#### Article

# Visible-Light-Mediated Oxidative Cyclization of 2-Aminobenzyl Alcohols and Secondary Alcohols Enabled by an Organic Photocatalyst

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oxidative cyclization of 2-aminobenzyl alcohols and secondary alcohols to produce quinolines at room temperature. This photocatalytic method employed anthraquinone as an organic small-molecule catalyst and DMSO as an oxidant. According to this



present procedure, a series of quinolines were prepared in satisfactory yields.

# INTRODUCTION

Quinolines represent an important class of nitrogen-containing heterocycles, which are widely present as key moieties in a variety of natural products, pharmaceuticals, agrochemicals, dyestuffs, and materials.<sup>1</sup> Moreover, this class of compounds is also used as an N-donor ligand toward metallic ions and valuable intermediates in organic synthesis.<sup>2</sup> Especially in medicinal chemistry, quinoline is identified as a privileged scaffold in terms of its promising biological activities such as anticancer, anti-HIV, anti-Alzheimer's, antiviral, antibacterial, antifungal, anti-inflammatory, or antiplatelet aggregation, and the potency of quinoline pharmacophore has been validated by representative clinical drugs such as cinchophen, quinine, pitavastatin, camptothecin, and so on.<sup>3</sup>

The high importance of quinolines urges chemists all over the world to develop procedures for the synthesis of quinoline derivatives.<sup>4</sup> Traditional routes to quinoline frameworks include Skraup, Doebner-von Miller, Conrad-Limpach, Ptzinger, and Friedlander syntheses.<sup>5</sup> Although the Friedlander reaction is considered as one of the most direct access to quinoline skeletons, it possesses a significant disadvantage owing to the use of unstable 2-aminobenzaldehydes.<sup>6</sup> Therefore, the indirect Friedlander quinoline synthesis is subsequently developed.<sup>7</sup> The indirect protocols were first achieved through the oxidative cyclization of ketones with 2aminobenzyl alcohols instead of 2-aminobenzaldehydes, using a ketone,<sup>8</sup> molecular oxygen, or air as an oxidant.<sup>9</sup> Recently, dehydrogenation strategies were developed under the catalysis of different complexes of metals such as Ru, Ir, Ni, Co, Mn, and so on.<sup>10</sup> These strategies worked without oxidizing reagents, and some of them could apply to secondary alcohols, which are considered as suitable alternatives to ketones because they are easier to handle and store, cheaper, and more environmentally friendly.<sup>11</sup> However, these reported procedures suffer from drawbacks such as high reaction temperature, long reaction period, and/or the requirement of unique catalysts, although they could provide alternative approaches to quinoline scaffolds. Therefore, it is highly desirable to develop a green and practical process for the synthesis of quinolines.

In recent years, visible-light-mediated photocatalysis has emerged as a powerful tool to devise novel organic transformations under mild reaction conditions.<sup>12</sup> Compared to their transition metal counterparts, organic photocatalysts offer advantages such as simple work-up, low toxicity, and special reactivity.<sup>13</sup> Herein, we wish to report a metal-free process for the synthesis of quinolines from 2-aminobenzyl alcohols and secondary alcohols at room temperature enabled by an organic photocatalyst (Scheme 1).

Scheme 1. Visible-Light-Mediated Oxidative Cyclization of Secondary Alcohols and 2-Aminobenzyl Alcohols



#### RESULTS AND DISCUSSION

In our previous study, we developed a mild protocol for the synthesis of quinolines through an N-heterocyclic carbene copper-catalyzed reaction of 2-aminobenzyl alcohols and aryl ketones using DMSO as an oxidant at room temperature.<sup>14</sup> When 1-phenylethan-1-ol was subjected to this reaction, the

 Received:
 June 11, 2021

 Published:
 July 23, 2021



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#### Table 1. Optimization of Reaction Conditions<sup>a</sup>

		OH NH2	+ OH base (2.0 equ + Ph solvent, rt, 6	n iv) h		
		- 1a	2a	3aa		
er	ntry	PC o	oxidant (equiv)	base	solvent yiel	d (%) <sup>b</sup>
1	Ros	e Bengal	O <sub>2</sub>	КОН	DMSO	0
2	Eos	in Y	O <sub>2</sub>	КОН	DMSO	0
3	[Ac	r-Mes] <sup>+</sup> ClO <sub>4</sub> <sup>-</sup>	O <sub>2</sub>	КОН	DMSO	17
4	thio	oxanthenone	O <sub>2</sub>	КОН	DMSO	0
5	9-flu	uorenone	O <sub>2</sub>	КОН	DMSO	15
6	CF <sub>3</sub>	<sub>3</sub> SO <sub>2</sub> Na	O <sub>2</sub>	КОН	DMSO	0
7	AQ		O <sub>2</sub>	КОН	DMSO	90
8	AQ		air	КОН	DMSO	90
9	c AQ			КОН	DMSO	90
1	0 AQ		O <sub>2</sub>	КОН	toluene	30
1	1 AQ		DMSO (20)	КОН	toluene	36
1	2 AQ		DMSO (20)	КОН	dioxane	14
1	3 AQ		DMSO (20)	КОН	CH <sub>3</sub> CN	28
1	4 AQ		DMSO (20)	КОН	DMF	0
1	5 AQ			NaOH	DMSO	95
1	6 AQ	-		t-BuONa	DMSO	93
1	7 AQ			t-BuOK	DMSO	90
1	8 AQ			Cs <sub>2</sub> CO <sub>3</sub>	DMSO	0
1	9 <sup>d</sup> AQ	-		NaOH	DMSO	0
2	0			NaOH	DMSO	0

