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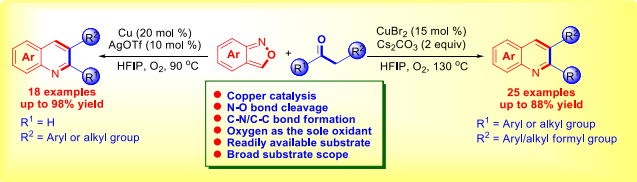
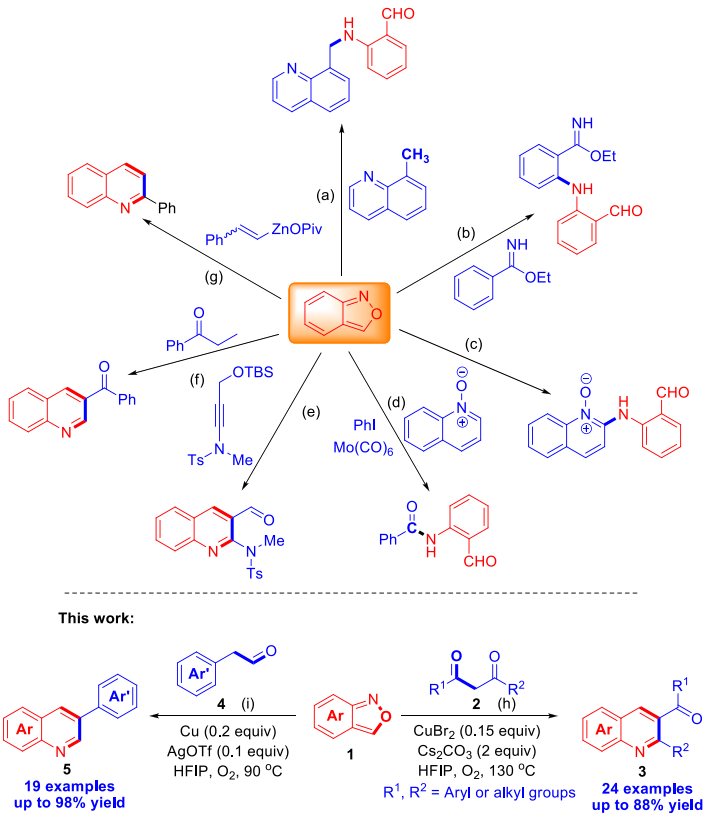


ABSTRACT: A copper-catalyzed protocol for the construction of various 2-aryl(alkyl)-3-acylquinolines or 3-arylquinolines using readily available anthranils and 1,3-diketones or aldehydes as starting materials is reported herein. Dioxygen as the sole oxidant and HFIP as the solvent play an important role in both procedures. This ring-opening/reconstruction strategy involving N–O bond cleavage and C–N/C–C bond formation, features high yields and broad substrate scope.

INTRODUCTION

Quinolines and their derivatives are frequently found in natural products,[1] pharmaceuticals,[2] useful ligands[3] and materials[4] due to their valuable biological and chemical properties. Traditional protocols for their construction, such as Friedländer synthesis[5] and Doebner-von Miller synthesis,[6] could date back to a long time ago. So far, considerable efforts have been devoted to the development of synthetic strategies for the rapid construction of structurally diverse and complex quinolines through various transition-metal catalyzed[7] and transition-metal-free reactions,[8] and so on.[9] Among various quinoline derivatives, 2-arylquinoline scaffolds are associated with a broad range of biological properties, such as antimalarial and antitumor activities.[10-13] Recently, the preparation of 2-aryl-3-acylquinoline derivatives has gained special attention. For example, the Friedländer reaction allows for the efficient synthesis of these heterocycles in the presence of base, normally at high reaction temperature.[5a,14] Besides, sulfonic acid-functionalized ionic liquids, *o*-benzenedisulfonimide and Lewis acids were also employed in such transformations.[15] Recently reported methods for the preparation of 2-aryl-3-acylquinolines include: (i) Intermolecular tandem C–C and C–N bond formation reactions;[16] (ii) Modified Friedländer reaction involving SNAr/reduction/annulation cascade;[17] (iii) Enaminone modified Povarov reaction;[18] (iv) Double C–H functionalization of quinolines with disubstituted electron-deficient acetylenes,[19] (v) Double C(sp^3)-H bond functionalization of saturated ketones,[20] and so on.[21] Despite these advances, many of these procedures often suffered from strong acidic conditions,[22] highly explosive,[17] low yields,[18,19] and expensive reagents.[20] Therefore, the development of more efficient alternatives are highly desirable.

Recently, anthranil as a bifunctional amination reagent has attracted significant attention[23] due to the fact that it is sufficiently coordinating[24] and the N–O bond is polarized and cleavable (Scheme 1, eq a-d).[25] In the meanwhile, transition-metal catalyzed protocols have been developed for the synthesis of quinolines based on the strategy of ring-opening/reconstruction of anthranils with various unsaturated substrates. For example, Hashmi and Xie *et al.* reported a gold-catalyzed protocol for the synthesis of 2-aminoquinolines using anthranils and propargyl silyl ethers as starting materials (Scheme 1, eq e).[26] Later on, copper[21] and cobalt salts[27] proved to be efficient catalysts for the preparation of quinoline derivatives through the reaction of anthranils with saturated ketones or organozinc pivalates (Scheme 1, eq f and eq g). We reasoned that the N–O bond in anthranils would be cleaved and subsequently oxo-compounds (including 1,3-diketones or arylacetaldehydes) could insert into the formed intermediate to generate quinoline derivatives. Herein, we report copper-catalyzed synthesis of 2-aryl(alkyl)-3-acylquinolines and 3-arylquinolines starting from anthranils and oxo-compounds (Scheme 1, eq h and eq i).

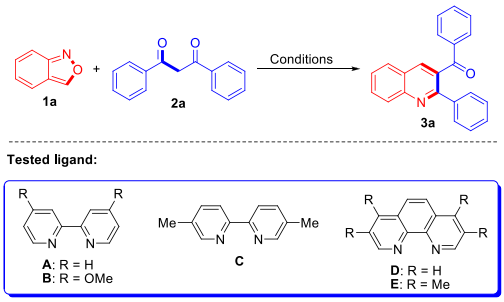


Scheme 1. Representative examples on the functionalization of anthranils and their application for the synthesis of quinoline derivatives.

RESULTS AND DISCUSSION

The initial optimization of the reaction conditions were conducted with anthranil **1a** and 1,3-diketone **2a** as substrates (Table 1). Using **1a** and **2a** at a 1:2 ratio (on a 0.3 mmol scale), $Cu(OAc)_2$ (20 mol %) as catalyst, and DCE as solvent, the desired product **3a** was isolated in 10% yield after stirring at 130 °C for 24 h (Table 1, entry 1). Then various solvents were screened and HFIP proved to be the best solvent for the reaction with 60% yield of product **3a** (Table 1, entries 2-7). Decreasing the catalyst loading led to a slightly lower yield (Table 1, entry 8). When the reaction was performed using 5 mol % $Cu(OAc)_2$ at lower temperatures, the yield dropped to 17% and 8%, respectively (Table 1, entry 9). Lower yields were also observed when the reaction was carried out under argon or air (Table 1, entry 10). The screening of copper salts showed that $CuBr_2$ was the best catalyst for this transformation (Table 1, entries 11-14). It was shown that the catalyst loading could be decreased to 15 mol % without any loss of reaction efficiency (Table 1, entry 15). Several nitrogen-containing bidentate ligands were screened for the reaction and the results revealed that the ligand **C** proved to be most effective for the reaction, affording the desired product **3a** in 82% yield (Table 1, entries 16-21). The yield was increased to 85% when the reaction time was prolonged to 24 h in the absence of ligand (Table 1, entry 22). However, only trace amounts product **3a** was obtained in the absence of catalyst (Table 1, entry 23).

Table 1. Optimization of reaction conditions for the copper-catalyzed synthesis of 2-phenyl-3-benzoylquinoline (**3a**).[a]



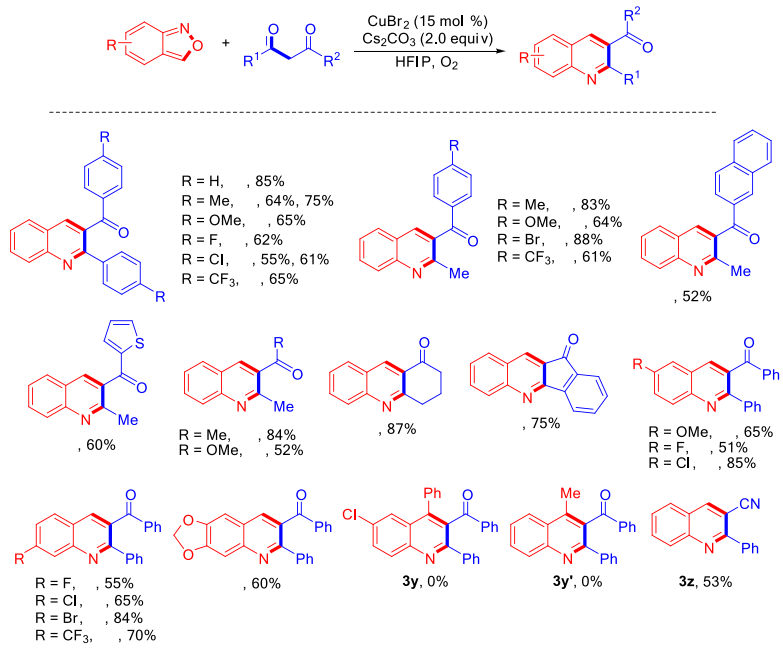
Entry	Catalyst	Ligand	Solvent	Yield[b] (%)
1	$Cu(OAc)_2$	/	DCE	10
2	$Cu(OAc)_2$	/	DMF	8
3	$Cu(OAc)_2$	/	DMSO	7
4	$Cu(OAc)_2$	/	MeCN	16
5	$Cu(OAc)_2$	/	toluene	15
6	$Cu(OAc)_2$	/	dioxane	12
7	$Cu(OAc)_2$	/	HFIP	60
8	$Cu(OAc)_2$	/	HFIP	51[c]
9	$Cu(OAc)_2$	/	HFIP	17[c,d],8[c,e]
10	$Cu(OAc)_2$	/	HFIP	40[c,f],33[c,g]
11	CuO	/	HFIP	48
12	$CuCl_2$	/	HFIP	69
13	$CuBr_2$	/	HFIP	72
14	CuBr	/	HFIP	52
15	$CuBr_2$	/	HFIP	72[h],59[i]
16	$CuBr_2$	**A**	HFIP	69[h]
17	$CuBr_2$	**B**	HFIP	69[h]
18	$CuBr_2$	**C**	HFIP	82[h]
19	$CuBr_2$	**D**	HFIP	76[h]
20	$CuBr_2$	**E**	HFIP	63[h]
21	$CuBr_2$	PPh_3	HFIP	56[h]
22	**$CuBr_2$**	**/**	**HFIP**	**85[h,j]**
23	/	/	HFIP	trace[h,j]

