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ARTICLE

Preparation of 2-Arylquinolines from β-Arylpropionitriles with Aryllithiums and NIS through Iminyl Radical-Mediated Cyclization

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Abstract text goes here. Treatment of β-arylpropionitriles with aryllithiums, followed by the reaction with water and then NIS under irradiation with a tungsten lamp gave 2-arylquinolines in good to moderate yields. The present reaction proceeds through the formation of *N*-iodoimines from imines with NIS, the generation of imino-nitrogen-centered radicals, and their cyclization onto the aromatic rings of the imines to form 2-aryl-3,4-dihydroquinolines. Finally, the oxidation of 2-aryl-3,4-dihydroquinolines with NIS proceeds smoothly to generate 2-arylquinolines.

Introduction

The quinoline skeleton is one of the most important units because it bears potent biological activities, such as antimalarial, antibiotic, anticancer, anti-inflammatory, and anti-HIV activities, in pharmaceuticals.[1] For example, quinine has antimalarial, analgesic, and anti-inflammatory activities, and amodiaquine, chloroquine, and primaquine have antimalarial properties,[1a~1e] as shown in Fig. 1. Today, there are many established methods for the preparation of quinoline skeletons, including the Skraup quinoline synthesis with anilines and acrolein, the Robinson-Foulds quinoline synthesis with *N*-acyl *o*-vinylanilines, the Friedländer quinoline synthesis with *o*-aminobenzaldehydes and ketones, and the Combes quinoline synthesis with anilines and 1,3-diketones.

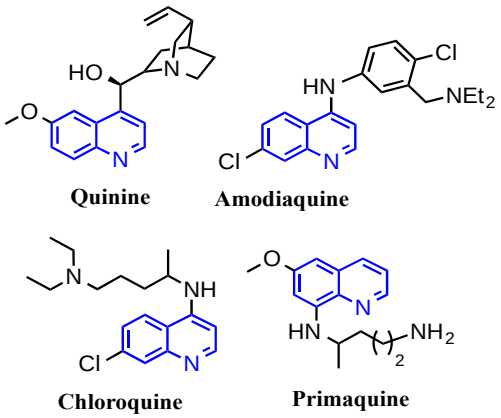

Fig. 1 Typical Quinolines Bearing Antimalarials

However, much more simpler and efficient synthetic methods have been required due to the importance of quinolines.[1] Recent reports for the preparation of quinoline units with transition metals are as follows:[2] the preparations of 2-aminoquinolines with *N*-acyl-*o*-alkynylanilines and isocyanides in the presence of $Pd(OAc)_2$;[2a] 2,4-substituted quinolines with (*Z*)-*N*-arylbenzimidoyl chlorides and *tert*-butyl 1-(aryl)propyn-2-yl carbonates in the presence of CuI;[2b] 2-aminoquinolines with β-(2-aminophenyl)-α,β-ynones and ynamides in the presence of IPrAuCl and $AgSbF_6$;[2c] 2-arylquinolines with α-arylethanols and *o*-aminobenzyl alcohols in the presence of Cu_2L_6 (L = 4,6-dimethylpyrimidine-2-thione);[2d] 2-aryl-3-tosylquinolines with anthranils and tosylhydrazones in the presence of $Cu(OAc)_2$ and AgOTf;[2e] quinolines with anilines and allyl alcohols in the presence of $[RuCl_2(p\text{-cym})]_2$, $Cu(OAc)_2$, and $AgSbF_6$;[2f] 2,3,4-trisubstituted quinolines with *N*-aryl amides and 1,2-diarylethynes in the presence of $[Cp^*CoCl_2]_2$ and $AgNTf_2$;[2g] 2,4-disubstituted quinolines with α-(*o*-aminophenyl)benzyl alcohols and secondary alcohols in the presence of Mn(I)•PNP pincer complex;[2h] 2,4-diarylquinolines with *N*-benzylanilines and styrene in the presence of tris(4-bromophenyl)aminiumhexachloroantimonate ($TBPA^{+\bullet}$);[2i] 3-arylquinolines with anilines and α-(aryl)acetaldehydes in the presence of CuBr and CF_3SO_3H;[2j] and 2,3,4-trisubstituted quinolines with diaryliodonium salts, nitriles, and alkynes in the presence of $Cu(OTf)_2$.[2k] In addition, as recent reports for the preparation of quinoline units without transition metals, the following examples are enumerated: the preparations of 4-aryl-3-tosylquinolines with *N*-(arylpropargyl)anilines and toluenesulfinic acid under LED irradiation;[3a] 4-amino-2-(difluoromethyl)quinolines with *o*-cyanoanilines and methyl 4,4-difluorobut-2-ynoate;[3b] 2-arenesulfonylquinolines with *o*-alkynylisocyanobenzenes and arenesulfinate;[3c] 2,4-disubstituted quinolines with acetophenones, anilines, and α-(aryl)acetaldehydes in the presence of molecular iodine in DMSO;[3d] 2,3,4-

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trisubstituted quinolines with arylisothiocyanates, alkynes and CF_3SO_3Me;[3e] and trisubstituted quinolines with *o*-ethynylaryl isocyanides and $(PhTe)_2$ or $(PhSe)_2$ under irradiation with a Hg lamp.[3f] On the other hand, synthetic studies of imino-carbon-centered radicals and imino-nitrogen-centered radicals for the preparation of nitrogen-containing heterocycles,[4] especially phenanthridines from 2-isocyanobiaryls via imino-carbon-centered radicals, have become popular recently. However, most of those studies have focused on imino-carbon-centered radicals (imidoyl radicals) formed by the addition of radical species to isonitriles, and synthetic studies of imino-nitrogen-centered radicals (iminyl radicals) for the preparation of nitrogen-containing heterocycles are quite limited.[5] Recent reports for the construction of nitrogen-containing heterocycles using imino-nitrogen-centered radicals (iminyl radicals) are as follows:[6] the construction of a phenanthridine core with *N*-aryl acrylamides bearing a cyano group and CF_3SO_2Cl in the presence of $Ru(phen)_3Cl_2$ under irradiation with blue LED;[6a] a phenanthridine core with *N*-aryl acrylamides bearing a cyano group and $BrCH_2CN$ in the presence of *fac*-$Ir(ppy)_3$ under irradiation with a blue LED;[6b] quinoline and phenanthridine cores with aromatic aldehydes and *O*-aroyl hydroxylamines in the presence of *fac*-$Ir(ppy)_3$ under irradiation with white LED;[6c] quinoline and phenanthridine cores with *O*-aroyl compounds of aryl ketone oximes in the presence of *fac*-$Ir(ppy)_3$ under irradiation with white LED;[6d] and 3-(methoxycarbonyl)quinolines with 3-arylallyl azides bearing a methoxycarbonyl group at 2-position with NBS under irradiation with a fluorescent lamp.[6e]

As part of our synthetic studies of iminyl radicals formed from *N*-iodo imines for construction of nitrogen-containing heteroaromatics, such as phenanthridines,[7] here we would like to report a simple preparation of 2-arylquinolines from the reaction of β-arylpropionitriles and aryllithiums, followed by the reaction with *N*-iodosuccinimide (NIS) under irradiation with a tungsten lamp.