<sup>*a*</sup>Reaction conditions: **1a** (0.35 mmol), **2a** (0.35 mmol), base (2.0 equiv), catalyst (3 mol %), and oxidant (indicated amount) in 1 mL of solvent under the irradiation at 450–460 nm using a 25 W LED lamp at room temperature (cooling by air) for 6 h. <sup>*b*</sup>GC yield using phenanthrene as an internal standard. <sup>*c*</sup>The reaction was purged by nitrogen. <sup>*d*</sup>The reaction was carried out in the darkness.

corresponding quinoline could also be obtained. However, other alcohols performed unacceptably poorly. We decided to carry out further optimization. With the advantages of photocatalysis in mind, we turned our attention to the influence of visible light on the oxidative cyclization of 2aminobenzyl alcohol (1a) and 1-phenylethan-1-ol (2a) (Table 1). Given that the indirect Friedlander reaction generally involves the oxidation of alcohols, we first examined the photocatalysts that were reportedly employed for this class of oxidative transformations (entries 1-6), including Rose Bengal,<sup>15</sup> Eosin Y,<sup>16</sup> [Mes-Acr]<sup>+</sup>ClO<sub>4</sub><sup>-,17</sup> thioxanthenone,<sup>18</sup> 9-fluorenone,<sup>19</sup> and sodium trifluoromethanesulfinate.<sup>20</sup> Among them, [Mes-Acr]<sup>+</sup>ClO<sub>4</sub><sup>-</sup> and 9-fluorenone showed activity under our reaction conditions (entries 3 and 5). To our delight, in the presence of 3 mol % of anthraquinone (AQ), the desired heterocyclic compound was obtained in 90% yield (entry 7). Our experimental results showed that this reaction proceeded smoothly in a test tube open to air, even under the atmosphere of nitrogen (entries 8 and 9). These findings revealed that DMSO played the role of an oxidizing agent and a reaction medium. Common solvents were then screened. When this reaction was carried out in toluene using DMSO or oxygen as an oxidant, 3aa was formed in a lower yield (entries 10 and 11). Other solvents examined were all not able to provide better yields compared with DMSO (entries 12-14). A variety of bases were finally investigated. The screening results indicated that NaOH performed better than other bases (entries 15-18). Additionally, when 1a and 2a were stirred in the darkness or in the absence of AQ under the irradiation, no 3aa was detected with the recovery of starting materials (entries 19 and 20).

With optimal reaction conditions in hand, we explored the scope and limitations of this reaction (Table 2). A series of secondary alcohols were first subjected to the oxidative annulation with 2-aminobenzyl alcohol. 1-Phenylethan-1-ol possessing a substituent at the para-position of the phenyl ring was able to undergo this cyclization reaction smoothly, delivering the target heterocyclic compounds in moderate to excellent yields (3aa-3aj). Especially, strong electron-donating alkoxyl and strong electron-withdrawing trifluoromethyl were well tolerated (3ae and 3aj). 1-Phenylethan-1-ol with a substituent at the meta-position of the phenyl ring and those with a substituent at the ortho-position (3ak-3am; 3an-3aq) could also go across this visible-light-induced oxidative cyclization reaction, although they provided the corresponding quinoline products in lower yields, by a yield order of para > meta > ortho (3ab vs 3ak vs 3an, 3ae vs 3al vs 3ao, and 3aj vs 3aq). These experimental results revealed that steric hindrance of the group on the phenyl ring of 1-phenylethan-1-ol had an influence on this transformation. As demonstrated in Table 2, 1-(benzo[d][1,3]dioxol-5-yl)ethan-1-ol and 1-(3,4dichlorophenyl)ethan-1-ol were also suitable substrates for the reaction of photocatalytic quinoline synthesis (3ar-3as). Our experimental results suggested that secondary ethanols substituted by heteroaryl, such as pyridyl, thienyl, benzothienyl, and furyl, exhibited good reactivities and furnished the expected heterocycles in 70-93% yields (3at-3ax). Among them, 2-(pyridin-2-yl)quinoline (3at) was obtained with a yield of 70% on the gram scale, which reflects the potential application of this photochemical protocol in the preparation of N-polydentate ligands. Regarding nonmethyl secondary benzylic alcohols, cyclic 1,2,3,4-tetrahydronaphthalen-1-ol was



# Table 2. Substrate Scope of Secondary Alcohols<sup>*a,b*</sup>



<sup>a</sup>Standard condition: **1a** (0.35 mmol), **2** (0.35 mmol), NaOH (28.0 mg) and AQ (2.2 mg) in 1 mL DMSO under the irradiation at 450-460 nm using a 25 W LED lamp at room temperature (cooling by air) for 6 h. <sup>b</sup>Isolated yield. <sup>c</sup>Yield on a 10 mmol scale.

efficiently transformed into 5, 6-dihydrobenzo[c]acridine with an excellent yield (**3ay**), while those with a long-chain substituent produced the desired quinolines in lower yields (**3az** and **3azz**).

A variety of 2-aminobenzyl alcohols were then investigated, and the results are summarized in Table 3. 2-Aminobenzyl alcohols with substituents on the aromatic ring were all able to go through the oxidative cyclization with 1-phenylethan-1-ol, affording the desired quinoline skeletons in good to excellent yields (Table 3, 3ba-3ga). Our experimental results indicated that (3-aminonaphthalen-2-yl)methanol was an excellent coupling partner for 2a (3ha). Unexpectedly, (2aminophenyl)(phenyl)methanol afforded a higher yield than 1-(2-aminophenyl)ethan-1-ol (3ia-3ja), for the possible reason that the conjugative effect of phenyl benefited the oxidation of the benzylic hydroxyl group under photocatalysis in contrast to other cyclization reactions of 2-aminobenzyl alcohols and secondary alcohols. Moreover, this visible-lightinduced reaction tolerated (2-aminopyridin-3-yl)methanol, providing 2-phenyl-1,8-naphthyridine in 78% yield (3ka).

