H). ^{13}C{^{1}H}NMR (101 MHz, $CDCl_3$) δ 161.7, 153.6, 146.9, 137.0, 135.1, 134.4, 133.4, 130.0, 128.7, 128.6, 128.2, 127.8, 127.3, 127.0, 126.8, 120.5. HRMS (CI): m/z calcd for $C_{20}H_{14}ClN_2O$ $[M + H]^+$, 333.0795; found, 333.0792.

ASSOCIATED CONTENT

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NOTES

The authors declare no competing financial interest.

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Supporting Information

Supporting Information Figures giving ^{1}H, ^{13}C NMR spectra.

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