Results and Discussion

First, to understand the cyclization ability of an iminyl radical onto an aromatic ring and the optimal reaction conditions, β-phenylpropionitrile **1a** (3.0 mmol) was treated with PhLi (**A**, 1.3 equiv., 1.8 equiv., 2.5 equiv., and 3.0 equiv.) in THF (5.0 mL) at 0 °C for 1 h (1st step), and then with water (5.0 mL) (2nd step) to form imine **Ia-A**. Then, imine **Ia-A** was treated with *N*-iodosuccinimide (NIS, 2.1 equiv.) in 1,2-dichloroethane (DCE, 6.0 mL) under irradiation with a tungsten lamp (300 W) in the range of 30 °C~40 °C (3rd step) to give 2-phenylquinoline **2a-A** in 38%, 51%, 58%, and 59% yields together with the recovery of β-phenylpropionitrile **1a**, respectively, as shown in Table 1 (entries 1~4). When the reaction of β-phenylpropionitrile **1a** with PhLi (3.0 equiv.) was carried out at -10 °C for 0.5 h (1st step), and obtained imine **1a-A** was treated with NIS under the same procedure and conditions, 2-phenylquinoline **2a-A** was obtained in 65% yield, together with β-phenylpropionitrile **1a** in 33% yield (entry 5). The reason why β-phenylpropionitrile **1a** was recovered partly is that PhLi not only added mainly to the nitrile group of β-phenylpropionitrile **1a**, but also abstracted an α-proton of β-phenylpropionitrile **1a** even if the reaction was carried out at -10 °C. For the recovery of β-phenylpropionitrile **1a**, the reaction mixture after the 1st reaction step was quenched with D_2O (2.0 mL) (2nd step), and the obtained mixture containing imine **Ia-A** was treated with NIS under the same procedure and conditions to give 2-phenylquinoline **2a-A** in 65 % yield, together with β-phenylpropionitrile-α-d_1 **1a** in 26% yield (entry 6). The 1st reaction step with PhLi was further studied to reduce the amount of α-proton abstraction from β-phenylpropionitrile **1a** by PhLi, by changing the reaction temperature, the amount of PhLi, the dropwise addition time of PhLi, and the reaction time. However, the yield of 2-phenylquinoline **2a-A** was not improved. Thus, to obtain 2-phenylquinoline **2a-A** efficiently, the reaction of β-phenylpropionitrile **1a** with PhLi (**A**, 3.0 equiv.) at -10 °C for 0.5 h (1st step) was the best (entry 5). As a gram-scale experiment, β-phenylpropionitrile **1a** (8.0 mmol) was treated under the same procedure and conditions as those of entry 5 to give 2-phenylquinoline **2a-A** in 63% yield (entry 7). Then, the solvent in the 3rd reaction step was changed from DCE to THF, MeOH, and CH_3CN, and it was found that DCE was the best choice (entries 5, and 9~11). When the reaction of imine **Ia-A** with NIS in the 3rd reaction step was carried out at 70 °C for 3 h instead the irradiation with a tungsten lamp, the yield of 2-phenylquinoline **2a** was decreased (entries 5 and 12). When 1,3-diiodo-5,5-dimethylhydantoin (DIH, 1.1 equiv.) was used instead of NIS (2.1 equiv.) in the 3rd reaction step, the yield of 2-phenylquinoline **2a-A** was 64%, and that of β-phenylpropionitrile **1a** was 33% under the same procedure and conditions (entry 13). When I_2 (2.1 equiv.) and K_2CO_3 (1.5 equiv.) were used instead of NIS in the 3rd reaction step under the same procedure and conditions, 2-phenylquinoline **2a-A** was not obtained at all (entry 14). When *N*-bromosuccinimide (NBS, 2.1 equiv.) and *N*-chlorosuccinimide (NCS, 2.1 equiv.) were used instead of NIS in the 3rd reaction step under the same procedure and conditions, 2-phenylquinoline **2a-A** was obtained in 14% and 0% yields, together with the isolation of 1,3-diphenyl-1-propanone, a hydrolyzed ketone of imine **Ia-A**, in 61% and 68% yields, respectively (entries 15, 16). Thus, NBS shows poor reactivity and NCS did not work at all in the formation of 2-phenylquinoline **2a-A** from imine **Ia-A**. When the 3rd reaction step in entry 5 was carried out in the presence of 2,6-di-*tert*-butyl-4-methylphenol (BHT, 1.5 equiv.) and 2,2,6,6-tetramethylpiperidine 1-oxyl radical (TEMPO, 1.5 equiv.) under the same procedure and conditions, 2-phenylquinoline **2a-A** was not obtained at all in both reactions, and 1,3-diphenyl-1-propanone, a hydrolyzed ketone of the imine, was obtained in 41 % and 27% yields, respectively (entries 17, 18). Thus, those results indicate that the formation of 2-phenylquinoline **2a-A** from imine **Ia-A** is a radical-mediated reaction. In addition, when PhLi prepared from the reaction of bromobenzene (3.0 equiv.) and *n*-BuLi (3.1 equiv.), instead of commercially available PhLi (3.0 equiv.) was used under the same procedure and conditions as those of entry 5, the yield of 2-phenylquinoline **2a-A** was markedly decreased to 13% (entry 19).

This is mainly due to the reaction of the carbanion derived from the α-proton abstraction of β-phenylpropionitrile **1a** by *n*-BuLi, with formed 1-bromobutane.

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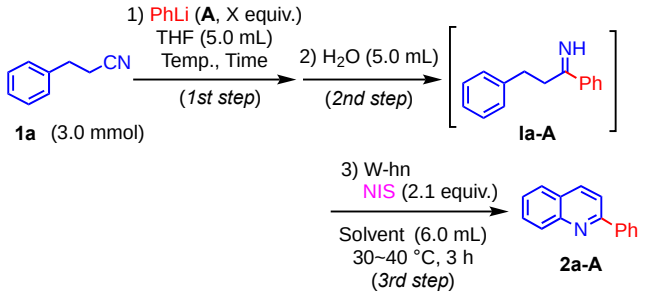
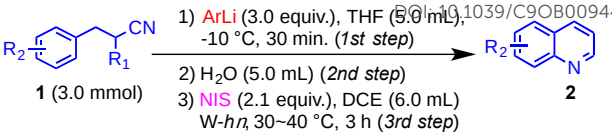
Table 1. Optimization of Reaction Conditions



Entry	X (equiv.)	Temp (°C)	Time (h)	Reagent	Solvent	Yield (%) **2a-A**
1	1.3	0	1	NIS	DCE	38(49)[a]
2	1.8	0	1	NIS	DCE	51(43)[a]
3	2.5	0	1	NIS	DCE	58(31)[a]
4	3.0	0	1	NIS	DCE	59(40)[a]
5	3.0	–10	0.5	NIS	DCE	65(33)[a]
6[b]	3.0	–10	0.5	NIS	DCE	65(26)[c]
7[d]	3.0	–10	0.5	NIS	DCE	63
8	3.0	–10	1	NIS	DCE	55
9	3.0	–10	0.5	NIS	THF	12
10	3.0	–10	0.5	NIS	MeOH	6
11	3.0	–10	0.5	NIS	MeCN	25
12[e]	3.0	–10	0.5	NIS	DCE	41
13[f]	3.0	–10	0.5	DIH	DCE	64(33)[a]
14[g]	3.0	–10	0.5	I_2, K_2CO_3	DCE	0
15	3.0	–10	0.5	NBS	DCE	14 (61)[h]
16	3.0	–10	0.5	NCS	DCE	0 (68)[h]
17[i]	3.0	–10	0.5	NIS	DCE	0 (41)[h]
18[j]	3.0	–10	0.5	NIS	DCE	0 (27)[h]
19[k]	3.0	–10	0.5	NIS	DCE	13
20[l]	3.0	–10	0.5	NIS	DCE	16

[a] Yield of recovered **1a**. [b] After 1st reaction step, D_2O (2.0 mL) was added. [c] Yield of β-phenylpropionitrile-α-d_1. [d] Reaction was carried out with **1a** (8.0 mmol) and irradiation time at 3rd reaction step was 6 h. [e] Reaction was carried out at 70 °C for 3 h instead of irradiation with a tungsten lamp. [f] Instead of NIS, DIH (1.1 equiv.) was used. [g] Instead of NIS, I_2 (2.1 equiv.) and K_2CO_3 (1.5 equiv.) were used. [h] Yield of 1,3-diphenyl-1-propanone. [i] In 3rd reaction step, BHT (1.5 equiv.) was added. [j] In 3rd reaction step, TEMPO (1.5 equiv.) was added. [k] Instead of PhLi (3.0 equiv.), PhLi prepared from bromobenzene (3.0 equiv.) and *n*-BuLi (3.1 equiv.) was used. [l] In 1st reaction step, 1-bromobutane (3.0 equiv.) was added.

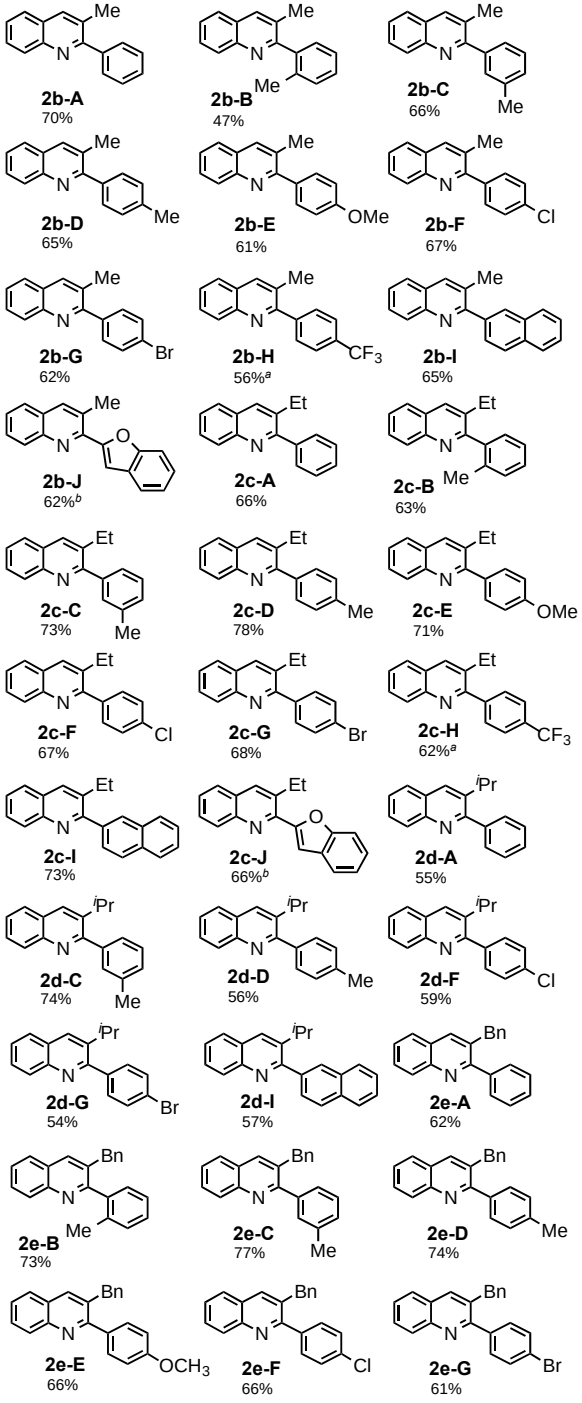
Scheme 1. Transformation of b-Arylpropionitriles **1** to 2-Arylquinolines **2**