To gain more mechanistic insight into the visible-lightpromoted reaction between secondary alcohols and 2-aminobenzyl alcohols, some control experiments were carried out (Scheme 2). Acetophenone was formed in 16% yield when 1phenylethan-1-ol went through this reaction in the absence of 2-amimobenzyl alcohol under the standard conditions (abbreviated as SC) (EQ 1). Irradiating **2a** in 1 mL of DMSO at 450–460 nm provided acetophenone in 55% yield (EQ 2). **3aa** was generated in 99% yield by reacting acetophenone and 2-aminobenzyl alcohol under the photo-

# Table 3. Substrate Scope of Secondary Alcohols $^{a,b}$



<sup>a</sup>Standard condition: 1 (0.35 mmol), 2a (0.35 mmol), NaOH (28.0 mg) and AQ (2.2 mg) in 1 mL DMSO under the irradiation at 450–460 nm using a 25 W LED lamp at room temperature (cooling by air) for 6 h. <sup>b</sup>Isolated yield.

#### Scheme 2. Control Experiments



chemical reaction conditions (EQ 3). Treating 2-aminobenzaldehyde with 2a or acetophenone led to the formation of 3aa in 53, 70% yield, respectively (EQ 4 and EQ 5). These results revealed that 2-aminobenzaldehyde and acetophenone were the reaction intermediates and the quinoline skeleton was formed through their Friedlander condensation. When 2.5 equiv of TEMPO or butylated hydroxytoluene was added to the reaction of 1a and 2a under the SCs, trace amounts of 3aa were detected by GC-MS (EQ 6 and EQ 7). These results suggested that this reaction was greatly inhibited by a radical scavenger, and this visible-light-induced oxidative cyclization reaction might take place through a free-radical pathway. When the reaction of 1a and 2a was conducted under an argon atmosphere in 1 mL of toluene containing 4 equiv of dibenzyl sulfoxide. Trace amounts of benzyl sulfide were detected by GC along with 3aa in 44% yield, and no dibenzyl sulfoxide was detected (EQ 8), which revealed that sulfoxide presumably functioned as an oxidant and was reduced to thioether in the photochemical transformation.

On the basis of above experimental results and previous reports,<sup>21</sup> a possible reaction mechanism was proposed (Scheme 3). The photocatalyst AQ first absorbs photons to

Scheme 3. Possible Reaction Mechanism



reach its excited state and abstracts a hydrogen atom from the benzylic position of 1a or 2a through the hydrogen atom transfer (HAT) process, furnishing a benzylic radical intermediate A or A'. Subsequently, another HAT process occurs, and the hydrogen atom of benzylic hydroxyl of the radical intermediate is abstracted to produce 2-aminobenzaldehyde B or acetophenone B'. The generated AQH is oxidized back to AQ by DMSO, which is reduced to dimethyl sulfide along with a molecule of water. Finally, 2-aminobenzaldehyde B and acetophenone B' react through Friedlander condensation to yield final product 3aa.

# CONCLUSIONS

In conclusion, we have developed a visible-light-mediated process for the oxidative cyclization of secondary alcohols and 2-aminobenzyl alcohols to prepare quinolines at room temperature enabled by an organic photocatalyst. This photochemical strategy employed DMSO as an oxidant and readily available AQ as an organophotocatalyst, avoiding the requirement of high reaction temperature and special metalbased catalysts. The oxidation of alcohols to aldehydes or ketones under the photocatalysis using AQ as a photosensitizer is underway in our lab.

# EXPERIMENTAL SECTION

**General Information.** All reagents were of analytical grade and obtained from commercial suppliers and used without further purification. <sup>1</sup>H and <sup>13</sup>C{1H} NMR spectra were obtained with a Bruker AVANCE III HD 400 at 400 and 100 MHz, respectively, using CDCl<sub>3</sub> as the solvent with tetramethylsilane as an internal standard at room temperature. High-resolution mass spectra were obtained with an Agilent 6545 Q-TOF LC/MS system using electrospray ionization. GC–MS was performed using a Thermo Trace DSQ. Column chromatography was performed using silica gel (200–300 mesh). A 25 W LED light source was assembled from 450–460 nm 2835 LED beads with a peak wavelength of 455 nm without the use of any filter (Planck ShenZhen Opto-Electronic Technology Co.,Ltd).

**Typical Procedure for the Synthesis of Product 3.** To a quartz test tube (25 mL), AQ (2.2 mg, 0.00105 mmol), secondary alcohol 1 (0.3 mmol), 2-aminobenzylic alcohol 2 (0.3 mmol), NaOH (24.0 mg, 2.0 equiv), and 1 mL of DMSO were added. The reaction mixture was stirred at room temperature under the irradiation at 450–460 nm (25 W LED, distance = 8–10 cm, cooling by air) for 6 h. After this, the reaction was quenched by the addition of 10 mL of water, and the aqueous solution was extracted with ethyl acetate (3 × 10 mL). The combined extract was dried with anhydrous MgSO<sub>4</sub> and evaporated under vacuum. The residue was purified by a silica gelpacked flash chromatography column with petroleum ether/ethyl acetate (10:1) as the eluent to afford the desired products.

