JOC The Journal of Organic Chemistry

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Copper-Catalyzed Synthesis of Substituted Quinolines via C−N Coupling/Condensation from *ortho*-Acylanilines and Alkenyl Iodides

Lingkai Kong, Yuanyuan Zhou, He Huang, Yang Yang, Yuanyuan Liu,* and Yanzhong Li*

Shanghai Key Laboratory of Green Chemistry and Chemical Processes, Department of Chemistry, East China Normal University, 500 Dongchuan Road, Shanghai 200241, China

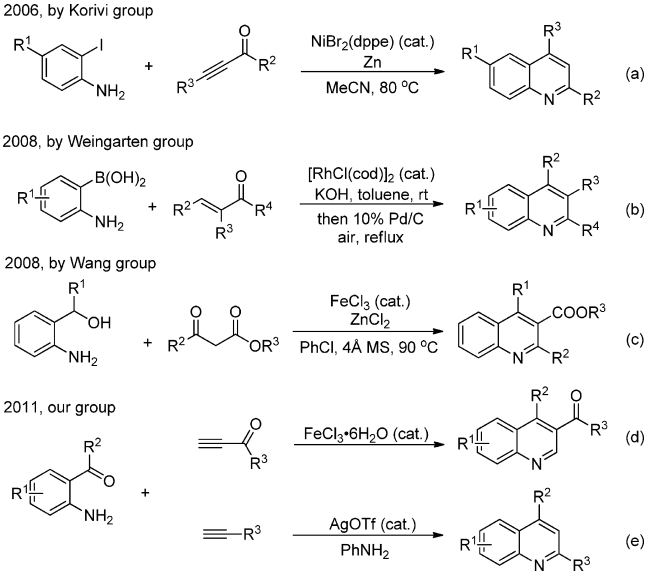
Supporting Information

ABSTRACT: An efficient cascade copper-catalyzed intermolecular Ullmann-type C−N coupling/enamine condensation reaction is described, in which *ortho*-acylanilines and alkenyl iodides converted to multisubstituted quinolines in good to excellent yields.

Quinolines are widely found in natural products[1] and broadly used in medicinal chemistry, particularly as antiviral, anticancer, antituberculosis, and antimalarial agents.[2] Furthermore, quinolines as building blocks were applied to prepare functional materials with enhanced physical properties.[3] The traditional methods for constructing quinolines include the Combes synthesis from anilines and 1,3-diketones, the Skraup synthesis from anilines and glycerins, and the Friedlander (Pfitzinger, Niementowski) synthesis from *ortho*-acylanilines and α-methylene aldehydes/ketones.[4] In recent years, new approaches based on transition-metal-catalyzed C−N/C−C bond formation attracted much attention due to mild reaction conditions and expanded substrate scope.[5] Among them, *ortho*-substituted anilines as important starting materials have a special position in the synthesis of quinolines. In 2006, a novel cascade reaction of nickel-catalyzed Michael addition/deiodination was developed by the Korivi group (Scheme 1, a).[5j] In 2008, Weingarten and co-workers reported a two-step method from *ortho*-amino arylboronic acids via rhodium-catalyzed conjugate addition and direct palladium-catalyzed borylation (Scheme 1, b).[5g] In the same year, a useful cascade synthesis of substituted 3-quinolinecarboxylic esters via iron-catalyzed benzylation/cyclization was achieved by the Wang group (Scheme 1, c).[5h] During the course of our ongoing study on the development of transition-metal-mediated heterocycle-forming protocols,[6] we have reported two methods for yielding 2,4- and 3,4-substituted quinolines from *ortho*-acylanilines and alkynones or alkynes catalyzed by Fe or Ag, respectively (Scheme 1, d,e).[7]

Regarding the economy and easy-handle-system of copper-catalyzed C−N coupling, important breakthroughs with the discovery of versatile and very efficient new copper/ligand systems have led to a spectacular resurgence of interest in Ullmann-type reactions in the past decade.[8] More recently, ligand-assisted copper-catalyzed modern versions of the Ullmann−Goldberg reactions between vinyl halides and amides have been developed.[9] However, few reports focused on N-vinylation of amines, especially in which *ortho*-substituted anilines as the substrate only gave moderate yield.[10] The

Scheme 1. Metal-Catalyzed Synthesis of Quinolines from *ortho*-Substituted Anilines