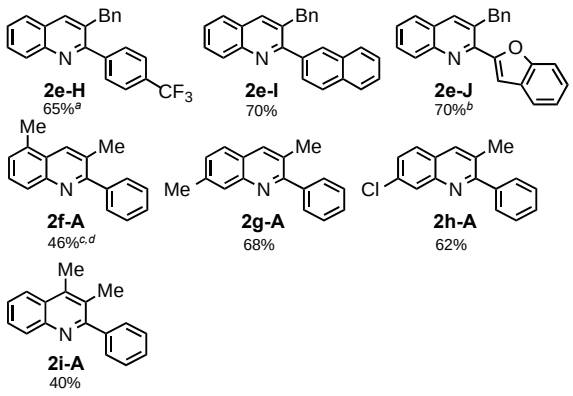


ArLi (A~K)

A: Ar = phenyl **B:** Ar = *o*-methylphenyl **C:** Ar = *m*-methylphenyl
D: Ar = *p*-methylphenyl **E:** Ar = *p*-methoxyphenyl **F:** Ar = *p*-chlorophenyl
G: Ar = *p*-bromophenyl **H:** Ar = *p*-(trifluoromethyl)phenyl
I: Ar = 2-naphthyl **J:** Ar = benzofuran-2-yl

Products, Yields





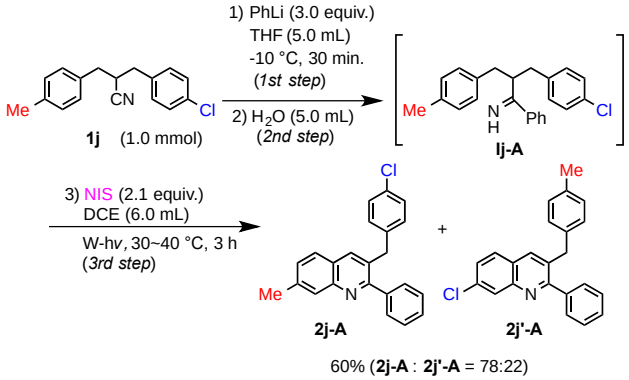
[a] 1st reaction step was conducted for 90 min.
[b] 1st reaction step was conducted at 0 °C .
[c] In 3rd reaction step, NIS (3.0 equiv.) was used.
[d] 3rd reaction step was stirred for 5 h.

Practically, when the reaction in entry 5 was carried out in the presence of 1-bromobutane (3.0 equiv.) in the 1st reaction step under the same procedure and conditions, the yield of 2-phenylquinoline **2a-A** was considerably decreased to 16% (entry 20). Thus, when β-arylpropionitriles **1,** which have two α-hydrogen atoms, are treated with ArLi prepared from the reactions of ArBr with *n*-BuLi, we cannot expect good yields due to the reactions of the carbanions derived from β-arylpropionitriles **1**, with formed 1-bromobutane.

Finally, instead of a tungsten lamp (300 W), when the reaction of the 3rd step in entry 5 was carried out under irradiation with a LED lamp (60 W) at room temperature and a high-pressure mercury lamp (400 W) at the range of 35 °C~40 °C under the same procedure and conditions, the yield of 2-phenylquinoline **2a-A** was slightly decreased to 51% and 41%, together with β-phenylpropionitrile **1a** in 27% and 31% yields, respectively.

Then, as our next strategy, we used α-alkyl-β-arylpropionitriles **1** as substrates to reduce the amount of α-proton abstraction of β-arylpropionitriles **1** by *n*-BuLi. Based on the above results, α-methyl-β-phenylpropionitrile **1b** (3.0 mmol) was treated with aryllithiums **B**~**J** (3.0 equiv.) prepared from aryl bromides and *n*-BuLi in THF (5.0 mL) at -10 °C for 0.5 h (1st step), and then with water (5.0 mL) to form the corresponding arylimines **I** (2nd step). Then, arylimines **I** were treated with *N*-iodosuccinimide (NIS, 2.1 equiv.) in 1,2-dichloroethane (DCE, 6.0 mL) under irradiation with a tungsten lamp (300 W) in the range of 30 °C~40 °C for 3 h (3rd step) to give 2-aryl-3-methylquinolines **2b-B**~**2b-J** bearing *o*-methylphenyl, *m*-methylphenyl, *p*-methylphenyl, *p*-methoxyphenyl, *p*-chlorophenyl, *p*-bromophenyl, *p*-(trifluoromethyl)phenyl, 2-naphthyl, and benzofuran-2-yl groups at 2-position in good to moderate yields, respectively, as shown in Scheme 1. When α-substituted β-phenylpropionitriles **1c**, **1d**, and **1e** bearing ethyl, isopropyl, and benzyl groups at α-position were treated with aryllithiums **A**~**J** under the same procedure and conditions, 2-aryl-3-ethylquinolines **2c-A**~**2c-J**, 2-aryl-3-isopropylquinolines **2d-A**~**2d-I**, and 2-aryl-3-benzylquinolines **2e-A**~**2e-J** bearing phenyl, *o*-methylphenyl, *m*-methylphenyl, *p*-methylphenyl, *p*-methoxyphenyl, *p*-chlorophenyl, *p*-bromophenyl, *p*-(trifluoromethyl)phenyl, 2-naphthyl, and benzofuran-2-yl groups at 2-position were also obtained in good to moderate yields, respectively, as shown in Scheme 1. When other β-arylpropionitriles, such as α-methyl-β-(*o*-methylphenyl)propionitrile **1f**, α-methyl-β-(*p*-methylphenyl)propionitrile **1g**, β-(*p*-chlorophenyl)-α-methylpropionitrile **1h**, and α,β-dimethyl-β-phenylpropionitrile **1i**, were treated with phenyllithium (**A**) under the same procedure and conditions, 3-methyl-2-phenylquinoline derivatives **2f-A**~**2i-A** were obtained in good to moderate yields, respectively, as shown in Scheme 1.

Scheme 2. Orientation for Radical Cyclization onto Aromatic Ring



60% (**2j-A** : **2j'-A** = 78:22)

To understand the orientation for the radical cyclization of an imino-nitrogen-centered radical onto an aromatic ring, α-(*p*-chlorobenzyl)-α-(*p*-methylbenzyl)acetonitrile **1j** was treated with PhLi (**A**, 3.0 equiv.) in THF (5.0 mL) at -10 °C for 0.5 h, and then with water (5.0 mL) to form the corresponding phenylimine **Ij-A**. Then, phenylimine **Ij-A** was treated with NIS (2.1 equiv.) in DCE (6.0 mL) under irradiation with a tungsten lamp in the range of 30 °C~40 °C to give 3-(*p*-chlorobenzyl)-7-methyl-2-phenylquinoline **2j-A** and 7-chloro-3-(*p*-methylbenzyl)-2-phenylquinoline **2j'-A** in 60% yield (78:22), as shown in Scheme 2. Thus, this result indicates that the imino-nitrogen-centered radical has an electrophilic character.