**Gram Scale Synthesis.** To a quartz test tube (50 mL), AQ (62.5 mg, 0.3 mmol), 1-(pyridin-2-yl)ethan-1-ol (2 t) (1.23 g, 10 mmol), 2aminobenzylic alcohol (1a) (1.23 g, 10 mmol), NaOH (0.80 g, 20 mmol), and 25 mL of DMSO were added. The reaction mixture was stirred at room temperature under the irradiation at 450–460 nm (25 W LED  $\times$  3, distance = 8 cm, cooling by air) for 6 h. After this, the reaction mixture was transferred to a separating funnel and diluted with ethyl acetate (100 mL); the organic phase was washed three times with water, dried over MgSO<sub>4</sub>, and concentrated. The crude product was purified by a silica gel-packed flash chromatography column with petroleum ether/ethyl acetate (5:1) as the eluent to give **3at** (1.44 g, 70% yield).

2-Phenylquinoline (3aa)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (64.7 mg, 90%), mp 83–84 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.24–8.17 (m, 4H), 7.89 (d, J = 8.6 Hz, 1H), 7.84 (d, J = 8.1 Hz, 1H), 7.74 (t, J = 7.7 Hz, 1H), 7.57–7.53 (m, 3H), 7.48 (t, J = 7.3 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.3, 148.2, 139.6, 136.8, 129.7, 129.7, 129.3, 128.8, 127.6, 127.4, 127.2, 126.3, 119.0. 2-(p-Tolyl)quinoline (3ab)<sup>10c</sup> Purified by column chromatography

2-(*p*-Tolyl)quinoline (**3ab**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (69.8 mg, 91%), mp 98–99 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.19 (dd, *J* = 8.5, 1.1 Hz, 1H), 8.16–8.05 (m, 3H), 7.82 (d, *J* = 8.6 Hz, 1H), 7.78 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.71 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.49 (ddd, *J* = 8.1, 6.9, 1.2 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 2H), 2.43 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.4, 148.4, 139.4, 137.0, 136.7, 129.7, 129.6, 129.6, 127.5, 127.5, 127.2, 126.1, 118.9, 21.4.

2-(4-Isobutylphenyl)quinoline (3ac)<sup>new</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (77.8 mg, 85%), mp 58–59 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 (d, *J* = 8.5 Hz, 1H), 8.18 (d, *J* = 8.6 Hz, 1H), 8.14 (d, *J* = 8.1 Hz, 2H), 7.92–7.72 (m, 3H), 7.58–7.44 (m, 1H), 7.36 (d, *J* = 8.0 Hz, 2H), 2.61 (d, *J* = 7.2 Hz, 2H), 1.99 (dt, *J* = 13.5, 6.8 Hz, 1H), 1.01 (d, *J* = 6.7 Hz, 6H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.4, 148.3, 143.2, 137.1, 136.6, 129.6, 129.6, 127.4, 127.3, 127.1, 126.1, 118.9, 45.2, 30.2, 22.4. HRMS calcd for C<sub>19</sub>H<sub>19</sub>N [M + H]<sup>+</sup>: 262.1590. Found: 262.1579.

2-([1,1'-Biphenyl]-4-yl)quinoline (3ad)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (91.6 mg, 93%), mp 85–86 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.33–8.21 (m, 4H), 7.95 (d, J = 8.6 Hz, 1H), 7.87 (dd, J = 8.2, 1.4 Hz, 1H), 7.83–7.68 (m, 5H), 7.61–7.47 (m, 3H), 7.45–7.37 (m, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.8,

148.2, 142.1, 140.5, 138.3, 136.9, 129.7, 129.6, 128.8, 128.0, 127.6, 127.5, 127.4, 127.2, 127.1, 126.3, 118.9.

2-(4-Methoxyphenyl)quinoline (**3ae**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (71.6 mg, 87%), 129–130 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25–8.14 (m, 4H), 7.90–7.80 (m, 2H), 7.74 (ddd, J = 8.4, 6.9, 1.5 Hz, 1H), 7.53 (ddd, J = 8.1, 6.9, 1.2 Hz, 1H), 7.11–7.04 (m, 2H), 3.91 (s, 3H). <sup>13</sup>C{1H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.9, 156.8, 136.8, 129.7, 129.3, 128.9, 127.4, 126.9, 126.0, 118.5, 114.2, 53.9.

2-(4-(*Trifluoromethoxy*)*phenyl*)*quinoline* (**3af**)<sup>new</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (72.9 mg, 72%), mp 98–99 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.20 (t, J = 9.2 Hz, 3H), 8.12 (d, J = 8.5 Hz, 1H), 7.82–7.71 (m, 3H), 7.54 (ddd, J = 8.1, 6.8, 1.2 Hz, 1H), 7.41–7.35 (m, 2H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>) δ 155.6, 150.1 (q, J = 1.8 Hz), 148.2, 138.1, 136.9, 129.8, 129.7, 129.7, 129.0, 127.4, 127.2, 126.5, 121.0, 120.6 (q, J = 255.9 Hz), 118.4. HRMS calcd for C<sub>16</sub>H<sub>10</sub>F<sub>3</sub>NO [M + H]<sup>+</sup>: 290.0787. Found: 290.0796. 2-(4-Bromophenyl)*quinoline* (**3ag**)<sup>10c</sup> Purified by column chroma-

2-(4-Bromophenyl)quinoline (3ag)<sup>100</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (85.5 mg, 86%), mp 120–121 °C 1H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.22–8.14 (m, 2H), 8.09–8.02 (m, 2H), 7.81 (d, *J* = 8.5 Hz, 2H), 7.73 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.67–7.62 (m, 2H), 7.53 (ddd, *J* = 8.1, 6.8, 1.2 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.0, 148.2, 138.4, 137.0, 131.9, 129.9, 129.7, 129.1, 127.5, 127.2, 126.5, 123.9, 118.5.