[a]Reaction conditions: **1a** (0.3 mmol), **2a** (0.6 mmol), catalyst (20 mol %), ligand (15 mol %), Cs_2CO_3 (2.0 equiv), solvent (2 mL), 130 °C, under dioxygen, 20 h. [b]After column chromatograph. [c]With 5 mol % catalyst. [d]110 °C. [e]90 °C. [f]Under argon. [g]Under air. [h]With 15 mol % catalyst. [i]With 10 mol % catalyst. [j]24 h. HFIP: Hexafluoroisopropanol.

With the optimized reaction conditions in hand (Table 1, entry 22), the scope of the reactions of various anthranils **1** with a variety of 1,3-diketones was investigated (scheme 2). Substrates bearing various electron-donating or electron-withdrawing substituents at the *para*-position on the benzene ring were applied to the reaction to synthesize a series of 2-aryl-3-aroylquinolines. For substrates bearing electron-donating substituents -Me and -OMe, the reaction provided the corresponding products **3b** and **3c** in 64% and 65% yields, respectively. It was noteworthy that the yield of **3b** was increased to 75% when 15 mol % ligand **C** was added to the catalytic system. With electron-withdrawing substituents -F, -Cl, and $-CF_3$, the reaction provided the corresponding products **3d**, **3e** and **3f** in acceptable yields (62%, 55% and 65%, respectively). It was also noteworthy that the yield of **3e** was increased to 61% when 15 mol % ligand **C** was added to the catalytic system. To further

investigate the scope of this reaction, unsymmetrical 1,3-diketones containing an aryl group and a methyl group were also applied. With electron-donating substituents -Me and -OMe or electron-withdrawing -Br and -CF_3 at the *para*-position of benzene, all the reactions proceeded well, affording products **3g-3j** in yields varying from 61% to 88%. Besides, the reaction of the substrate bearing a naphthyl group with anthranil **1a** was successful, yielding product **3k** in 52% yield. A substrate containing a heterocycle could also be employed in the reaction, giving product **3l** in 60% yield. Furthermore, 1,3-diketones containing two alkyl groups were used as substrates, giving products **3m** and **3n** in 84% and 52% yields, respectively. Importantly, this process was also effective for the cyclic 1,3-diketones, affording products **3o** and **3p** in 87% and 75% yields, respectively.

In order to further explore the scope of the reaction, a series of anthranils **1** bearing various substituents were reacted with compound **2a** (scheme 2). The reactions of various anthranils bearing electron-donating substituent (-OMe) or electron-withdrawing substituents (-F, -Cl, -Br, -CF_3) with compound **2a** exhibited good efficiency, producing the desired products **3q-3w** in yields varying from 51% to 85%. Furthermore, electron-donating substrate [1,3]dioxolo[4,5-*f*]-2,1-benzisoxazole was also employed in the reaction, providing the desired product **3x** in an acceptable yield of 60%. C3-Aryl/alkyl substituted anthranils were investigated in the reaction, but the corresponding products **3y** and **3y'** were not obtained. To our delight, *β*-cyano ketone was successfully employed in the reaction, affording product **3z** in 53% yield.

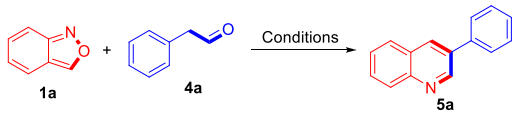


Scheme 2. Reaction conditions: **1a** (0.3 mmol), **2** (0.6 mmol), $CuBr_2$ (15 mol %), HFIP (2 mL), 130 °C, under dioxygen, 24 h. [a]15 mol % ligand **C** was added.

Inspired by the results on the synthesis of 2-phenyl-3-benzoylquinoline, another oxo-compound arylacetaldehyde **4a** was also introduced to the catalytic system for the transformation of anthranil **1a**. The initial optimization of the reaction conditions was conducted using anthranil **1a** and phenylacetaldehyde **4a** as substrates (Table 2). Using **1a** and **4a** at a 1:2 ratio (on a 0.3 mmol scale), with $Cu(OAc)_2$ (15 mol %) as catalyst, and DCE as solvent, product **5a** was obtained in 32% yield when the reaction was stirred for 24 h at 110 °C (Table 2, entry 1). No product was obtained when the reaction was performed in the absence of a copper catalyst (Table 2, entry 2). Silver salts were tried to add to the reaction and the results showed that AgOTf could slightly promote the reaction efficiency (Table 2, entries 3 and 4). Then various solvents were screened and HFIP was also proved to be the best solvent for this reaction with 40% yield of product **5a** (Table 1, entries 5-10). Subsequently, various copper catalysts were further screened and the results showed that copper powder was the best catalyst for this transformation (Table 2, entries 11-16). Increasing the loading of catalyst led to a higher yield, affording product **5a** in 83% yield (Table 2, entries 17 and 18). Further investigations showed that a lower reaction temperature (90 °C) was more effective for the reaction, affording product **5a**

in an excellent yield of 90% (Table 1, entries 19 and 20). The yield decreased to 60% when the reaction was performed under argon (Table 1, entry 21). It was noteworthy that the catalytic efficiency dropped dramatically when AgOTf was omitted in the reaction, affording product **5a** in only 15% yield (Table 2, entry 22).

Table 2. Optimization of reaction conditions for the copper-catalyzed synthesis of 3-phenylquinoline (**5a**).[a]



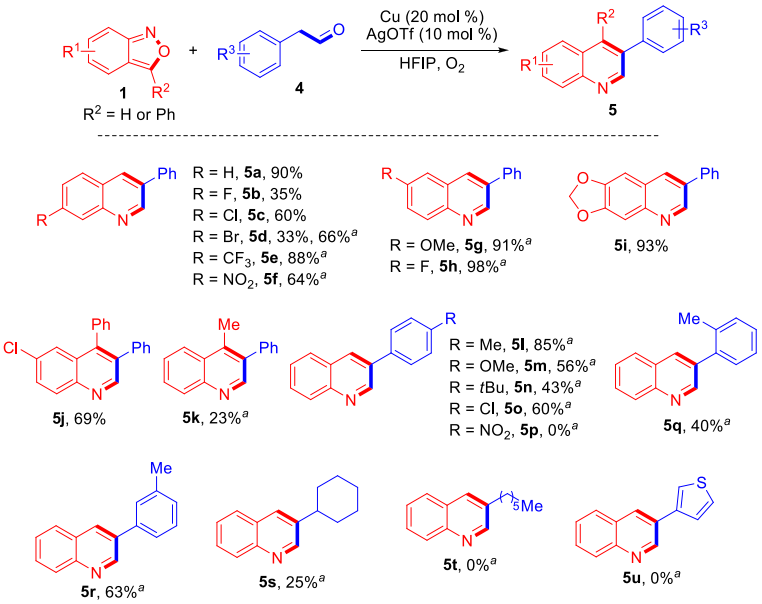
Entry	Catalyst	Additive	Solvent	Yield[b] (%)
1	$Cu(OAc)_2$	/	DCE	32
2	/	/	DCE	0
3	$Cu(OAc)_2$	$AgSbF_6$	DCE	15
4	$Cu(OAc)_2$	AgOTf	DCE	35
5	$Cu(OAc)_2$	AgOTf	DMSO	5
6	$Cu(OAc)_2$	AgOTf	DMF	4
7	$Cu(OAc)_2$	AgOTf	MeCN	trace
8	$Cu(OAc)_2$	AgOTf	EtOH	27
9	$Cu(OAc)_2$	AgOTf	HFIP	40
10	$Cu(OAc)_2$	AgOTf	toluene	0
11	$CuBr_2$	AgOTf	HFIP	5
12	Cu	AgOTf	HFIP	77
13	CuO	AgOTf	HFIP	13
14	Cu_2O	AgOTf	HFIP	74
15	$CuCl_2H_2O$	AgOTf	HFIP	3
16	$Cu(OTf)_2$	AgOTf	HFIP	55
17	Cu	AgOTf	HFIP	76[c]
18	Cu	AgOTf	HFIP	83[d]
19	**Cu**	**AgOTf**	**HFIP**	**90[d,e]**
20	Cu	AgOTf	HFIP	17[d,f]
21	Cu	AgOTf	HFIP	60[d,e,g]
22	Cu	/	HFIP	15[d,e]

[a]Reaction conditions: **1a** (0.3 mmol), **2a** (0.6 mmol), catalyst (15 mol %), additive (10 mol %), solvent (2 mL), 110 °C, under dioxygen, 20 h. [b]After column chromatograph. [c]With 10 mol % catalyst. [d]With 20 mol % catalyst. [e]90 °C. [f]70 °C. [g]Under argon.