Based on the obtained results, a possible reaction mechanism for the formation of 2-arylquinolines from β-arylpropionitriles is shown in Scheme 3. Arylimine **I** formed from the reaction of β-arylpropionitrile with aryllithium reacts with NIS to form *N*-iodo arylimine **II**. Under irradiation with a tungsten lamp, homolytic bond cleavage of the N-I bond in *N*-iodo arylimine **II** occurs to form imino-nitrogen-centered radical **III**. Reactive imino-nitrogen-centered radical **III** cyclizes onto the aromatic ring of the β-arylethyl group in radical **III** to form adduct intermediate **IV** that is smoothly oxidized to 2-aryl-3,4-dihydroquinoline **V** by NIS. Once 2-aryl-3,4-dihydroquinoline **V** is formed, it is further oxidized to 2-arylquinoline **2** by NIS through the intermediates **VI** and **VII**. Practically, the formation of 2-arylquinoline in the presence of BHT, a hydrogen atom donor, and TEMPO, a radical trapping reagent, is completely inhibited. Moreover, as the formed

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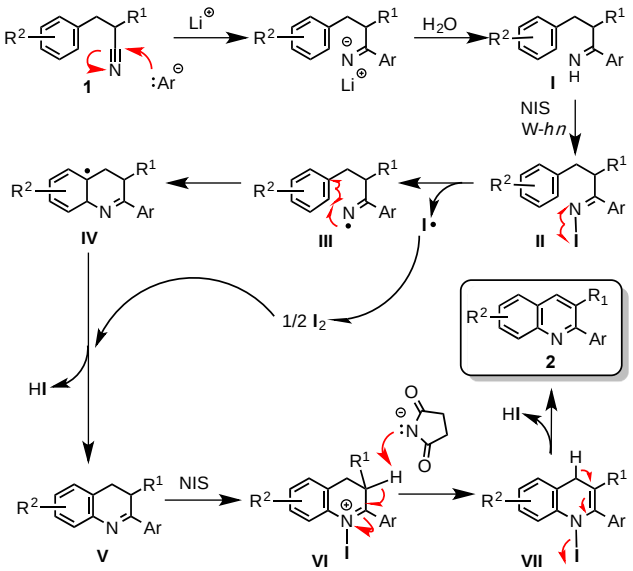
imino-nitrogen-centered radical has an electrophilic character, it cyclizes onto the electron-rich aromatic ring of aryl β-arylethylimine **I** to form 2-arylquinoline **2**. It is known that homolytic bond cleavage of the N-I bond in *N*-iodosulfonamides under irradiation with a tungsten lamp occurs smoothly to form nitrogen-containing heterocyclic compounds with sulfonamides, (diacetoxyiodo)benzene (DIB), and iodine.[8] In contrast, homolytic bond cleavage of N-Br and N-Cl does not occur smoothly under the present reaction conditions.

Scheme 3. Plausible Reaction Mechanism



Conclusions

Irradiation treatment of imines formed from the reactions of β-arylpropionitriles with aryllithiums, and then with water and NIS, with a tungsten lamp gave the corresponding 2-arylquinolines in good to moderate yields. The key points of the present reactions are as follows. NIS plays the role of an iodination reagent of the formed imines, and homolytic bond cleavage of the formed N-I bond occurs to generate an iminyl radical, *i.e.*, an imino-nitrogen-centered radical. The iminyl radical cyclizes onto the aromatic ring derived from β-arylpropionitrile to form 2-aryl-3,4-dihydroquinoline, which is smoothly oxidized to 2-arylquinoline by NIS. This is a novel method for the preparation of 2-arylquinolines from β-arylpropionitriles, and would be useful for the preparation of quinoline derivatives under transition-metal-free conditions.

Experimental Section

General: ^{1}H NMR spectra were measured on 400 MHz and 500 MHz spectrometers. Chemical shifts were recorded as follows: chemical shift in ppm from internal tetramethylsilane on the δ scale, multiplicity (s = singlet; d = doublet; t = triplet; q = quartet; m = multiplet; br = broad), coupling constant (Hz), integration, and assignment. ^{13}C NMR spectra were measured on 125 MHz spectrometers. Chemical shifts were recorded in ppm from the solvent resonance employed as the internal standard (deuterochloroform at 77.0 ppm). Characteristic peaks in the infrared (IR) spectra were recorded in wave number, cm^{-1}. High-resolution mass spectra were measured with Thermo Fisher Scientific Exactive Orbitrap mass spectrometers. Melting points were uncorrected. Thin-layer chromatography (TLC) was performed using 0.25 mm silica gel plates (60F-254). The products were purified by column chromatography on neutral silica gel 60N (63–210 mesh).

Typical Procedure for Preparation of β-Arylpropionitriles 1: Lithium diisopropylamide (LDA, 75.6 mmol, 1.08 M in hexane, 70.0 mL) was added dropwise to a solution of propionitrile (75.6 mmol, 4.32 g) in THF (70.0 mL) at −78 °C under argon atmosphere. After 5 min., benzyl bromide (84.0mmol, 9.98 mL) was added to the mixture. The obtained mixture was stirred at –78 ˚C for 1 h and at room temperature for 90 min under argon atmosphere. Then, aq. NH_4Cl solution (50 mL) was added to the reaction mixture and the product was extracted with $CHCl_3$ (50 mL × 3). The organic layer was purified by silica-gel column chromatography (eluent: *n*-hexane:EtOAc = 9:1) to give α-methyl-β-phenylpropionitrile **1b** (5.20 g 47%). Other β-arylpropionitriles **1** were prepared by the same procedure and conditions in the range of 51%~58% yields. β-Phenylpropionitrile **1a** is commercially available.

α-Methyl-β-phenylpropionitrile (**1b**): clorless oil; IR (neat): 3030, 2239 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.33 (d, 3H, *J* = 6.8 Hz), 2.80-2.99 (m, 3H), 7.23 (d, 2H, *J* = 7.4 Hz), 7.28 (t, 1H, *J* = 7.3 Hz), 7.34 (t, 2H, *J* = 7.1 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 17.5, 27.4, 39.9, 122.5, 127.1, 128.6, 129.0, 136.8; HRMS (APCI): Calcd for $C_{10}H_{12}N$ $[M+H]^+$ = 146.0965, Found = 146.0964.

α-Ethyl-β-phenylpropionitrile (**1c**): corless oil; IR (neat): 3030, 2238 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.12 (t, 3H, *J* = 7.3 Hz), 1.59-1.72 (m, 2H), 2.68-2.76 (m, 1H), 2.86 (dd, 1H, *J* = 6.3, 13.8 Hz), 2.94 (dd, 1H, *J* = 8.4, 13.7 Hz) 7.23-7.26 (m, 2H), 7.26-7.29 (m, 1H), 7.34 (t, 2H, *J* = 7.2 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ =11.5, 25.0, 35.4, 38.0, 121.6, 127.1, 128.6, 128.9, 137.0; HRMS (APCI): Calcd for $C_{11}H_{14}N$ $[M+H]^+$ = 160.1123, Found = 160.1121.

α-Isopropyl-β-phenylpropionitrile (**1d**): colorless oil; IR (neat): 3031, 2236cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ =1.11 (d, 3H, *J* = 6.7 Hz), 1.13 (d, 3H, *J* = 6.7 Hz), 1.87 (sext, 1H, *J* = 6.7 Hz), 2.65-2.71 (m, 1H), 2.81-2.94 (m, 2H), 7.22-7.27 (m, 3H), 7.34 (t, 2H, *J* = 7.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 11.5, 25.0, 35.4, 38.0, 121.6, 127.1, 128.6, 128.9, 137.0; HRMS (APCI): Calcd for $C_{12}H_{16}N$ $[M+H]^+$ = 174.1279, Found = 174.1277.

α-Benzyl-β-phenylpropionitrile (**1e**): white solid; mp: 89-90 °C; IR (neat): 3062, 3028, 2240 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.93 (d, 4H, *J* = 7.0 Hz), 3.03 (quin, 1H, *J* = 7.5 Hz), 7.24-7.27 (m, 4H), 7.27-7.30 (m, 2H), 7.35 (t, 4H, *J* = 7.2 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 35.8, 37.9, 121.2, 127.3, 128.7, 129.0, 136.7; HRMS (APCI): Calcd for $C_{16}H_{16}N$ $[M+H]^+$ = 222.1278, Found = 222.1277.

α-(*p*-Chlorobenzyl)-α-(*p*-methylbenzyl)acetonitrile (**1j**): white solid; mp: 86 °C; yellow oil; IR (neat): 3053, 2242 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.34 (s, 3H), 2.81-2.89 (m, 4H), 2.93-3.01

(m, 1H), 7.11-7.21 (m, 6H), 7.31 (d, 2H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.1, 35.9, 37.1, 37.5, 121.1, 128.9 (2C),129.5, 130.4, 133.2, 133.4, 135.2, 137.0; HRMS (APCI): Calcd for $C_{17}H_{17}NCl$ $[M+H]^+$ = 270.1046, Found = 270.1044.