2-(4-Chlorophenyl)quinoline (3ah)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (73.0 mg, 87%), mp 111–112 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.23–8.09 (m, 4H), 7.84–7.71 (m, 3H), 7.59–7.47 (m, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  155.8, 148.1, 137.9, 136.9, 135.5, 129.8, 129.6, 129.0, 128.8, 127.5, 127.2, 126.5, 118.4.

2-(4-Fluorophenyl)quinoline (**3ai**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (71.8 mg, 92%), mp 100–101 °C <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.22–8.09 (m, 4H), 7.79 (td, J = 5.5, 2.8 Hz, 2H), 7.72 (ddd, J = 8.4, 6.8, 1.5 Hz, 1H), 7.51 (ddd, J = 8.0, 6.8, 1.1 Hz, 1H), 7.24–7.15 (m, 2H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  163.8 (d, J = 247.2 Hz), 156.2, 148.2, 136.9, 135.8 (d, J = 3.3 Hz), 129.8, 129.7, 129.4 (d, J = 8.4 Hz), 127.5, 127.1, 126.4, 118.6, 115.8 (d, J = 21.5 Hz).

2-(4-(*Trifluoromethyl*)*phenyl*)*quinoline* (**3a**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (85.1 mg, 89%), mp 144–145 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.31–8.26 (m, 2H), 8.26–8.22 (m, 1H), 8.21 (dt, *J* = 8.6, 0.9 Hz, 1H), 7.90–7.81 (m, 2H), 7.81–7.71 (m, 3H), 7.57 (ddd, *J* = 8.1, 6.9, 1.2 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  155.6, 148.2, 142.9, 137.2, 131.1 (q, *J* = 32.4 Hz), 130.1, 129.8, 127.9, 127.6, 127.5, 126.9, 125.8 (q, *J* = 3.7 Hz), 124.2 (q, *J* = 270.5 Hz), 118.8.

2-(*m*-Tolyl)quinoline (**3ak**)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (63.7 mg, 83%), 59–60 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.28 (d, J = 8.7 Hz, 1H), 8.18 (d, J = 8.6 Hz, 1H), 8.09 (d, J = 2.3 Hz, 1H), 7.99 (d, J = 7.8 Hz, 1H), 7.89–7.74 (m, 3H), 7.54 (ddd, J = 8.2, 6.9, 1.2 Hz, 1H), 7.47 (t, J = 7.6 Hz, 1H), 7.33 (d, J = 7.5 Hz, 1H), 2.53 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.5, 148.2, 139.6, 138.5, 136.7, 130.1, 129.7, 129.6, 128.7, 128.3, 127.5, 127.2, 126.2, 124.7, 119.1, 21.6.

2-(3-Methoxyphenyl)quinoline (3al)<sup>11d</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (65.9 mg, 80%), mp 69–70 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 (d, J = 8.5 Hz, 1H), 8.11 (dd, J = 8.7, 2.8 Hz, 1H), 7.85 (q, J = 2.0 Hz, 1H), 7.80 (dd, J = 8.6, 2.0 Hz, 1H), 7.78–7.69 (m, 3H), 7.52–7.40 (m, 2H), 7.07–7.01 (m, 1H), 3.90 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.3, 157.1, 148.3, 141.2, 136.8, 129.9, 129.8, 127.6, 127.4, 126.4, 120.1, 119.1, 115.4, 112.9, 55.4.

2-(3-Fluorophenyl)quinoline  $(3am)^{4c}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (71.1 mg, 91%), mp 91–92 °C. <sup>1</sup>H NMR (400 MHz, pubs.acs.org/joc

CDCl<sub>3</sub>)  $\delta$  8.21–8.13 (m, 2H), 7.95–7.86 (m, 2H), 7.79 (d, J = 8.6 Hz, 2H), 7.72 (ddd, J = 8.5, 6.9, 1.5 Hz, 1H), 7.56–7.40 (m, 2H), 7.14 (tdd, J = 8.4, 2.7, 1.0 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} MMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  163.4 (d, J = 243.9 Hz), 155.8 (d, J = 2.6 Hz), 148.2, 141.9 (d, J = 7.3 Hz), 137.1, 130.3 (d, J = 8.0 Hz), 129.9, 129.8, 127.5, 127.4, 126.7, 123.1 (d, J = 2.9 Hz), 118.7, 116.2 (d, J = 21.1 Hz), 114.5 (d, J = 23.0 Hz).

2-(o-Tolyl)quinoline (3an)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (57.6 mg, 75%), 68–69 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.27 (d, J = 8.5 Hz, 1H), 8.20 (d, J = 8.4 Hz, 1H), 7.87 (dd, J = 8.2, 1.6 Hz, 1H), 7.78 (ddd, J = 8.5, 6.9, 1.6 Hz, 1H), 7.63–7.52 (m, 3H), 7.44– 7.32 (m, 3H), 2.49 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$ 160.3, 147.9, 140.7, 136.1, 136.0, 130.9, 129.7, 129.6, 129.6, 128.5, 127.5, 126.7, 126.4, 126.0, 122.4, 20.4.