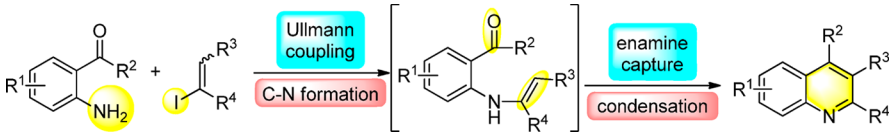


difficulties might be caused by the bulky groups around the reactive site and unstable enamine products. We envisioned that if anilines bearing an *ortho*-substituted electrophilic group were employed, it might capture the reactive enamine formed through the C−N coupling reaction to undergo further intramolecular cyclization (Scheme 2). Herein, we reported a cascade copper-catalyzed intermolecular Ullmann-type C−N coupling/enamine condensation reaction for the synthesis of substituted quinolines starting from *ortho*-acylanilines and alkenyl iodides. This is the first report of Ullmann coupling reactions using sterically hindered aniline derivatives and vinyl

Received: November 18, 2014
Published: December 15, 2014

DOI: 10.1021/jo502630t
J. Org. Chem. 2015, 80, 1275−1278

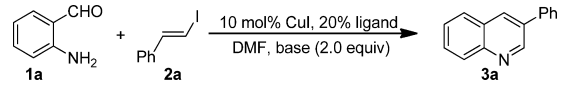
Scheme 2. Synthesis of Quinolines *via* C−N Coupling/Condensation from *ortho*-Acylanilines



halides as substrates to prepare quinoline derivatives, to the best of our knowledge.

The reaction of 2-aminobenzaldehyde (**1a**) with 2 equiv of (*E*)-1-(2-iodovinyl)benzene (**2a**) was screened to optimize reaction conditions, and the results are summarized in Table 1.

Table 1. Optimization of Reaction Conditions[a]



1a 2a 3a

entry	ligand	base	temperature (°C)	time (h)	yield (%)[b]
1	DMEDA	K_2CO_3	130	6	72
2	TMEDA	K_2CO_3	130	6	67
3	DMCHDA	K_2CO_3	130	6	89
4	1,10-phenanthroline	K_2CO_3	130	6	75
5	2,2′-dipyridyl	K_2CO_3	130	8	35
6	DL-proline	K_2CO_3	130	6	62
7	glycine	K_2CO_3	130	6	91
8	glycine	K_2CO_3	130	10	95
9	glycine	K_2CO_3	100	20	62
10[c]	glycine	K_2CO_3	130	10	36
11	glycine	^tBuOK	130	16	35
12	glycine	Na_2CO_3	130	10	43

[a]Unless otherwise noted, all reactions were carried out under N_2 atmosphere in 0.5 mmol scale with the ratio of **1a**/**2a** = 1:2. [b]Isolated yield. [c]The reaction was carried out under air.

Initially, our investigation began with an attempt of **1a**, using 10 mol % of CuI as catalyst, 20 mol % of *N*,*N*′-dimethylethylenediamine (DMEDA) as ligand, and 2.0 equiv of K_2CO_3 as base in dimethylformamide (DMF) at 130 °C under N_2 atmosphere (entry 1). The desired quinoline derivative **3a** could be isolated in 72% yield within 6 h. This result encouraged us to examine various common ligands, such as *N*,*N*,*N*′,*N*′-tetramethylethylenediamine (TMEDA), *N*,*N*′-dimethyl-1,2-cyclohexanediamine (DMCHDA), 1,10-phenanthroline, 2,2′-dipyridyl, DL-proline, and glycine, and the target product **3a** was obtained in 35−91% yields (entries 2−7). To our delight, the glycine which is commercially available has the highest activity for this reaction (91% yield, entry 7). Then, the reaction conditions were further investigated, and the results showed that 10 h reaction time span, 130 °C reaction temperature, and nitrogen atmosphere were essential for this catalytic system (entries 7−10). The excellent yield (95%) of **3a** could be achieved under these reaction conditions, with only 36% yield under ambient air (entry 8 vs 10). Finally, the effect of base was evaluated, and further studies showed that other bases such as Na_2CO_3 and ^tBuOK were less effective, affording 43 and 35% yields, respectively (entries 11 and 12).