Typical Procedure for Transformation of β-Arylpropionitriles 1 to 2-Arylquinolines 2 (1): To a solution of β-phenylpropionitrile **1a** (3.0 mmol, 393.54 mg) in THF (5.0 mL) was added PhLi (9.0 mmol, 1.1 M in cyclohexane and diethyl ether, 8.5 mL) at -10 ˚C. The obtained mixture was stirred for 30 min at –10 ˚C under an argon atmosphere. Then, H_2O (5.0 mL) was added to the mixture, and the product was extracted with $CHCl_3$ (15 mL × 3) quickly. The organic layer was dried over Na_2SO_4, and the solvent was removed under reduced pressure. To the residue in 1,2-dichloroethane (6.0 mL) was added NIS (6.3 mmol, 1.417 g) at room temperature, and the obtained mixture was stirred under irradiation with a tungsten lamp (300 W) for 3 h in the range of 30 °C~40 °C. Then, sat. Na_2SO_3 aqueous solution (15 mL) was added to the reaction mixture, and the product was extracted with $CHCl_3$ (15 mL × 3). The organic layer was purified by silica-gel column chromatography (eluent: *n*-hexane:EtOAc = 9:1) to give 2-phenylquinoline **2a-A** (399.6 mg 65%).

2-Phenylquinoline (**2a-A**): Yield: 399.6 mg (65%); white solid; mp: 83-85 °C; IR (neat): 3057, 1597, 1556 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 7.47 (tt, 1H, *J* = 7.2, 2.1 Hz), 7.52-7.56 (m, 3H), 7.74 (td, 1H, *J* = 7.8, 1.5 Hz), 7.84 (d, 1H, *J* = 8.0 Hz), 7.89 (d, 1H, *J* = 8.5 Hz), 8.16-8.19 (m, 3H), 8.24 (d, 1H, *J* = 8.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 119.0, 126.3, 127.1, 127.4, 127.5, 128.8, 129.3, 129.6, 129.7, 136.8, 139.7, 148.2, 157.4; HRMS (ESI): Calcd for $C_{15}H_{12}N$ $[M+H]^+$ = 206.0964, Found = 206.0959.

3-Methyl-2-phenylquinoline (**2b-A**): Yield: 462.5 mg (70%); yellow oil; IR (neat): 3058, 1598, 1557 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.47 (s, 3H), 7.44-7.54 (m, 4H), 7.60 (d, 2H, *J* = 8.0 Hz), 7.67 (t, 1H, *J* = 7.8 Hz), 7.79 (d, 1H, *J* = 8.5 Hz), 8.03 (s, 1H), 8.13 (d, 1H, *J* = 8.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 126.4, 126.7, 127.5, 128.1, 128.3, 128.7, 128.8, 129.2, 129.3, 136.7, 140.8, 146.6, 160.5; HRMS (ESI): Calcd for $C_{16}H_{14}N$ $[M+H]^+$ = 220.1117, Found = 220.1121.

Typical Procedure for Transformation of β-Arylpropionitriles 1 to 2-Arylquinolines 2 (2): *n*-BuLi (9.0 mmol, 1.5 M in hexane, 5.73 mL) was added dropwise to a solution of *p*-bromotoluene (9.3 mmol, 1.590 g) in THF (5.0 mL) at −50 °C under an argon atmosphere. After 30 min, a solution of α-methyl-β-phenylpropionitrile **1b** (3.0 mmol, 435.62 mg) in THF (2.0 mL) was added to the mixture at -10 ˚C. The obtained mixture was stirred for 30 min at –10 ˚C under an argon atmosphere. Then, H_2O (5.0 mL) was added to the mixture, and the imine was extracted with $CHCl_3$ (15 mL × 3) quickly. The organic layer was dried over Na_2SO_4, and removal of the solvent under reduced pressure gave the imine. To the residual imine in 1,2-dichloroethane (6.0 mL) was added NIS (6.3 mmol, 1.417 g) at room temperature, and the mixture was stirred under irradiation with a tungsten lamp (300 W) for 3 h in the range of 30~40 °C. Sat. Na_2SO_3 aqueous solution (15 mL) was added to the reaction mixture, and the obtained mixture was extracted with $CHCl_3$ (15 mL × 3). The organic layer was purified by silica-gel column chromatography (eluent: *n*-hexane:EtOAc = 9:1) to give 3-methyl-2-(4'-methylphenyl)quinoline **2b-D** (453.6 mg, 65%).

3-Methyl-2-(2'-methylphenyl)quinoline (**2b-B**): Yield: 328.3 mg (47%); yellow oil; IR (neat): 3058, 1599, 1555 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.10 (s, 3H), 2.25 (s, 3H), 7.23-7.33 (m, 4H), 7.54 (t, 1H, *J* = 8.2 Hz), 7.67 (t, 1H, *J* = 8.4 Hz) 7.81 (d, 1H, *J* = 7.0 Hz), 8.03 (s, 1H), 8.12 (d, 1H, *J* = 7.9 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ =19.4, 19.6, 125.8, 126.3, 126.7, 127.6, 128.1 (2C), 128.6, 129.2, 129.8, 130.2, 135.3, 136.0, 140.3, 146.4, 161.4; HRMS (ESI): Calcd for $C_{17}H_{16}N$ $[M+H]^+$ = 234.1277, Found = 234.1272.

3-Methyl-2-(3'-methylphenyl)quinoline (**2b-C**): Yield: 464.8 mg (66%); yellow oil; IR (neat): 3058, 1598, 1557 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.44 (s, 3H), 2.46 (s, 3H), 7.22-7.24 (m, 1H), 7.36-7.41 (m, 3H), 7.52 (t, 1H, *J* = 7.5 Hz), 7.66 (t, 1H, *J* = 7.6 Hz) 7.78 (d, 1H, *J* = 7.9 Hz), 8.02 (s, 1H), 8.13 (d, 1H, *J* = 8.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 21.5, 125.8, 126.3, 126.6, 127.5, 128.0, 128.6, 128.8, 129.2 (2C), 129.4, 136.6, 138.0, 140.7, 146.5, 160.7; HRMS (ESI): Calcd for $C_{17}H_{16}N$ $[M+H]^+$ = 234.1277, Found = 234.1273.

3-Methyl-2-(4'-methylphenyl)quinoline (**2b-D**): Yield: 453.6 mg (65%); yellow oil; IR (neat): 3057, 1598, 1557 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.43 (s, 3H), 2.48 (s, 3H), 7.30 (d, 2H, *J* = 7.7 Hz), 7.49-7.53 (m, 3H), 7.65 (td, 1H, *J* = 7.7, 1.6 Hz) 7.77 (d, 1H, *J* = 8.2 Hz), 8.01 (s, 1H), 8.11 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 21.2, 126.1, 126.5, 127.3, 128.5, 128.7, 128.8, 129.1 (2C), 136.5, 137.8 (2C), 146.5, 160.4; HRMS (ESI): Calcd for $C_{17}H_{16}N$ $[M+H]^+$ = 234.1277, Found = 234.1274.

2-(4'-Methoxyphenyl)-3-methylquinoline (**2b-E**): Yield: 454.0 mg (61%); white solid; mp: 74-75 °C; IR (neat): 3044, 1606, 1514, 1031 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.50 (s, 3H), 3.88 (s, 3H), 7.02 (d, 2H, *J* = 8.6 Hz), 7.50 (t, 1H, *J* = 8.2 Hz), 7.57 (d, 2H, *J* = 8.6 Hz), 7.65 (t, 1H, *J* = 8.4 Hz), 7.77 (d, 1H, *J* = 8.2 Hz), 8.00 (s, 1H), 8.11 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.8, 55.3, 113.7, 126.2, 126.6, 127.4, 128.6, 129.2 (2C), 130.3, 133.4, 136.7, 146.6, 159.6, 160.1; HRMS (ESI): Calcd for $C_{17}H_{16}ON$ $[M+H]^+$ = 250.1226, Found = 250.1226.

2-(4'-Chlorophenyl)-3-methylquinoline (**2b-F**): Yield: 512.3 mg (67%); white solid; mp: 87-89 °C; IR (neat): 3053, 1596, 1555 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.47 (s, 3H), 7.47 (d, 2H, *J* = 8.6 Hz), 7.51-7.57 (m, 3H), 7.68 (t, 1H, *J* = 8.2 Hz), 7.79 (d, 1H, *J* = 7.9 Hz), 8.04 (s, 1H), 8.11 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 126.6, 126.7, 127.6, 128.5, 128.9, 129.0, 129.2, 130.3, 134.3, 137.0, 139.2, 146.6, 159.2; HRMS (ESI): Calcd for $C_{16}H_{13}NCl$ $[M+H]^+$ = 254.0731, Found = 254.0733.