2-(2-Methoxyphenyl)quinoline (3ao)<sup>11d</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (59.3 mg, 72%), mp 52–53 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.16 (dd, J = 16.8, 8.5 Hz, 2H), 7.92–7.80 (m, 3H), 7.71 (td, J = 7.6, 6.9, 1.6 Hz, 1H), 7.57–7.49 (m, 1H), 7.47–7.38 (m, 1H), 7.14 (t, J = 7.5 Hz, 1H), 7.04 (d, J = 8.3 Hz, 1H), 3.87 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.2, 157.1, 148.2, 135.0, 131.4, 130.3, 129.7, 129.6, 129.1, 127.3, 127.0, 126.1, 123.4, 121.2, 111.4, 55.6.

2-(2-Fluorophenyl)quinoline  $(3ap)^{4c}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (68.0 mg, 87%), mp 85–86 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 (d, J = 8.5 Hz, 1H), 8.17 (dd, J = 9.4, 6.8 Hz, 2H), 7.90 (dd, J = 8.6, 2.7 Hz, 1H), 7.81 (dt, J = 8.5, 2.1 Hz, 1H), 7.75 (ddd, J = 8.5, 6.8, 1.6 Hz, 1H), 7.54 (dd, J = 8.3, 7.0 Hz, 1H), 7.43 (dtd, J = 7.4, 5.2, 2.4 Hz, 1H), 7.34 (td, J = 7.6, 1.4 Hz, 1H), 7.23 (ddd, J = 11.2, 8.1, 1.5 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.8, (d, J = 248.0 Hz), 154.0 (d, J = 2.0 Hz), 148.3, 136.1, 131.6 (d, J = 3.0 Hz), 130.8 (d, J = 8.4 Hz), 129.7, 129.6, 127.9 (d, J = 11.7 Hz), 127.5, 127.2, 126.6, 124.7 (d, J = 3.6 Hz), 122.4 (d, J = 8.0 Hz), 116.2 (d, J = 22.6 Hz).

2-(2-(*Trifluoromethyl*)*phenyl*)*quinoline* (**3aq**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (66.0 mg, 69%), mp 77–78 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.22 (t, *J* = 7.6 Hz, 2H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 1H), 7.78 (t, *J* = 7.7 Hz, 1H), 7.73–7.53 (m, SH). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  158.0, 147.6, 140.2 (q, *J* = 1.4 Hz), 136.0, 131.7, 131.5, 129.9, 129.6, 128.5, 128.5, 127.5, 127.0, 126.8, 126.4 (q, *J* = 5.1 Hz), 124.1 (q, *J* = 272.3 Hz), 121.9 (q, *J* = 2.2 Hz). 2-(*Benzo*[*d*][1,3]*dioxo*l-5-*y*]*quinoline* (**3ar**)<sup>4d</sup> Purified by column

2-(Benzo[d][1,3]dioxol-5-yl)quinoline (3ar)<sup>44</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (74.2 mg, 85%), mp 105–106 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, J = 8.5 Hz, 1H), 8.10 (d, J = 8.6 Hz, 1H), 7.81–7.65 (m, 5H), 7.50 (ddd, J = 8.1, 6.9, 1.1 Hz, 1H), 6.96 (d, J = 8.1 Hz, 1H), 6.02 (s, 2H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.5, 148.8, 148.4, 148.1, 136.6, 134.0, 129.6, 129.5, 127.4, 126.9, 126.0, 121.7, 118.5, 108.4, 107.9, 101.3. 2-(3,4-Dichlorophenyl)quinoline (3as)<sup>4d</sup> Purified by column chro-

2-(3,4-Dichlorophenyl)quinoline (3as)<sup>4d</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (73.9 mg, 77%), mp 116–117 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 (d, J = 2.1 Hz, 1H), 8.12 (dd, J = 8.7, 4.6 Hz, 2H), 7.91 (dd, J = 8.4, 2.2 Hz, 1H), 7.80–7.67 (m, 3H), 7.54–7.47 (m, 2H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  154.5, 148.1, 139.4, 137.1, 133.5, 133.1, 130.7, 130.0, 129.7, 129.4, 127.5, 127.4, 126.8, 126.5, 118.2.

2-(*Pyridin-2-yl*)*quinoline* (**3at**)<sup>11c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 5:1) as a white solid (56.3 mg, 78%), mp 96–97 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.71 (ddd, *J* = 4.7, 1.9, 0.9 Hz, 1H), 8.63 (dt, *J* = 8.0, 1.1 Hz, 1H), 8.55 (d, *J* = 8.6 Hz, 1H), 8.23 (dd, *J* = 8.6, 0.8 Hz, 1H), 8.17 (dq, *J* = 8.6, 0.9 Hz, 1H), 7.87–7.77 (m, 2H), 7.70 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.50 (ddd, *J* = 8.1, 6.9, 1.2 Hz, 1H), 7.30 (ddd, *J* = 7.5, 4.8, 1.2 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.3, 156.1, 149.1, 147.9, 136.8, 136.7, 129.8, 129.5, 128.2, 127.6, 126.7, 123.9, 121.8, 118.9.

2-(*Thiophen-3-yl*)*quinoline* (**3au**)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (67.3 mg, 91%), mp 133–134 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.06 (t, *J* = 7.7 Hz, 2H), 7.97 (d, *J* = 2.7 Hz, 1H), 7.82 (d, *J* = 4.9 Hz, 1H), 7.67 (dq, *J* = 14.7, 7.9 Hz, 3H), 7.42 (t, *J* = 7.4 Hz, 1H), 7.36 (dd, *J* = 5.0, 2.7 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$ 153.3, 148.3, 142.7, 136.8, 129.8, 129.5, 127.6, 127.2, 127.0, 126.5, 126.2, 124.8, 119.2.