With the optimized reaction conditions in hand (Table 2, entry 19), the reactions of various anthranils **1** with a series of arylacetaldehydes **4** was performed under the optimized reaction conditions (scheme 3). At first, substrates bearing various electron-withdrawing substituents, such as -F, -Cl, -Br, $-CF_3$ and $-NO_2$, reacted well with compound **4a**, affording the corresponding products **5b-5f** in yields varying from 35% to 98%. Among these examples, it should be noteworthy that some minor adjustment on the reaction conditions was required for one substrate bearing -Br substituent possibly due to its relatively inert reactivity. The yield of product **5d** jumped to 66% from 33% when the reaction was performed for 30 h at 110 °C. Accordingly, under the modified reaction conditions, the reaction of the substrates bearing strong electron-withdrawing substituents $-CF_3$ and $-NO_2$ afforded products **5e** and **5f** in 88% and 64% yields, respectively. Similarly, products **5g** and **5h** were obtained in excellent yields (91% and 98%, respectively). Under the optimized reaction conditions substrate [1,3]dioxolo[4,5-*f*]-2,1-benzisoxazole provided the desired product **5i** in 93% yield and this protocol was also successful for one anthranil bearing a

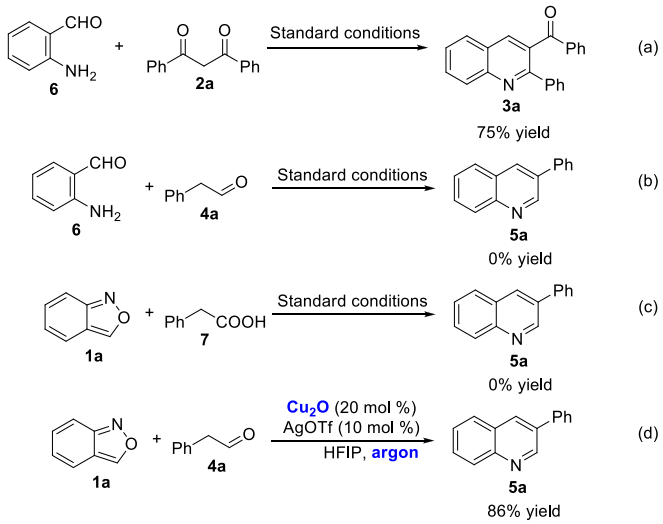
phenyl substituent at C3 position, affording product **5j** in 69% yield. Besides, C3-alkyl substituted anthranil **1b** was also tested in the reaction, providing product **5k** in 23% yield under the modified reaction conditions.

In order to further explore the scope of the reaction, a series of aldehydes **4** were introduced to react with compound **1a** under the modified reaction conditions (110 °C, 30 h) (scheme 3). The reactions of various acetaldehydes **4b-4d**, **4g** and **4h** bearing electron-donating substituents (-Me, -OMe and -*t*Bu) proceeded well, affording products **5l-5n**, **5q** and **5r** in yields varying from 40% to 85%. The substrate **4e** bearing electron-withdrawing substituent -Cl could also be employed in the reaction, giving product **5o** in 60% yield, but the reaction of the substrate **4f** bearing strong electron-withdrawing substituent -NO_2 didn't afford product **5p**. Besides, alkylacetaldehyde **4i** was successfully employed in the reaction, affording the desired product **5s** in a low yield of 25%, but the reaction of hexylacetaldehyde **4j** failed to form product **5t**. At last, a heterocycle acetaldehyde **4k** was also tested in the reaction, albeit the corresponding product **5u** was not formed.



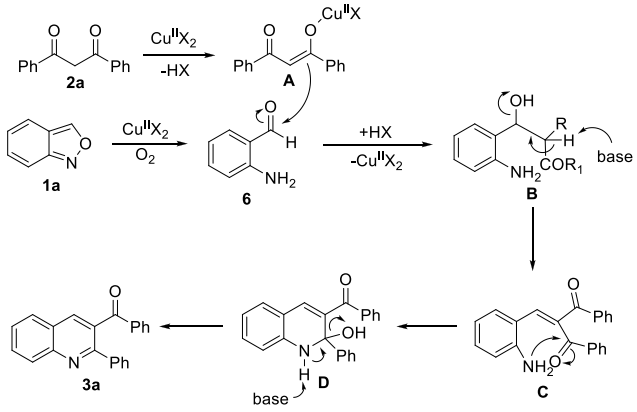
Scheme 3. Reaction conditions: **1** (0.3 mmol), **2a** (0.6 mmol), Cu (20 mol %), AgOTf (10 mol %), HFIP (2 mL), 90 °C, under dioxygen, 20 h. [a]110 °C, 30 h.

In order to elucidate the mechanism of the two catalytic systems, two control experiments were conducted to investigate whether 2-aminobenzaldehyde **6** was an intermediate in the process, (Scheme 4, eq a and eq b). The reaction of 2-aminobenzaldehyde **6** with compound **2a** afforded the desired product **3a** in 75% yield, showing that this reaction could happen *via* the intermediate **6**. However, the reaction of 2-aminobenzaldehyde **6** with compound **4a** did not happen. Furthermore, a control reaction failed when benzeneacetic acid (**7**) was used instead of **4a**, showing that the reaction did not proceeded *via* compound **7** as intermediate (Scheme 4, eq c). Using Cu_2O instead of copper powder, product **5a** was obtained in a high yield of 86% under argon, indicating that the *in situ* formed Cu(I) species might be the active catalyst (Scheme 4, eq d), which was consistent with another evidence that Cu_2O exhibits comparable catalytic efficiency in the reaction (entry 12 *vs* entry 14, Table 2).



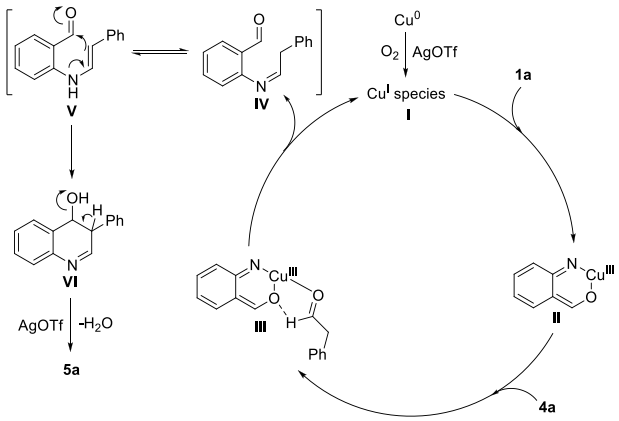
Scheme 4. Control reactions.

Based on these results in hand, two plausible reaction mechanisms are proposed. For the reaction of anthranil **1a** with 1,3-diketone **2a**, the mechanism was outlined in scheme 5. For the reaction of anthranil **1a** with 1,3-diketone **2a**, the mechanism was outlined in scheme 5. Firstly, compound **1a** generates intermediate **6** *via* oxidative cleavage of N−O bond using dioxygen as oxidant in the presence of Cu(II) salts. Then intermediate **6** is attacked by intermediate **A**, which is formed through the coordination of compound **2a** with Cu(II) catalyst, affording intermediate **B**. It is transformed to intermediate **C** through dehydration in the presence of base. Subsequently, an intermediate **D** is formed through the attack of nitrogen to carbonyl group and product **3a** is obtained through a second dehydration.



Scheme 5. Proposed mechanism for the reaction of anthranil **1a** with 1,3-diketone **2a**.

For the reaction of anthranil **1a** with arylacetaldehyde **4a**, the mechanism is outlined in scheme 6. Firstly, copper powder is oxidized to Cu(I) species **I** in the presence of AgOTf and dioxygen,[23g,28a] which inserts into compound **1a** to afford intermediate **II**. Then compound **4a** coordinates intermediate **II** to form intermediate **III**,[23g] which provides intermediate **IV** and its isomer **V**[28b] with the release of Cu^{I} species. In the process, the formation intermediate **III** might be a key step due to the fact that alkylacetaldehydes **4i** and **4j** demonstrated poor reactivities. It seems that arylacetaldehydes are easier to form stable intermediate **III** than alkylacetaldehydes. The subsequent cyclization of intermediate **V** affords intermediate **VI**, which is transformed to the final product **5a** through dehydration with the aid of AgOTf.



Scheme 6. Proposed mechanism for the reaction of anthranil **1a** with arylacetaldehyde **4a**.

CONCLUSIONS

In summary, we have developed a straightforward strategy to access various 2-aryl(alkyl)-3-acylquinolines and 3-arylquinolines. HFIP and dioxygen are essential for both of the procedures. For the reaction of anthranils with 1,3-diketones, this protocol features high yields and broad substrate scope. As regard to the reaction of anthranils with aryl(alkyl)acetaldehydes, the combination of copper powder and AgOTf plays an important role in the process. In the process, high yields were also obtained using a broad scope of readily available substrates.

EXPERIMENTAL SECTION

General Methods and Materials. All solvents were dried over molecular sieves. Unless otherwise noted, materials obtained from commercial suppliers were used without further purification. 1,3-Diketones including 1,3-diphenylpropane-1,3-dione **2a** and the precursors to product **3m**-**3p**, anthranil precursor to products **3y** and **5j**, copper powder (99.7% purity, 200 mesh) and compound **4a** are commercially available. Anthranil precursor **1b** to products **3p'** and **5k** was synthesized to the reported work.[23g] Other 1,3-diketone precursors and anthranils **1** were obtained from our previously reported work.[29,23g] The starting materials acetaldehydes **4b**-**4k** are synthesized according to the literature.[30] The products were isolated by column chromatography on silica gel (200-300 mesh) by using petroleum ether (30-60 °C) and ethyl acetate as eluents. All yields described herein are the isolated yields after column chromatography. Reaction progress and product mixtures were routinely monitored by TLC using TLC SiO_2 sheets, and compounds were visualized under ultraviolet light. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded on a Bruker AVANCE III 400 spectrometer. The spectra were recorded using $CDCl_3$ as a solvent. ^{1}H NMR chemical shifts are referenced to tetramethylsilane (TMS, 0 ppm). Abbreviations are as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet). High-Resolution Mass Spectra (HRMS) were recorded on Micromass Q-TOF instrument (ESI). Infrared spectra (IR) spectra were determined on a NICOLET 6700 FT-IR spectrometer. Melting points were measured with a melting point instrument and were uncorrected.