With the standard reaction conditions (Table 1, entry 8), the substrate scope of the reaction by employing a variety of *ortho*-acylanilines **1** and alkenyl iodides **2** was explored. First, the screening of different structures of alkenyl iodides **2** with 2-aminobenzaldehyde **1a** is summarized in Table 2, entries 1−7. For aryl alkenyl iodides **2a**−**2d**, the substrates with electron-donating substituents on the benzene ring offered higher yields (88 and 92%) compared with those with electron-withdrawing substituents on the benzene ring (69%) (entries 2 and 3 vs 4). For alkyl alkenyl iodides **2e** and **2f**, the reaction also proceeded well, and **3e** and **3f** were obtained in 72 and 78% yields, respectively (entries 5 and 6). Remarkably, when the temperature was increased to 160 °C in DMA, the heterocyclic iodides **2g** gave moderate yield (57%) of desired product **3g** (entry 7). Second, the different substituted *ortho*-acylanilines **1b**−**1e** were examined in this catalytic system, resulting in 78−93% yields of the desired quinolines (entries 8−11). Furthermore, 3,4-substituted quinolines **3j** and **3k** could be

Table 2. Synthesis of Various Quinoline 3[a]

entry	substrate	vinyliodo	product	time (h)	yield (%)[b]
1	**1a**	**2a**	**3a**	10	95
2	**1a**	**2b**	**3b**	10	88
3	**1a**	**2c**	**3c**	15	92
4	**1a**	**2d**	**3d**	20	69
5	**1a**	**2e**	**3e**	25	78
6	**1a**	**2f**	**3f**	25	72
7[c]	**1a**	**2g**	**3g**	20	57
8	**1b**	**2a**	**3h**	20	78
9	**1c**	**2a**	**3i**	15	84
10	**1d**	**2a**	**3j**	12	83
11	**1e**	**2a**	**3k**	15	93

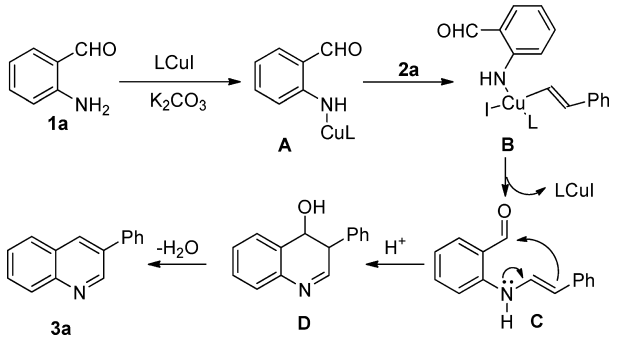
[a]Unless otherwise noted, all reactions were carried out under N_2 atmosphere in 0.5 mmol scale with the ratio of **1a**/**2a** = 1:2. [b]Isolated yield. [c]The reaction was carried out at 160 °C in DMA.

DOI: 10.1021/jo502630t
J. Org. Chem. 2015, 80, 1275−1278

smoothly accessed under the exact same conditions using *ortho*-aminophenyl ketones **1d** and **1e** as substrates in high yields (83 and 93%), of which the synthetic methods are not very sufficient (entries 10 and 11).[5−7]

On the basis of the reported work,[11] a plausible mechanism is proposed with model substrates **1a** and **2a** as outlined in Scheme 3. The process began with the ligand exchange with 2-

Scheme 3. Proposed Mechanism



aminobenzaldehyde **1a**, affording copper-coordinated intermediate **A** in the presence of K_2CO_3. Then, alkenyl iodide **2a** reacts with intermediate **A** through oxidative addition, furnishing the intermediate **C** after reductive elimination. Intramolecular cyclization of the β-carbon of enamine **C** to acyl group forms intermediate **D**, which further undergoes aromatization to give the desired quinoline **3a**.

In conclusion, we have developed an efficient procedure of the cascade copper-catalyzed C−N coupling/cyclization reaction to construct various substituted quinoline derivatives. This catalytic system, in which sterically hindered anilines and vinyl iodides were used in Ullmann coupling reactions, gave a variety of 3- or 3,4-substituted quinolines in good to excellent yields.

EXPERIMENTAL SECTION

High-resolution mass spectra were performed on a mass spectrometer with a TOF (for EI or ESI) or FT-ICR (for MALDI) analyzer.