2-(4'-Bromophenyl)-3-methylquinoline (**2b-G**): Yield: 556.4 mg (62%); white solid; mp: 99-100 °C; IR (neat): 3048, 1598, 1555 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.47 (s, 3H), 7.49 (d, 2H, *J* = 8.5 Hz), 7.53 (t, 1H, *J* = 7.9 Hz), 7.63 (d, 2H, *J* = 8.5 Hz), 7.67 (t, 1H, *J* = 8.3 Hz), 7.79 (d, 1H, *J* = 7.9 Hz), 8.03 (s, 1H), 8.10 (d, 1H, *J* = 8.3 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.5, 122.6, 126.6, 126.7, 127.6, 128.9 (2C), 129.2, 130.6, 131.4, 137.0, 139.7, 146.6, 159.2;

HRMS (ESI): Calcd for $C_{16}H_{13}NBr$ $[M+H]^+$ = 298.0226, Found = 298.0224.

3-Methyl-2-[4'-(trifluoromethyl)phenyl]quinoline (**2b-H**): Yield: 478.4 mg (56%); white solid; mp: 129-130 °C; IR (neat): 3021, 2927, 1694, 1652 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.47 (s, 3H), 7.55 (t, 1H, *J* = 7.6, 1.1 Hz), 7.68 (d, 1H, *J* = 7.6 Hz), 7.75-7.82 (m, 4H), 7.81 (dd, 1H, *J* = 8.2, 1.3 Hz), 8.06 (s, 1H), 8.11 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.3, 124.2 (q, J_{C-F} = 272.3 Hz) 125.3 (d, J_{C-F} = 3.8 Hz), 125.5, 126.8 (2C), 127.7, 128.8, 129.0, 129.3, 130.2 (q, J_{C-F} = 32.4 Hz), 137.0, 144.4, 146.6, 158.9; HRMS (ESI): Calcd for $C_{17}H_{13}NF_3$ $[M+H]^+$ = 288.0995, Found = 288.0992.

3-Methyl-2-(naphthalene-2'-yl)quinoline (**2b-I**): Yield: 526.8 mg (65%); white solid; mp: 98-99 °C; IR (neat): 3057, 3017, 1591, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.51 (s, 3H), 7.51-7.55 (m, 3H), 7.68 (t, 1H, *J* = 7.0 Hz), 7.72 (dd, 1H, *J* = 8.4, 1.6 Hz), 7.80 (d, 1H, *J* = 8.2 Hz), 7.90-7.93 (m, 2H), 7.96 (d, 1H, *J* = 8.4 Hz), 8.06 (s, 1H), 8.07 (s, 1H), 8.15 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 126.2, 126.3, 126.4, 126.6, 126.7, 127.5, 127.7, 127.9, 128.1, 128.4, 128.8, 129.2, 129.3, 133.0, 133.1, 136.7, 138.3, 146.6, 160.4; HRMS (ESI): Calcd for $C_{20}H_{16}N$ $[M+H]^+$ = 270.1277, Found = 270.1277.

2-(Benzofuran-2'-yl)-3-methylquinoline (**2b-J**): Yield: 480.8 mg (62%); yellow solid; mp: 79-81 °C; IR (neat): 3131, 3023, 1595, 1543 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.82 (s, 3H), 7.29 (td, 1H, *J* = 7.7, 0.9 Hz), 7.38 (td, 1H, *J* = 7.7, 1.4 Hz), 7.48 (d, 1H, *J* = 0.9 Hz), 7.53 (dd, 1H, *J* = 7.4, 1.1 Hz), 7.64-7.71 (m, 3H), 7.77 (d, 1H, *J* = 8.2 Hz), 8.04 (s, 1H), 8.18 (d, 1H, *J* = 8.6 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.3, 108.6, 111.8, 121.6, 123.0, 125.2, 126.6, 126.9, 127.5, 128.4, 129.0, 129.1, 129.3, 137.7, 146.5, 148.9, 155.1, 155.2; HRMS (ESI): Calcd for $C_{18}H_{14}ON$ $[M+H]^+$ = 260.1070, Found = 260.1071.

3-Ethyl-2-phenylquinoline (**2c-A**): Yield: 460.6 mg (66%); white solid; mp:59-61 °C; IR (neat): 2964, 1597, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.20 (t, 3H, *J* = 7.5 Hz), 2.80 (q, 2H, *J* = 7.6 Hz), 7.42-7.51 (m, 3H), 7.53-7.56 (m, 3H), 7.67 (td, 1H, *J* = 7.6 Hz), 7.82 (dd, 1H, *J* = 8.4, 1.4 Hz), 8.06 (s, 1H), 8.13 (d, 1H, *J* = 7.9 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 26.0, 126.3, 126.9, 127.7, 128.0, 128.2, 128.7 (2C), 129.2, 134.8, 135.2, 140.9, 146.3, 160.6; HRMS (ESI): Calcd for $C_{17}H_{16}N$ $[M+H]^+$ = 234.1277, Found = 234.1277.

3-Ethyl-2-(2'-methylphenyl)quinoline (**2c-B**): Yield: 469.0 mg (63%); yellow oil; IR (neat): 2967, 1596, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.16 (t, 3H, *J* = 7.5 Hz), 2.09 (s, 3H), 2.49-2.61 (m, 2H), 7.29-7.33 (m, 4H), 7.54 (t, 1H, *J* = 8.2 Hz), 7.67 (td, 1H, *J* = 7.6, 1.6 Hz), 7.83 (d, 1H, *J* = 7.9 Hz), 8.06 (s, 1H), 8.12 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.2, 19.5, 25.5, 125.6, 126.3, 126.9, 127.7, 128.0, 128.3, 128.6, 129.1, 130.2, 134.3 135.5, 135.7, 140.1, 146.2, 161.1; HRMS (ESI): Calcd for $C_{18}H_{18}N$ $[M+H]^+$ = 248.1434, Found = 248.1431.

3-Ethyl-2-(3'-methylphenyl)quinoline (**2c-C**): Yield: 543.2 mg (73%); yellow oil; IR (neat): 2967, 1596, 1557 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.20 (t, 3H, *J* = 7.5 Hz), 2.43 (s, 3H), 2.79 (q, 2H, *J* = 7.5 Hz), 7.24-7.26 (m, 1H), 7.31-7.38 (m, 3H), 7.52 (t, 1H, *J* = 7.6 Hz), 7.66 (t, 1H, *J* = 7.6 Hz), 7.81 (d, 1H, *J* = 8.0 Hz), 8.05 (s, 1H), 8.13 (d, 1H, *J* = 8.2 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 21.5, 25.9, 125.6, 126.2, 126.8, 127.6, 128.0, 128.7 (2C), 129.2, 129.3, 134.7, 135.3, 137.9, 140.8, 146.2, 160.8; HRMS (ESI): Calcd for $C_{18}H_{18}N$ $[M+H]^+$ = 248.1434, Found = 248.1432.

3-Ethyl-2-(4'-methylphenyl)quinoline (**2c-D**): Yield: 580.3 mg (78%); yellow oil; IR (neat): 2968, 1597, 1557 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.20 (t, 3H, *J* = 7.5 Hz), 2.43 (s, 3H), 2.81 (q, 2H, *J* = 7.5 Hz), 7.29 (d, 2H, *J* = 7.7 Hz), 7.48 (d, 2H, *J* = 8.2 Hz), 7.51 (t, 1H, *J* = 7.5 Hz), 7.66 (td, 1H, *J* = 7.7, 1.4 Hz), 7.81 (dd, 1H, *J* = 7.9, 1.1 Hz), 8.04 (s, 1H), 8.12 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 21.3, 26.0, 126.2, 126.8, 127.6, 128.6 (2C), 128.9, 129.2, 134.7 135.3, 137.7, 138.0, 146.3, 160.6; HRMS (ESI): Calcd for $C_{18}H_{18}N$ $[M+H]^+$ = 248.1434, Found = 248.1436.

3-Ethyl-2-(4'-methoxyphenyl)quinoline (**2c-E**): Yield: 563.3 mg (71%); yellow oil; IR (neat): 2966, 1576, 1557, 1033 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.21 (t, 3H, *J* = 7.5 Hz), 2.83 (q, 2H, *J* = 6.8 Hz), 3.88 (s, 3H), 7.02 (dt, 2H, *J* = 8.6, 2.0 Hz), 7.49-7.53 (m, 3H), 7.66 (td, 1H, *J* = 7.7, 1.4 Hz), 7.80 (dd, 1H, *J* = 8.2, 1.4 Hz), 8.03 (s, 1H), 8.11 (d, 1H, *J* = 7.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 26.0, 55.3, 113.7, 126.1, 126.8, 127.5, 128.6, 129.1, 130.0, 133.4, 134.8, 135.3, 146.3, 159.5, 160.2; HRMS (ESI): Calcd for $C_{18}H_{18}ON$ $[M+H]^+$ = 264.1383, Found = 264.1379.