General procedure for the synthesis of aryl(alkyl)acetaldehydes 4l-t:[30]

Dess-Martin Periodinane (9.6 mmol, 1.2 eq) was dissolved in 70 mL DCM and alcohol **8** (8 mmol, 1.0 eq) was added dropwise. The reaction was stirred at room temperature for 18 h and the mixture was quenched with concentrated $Na_2S_2O_3$(aq), diluted with DCM, washed with saturated aqueous $NaHCO_3$ and brine. The organic layer was dried over Na_2SO_4 and concentrated in *vacuo*. The residue was purified by column chromatography (SiO_2, PE/EtOAc) to provide the corresponding aldehyde **4**.

General procedure for the synthesis of 3a starting from anthranil 1a and 1,3-diphenylpropane-1,3-dione 2a: A 25 mL Schlenk tube equipped with a magnetic stirring bar was charged with a mixture of anthranil **1a** (0.3 mmol, 35.7 mg), 1,3-diphenylpropane-1,3-dione **2a** (0.6 mmol, 134.4 mg), $CuBr_2$ (15 mol %, 9.9 mg), Cs_2CO_3 (0.6 mmol, 195.4 mg). Under reduced pressure, the tube was filled with dioxygen for three times. After the addition of HFIP (2 mL), the reaction was stirred at

130 ºC (heating module) for 24 h. After cooling to rt, the reaction mixture was filtered through celite and concentrated in *vacuo*. Purification by column chromatography (silica gel, eluent: petroleum ether/ethyl acetate = 30:1) afforded product **3a** (0.25 mmol, 79.2 mg) as a yellow solid in 85% yield.

Procedure for the scaling-up reaction: To a 150 mL pressure flask was added anthranil **1a** (4.0 mmol, 476.5 mg), 1,3-diphenylpropane-1,3-dione **2a** (8.0 mmol, 1794.0 mg), $CuBr_2$ (15 mol %, 134.0 mg), Cs_2CO_3 (8.0 mml, 2606.6 mg). Under reduced pressure, the pressure flask was filled with dioxygen for three times. Then HFIP (20 mL) was added and the mixture was stirred at 130 ºC (heating metal sand bath) for 24 h. After cooling to rt, the reaction mixture was filtered through celite and concentrated in *vacuo*. Purification by column chromatography (silica gel, eluent: petroleum ether/ethyl acetate = 30:1) afforded product **3a** (2.48 mmol, 768.3 mg) as a yellow solid in 65% yield.

General procedure for the synthesis of 5a starting from anthranil 1a and phenylacetaldehyde 4a: A 25 mL Schlenk tube equipped with a magnetic stirring bar was charged with a mixture of anthranil **1a** (0.3 mmol, 35.7 mg), 2-phenylacetaldehyde **4a** (0.6 mmol, 72.0 mg), Cu (20 mol %, 3.8 mg) and AgOTf (10 mol %, 7.7 mg). Under reduced pressure, the tube was filled with dioxygen for three times. After the addition of HFIP (2 mL), the reaction was stirred at 90 ºC (heating module) for 20 h. After cooling to rt, the reaction mixture was filtered through celite and concentrated in *vacuo*. Purification by column chromatography (silica gel, eluent: petroleum ether/ethyl acetate = 20:1) afforded product **5a** (0.27 mmol, 55.7 mg) as a yellow-red oil in 90% yield.

Procedure for the scaling-up reaction: To a 25 mL pressure sealed tube was added anthranil **1a** (2.0 mmol, 238.2 mg), phenylacetaldehyde **4a** (4.0 mmol, 480.6 mg), Cu (20 mol %, 25.4 mg), AgOTf (10 mol %, 51.4 mg). Under reduced pressure, the tube was filled with dioxygen for three times. Then HFIP (8 mL) was added and the mixture was stirred at 90 ºC (heating module) for 20 h. After cooling to rt, the reaction mixture was filtered through celite and concentrated in *vacuo*. Purification by column chromatography (silica gel, eluent: petroleum ether/ethyl acetate = 20:1) afforded product **5a** (1.11 mmol, 227.7 mg) as a yellow-red oil in 55% yield.

Analytical data of the products

3-Methylbenzo[c]isoxazole (1b) (precursor to 3y' and 5k): PE/EtOAc = 20:1; Yellow oil, 492.1 mg, 61% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, J = 9.1 Hz, 1H), 7.43 (d, J = 8.8 Hz, 1H).7.28-7.24 (m, 1H), 6.93-6.90 (m, 1H), 2.78 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 165.7, 157.1, 130.8, 122.8, 119.9, 115.6, 114.9, 12.0; The ^{1}H and ^{13}C NMR spectra data are consistent with the reported literature.[31]

***p*-Tolylacetaldehyde (4b) (Precursor to product 5l):** PE/EtOAc = 80:1; Light yellow oil, 597.0 mg, 56% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.72 (t, J = 2.4 Hz, 1H), 7.18 (d, J = 7.9 Hz, 2H), 7.11 (d, J = 8.0 Hz, 2H), 3.65 (d, J = 2.4 Hz, 2H), 2.35 (s, 3H); The ^{1}H NMR spectra data are consistent with the reported literature.[32]

***p*-Methoxyphenylacetaldehyde (4c) (Precursor to product 5m):** PE/EtOAc = 20:1; Light yellow oil, 663.3 mg, 55% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.72 (t, J = 2.4 Hz, 1H), 7.15-7.13 (m, 2H), 6.92-6.90 (m, 2H), 3.81 (s, 3H), 3.64 (d, J = 2.4 Hz, 2H); The ^{1}H NMR spectra data are consistent with the reported literature.[33]

***p*-(*tert*-Butylphenyl)acetaldehyde (4d) (Precursor to product 5n):** PE/EtOAc = 50:1; Light yellow oil, 550.5 mg, 56% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.75 (t, J = 2.3 Hz, 1H), 7.40 (d, J = 8.1 Hz, 2H), 7.16 (d, J = 8.1 Hz, 2H), 3.66 (d, J = 2.2 Hz, 2H), 1.32 (s, 9H); The ^{1}H NMR spectra data are consistent with the reported literature.[34]

***p*-Chlorophenylacetaldehyde (4e) (Precursor to product 5o):** PE/EtOAc = 20:1; Yellow solid, 630.3 mg, 51% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.75 (t, J = 2.1 Hz, 1H), 7.36-7.33 (m, 2H), 7.15 (d, J = 8.4 Hz, 2H), 3.69 (d, J = 2.1 Hz, 2H); The ^{1}H NMR spectra data are consistent with the reported literature.[35]

***p*-Nitrophenylacetaldehyde (4f) (Precursor to product 5p):** PE/EtOAc = 5:1; Red solid, 577.4 mg, 44% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.83 (t, J = 1.7 Hz, 1H), 8.24 (d, J = 8.7 Hz, 2H), 7.40 (d, J = 8.7 Hz, 2H), 3.89 (d, J = 1.5 Hz, 2H); The ^{1}H NMR spectra data are consistent with the reported literature.[36]

***o*-Tolylacetaldehyde (4g) (Precursor to product 5q):** PE/EtOAc = 50:1; Light yellow oil, 538.3 mg, 50% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.71 (t, J = 2.3 Hz, 1H), 7.23-7.17 (m, 4H), 3.71 (d, J = 2.2 Hz, 2H), 2.28 (s, 3H); The ^{1}H NMR spectra data are consistent with the reported literature.[35]

***m*-Tolylacetaldehyde (4h) (Precursor to product 5r):** PE/EtOAc = 50:1; Light yellow oil, 536.2 mg, 50% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.73 (t, J = 2.4 Hz, 1H), 7.12 (d, J = 7.6 Hz, 2H), 7.03 (s, 2H), 3.65 (d, J = 2.4 Hz, 2H), 2.36 (s, 3H); The ^{1}H NMR spectra data are consistent with the reported literature.[37]

Cyclohexylacetaldehyde (4i) (Precursor to product 5s): PE/EtOAc = 50:1; Colorless oil, 530.1 mg, 42% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.76 (t, J = 2.3 Hz, 1H), 2.30 (dd, J = 6.8, 2.3 Hz, 2H), 2.23 (d, J = 6.7 Hz, 1H), 1.73-1.69 (m, 10H); The ^{1}H NMR spectra data are consistent with the reported literature.[38]

Octanal (4j) (Precursor to product 5t): PE/EtOAc = 30:1; Colorless oil, 422.3 mg, 41% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.77 (t, J = 1.8 Hz, 1H), 2.43 (td, J = 7.4, 1.8 Hz, 2H), 2.35 (t, J = 7.5 Hz, 1H), 1.30-1.28 (m, 12H); The ^{1}H NMR spectra data are consistent with the reported literature.[39]

2-(Thiophen-3-yl)acetaldehyde (4k) (Precursor to product 5u): PE/EtOAc = 30:1; Light yellow oil, 246.0 mg, 24% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.74 (t, J = 2.3 Hz, 1H), 7.36 (dd, J = 4.9, 3.0 Hz, 1H), 7.15-7.14 (m, 1H), 6.98 (dd, J = 4.9, 1.2 Hz, 1H), 3.73 (d, J = 2.2 Hz, 2H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 198.8, 131.6, 128.5, 126.5, 123.5, 44.9; The ^{1}H NMR spectra data are consistent with the reported literature.[40]

2-Phenyl-3-benzoylquinoline (3a)