2-(*Thiophen-2-yl*)*quinoline* (**3av**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (66.6 mg, 90%), mp 115–116 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.17 (d, *J* = 8.4 Hz, 1H), 8.06 (d, *J* = 8.6 Hz, 1H), 7.76–7.69 (m, 4H), 7.48 (t, *J* = 7.2 Hz, 2H), 7.17 (dd, *J* = 5.0, 3.7 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  152.3, 148.1, 145.4, 136.6, 129.8, 129.2, 128.6, 128.1, 127.5, 127.2, 126.1, 125.9, 117.6.

2-(Benzo[b]thiophen-2-yl)quinoline  $(3aw)^{22a}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a light yellow solid (85.1 mg, 93%), mp 106–107 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (t, J = 7.7 Hz, 2H), 7.99 (s, 1H), 7.92 (d, J = 8.3 Hz, 2H), 7.88–7.83 (m, 1H), 7.80 (d, J = 8.1 Hz, 1H), 7.75 (ddd, J = 8.4, 6.8, 1.4 Hz, 1H), 7.60–7.49 (m, 1H), 7.47–7.36 (m, 2H), <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  152.2, 148.0, 145.3, 141.1, 140.4, 136.6, 129.9, 129.4, 127.5, 127.4, 126.5, 125.3, 124.5, 124.3, 122.6, 122.5, 117.8.

2-(*Furan-2-yl*)*quinoline* (**3ax**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a light brown solid (47.8 mg, 70%), mp 96–97 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.14 (dd, *J* = 16.3, 8.6 Hz, 2H), 7.85–7.67 (m, 3H), 7.63 (d, *J* = 1.8 Hz, 1H), 7.48 (td, *J* = 7.4, 6.8, 1.2 Hz, 1H), 7.23 (d, *J* = 3.5 Hz, 1H), 6.58 (dd, *J* = 3.4, 1.8 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  153.6, 148.9, 148.0, 144.0, 136.6, 129.8, 129.3, 127.5, 127.1, 126.1, 117.4, 112.2, 110.1.

*S*,6-*Dihydrobenzo*[*c*]*acridine* (**3ay**)<sup>10c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (74.5 mg, 92%), mp 68–69 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.57 (d, *J* = 7.7 Hz, 1H), 8.12 (d, *J* = 8.4 Hz, 1H), 7.85 (s, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.62 (t, *J* = 7.7 Hz, 1H), 7.42 (dt, *J* = 11.9, 7.5 Hz, 2H), 7.34 (t, *J* = 7.3 Hz, 1H), 7.28–7.19 (m, 1H), 3.07 (dd, *J* = 8.3, 5.5 Hz, 2H), 2.96 (dd, *J* = 8.4, 5.5 Hz, 2H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  153.4, 147.6, 139.5, 134.7, 133.8, 130.6, 129.7, 129.4, 128.7, 128.0, 127.9, 127.4, 127.0, 126.1, 126.1, 28.9, 28.4.

3-*Methyl-2-phenylquinoline* (**3az**)<sup>4d</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (57.7 mg, 75%), mp 43–44 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.14 (d, *J* = 8.4 Hz, 1H), 7.99 (s, 1H), 7.76 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.65 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.60–7.57 (m, 2H), 7.52–7.39 (m, 4H), 2.44 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.5, 146.5, 140.8, 136.9, 129.3, 129.2, 128.9, 128.9, 128.4, 128.3, 127.6, 126.8, 126.5, 20.7.

2-Phenyl-3-propylquinoline  $(3azz)^{11d}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (49.3 mg, 47%), mp 39–41 °C.<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18 (d, J = 8.5 Hz, 1H), 8.07 (s, 1H), 7.84 (dd, J = 8.2, 1.5 Hz, 1H), 7.70 (ddd, J = 8.4, 6.8, 1.5 Hz, 1H), 7.63–7.45 (m, 6H), 2.84–2.75 (m, 2H), 1.61 (h, J = 7.4 Hz, 2H), 0.90 (t, J = 7.4 Hz, 3H).<sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.7, 146.2, 140.8, 135.8, 133.8, 129.1, 128.8, 128.7, 128.2, 128.0, 127.6, 126.9, 126.4, 34.8, 23.6, 13.8.

6-Methyl-2-phenylquinoline (**3ba**)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (69.8 mg, 91%), mp 80–81 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.24–8.18 (m, 2H), 8.15 (d, J = 8.5 Hz, 1H), 8.09–8.04 (m, 1H), 7.81 (d, J = 8.6 Hz, 1H), 7.59 (t, J = 1.8 Hz, 1H), 7.57–7.53 (m, 3H), 7.53–7.46 (m, 1H), 2.55 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>) δ 156.5, 147.0, 139.9, 136.1, 136.1, 132.0, 129.5, 129.2, 128.9, 127.5, 127.3, 126.4, 119.0, 21.7.

6-Methoxy-2-phenylquinoline  $(3ca)^{4c}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (76.6 mg, 93%), mp 121–122 °C <sup>1</sup>H NMR (400 MHz,

CDCl<sub>3</sub>)  $\delta$  8.10 (ddd, J = 18.3, 9.6, 4.7 Hz, 4H), 7.83 (dd, J = 8.6, 2.6 Hz, 1H), 7.52 (t, J = 7.7 Hz, 2H), 7.42 (dd, J = 25.0, 8.4 Hz, 2H), 7.09 (s, 1H), 3.94 (s, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.6, 155.0, 144.3, 139.7, 135.4, 131.1, 128.9, 128.7, 128.0, 127.2, 122.2, 119.2, 104.9, 55.5.