3-Ethyl-2-(4'-chlorophenyl)quinoline (**2c-F**): Yield: 536.6 mg (67%); white solid; mp: 48-49 °C; IR (neat): 2970, 1599, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.20 (t, 3H, *J* = 7.5 Hz), 2.79 (q, 2H, *J* = 7.5 Hz), 7.45-7.50 (m, 4H), 7.54 (td, 1H, *J* = 7.5, 1.1 Hz), 7.68 (td, 1H, *J* = 7.7, 1.6 Hz), 7.82 (d, 1H, *J* = 8.2 Hz), 8.06 (s, 1H), 8.10 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 25.9, 126.5, 126.9, 127.7, 128.5, 128.9, 129.2, 130.2, 134.2, 135.0, 135.1, 139.3, 146.3, 159.3; HRMS (ESI): Calcd for $C_{17}H_{15}NCl$ $[M+H]^+$ = 268.0888, Found = 268.0883.

3-Ethyl-2-(4'-bromophenyl)quinoline (**2c-G**): Yield: 634.1 mg (68%); white solid; mp: 57-58 °C; IR (neat): 3346, 2970, 1600, 1486 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.20 (t, 3H, *J* = 7.5 Hz), 2.79 (q, 2H, *J* = 7.5 Hz), 7.44 (dt, 2H, *J* = 8.6, 2.1 Hz), 7.54 (td, 1H, *J* = 7.4, 1.1 Hz), 7.63 (dt, 2H, *J* = 8.4, 2.2 Hz), 7.68 (td, 1H, *J* = 7.7, 1.4 Hz), 7.82 (d, 1H, *J* = 7.0 Hz), 8.06 (s, 1H), 8.10 (d, 1H, *J* = 8.6 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 25.9, 122.4, 126.6, 126.9, 127.7, 129.0, 129.2, 130.5, 131.4, 135.0, 135.1, 139.8, 146.3, 159.3; HRMS (ESI): Calcd for $C_{17}H_{15}NBr$ $[M+H]^+$ = 312.0382, Found = 312.0379.

3-Ethyl-2-[4'-(trifluoromethyl)phenyl]quinoline (**2c-H**): Yield: 561.3 mg (62%); white solid; mp: 94-95 °C; IR (neat): 2968, 1589, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.21 (t, 3H, *J* = 7.5 Hz), 2.79 (q, 2H, *J* = 7.5 Hz), 7.56 (t, 1H, *J* = 7.9, Hz), 7.67-7.72 (m, 3H), 7.76 (d, 2H, *J* = 8.4 Hz), 7.84 (d, 1H, *J* = 8.4 Hz), 8.10 (s, 1H), 8.11 (d, 1H, *J* = 9.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 25.8, 124.2 (q, J_{C-F} = 271.8 Hz), 125.3 (d, J_{C-F} = 3.8 Hz), 126.8, 127.0, 127.9, 129.1, 129.2 (2C), 130.2 (q, J_{C-F} = 32.4 Hz), 134.9, 135.2, 144.5, 146.3, 159.0; HRMS (ESI): Calcd for $C_{18}H_{15}NF_3$ $[M+H]^+$ = 302.1151, Found = 302.1148.

3-Ethyl-2-(naphthalene-2'-yl)quinoline (**2c-I**): Yield: 623.1 mg (73%); yellow oil; IR (neat): cm^{-1}; 3055, 2965, 1595, 1557 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.20 (t, 3H, *J* = 7.5 Hz), 2.86 (q, 2H, *J* = 8.2 Hz), 7.52-7.53 (m, 3H), 7.69 (td, 2H, *J* = 8.4, 1.8 Hz), 7.85 (d, 1H, *J* = 6.8 Hz), 7.91 (d, 1H, *J* = 6.1 Hz), 7.92 (d, 1H, *J* = 6.1 Hz), 7.96 (d, 1H, *J* = 8.4 Hz), 8.04 (s, 1H), 8.10 (s, 1H), 8.15 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ =14.7, 26.1, 126.2, 126.3, 126.4, 126.6, 126.9, 127.7 (2C), 127.9, 128.0, 128.3, 128.8, 129.3, 133.0, 133.2, 135.0, 135.5, 138.4, 146.4, 160.5; HRMS (ESI): Calcd for $C_{21}H_{18}N$ $[M+H]^+$ = 284.1434, Found = 284.1430.

2-(Benzofuran-2'-yl)-3-ethylquinoline (**2c-J**): Yield: 542.0 mg (66%); yellow solid; mp: 92-93 °C; IR (neat): 3124, 3063, 2964, 1594, 1543 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.39 (t, 3H, *J* = 7.5 Hz), 3.21 (q, 2H, *J* = 7.5 Hz), 7.28 (td, 1H, *J* = 7.6, 0.9 Hz), 7.36 (t, 1H, *J* = 7.7 Hz), 7.45 (s, 1H), 7.53 (td, 1H, *J* = 7.6, 1.1 Hz), 7.62 (dd, 1H, *J* = 8.2, 0.7 Hz), 7.67-7.71 (m, 2H), 7.79 (d, 1H, *J* = 8.2 Hz), 8.08 (s, 1H), 8.16 (d, 1H, *J* = 8.6 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 26.4, 108.2, 111.7, 121.6, 123.1, 125.1, 126.9 (2C), 127.8, 128.4, 129.1, 129.3, 135.3, 135.9, 146.4, 148.9, 155.2, 155.5; HRMS (ESI): Calcd for $C_{19}H_{16}ON$ $[M+H]^+$ = 274.1226, Found = 274.1224.

3-Isopropyl-2-phenylquinoline (**2d-A**): Yield: 410.3 mg (55%); white solid; mp: 69-70 °C; IR (neat): 3046, 2960, 1596, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.25 (d, 6H, *J* = 6.7 Hz), 3.25 (sep, 1H, *J* = 6.7 Hz), 7.44-7.55 (m, 6H), 7.67 (td, 1H, *J* = 7.6, 1.4 Hz), 7.83 (d, 1H, *J* = 8.1 Hz), 8.11 (d, 1H, *J* = 8.1 Hz), 8.13, (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 24.1, 29.2, 126.3, 127.0, 127.8, 127.9, 128.2, 128.7, 128.8, 129.2, 132.7, 140.3, 140.9, 146.1, 160.4; HRMS (ESI): Calcd for $C_{18}H_{18}N$ $[M+H]^+$ = 248.1434, Found = 248.1430.

3-Isopropyl-2-(3'-methylphenyl)quinoline (**2d-C**): Yield: 580.2 mg (74%); white solid; mp: 88-90 °C; IR (neat): 2957, 1591, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.25 (d, 6H, *J* = 6.8 Hz), 2.44 (s, 3H), 3.24 (sep, 1H, *J* = 6.8 Hz), 7.24-7.38 (m, 4H), 7.52 (td, 1H, *J* = 7.5, 1.1 Hz), 7.66 (td, 1H, *J* = 7.7, 1.4 Hz), 7.82 (d, 1H, *J* = 8.2 Hz), 8.11 (d, 1H, *J* = 4.1 Hz), 8.13 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.5, 24.1, 29.2, 125.7, 126.2, 127.0, 127.7, 128.0, 128.7 (2C), 129.2, 129.4, 132.6, 137.9, 140.4, 140.9, 146.1, 160.6; HRMS (ESI): Calcd for $C_{19}H_{20}N$ $[M+H]^+$ = 262.1590, Found = 262.1586.

3-Isopropyl-2-(4'-methylphenyl)quinoline (**2d-D**): Yield: 440.7 mg (56%); white solid; mp: 80 °C; IR (neat): 2954, 1596, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.25 (d, 6H, *J* = 6.8 Hz), 2.44 (s, 3H), 3.28 (sep, 1H, *J* = 6.8 Hz), 7.29 (d, 2H, *J* = 7.9 Hz), 7.42 (d, 2H, *J* = 7.9 Hz), 7.52 (td, 1H, *J* = 7.6, 1.1 Hz), 7.65 (td, 1H, *J* = 7.7, 1.4 Hz), 7.82 (d, 1H, *J* = 7.0 Hz), 8.10 (d, 1H, *J* = 7.0 Hz), 8.12 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.3, 24.1, 29.2, 126.1, 127.0, 127.7, 128.6, 128.7, 128.9, 129.2, 132.6, 137.7, 138.0, 140.4, 146.1, 160.4; HRMS (ESI): Calcd for $C_{19}H_{20}N$ $[M+H]^+$ = 262.1590, Found = 262.1587.