Compound **3a** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 30:1) afforded **3a** (79.2 mg, 85%) as a yellow solid; m.p. 124.5-125.4 ºC (135-137 ºC)[17]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 8.25 (d, J = 8.5 Hz, 1H), 7.90 (d, J = 8.0 Hz, 1H), 7.85-7.81 (m, 1H), 7.72 (dd, J = 8.2, 1.0 Hz, 2H), 7.61 (td, J = 8.0, 1.2 Hz, 3H), 7.47 (t, J = 7.4 Hz, 1H), 7.35-7.27 (m, 5H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 197.0, 157.5, 148.4, 139.7, 137.7, 137.0, 133.4, 132.8, 131.3, 130.0, 129.7, 129.3, 128.9, 128.5, 128.4, 128.2, 127.4, 125.8; ATR-FTIR (cm^{-1}): 3392, 2919, 2849, 2359, 1655, 1590, 1554, 1484, 1449, 1414, 1272, 1233, 1080, 1017, 908, 874, 772, 690; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{16}NO$ 310.1226; found 310.1226; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[41]

2-*p*-Tolyl-3-(*p*-methyl)benzoylquinoline (3b)

Compound **3b** was synthesized in accordance with the typical procedure (15 mol % ligand **C** was added). Purification by column chromatography on silica gel (PE:EA = 30:1) afforded **3b** (75.8 mg, 75%) as a light yellow solid; m.p. 154.0-155.2 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 8.23 (d, J = 8.5 Hz, 1H), 7.86 (d, J = 8.6 Hz, 1H), 7.83-7.79 (m, 1H), 7.67 (d, J = 8.2 Hz, 2H), 7.60-7.56 (m, 1H), 7.54 (d, J = 8.1 Hz, 2H), 7.16 (d, J = 8.1 Hz, 2H), 7.11 (d, J = 8.0 Hz, 2H), 2.37 (s, 3H), 2.29 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 196.7, 157.5, 148.3, 144.5, 138.8, 137.2, 136.9, 134.5, 133.0, 131.0, 130.3, 129.6, 129.2, 129.2, 129.2, 128.0, 127.1, 125.7, 21.8, 21.3; ATR-FTIR (cm^{-1}): 2928, 1626, 1531, 1455, 1361, 1265, 1232, 1039, 992, 909, 837, 735; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{24}H_{20}NO$ 338.1539; found 338.1536.

2-*p*-Methoxyphenyl-3-(*p*-methoxy)benzoylquinoline (3c)

Compound **3c** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3c** (72.0 mg, 65%) as a yellow solid; m.p. 134.0-135.5 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 8.21 (d, J = 8.5 Hz, 1H), 7.86 (d, J = 8.1 Hz, 1H), 7.80 (t, J = 7.6 Hz, 1H), 7.73 (d, J = 8.8 Hz, 2H), 7.62 (d, J = 8.7 Hz, 2H), 7.58 (t, J = 7.6 Hz, 1H), 6.83 (dd, J = 8.7, 3.7 Hz, 4H), 3.83 (s, 3H), 3.77 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 195.8, 163.8, 160.2, 156.8, 148.3, 137.1, 133.0, 132.5, 132.3, 130.9, 130.7, 130.0, 129.5, 128.0, 127.0, 125.6, 113.9, 113.8, 55.5, 55.3; ATR-FTIR (cm^{-1}): 2924, 1736, 1635, 1495, 1460, 1377, 1266, 1122, 1084, 1017, 938, 742, 703; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{24}H_{20}NO_3$ 370.1437; found 370.1436; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[7a]

2-(*p*-Fluoro)phenyl-3-(*p*-fluoro)benzoylquinoline (3d)

Compound **3d** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3d** (64.2 mg, 62%) as a white solid; m.p. 160.2-161.5 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H),

8.23 (d, J = 8.5 Hz, 1H), 7.92-7.90 (m, 1H), 7.87-7.83 (m, 1H), 7.74-7.71 (m, 2H), 7.65-7.59 (m, 3H), 7.03-6.97 (m, 4H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 195.4, 167.1, 164.5 (d, J_{F-C} = 8.3 Hz), 162.0, 156.0, 148.4, 137.8, 135.8 (d, J_{F-C} = 3.3 Hz), 133.3 (d, J_{F-C} = 2.9 Hz), 132.6 (d, J_{F-C} = 9.5 Hz), 132.4, 131.5, 131.1 (d, J_{F-C} = 8.5 Hz), 129.6, 127.9 (d, J_{F-C} = 61.8 Hz), 125.8, 115.7 (d, J_{F-C} = 41.7 Hz), 115.6 (d, J_{F-C} = 2.1 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -103.64, -112.17; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{14}F_2NO$ 346.1038; found 346.1034.

2-(*p*-Chloro)phenyl-3-(*p*-chloro)benzoylquinoline (3e)

Compound **3e** was synthesized in accordance with the typical procedure (15 mol % ligand **C** was added). Purification by column chromatography on silica gel (PE:EA = 30:1) afforded **3e** (69.0 mg, 61%) as a white solid; m.p. 162.5-163.6 ºC (174-178 ºC)[42]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 8.23 (d, J = 8.5 Hz, 1H), 7.92 (d, J = 8.2 Hz, 1H), 7.89-7.84 (m, 1H), 7.68-7.63 (m, 3H), 7.58-7.54 (m, 2H), 7.35-7.29 (m, 4H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 195.6, 155.9, 148.4, 140.2, 138.0, 137.8, 135.4, 135.2, 132.1, 131.6, 131.3, 130.5, 129.7, 129.0, 128.8, 128.2, 127.7, 125.8; HRMS (ESI-TOF) m/z: $[M+H]^+$calcd for $C_{22}H_{14}Cl_2NO$ 378.0447; found 378.0446; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[42]

2-(*p*-Trifluoromethyl)phenyl-3-(*p*-trifluoromethyl)benzoylquinoline (3f)

Compound **3f** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 30:1) afforded **3f** (86.8 mg, 65%) as a white solid; m.p. 151.1-152.2 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 8.27-8.25 (m, 1H), 7.95 (d, J = 8.2 Hz, 1H), 7.93-7.88 (m, 1H), 7.84 (d, J = 8.1 Hz, 2H), 7.72-7.67 (m, 3H), 7.63 (d, J = 8.2 Hz, 2H), 7.57 (d, J = 8.1 Hz, 2H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 195.4, 156.0, 148.5, 143.0, 139.6, 138.4, 134.8 (q, J_{F-C} = 32.9 Hz), 132.0, 131.7, 130.9 (q, J_{F-C} = 32.9 Hz), 130.2, 129.8, 129.6, 128.3, 128.1, 127.6, 125.7 (q, J_{F-C} = 3.7 Hz), 125.5 (q, J_{F-C} = 3.8 Hz), 123.9 (q, J_{F-C} = 272.5 Hz), 123.4 (q, J_{F-C} = 272.9 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.87, -63.29; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{24}H_{14}F_6NO$ 446.0974; found 446.0965.

2-(Methyl)-3-(*p*-methyl)benzoylquinoline (3g)

Compound **3g** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3g** (65.0 mg, 83%) as a yellow solid; m.p. 82.3-83.7 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11-8.08 (m, 2H), 7.81-7.78 (m, 2H), 7.75 (dd, J = 8.4, 1.6 Hz, 2H), 7.57-7.53 (m, 1H), 7.30 (d, J = 7.9 Hz, 2H), 2.73 (s, 3H), 2.45 (s, 3H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 196.5, 156.6, 148.0, 144.9, 136.5, 134.7, 132.6, 131.0, 130.4, 129.5, 128.7, 128.1, 126.7, 125.4, 24.2, 21.8; ATR-FTIR (cm^{-1}): 2921, 1604, 1417, 1375, 1278, 1262, 1243, 120, 1178, 1147, 785, 751; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{16}NO$ 262.1226; found 262.1226.

2-(Methyl)-3-(*p*-methoxy)benzoylquinoline (3h)

Compound **3h** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3h** (53.2 mg, 54%) as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (t, J = 3.9 Hz, 2H), 7.85-7.75 (m, 4H), 7.57-7.53 (m, 1H), 6.98-6.95 (m, 2H), 3.89 (s, 3H), 2.72 (s, 3H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 195.4, 164.2, 156.5, 147.9, 136.0, 132.8, 132.6, 130.8, 130.1, 128.6, 128.0, 126.6, 125.4, 114.0, 55.6, 24.1; ATR-FTIR (cm^{-1}): 2839, 1651, 1594, 1421, 1315, 1253, 1167, 1025, 912, 882, 846, 786, 752, 580; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{16}NO_2$ 278.1175; found 278.1177.

2-(Methyl)-3-(*p*-bromo)benzoylquinoline (3i)

Compound **3i** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3i** (85.8 mg, 88%) as a yellow-red solid; m.p. 100.2-101.1 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, J = 11.7 Hz, 2H), 7.79 (dd, J = 7.8, 6.3 Hz, 2H), 7.71 (d, J = 8.6 Hz, 2H), 7.64 (d, J = 8.6 Hz, 2H), 7.56 (t, J = 7.5 Hz, 1H), 2.74 (s, 3H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 195.7, 156.6, 148.1, 136.9, 136.0, 132.1, 131.6, 131.6, 131.3, 129.1, 128.7, 128.1, 126.8, 125.2, 24.3; ATR-FTIR (cm^{-1}): 1721, 1659, 1615, 1582, 1488, 1416, 1268, 1174, 1068, 1009, 913, 879, 790, 758, 656; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{13}BrNO$ 326.0175; found 326.0178.

2-(Methyl)-3-(*p*-trifluoromethyl)benzoylquinoline (3j)

Compound **3j** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3j** (57.7 mg, 61%) as a yellow solid; m.p. 119.8-120.6 ºC ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H),

8.10 (d, J = 8.4 Hz, 1H), 7.96 (d, J = 8.1 Hz, 2H), 7.82-7.77 (m, 4H), 7.58 (td, J = 7.3, 1.0 Hz, 1H), 2.78 (s, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 195.7, 156.7, 148.3, 140.2, 137.5, 134.9 (q, J_{F-C} = 32.7 Hz), 131.6, 131.2, 130.4, 128.8, 128.2, 127.0, 125.8 (q, J_{F-C} = 3.7 Hz), 125.1, 123.5 (q, J_{F-C} = 272.8 Hz), 24.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ -63.09; ATR-FTIR (cm^{-1}): 2923, 1665, 1617, 1488, 1411, 1325, 1019, 913, 863, 753; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{13}F_3NO$ 316.0943; found 316.0946.