Typical Procedure. To a solution of *ortho*-acylaniline **1** (0.5 mmol) in DMF (2.0 mL) were added alkenyl iodide **2** (1.0 mmol), copper(I) iodide (9.5 mg, 0.05 mmol), glycine (7.5 mg, 0.1 mmol), and K_2CO_3 (138.2 mg, 1.0 mmol) at room temperature. Then, the mixture was slowly warmed to 130 °C and stirred for a corresponding time. After that, the mixture was quenched with deionized water, extracted with ethyl acetate, washed with brine, and dried over anhydrous Na_2SO_4. The solvent was evaporated, and the residue was purified by chromatography on silica gel (petroleum ether/ethyl acetate = 10/1) to afford product **3**.

*3-Phenylquinoline (**3a**):*[12] Yellow oil, 95% yield (98 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42−7.59 (m, 4H), 7.70−7.74 (m, 3H), 7.87 (d, J = 7.6 Hz, 1H), 8.15 (d, J = 8.4 Hz, 1H), 8.29 (d, J = 2.0 Hz, 1H), 9.20 (d, J = 1.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 127.0, 127.4, 128.0, 128.1 (2C), 129.2, 129.2, 129.4, 133.2, 133.8, 137.9, 147.4, 150.0.

*3-(p-Tolyl)quinoline (**3b**):*[13] Light yellow solid, 88% yield (96 mg), mp 81−82 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.44 (s, 3H), 7.34 (d, J = 7.6 Hz, 2H), 7.57 (t, J = 7.6 Hz, 1H), 7.62 (d, J = 8.0 Hz, 2H), 7.71 (t, J = 7.2 Hz, 1H), 7.87 (d, J = 8.0 Hz, 1H), 8.13 (d, J = 8.4 Hz, 1H), 8.28 (d, J = 2.0 Hz, 1H), 9.18 (d, J = 2.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.1, 127.0, 127.3, 128.0, 128.1, 129.3 (2C), 130.0, 132.9, 133.8, 135.0, 138.1, 147.3, 150.0.

*3-(4-Methoxyphenyl)quinoline (**3c**):*[12] Light yellow solid, 92% yield (108 mg), mp 80−81 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.85 (s, 3H), 7.01−7.06 (m, 2H), 7.53−7.56 (m, 1H), 7.61−7.70 (m, 3H), 7.82 (t, J = 7.2 Hz, 1H), 8.12 (d, J = 8.4 Hz, 1H), 8.20 (d, J = 5.6 Hz, 1H), 9.15 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.3, 114.6, 126.9, 127.8, 128.1, 128.5, 129.0, 129.2, 130.2, 132.3, 133.4, 147.0, 149.8, 159.8.

*3-(4-Chlorophenyl)quinoline (**3d**):*[13] Light yellow solid, 69% yield (82 mg), mp 149−150 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ7.45 (d, J = 8.0 Hz, 2H), 7.53−7.60 (m, 3H), 7.71 (t, J = 8.0 Hz, 1H), 7.83 (d, J = 8.0 Hz, 1H), 8.13 (d, J = 8.6 Hz, 1H), 8.21 (s, 1H), 9.11 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 127.1, 127.8, 128.0, 128.6, 129.2, 129.3, 129.6, 132.5, 133.1, 134.3, 136.2, 147.4, 149.4.

*3-Butylquinoline (**3e**):*[14] Light yellow oil, 78% yield (72 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 0.93 (t, J = 7.6 Hz, 3H), 1.34−1.40 (m, 2H), 1.62−1.68 (m, 2H), 2.74 (t, J = 7.6 Hz, 2H), 7.47 (t, J = 7.2 Hz, 1H), 7.61 (t, J = 7.2 Hz, 1H), 7.71 (d, J = 8.0 Hz, 1H), 7.85 (s, 1H), 8.06 (d, J = 8.6 Hz, 1H), 8.76 (d, J = 1.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 13.7, 22.0, 32.7, 33.0, 126.4, 127.2, 128.1, 128.4, 129.1, 134.0, 135.3, 146.7, 152.1.

*2,3-Dipropylquinoline (**3f**):* Light yellow oil, 72% yield (76 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 0.98−1.09 (m, 6H), 1.66−1.76 (m, 2H), 1.79−1.89 (m, 2H), 2.75 (t, J = 8.0 Hz, 2H), 2.95 (t, J = 8.0 Hz, 2H), 7.42 (t, J = 7.2 Hz, 1H), 7.59 (t, J = 7.8 Hz, 1H), 7.69 (d, J = 8.0 Hz, 1H), 7.81(s, 1H), 8.02 (d, J = 8.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 13.9, 14.2, 22.8, 23.4, 34.3, 37.7, 125.5, 126.9, 127.2, 128.3, 128.5, 133.9, 134.8, 146.5, 162.1; HRMS (EI) for $C_{15}H_{19}N$ [M^+] calcd 213.1517, found 213.1521.