6-*Fluoro-2-phenylquinoline* (**3da**)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (64.1 mg, 82%), mp 95–96 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$ 8.17 (tt, *J* = 7.3, 3.1 Hz, 4H), 7.89 (dd, *J* = 8.6, 2.7 Hz, 1H), 7.59– 7.39 (m, 5H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.4 (d, *J* = 247.9 Hz), 154.1, 145.4, 139.3, 136.1 (d, *J* = 5.2 Hz), 132.2 (d, *J* = 9.1 Hz), 129.4, 128.9, 127.8, 127.7 (d, *J* = 9.6 Hz), 127.5, 119.85 (d, *J* = 26.8 Hz), 110.47 (d, *J* = 21.6 Hz).

6-Chloro-2-phenylquinoline (3ea)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (67.1 mg, 80%), mp 114–115 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.17–8.10 (m, 2H), 8.07 (d, J = 9.0 Hz, 1H), 7.94 (dd, J = 8.7, 0.8 Hz, 1H), 7.75 (d, J = 8.7 Hz, 1H), 7.68 (d, J = 2.4 Hz, 1H), 7.61 (dd, J = 9.0, 2.4 Hz, 1H), 7.55–7.43 (m, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.4, 146.7, 139.2, 135.8, 131.9, 131.4, 130.6, 129.7, 129.0, 127.7, 127.6, 126.2, 119.7.

7-Bromo-2-phenylquinoline (**3fa**)<sup>22b</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (82.5 mg, 83%), mp 132–133 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.37 (d, *J* = 1.9 Hz, 1H), 8.21–8.12 (m, 3H), 7.89 (d, *J* = 8.6 Hz, 1H), 7.69 (d, *J* = 8.6 Hz, 1H), 7.61 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.57– 7.47 (m, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  158.2, 148.9, 139.2, 136.7, 132.1, 129.8, 129.7, 128.9, 128.7, 127.6, 125.8, 123.7, 119.3.

6,8-Dibromo-2-phenylquinoline  $(3ga)^{22b}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (96.6 mg, 76%), mp 139–140 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.26–8.19 (m, 2H), 8.06 (d, J = 2.1 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.82–7.71 (m, 2H), 7.57–7.43 (m, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  157.4, 143.6, 138.2, 136.1, 135.8, 130.0, 129.2, 128.9, 128.7, 127.5, 126.5, 119.7, 119.2.

2-Phenylbenzo[g]quinoline (**3ha**)<sup>22c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (84.0 mg, 94%), mp 88–89 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$ 9.01 (d, J = 8.7 Hz, 1H), 8.64 (d, J = 8.2 Hz, 1H), 8.22 (d, J = 7.6 Hz, 2H), 8.10 (d, J = 9.1 Hz, 1H), 8.02 (t, J = 8.3 Hz, 2H), 7.95 (d, J = 7.8 Hz, 1H), 7.68 (dt, J = 22.3, 7.3 Hz, 2H), 7.55 (t, J = 7.5 Hz, 2H), 7.48 (t, J = 7.3 Hz, 1H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.9, 148.2, 139.4, 131.7, 131.6, 131.1, 129.7, 129.3, 128.9, 128.8, 128.6, 127.5, 127.2, 127.1, 124.2, 122.7, 118.8.

4-Methyl-2-phenylquinoline (**3ia**)<sup>4c</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (62.2 mg, 81%), mp 70–71 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$ 8.26 (d, *J* = 8.4 Hz, 1H), 8.24–8.18 (m, 2H), 7.98 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.75 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.71 (d, *J* = 1.5 Hz, 1H), 7.61–7.46 (m, 4H), 2.73 (d, *J* = 2.1 Hz, 3H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.9, 148.0, 144.8, 139.7, 130.2, 129.3, 129.2, 128.7, 127.5, 127.2, 126.0, 123.6, 119.6, 18.9.

2,4-Diphenylquinoline  $(3ja)^{4c}$  Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) as a white solid (89.6 mg, 91%), mp 116–117 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$ 8.36 (dd, J = 8.4, 1.2 Hz, 1H), 8.31–8.25 (m, 2H), 7.97 (dd, J = 8.4, 1.4 Hz, 1H), 7.89 (s, 1H), 7.79 (ddd, J = 8.4, 6.7, 1.5 Hz, 1H), 7.66– 7.48 (m, 9H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  156.8, 149.2, 148.8, 139.6, 138.4, 130.1, 129.6, 129.4, 128.9, 128.6, 128.4, 127.6, 126.4, 125.8, 125.6, 119.3.

2-Phenyl-1,8-naphthyridine (3ka)<sup>4d</sup> Purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1) as a light brown solid (52.0 mg, 72%), 120–121 58–59 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.09 (d, J = 4.1 Hz, 1H), 8.32–8.26 (m, 2H), 8.20– 8.09 (m, 2H), 7.94 (d, J = 8.5 Hz, 1H), 7.54–7.36 (m, 4H). <sup>13</sup>C{<sup>1</sup>H} NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  160.1, 156.0, 153.7, 138.4, 137.7, 136.7, 130.0, 128.7, 127.8, 121.7, 121.6, 119.6.

# ASSOCIATED CONTENT

### **Supporting Information**

The Supporting Information is available free of charge at https://pubs.acs.org/doi/10.1021/acs.joc.1c01386.

Details on the experimental procedure and characterization data of all compounds (PDF)

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#### Notes

The authors declare no competing financial interest.

#### ACKNOWLEDGMENTS

The authors thank Discipline Construction Project of Guangdong Medical University (4SG21004G) for financial support.

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