2-(Methyl)-3-(naphthalen)formylquinoline (3k)

Compound **3k** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3k** (46.8 mg, 52%) as a yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ 8.61 (dd, J = 8.2, 1.0 Hz, 1H), 8.16 (s, 1H), 8.08 (t, J = 9.0 Hz, 2H), 7.97-7.95 (m, 1H), 7.81-7.77 (m, 1H), 7.72 (d, J = 8.1 Hz, 1H), 7.65-7.58 (m, 3H), 7.54-7.45 (m, 2H), 2.87 (s, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 198.6, 157.6, 148.3, 138.8, 135.6, 134.0, 133.5, 133.4, 131.5, 131.1, 130.8, 128.7, 128.6, 128.4, 128.3, 126.9, 126.7, 125.7, 125.5, 124.4, 24.8; ATR-FTIR (cm^{-1}): 2922, 1655, 1616, 1591, 1562, 1417, 1281, 1237, 1187, 1116, 909, 887, 768, 755, 597; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{21}H_{16}NO$ 298.1226; found 298.1228.

2-(Methyl)-3-(thiophen)formylquinoline (3l)

Compound **3l** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3l** (45.6 mg, 60%) as a yellow solid; m.p. 56.3-57.9 ºC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 8.09 (d, J = 8.4 Hz, 1H), 7.84-7.77 (m, 3H), 7.59-7.55 (m, 1H), 7.48 (dd, J = 3.8, 1.2 Hz, 1H), 7.17 (dd, J = 4.9, 3.8 Hz, 1H), 2.80 (s, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 188.6, 156.5, 148.1, 144.5, 136.2, 135.8, 135.8, 132.0, 131.2, 128.7, 128.5, 128.1, 126.8, 125.2, 24.0; ATR-FTIR (cm^{-1}): 3082, 1637, 156, 1514, 1419, 1407, 1352, 1258, 1052, 868, 831, 740; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{15}H_{12}NOS$ 254.0634; found 254.0635.

2-(Methyl)-3-(ethanone)quinoline (3m)

Compound **3m** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3m** (46.5 mg, 84%) as a white solid; m.p. 55.4-56.1 ºC (74.0-75.0 ºC)[17]; ^1H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 8.04 (d, J = 8.5 Hz, 1H), 7.86 (d, J = 8.1 Hz, 1H), 7.81-7.77 (m, 1H), 7.58-7.54 (m, 1H), 2.92 (s, 3H), 2.72 (s, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 200.0, 157.6, 148.3, 138.3, 131.8, 131.1, 128.6, 128.4, 126.7, 125.6, 29.3,25.7; ATR-FTIR (cm^{-1}): 2920, 1677, 1619, 1562, 1487, 1418, 1352, 1197, 1031, 946, 926, 862, 780, 655, 584; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[43]

Methyl-2-methylquinoline-3-carboxylate (3n)

Compound **3n** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3n** (31.4 mg, 52%) as a white solid; m.p. 65.6-66.9 ºC (61.0-63.0 ºC)[16]; ^1H NMR (400 MHz, $CDCl_3$) δ 8.75 (s, 1H), 8.04 (d, J = 8.5 Hz, 1H), 7.86 (d, J = 8.1 Hz, 1H), 7.81-7.77 (m, 1H), 7.57-7.53 (m, 1H), 3.98 (s, 3H), 3.00 (s, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 167.0, 158.5, 148.7, 140.1, 131.8, 128.5, 128.5, 126.6, 125.7, 123.5, 52.4, 25.7; ATR-FTIR (cm^{-1}): 3257, 1620, 1568, 1492, 1439, 1421, 1282, 1253, 1204, 1133, 1066, 788, 751; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[7a]

3,4-Dihydroacridin-1(2H)-one (3o)

Compound **3o** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 5:1) afforded **3o** (51.5 mg, 87%) as a light yellow solid; m.p. 95.5-96.8 ºC (109.0-111.0 ºC)[44]; ^1H NMR (400 MHz, $CDCl_3$) δ 8.86 (s, 1H), 8.05 (d, J = 8.5 Hz, 1H), 7.94 (d, J = 8.2 Hz, 1H), 7.82 (dd, J = 8.4, 7.0 Hz, 1H), 7.56 (t, J = 7.5 Hz, 1H), 3.33 (t, J = 6.1 Hz, 2H), 2.81 (t, J = 6.5 Hz, 2H), 2.30 (dd, J = 12.7, 5.8 Hz, 2H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 198.0, 162.0, 150.0, 137.2, 132.4, 129.8, 128.6, 126.8, 126.7, 126.3, 39.1, 33.5, 21.8; ATR-FTIR (cm^{-1}): 2921, 1678, 1616, 1592, 1493, 1463, 1411, 1205, 1171, 1128, 791, 766; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[7a]

11H-Indeno[1,2-b]quinolin-11-one (3p)

Compound **3p** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3p** (52.0 mg, 75%) as a light yellow solid; m.p. 172.9-173.5 ºC (172.0-174.0 ºC)[45]; ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (s, 1H), 8.09 (t, J = 8.5 Hz, 2H), 7.84 (dd, J = 16.0, 7.8 Hz, 2H), 7.78-7.74 (m, 1H), 7.68 (td, J = 7.5, 1.0 Hz, 1H),

7.55-7.50 (m, 2H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 191.0, 162.1, 150.6, 143.9, 137.5, 135.6, 132.6, 132.1, 131.6, 130.6, 129.9, 127.7, 127.3, 127.1, 124.2, 121.9; ATR-FTIR (cm^{-1}): 3049, 1715, 1621, 1513, 1406, 1178, 925, 865, 774, 731; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[45]

6-(Methoxy)-2-phenyl-3-benzoylquinoline (3q)

Compound **3q** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3q** (66.1 mg, 65%) as a white solid; m.p. 144.6-145.2 ºC (157.0-159.0 ºC)[19]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (s, 1H), 8.14 (d, J = 9.2 Hz, 1H), 7.72-7.70 (m, 2H), 7.60-7.58 (m, 2H), 7.50-7.44 (m, 2H), 7.34-7.23 (m, 5H), 7.14 (d, J = 2.8 Hz, 1H), 3.94 (s, 3H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 197.3, 158.4, 155.0, 144.6, 139.8, 137.1, 136.3, 133.3, 133.0, 131.1, 130.0, 129.2, 128.6, 128.4, 126.9, 124.2, 105.2, 55.7; ATR-FTIR (cm^{-1}): 3059, 2924, 2850, 1657, 1593, 1488, 1448, 1375, 1270, 1223, 1168, 1027, 889, 830, 688; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[19]

6-(Fluoro)-2-phenyl-3-benzoylquinoline (3r)

Compound **3r** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 30:1) afforded **3r** (50.0 mg, 51%) as a light yellow solid; m.p. 122.6-123.8 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 8.25 (dd, J = 9.3, 5.3 Hz, 1H), 7.72-7.70 (m, 2H), 7.63-7.58 (m, 3H), 7.53-7.46 (m, 2H), 7.36-7.26 (m, 5H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 196.7, 162.1, 159.6, 156.8, 156.8, 145.5, 139.4, 136.8 (d, $J_{F\text{-}C}$ = 6.7 Hz), 133.6, 133.5, 132.2 (d, $J_{F\text{-}C}$ = 9.1 Hz), 130.0, 129.2, 129.0, 128.5, 126.5 (d, $J_{F\text{-}C}$ = 10.2 Hz), 121.5 (d, $J_{F\text{-}C}$ = 25.8 Hz), 111.1 (d, $J_{F\text{-}C}$ = 21.9 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -111.81; ATR-FTIR (cm^{-1}): 2920, 1656, 1595, 1488, 1271, 1214, 1014, 931, 892, 829, 727, 689, 616, 586; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{15}FNO$ 328.1132; found 328.1136.

6-(Chloro)-2-phenyl-3-benzoylquinoline (3s)

Compound **3s** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3s** (87.6 mg, 85%) as a white solid; m.p. 154.3-155.6 ºC (161.0-163.0 ºC)[19]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 8.17 (d, J = 9.0 Hz, 1H), 7.87 (d, J = 2.3 Hz, 1H), 7.77-7.69 (m, 3H), 7.62-7.60 (m, 2H), 7.48 (t, J = 7.4 Hz, 1H), 7.35-7.26 (m, 5H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 196.6, 157.7, 146.7, 139.3, 136.7, 136.67, 133.7, 133.6, 133.1, 132.1, 131.3, 130.0, 129.2, 129.1, 128.5, 126.7, 126.4; ATR-FTIR (cm^{-1}): 3051, 2162, 1666, 1656, 1588, 1551, 1474, 1447, 1270, 1231, 1072, 1014, 885, 829, 794, 760, 692, 607; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[19]

7-(Fluoro)-2-phenyl-3-benzoylquinoline (3t)

Compound **3t** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **3t** (54.0 mg, 55%) as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.93-7.86 (m, 2H), 7.71 (dd, J = 8.2, 1.1 Hz, 2H), 7.62-7.60 (m, 2H), 7.50-7.46 (m, 1H), 7.41 (td, J = 8.6, 2.5 Hz, 1H), 7.35-7.27 (m, 5H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 196.8, 165.5, 163.0, 158.6, 149.5 (d, $J_{F\text{-}C}$ = 13.1 Hz), 139.4, 137.6, 136.9, 133.5, 132.2 (d, $J_{F\text{-}C}$ = 2.7 Hz), 130.3 (d, $J_{F\text{-}C}$ = 10.3 Hz), 130.0, 129.3, 129.1, 128.5 (d, $J_{F\text{-}C}$ = 3.2 Hz), 122.9, 118.0 (d, $J_{F\text{-}C}$ = 25.6 Hz), 113.4 (d, $J_{F\text{-}C}$ = 20.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -106.44; ATR-FTIR (cm^{-1}): 3344, 3060, 2360, 1663, 1623, 1562, 1486, 1449, 1282, 1201, 1122, 1015, 898, 690, 623; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{15}FNO$ 328.1132; found 328.1133.