*Thieno[2,3-b]quinoline (**3g**):*[15] Light yellow oil, 57% yield (52 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, J = 6.0 Hz, 1H), 7.52−7.58 (m, 2H), 7.72−7.77 (m, 1H), 7.95 (d, J = 8.0 Hz, 1H), 8.15−8.18 (m, 1H), 8.52 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 121.2, 125.5, 125.6, 128.3, 128.4, 128.5, 129.3, 130.1, 131.5, 146.7, 163.4.

*6-Methoxy-3-phenylquinoline (**3h**):*[13] Light yellow solid, 78% yield (92 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.96 (s, 3H), 7.14 (d, J = 2.4 Hz, 1H), 7.38 (dd, J = 2.4, 9.2 Hz, 1H), 7.44 (t, J = 7.6 Hz, 1H), 7.53 (t, J = 7.6 Hz, 2H), 7.71 (d, J = 7.6 Hz, 2H), 8.03 (d, J = 9.2 Hz, 1H), 8.21 (s, 1 H), 9.03 (d, J = 1.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.5, 105.3, 122.3, 127.5, 128.1, 129.2, 129.2, 130.7, 132.2, 134.2, 138.1, 143.6, 147.5, 158.2.

*6-Bromo-3-phenylquinoline (**3i**):*[13] Light yellow solid, 84% yield (119 mg), mp 114−115 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (t, J = 7.6 Hz, 1H), 7.53 (t, J = 8.0 Hz, 2H), 7.68−7.70 (m, 2H), 7.70 (dd, J = 2.0, 8.8 Hz, 1H), 7.98−8.02 (m, 2H), 8.18 (d, J = 2.4 Hz, 1H), 9.17 (d, J = 2.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 120.9, 127.5, 128.5, 129.2, 129.3, 130.0, 131.0, 132.1, 132.8, 134.7, 137.4, 145.9, 150.4.

*4-Methyl-3-phenylquinoline (**3j**):* Light yellow solid, 83% yield (90 mg), mp 58−61 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.50 (s, 3H), 7.25−7.38 (m, 5H), 7.46 (t, J = 7.6 Hz, 1H), 7.58 (t, J = 7.6 Hz, 1H), 7.93 (d, J = 8.4 Hz, 1H), 8.03 (d, J = 8.4 Hz, 1H), 8.70 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 15.4, 124.1, 126.6, 127.5, 127.9, 128.4, 128.8, 129.9, 129.9, 134.3, 138.5, 140.5, 146.9, 151.5; HRMS (ESI) for $C_{16}H_{14}N$ [$M + H^+$] calcd 220.1126, found 220.1123.

*3,4-Diphenylquinoline (**3k**):*[16] Light yellow solid, 93% yield (130 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.17−7.24 (m, 7H), 7.33−7.35 (m, 3H), 7.47 (t, J = 7.6 Hz, 1H), 7.69−7.73 (m, 2H), 8.20 (d, J = 8.0 Hz, 1H), 9.01 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 126.6, 126.9, 127.1, 127.2, 127.7, 128.1, 128.2, 129.1, 129.5, 130.2, 130.5, 133.1, 136.3 138.1, 145.5, 147.6 151.9.

ASSOCIATED CONTENT

Supporting Information

NMR spectra for all products. This material is available free of charge via the Internet at http://pubs.acs.org.

AUTHOR INFORMATION

Corresponding Authors

*E-mail: yyliu@chem.ecnu.edu.cn.
*E-mail: yzli@chem.ecnu.edu.cn.

DOI: 10.1021/jo502630t
J. Org. Chem. 2015, 80, 1275−1278

Notes

The authors declare no competing financial interest.

■ ACKNOWLEDGMENTS

We thank the National Natural Science Foundation of China (Grant No. 21272074) and Program for Changjiang Scholars and Innovative Research Team in University (PCSIRT) for financial support.

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DOI: 10.1021/jo502630t
J. Org. Chem. 2015, 80, 1275−1278