7-(Chloro)-2-phenyl-3-benzoylquinoline (3u)

Compound **3u** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **3u** (66.9 mg, 65%) as a white solid; m.p. 119.9-120.7 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 8.25 (d, J = 2.0 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.72-7.69 (m, 2H), 7.62-7.56 (m, 3H), 7.51-7.46 (m, 1H), 7.36-7.28 (m, 5H); $^{13}C\{^{1}H\}$ NMR (101 MHz, $CDCl_3$) δ 196.7, 158.5, 148.7, 139.3, 137.4, 137.2, 136.8, 133.5, 133.0, 130.0, 129.3, 129.3, 129.2, 128.70, 128.5, 128.5, 128.4, 124.2; ATR-FTIR (cm^{-1}): 3062, 2920, 2849, 1655, 1597, 1475, 1410, 1284, 1229, 1146, 1064, 1015, 930, 867, 812, 761, 691; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{15}ClNO$ 344.0836; found 344.0836.

7-(Bromo)-2-phenyl-3-benzoylquinoline (3v)

Compound **3v** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **3v** (97.5 mg, 84%) as a white solid; m.p. 62.4-63.5 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (d, J = 1.5 Hz, 1H), 8.30 (s, 1H), 7.75 (d, J = 8.7 Hz, 1H), 7.69 (td, J = 6.9, 3.4 Hz, 3H), 7.62-7.59 (m, 2H), 7.47 (t, J = 7.4 Hz, 1H), 7.35-7.27 (m, 5H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 196.6, 158.4, 148.8, 139.3, 137.5, 136.8, 133.5, 133.1, 132.0, 130.9, 130.0, 129.3, 129.3, 129.2, 128.5, 125.6, 124.4; ATR-FTIR (cm^{-1}): 3435, 3324, 1655, 1597, 1537, 1472, 1397, 1337, 1284, 1194, 1145, 1078, 1014, 905, 888, 787, 761; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{15}BrNO$ 388.0331; found 388.0331.

7-(Trifluoromethyl)-2-phenyl-3-benzoylquinoline (3w)

Compound **3w** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 40:1) afforded **3w** (79.2 mg, 70%) as a white solid; m.p. 163.1-164.3 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, J = 0.7 Hz, 1H), 8.39 (s, 1H), 8.04 (d, J = 8.5 Hz, 1H), 7.79 (dd, J = 8.5, 1.6 Hz, 1H), 7.72-7.70 (m, 2H), 7.64 (dt, J = 5.3, 2.0 Hz, 2H), 7.52-7.48 (m, 1H), 7.37-7.29 (m, 5H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 196.4, 158.8, 147.3, 139.0, 137.2, 136.6, 134.7, 133.7, 132.8 (q, J_{F-C} = 32.8 Hz), 130.0, 129.4, 129.3, 129.3, 128.6, 127.5 (q, J_{F-C} = 4.4 Hz), 127.3, 123.8 (d, J_{F-C} = 272.8 Hz), 122.9 (q, J_{F-C} = 3.2 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.82; ATR-FTIR (cm^{-1}): 3063, 2921, 1656, 1595, 1454, 1419, 1351, 1315, 1283, 1192, 1125, 1057, 1015, 922, 893, 816, 720, 692; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{23}H_{15}F_3NO$ 378.1100; found 378.1100.

Phenyl(6-phenyl-[1,3]dioxolo[4,5-g]quinolin-7-yl)methanone (3x)

Compound **3x** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3x** (63.6 mg, 60%) as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.71-7.68 (m, 2H), 7.58-7.56 (m, 2H), 7.51 (s, 1H), 7.47-7.43 (m, 1H), 7.33-7.23 (m, 5H), 7.12 (s, 1H), 6.16 (s, 2H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 197.3, 155.6, 152.2, 148.5, 147.1, 139.8, 137.2, 136.4, 133.2, 131.0, 130.0, 129.2, 128.6, 128.4, 128.3, 122.9, 106.1, 102.9, 102.1; ATR-FTIR (cm^{-1}): 3058, 2916, 1662, 1474, 1455, 1427, 1396, 1365, 1267, 1227, 1182, 1035, 895, 827, 759, 727, 696; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{23}H_{16}NO_3$ 354.1124; found 354.1125.

2-Phenyl-3-nitrilequinoline (3z)

Compound **3z** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **3z** (36.8 mg, 53%) as a white solid; m.p. 193.2-194.6 ºC (193.0-195.0 ºC)[19]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.21 (d, J = 8.4 Hz, 1H), 8.01-7.99 (m, 2H), 7.90 (t, J = 8.0 Hz, 2H), 7.67 (t, J = 7.5 Hz, 1H), 7.60-7.54 (m, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 158.1, 148.7, 144.3, 137.7, 133.1, 130.1, 130.0, 129.2, 128.8, 128.1, 127.8, 125.0, 118.0, 105.6; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[19]

3-Phenylquinoline (5a)

Compound **5a** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **5a** (55.7 mg, 90%) as a red oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.19 (d, J = 2.2 Hz, 1H), 8.30 (d, J = 2.0 Hz, 1H), 8.15 (d, J = 8.4 Hz, 1H), 7.88 (d, J = 8.1 Hz, 1H), 7.73 (dd, J = 10.7, 4.3 Hz, 3H), 7.55 (dt, J = 15.1, 7.8 Hz, 3H), 7.44 (t, J = 7.4 Hz, 1H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 150.0, 147.3, 137.9, 133.9, 133.3, 129.5, 129.2, 128.2, 128.1, 127.5, 127.1; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[1e]

7-Fluoro-3-phenylquinoline (5b)

Compound **5b** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5b** (23.2 mg, 35%) as a red solid; m.p. 72.8-73.9 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.18 (d, J = 2.2 Hz, 1H), 8.28 (d, J = 2.1 Hz, 1H), 7.87 (dd, J = 9.0, 6.0 Hz, 1H), 7.77 (dd, J = 10.0, 2.5 Hz, 1H), 7.70-7.68 (m, 2H), 7.55-7.51 (m, 2H), 7.46-7.42 (m, 1H), 7.40-7.35 (m, 1H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 163.0 (d, J_{F-C} = 250.1 Hz), 150.9, 148.2 (d, J_{F-C} = 12.6 Hz), 137.6, 133.4, 133.2, 130.0 (d, J_{F-C} = 9.9 Hz), 129.3, 128.2, 127.4, 125.1, 117.6 (d, J_{F-C} = 25.5 Hz), 112.9 (d, J_{F-C} = 20.4 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -109.34; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{15}H_{11}FN$ 224.0870; found 224.0872.

7-Chloro-3-phenylquinoline (5c)

Compound **5c** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5c** (42.6 mg, 60%) as a yellow solid; m.p. 91.8-92.5 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.17 (d, J = 2.1

Hz, 1H), 8.25 (s, 1H), 8.12 (s, 1H), 7.79 (d, J = 8.7 Hz, 1H), 7.68 (d, J = 7.3 Hz, 2H), 7.52 (t, J = 7.5 Hz, 3H), 7.44 (t, J = 7.3 Hz, 1H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 150.9, 147.6, 137.5, 135.1, 134.1, 133.0, 129.3, 129.2, 128.4, 128.3, 128.1, 127.4, 126.4; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[46]

7-Bromo-3-phenylquinoline (5d)

Compound **5d** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5d** (56.6 mg, 66%) as a yellow solid; m.p. 100.1-101.2 ºC; ^1H NMR (400 MHz, $CDCl_3$) δ 9.18 (d, J = 2.3 Hz, 1H), 8.29 (dd, J = 22.6, 1.9 Hz, 2H), 7.75-7.64 (m, 4H), 7.53 (t, J = 7.5 Hz, 2H), 7.47-7.43 (m, 1H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 150.9, 147.9, 137.5, 134.2, 133.1, 131.6, 130.6, 129.3, 128.4, 127.4, 126.7, 123.4; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[47]

7-(Trifluoromethyl)-3-phenylquinoline (5e)

Compound **5e** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5e** (71.8 mg, 88%) as a yellow solid; m.p. 137.2-138.9 ºC; ^1H NMR (400 MHz, $CDCl_3$) δ 9.27 (d, J = 2.3 Hz, 1H), 8.44 (d, J = 0.4 Hz, 1H), 8.32 (d, J = 2.1 Hz, 1H), 7.98 (d, J = 8.5 Hz, 1H), 7.75-7.71 (m, 3H), 7.57-7.53 (m, 2H), 7.48 (dt, J = 9.5, 4.3 Hz, 1H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 151.4, 146.2, 137.1, 135.7, 132.9, 131.0 (q, $J_{F\text{-}C}$ = 32.6 Hz), 129.5, 129.4, 129.2, 128.7, 127.5, 127.2 (q, $J_{F\text{-}C}$ = 4.5 Hz), 124.0 (q, $J_{F\text{-}C}$ = 272.4 Hz), 122.7 (q, $J_{F\text{-}C}$ = 3.1 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.51; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[48]

7-Nitro-3-phenylquinoline (5f)

Compound **5f** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **5f** (48.3 mg, 64%) as a yellow solid; m.p. 176.6-177.2 ºC; ^1H NMR (400 MHz, $CDCl_3$) δ 9.34 (d, J = 2.1 Hz, 1H), 9.03 (d, J = 1.6 Hz, 1H), 8.39-8.34 (m, 2H), 8.03 (d, J = 9.0 Hz, 1H), 7.75 (d, J = 7.6 Hz, 2H), 7.54 (dt, J = 26.9, 7.3 Hz, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 152.4, 147.8, 146.1, 136.7, 136.7, 132.7, 131.3, 129.6, 129.5, 129.1, 127.6, 125.7, 120.6; HRMS (ESI-TOF) m/z: $[M+H]^+$ calcd for $C_{15}H_{11}N_2O_2$ 251.0815; found 251.0814.

6-Methoxy-3-phenylquinoline (5g)

Compound **5g** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **5g** (76.5 mg, 91%) as a white solid; m.p. 115.8-116.7 ºC (118.0-119.0 ºC)[8e]; ^1H NMR (400 MHz, $CDCl_3$) δ 9.02 (d, J = 2.2 Hz, 1H), 8.17 (d, J = 2.1 Hz, 1H), 8.02 (d, J = 9.2 Hz, 1H), 7.70-7.68 (m, 2H), 7.51 (t, J = 7.6 Hz, 2H), 7.44-7.40 (m, 1H), 7.36 (dd, J = 9.2, 2.8 Hz, 1H), 7.10 (d, J = 2.7 Hz, 1H), 3.93 (s, 3H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 158.1, 147.5, 143.5, 138.1, 134.1, 132.1, 130.6, 129.2, 129.1, 128.1, 127.5, 122.3, 105.3, 55.6; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[8e]

6-Fluoro-3-phenylquinoline (5h)

Compound **5h** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **5h** (65.8 mg, 98%) as a red solid; m.p. 86.1-87.2ºC ; ^1H NMR (400 MHz, $CDCl_3$) δ 9.12 (d, J = 2.2 Hz, 1H), 8.21 (d, J = 1.4 Hz, 1H), 8.14-8.10 (m, 1H), 7.70-7.68 (m, 2H), 7.54-7.42 (m, 5H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 160.8 (d, $J_{F\text{-}C}$ = 248.5 Hz), 149.3 (d, J = 2.8 Hz), 144.4, 137.5, 134.6, 132.6 (d, $J_{F\text{-}C}$ = 5.4 Hz), 131.8 (d, $J_{F\text{-}C}$ = 9.3 Hz), 129.3, 128.8 (d, $J_{F\text{-}C}$ = 10.2 Hz), 128.4, 127.5, 119.65 (d, $J_{F\text{-}C}$ = 25.8 Hz), 110.9 (d, $J_{F\text{-}C}$ = 21.7 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -112.71; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[46]

7-Phenyl-[1,3]dioxolo[4,5-g]quinoline (5i)

Compound **5i** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 10:1) afforded **5i** (69.2 mg, 93%) as a red solid; m.p. 54.6-55.8 ºC (67.0-70.0 ºC)[49]; ^1H NMR (400 MHz, $CDCl_3$) δ 8.93 (d, J = 2.3 Hz, 1H), 8.06 (d, J = 2.2 Hz, 1H), 7.65 (dd, J = 5.2, 3.3 Hz, 2H), 7.48 (t, J = 7.6 Hz, 2H), 7.41-7.38 (m, 2H), 7.05 (s, 1H), 6.07 (s, 2H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 150.7, 148.2, 147.4, 145.6, 138.0, 132.5, 132.3, 129.1, 127.9, 127.2, 125.1, 105.6, 102.9, 101.8; The ^1H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[49]

6-Chloro-3,4-diphenylquinoline (5j)

Compound **5j** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5j** (65.3 mg, 69%) as a red solid; m.p. 188.2-189.4 ºC (196.2-197.4 ºC)[7h]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.99 (s, 1H), 8.13 (d, J = 9.5 Hz, 1H), 7.68-7.65 (m, 2H), 7.38-7.37 (m, 3H), 7.26-7.24 (m, 3H), 7.19-7.14 (m, 4H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 152.1, 146.0, 144.7, 137.7, 135.6, 133.9, 132.9, 131.2, 130.4, 130.1, 130.0, 128.4, 128.2, 128.1, 128.0, 127.3, 125.4; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[7h]

4-Methyl-3-phenylquinoline (5k)

Compound **5k** was synthesized in accordance with the typical procedure. Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5k** (15.0 mg, 23%) as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (s, 1H), 8.15-8.09 (m, 2H), 7.75-7.71 (m, 1H), 7.64-7.60 (m, 1H), 7.51 (dd, J = 11.3, 4.4 Hz, 2H), 7.45 (dt, J = 4.9, 2.0 Hz, 1H), 7.41-7.39 (m, 2H), 2.65 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 151.6, 150.0, 140.6, 138.7, 134.5, 130.0, 130.0, 128.9, 128.5, 128.0, 127.6, 126.8, 124.3, 15.7; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[1e]

3-(*p*-Tolyl)quinoline (5l)

Compound **5l** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5l** (56.0 mg, 85%) as a red solid; m.p. 77.6-78.1 ºC (81-82 ºC)[1e]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.10 (d, J = 2.3 Hz, 1H), 8.21 (d, J = 2.2 Hz, 1H), 8.06 (d, J = 8.4 Hz, 1H), 7.80 (d, J = 7.6 Hz, 1H), 7.66-7.62 (m, 1H), 7.56-7.48 (m, 3H), 7.26 (d, J = 8.0 Hz, 2H), 2.36 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 150.0, 147.2, 138.1, 135.0, 133.8, 132.9, 130.0, 129.3, 129.2, 128.1, 128.0, 127.3, 127.0, 21.2; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[1e]

3-(4-Methoxyphenyl)quinoline (5m)

Compound **5m** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 20:1) afforded **5m** (39.5 mg, 56%) as a yellow solid; m.p. 69.2-70.2 ºC (80-81 ºC)[1e]; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.16 (d, J = 2.3 Hz, 1H), 8.23 (d, J = 2.0 Hz, 1H), 8.12 (d, J = 8.4 Hz, 1H), 7.84 (d, J = 8.2 Hz, 1H), 7.71-7.63 (m, 3H), 7.57-7.53 (m, 1H), 7.06-7.04 (m, 2H), 3.87 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 159.8, 149.9, 147.0, 133.5, 132.4, 130.3, 129.2, 129.1, 128.5, 128.1, 127.9, 127.0, 114.7, 55.4; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[1e]

3-(4-(*tert*-Butyl)phenyl)quinoline (5n)

Compound **5n** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5n** (23.9 mg, 43%) as an orange semisolid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.20 (d, J = 2.3 Hz, 1H), 8.29 (d, J = 2.2 Hz, 1H), 8.13 (d, J = 8.4 Hz, 1H), 7.88-7.86 (m, 1H), 7.73-7.66 (m, 3H), 7.58-7.54 (m, 3H), 1.39 (s, 9H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 151.3, 150.0, 147.2, 135.0, 133.7, 133.0, 129.3, 129.2, 128.1, 128.0, 127.1, 127.0, 126.2, 34.7, 31.4; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[49]

3-(4-Chlorophenyl)quinoline (5o)

Compound **5o** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 30:1) afforded **5o** (43.0 mg, 60%) as a red solid; m.p. 131.2-132.4 ºC; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.13 (d, J = 2.3 Hz, 1H), 8.26 (d, J = 2.2 Hz, 1H), 8.13 (d, J = 8.5 Hz, 1H), 7.87 (d, J = 8.2 Hz, 1H), 7.75-7.71 (m, 1H), 7.64-7.56 (m, 3H), 7.50-7.47 (m, 2H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 149.5, 147.4, 136.3, 134.4, 133.2, 132.6, 129.7, 129.4, 129.3, 128.7, 128.0, 127.9, 127.2; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[1e]

3-(*o*-Tolyl)quinoline (5q)

Compound **5q** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 100:1) afforded **5q** (25.7 mg, 40%) as a red oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.93 (d, J = 2.2 Hz, 1H), 8.13 (dd, J = 22.1, 5.2 Hz, 2H), 7.86 (d, J = 8.1 Hz, 1H), 7.77-7.73 (m, 1H), 7.62-7.58 (m, 1H), 7.35-7.33 (m, 4H), 2.34 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 151.5, 147.0, 138.1, 135.9, 135.4, 134.8, 130.7, 130.2, 129.5, 129.3, 128.2, 127.9, 127.8, 127.0, 126.2, 20.5; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[49]

3-(*m*-Tolyl)quinoline (5r)

Compound **5r** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 100:1) afforded **5r** (41.5 mg, 63%) as a brown oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.17 (d, J = 2.2 Hz, 1H), 8.29 (d, J = 1.9 Hz, 1H), 8.14 (d, J = 8.4 Hz, 1H), 7.87 (d, J = 8.1 Hz, 1H), 7.74-7.69 (m, 1H), 7.59-7.50 (m, 3H), 7.41 (t, J = 7.6 Hz, 1H), 7.27-7.23 (m, 1H), 2.47 (s, 3H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 150.1, 147.3, 138.9, 137.9, 134.0, 133.3, 129.4, 129.2, 129.1, 128.9, 128.2, 128.1, 128.0, 127.0, 124.6, 21.6; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[49]

3-Cyclohexylquinoline (5s)

Compound **5s** was synthesized in accordance with the typical procedure (110 ºC, 30 h). Purification by column chromatography on silica gel (PE:EA = 50:1) afforded **5s** (21.7 mg, 25%) as a yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.81 (d, J = 2.2 Hz, 1H), 8.07 (d, J = 8.4 Hz, 1H), 7.92 (d, J = 1.9 Hz, 1H), 7.78 (d, J = 8.1 Hz, 1H), 7.67-7.63 (m, 1H), 7.52 (t, J = 7.5 Hz, 1H), 2.76-2.68 (m, 1H), 2.00-1.79 (m, 6H), 1.58-1.41 (m, 4H); ^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 151.5, 146.9, 140.4, 132.3, 129.1, 128.5, 128.3, 127.5, 126.5, 42.0, 34.2, 26.8, 26.0; The ^{1}H NMR and ^{13}C NMR spectra data are consistent with the reported literature.[50]

ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge on the ACS Publications website at DOI:.

Copies of ^{1}H, ^{13}C{^{1}H} and ^{19}F NMR spectra (PDF)

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Notes

The authors declare no competing financial interest.

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