3-Isopropyl-2-(4'-chlorophenyl)quinoline (**2d-F**): Yield: 501.3 mg (59%); white solid; mp: 94 °C; IR (neat): 2954, 1598, 1555 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.25 (d, 6H, *J* = 7.0 Hz), 3.21 (sep, 1H, *J* = 6.8 Hz), 7.47-7.48 (m, 4H), 7.54 (t, 1H, *J* = 6.8 Hz), 7.68 (td, 1H, *J* = 7.6, 1.5 Hz), 7.83 (d, 1H, *J* = 8.2 Hz), 8.10 (d, 1H, *J* = 8.4 Hz), 8.13 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 24.1, 29.3, 126.5, 127.1, 127.9, 128.5, 129.0, 129.2, 130.2, 133.0, 134.1, 139.4, 140.2, 146.1, 159.1; HRMS (ESI): Calcd for $C_{18}H_{17}NCl$ $[M+H]^+$ = 282.1044, Found = 282.1042.

3-Isopropyl-2-(4'-bromophenyl)quinoline (**2d-G**): Yield: 529.5 mg (54%); white solid; mp: 94-96 °C; IR (neat): 2962, 1595, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.25 (d, 6H, *J* = 6.8 Hz), 3.21 (sep, 1H, *J* = 6.8 Hz), 7.41 (d, 2H, *J* = 8.6 Hz), 7.54 (td, 1H, *J* = 6.8 Hz), 7.63 (d, 2H, *J* = 6.5 Hz), 7.69 (td, 1H, *J* = 7.7, 1.6 Hz), 7.83 (d, 1H, *J* = 8.2 Hz), 8.09 (d, 1H, *J* = 8.4 Hz), 8.13 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 24.1, 29.2, 122.3, 126.5, 127.1, 127.8, 129.0, 129.2, 130.5, 131.4, 133.0, 139.8, 140.1, 146.1, 159.0; HRMS (ESI): Calcd for $C_{18}H_{17}NBr$ $[M+H]^+$ = 326.0539, Found = 326.0534.

3-Isopropyl-2-(naphthalene-2'-yl)quinoline (**2d-I**): Yield: 506.8 mg (57%); yellow oil; IR (neat): 3055, 2961, 1596, 1556 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.26 (d, 6H, *J* = 7.0 Hz), 3.32 (sep, 1H, *J* = 7.0 Hz), 7.52-7.57 (m, 3H), 7.64 (dd, 1H, *J* = 8.4, 1.8 Hz), 7.69 (td, 1H, *J* = 7.7, 1.5 Hz), 7.86 (d, 1H, *J* = 8.2 Hz), 7.91-7.93 (m, 2H), 7.96 (d, 1H, *J* = 8.6 Hz), 8.01 (s, 1H), 8.14 (d, 1H, *J* = 8.4 Hz), 8.17 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 24.1, 29.3, 126.2, 126.4, 126.7 (2C), 127.1, 127.7, 127.9 (2C), 128.3, 128.9, 129.2, 132.8, 132.9, 133.2 (2C), 138.4, 140.5, 146.2, 160.3; HRMS (ESI): Calcd for $C_{22}H_{20}N$ $[M+H]^+$ = 298.1590, Found = 298.1588.

3-Benzyl-2-phenylquinoline (**2e-A**): Yield: 551.2 mg (62%); white solid; mp: 93-94 °C; IR (neat): 2990, 1596, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 4.13 (s, 2H), 7.00 (d, 2H, *J* = 6.8 Hz), 7.17-7.24 (m, 3H), 7.41-7.54 (m, 6H), 7.68 (td, 1H, *J* = 7.6 Hz), 7.76 (d, 1H, *J* = 8.2 Hz), 7.93 (s, 1H), 8.14 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.1, 126.2, 126.5, 127.1, 127.5, 128.1, 128.3, 128.5, 128.8, 129.0, 129.1, 129.3, 132.5, 137.0, 140.0, 140.6, 146.6, 160.7; HRMS (ESI): Calcd for $C_{22}H_{18}N$ $[M+H]^+$ = 296.1434, Found = 296.1431.

3-Benzyl-2-(2'-methylphenyl)quinoline (**2e-B**): Yield: 677.6 mg (73%); yellow oil; mp: 147-148 °C; IR (neat): 3027, 2950, 1596, 1562 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.94 (s, 3H), 3.91 (s, 2H), 6.89-6.92 (m, 2H), 7.14-7.25 (m, 6H), 7.32 (t, 1H, *J* = 7.0 Hz) 7.53 (td, 1H, *J* = 7.6, 1.1 Hz), 7.68 (td, 1H, *J* = 7.7, 1.4 Hz), 7.78 (d, 1H, *J* = 8.2 Hz) 7.95 (s, 1H), 8.12 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 19.3, 39.0, 125.7, 126.2, 126.4, 127.1, 127.5, 128.2, 128.3, 128.4, 129.0, 129.1, 129.2, 130.3, 133.3, 135.8, 136.2, 139.2, 139.8, 146.5, 161.2; HRMS (ESI): Calcd for $C_{23}H_{20}N$ $[M+H]^+$ = 310.1590, Found = 310.1588.

3-Benzyl-2-(3'-methylphenyl)quinoline (**2e-C**): Yield: 716.7 mg (77%); white solid; mp: 87-88 °C; IR (neat):2983, 1594, 1555 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.37 (s, 3H), 4.11 (s, 2H), 7.00-7.02 (m, 2H), 7.17-7.257 (m, 6H), 7.30 (t, 1H, *J* = 7.5 Hz) 7.51 (td, 1H, *J* = 7.6, 1.3 Hz), 7.67 (td, 1H, *J* = 7.7, 1.4 Hz), 7.75 (d, 1H, *J* = 8.2 Hz) 7.91 (s, 1H), 8.14 (d, 1H, *J* = 8.6 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.4, 39.1, 125.7, 126.2, 126.4, 127.1, 127.4, 128.0, 128.4, 128.9, 129.0 (2C), 129.2, 129.5, 132.5, 136.8, 137.9, 140.0 (2C), 146.5, 160.9; HRMS (ESI): Calcd for $C_{23}H_{20}N$ $[M+H]^+$ = 310.1590, Found = 310.1587.

3-Benzyl-2-(4'-methylphenyl)quinoline (**2e-D**): Yield: 680.4 mg (74%); yellow oil; IR (neat): 3026, 1594, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.42 (s, 3H), 4.14 (s, 2H), 7.03 (d, 2H, *J* = 7.0 Hz), 7.18-7.28 (m, 5H), 7.40 (d, 2H, *J* = 8.1 Hz), 7.50 (t, 1H, *J* = 7.0 Hz), 7.67 (td, 1H, *J* = 7.6, 1.4 Hz), 7.73 (d, 1H, *J* = 8.1 Hz) 7.89 (s, 1H), 8.13 (d, 1H, *J* = 8.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.3, 39.0, 126.2, 126.3, 127.0, 127.4, 128.5, 128.8 (2C), 128.9, 129.0, 129.2, 132.5, 136.9, 137.7, 137.9, 140.1, 146.6, 160.7; HRMS (ESI): Calcd for $C_{23}H_{20}N$ $[M+H]^+$ = 310.1590, Found = 310.1588.

3-Benzyl-2-(4'-methoxyphenyl)quinoline (**2e-E**): Yield: 645.3 mg (66%); white solid; mp: 107-108 °C; IR (neat): 2987, 1606, 1486, 1028 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 3.86 (s, 3H), 4.16 (s, 2H), 6.97 (dd, 2H, *J* = 6.7, 2.2 Hz), 7.03 (d, 2H, *J* = 6.8 Hz), 7.18-7.27 (m, 3H), 7.45 (dd, 2H, *J* = 6.7, 2.3 Hz), 7.49 (td, 1H, *J* = 7.6, 1.1 Hz), 7.67 (td, 1H, *J* = 7.7, 1.4 Hz), 7.73 (d, 1H, *J* = 8.2 Hz), 7.90 (s, 1H), 8.12 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.1, 55.3, 113.7, 126.2 (2C), 127.0, 127.3, 128.4, 128.9, 129.0, 129.2, 130.2, 132.5, 133.1, 137.0, 140.1, 146.6, 159.6, 160.7; HRMS (ESI): Calcd for $C_{23}H_{20}ON$ $[M+H]^+$ =326.1539, Found = 326.1535.

3-Benzyl-2-(4'-chlorophenyl)quinoline (**2e-F**): Yield: 653.1 mg (66%); white solid; mp: 89-91 °C; IR (neat): 3021, 1597, 1555 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 4.12 (s, 2H), 6.99 (d, 2H, *J* = 6.6 Hz), 7.20-7.27 (m, 3H), 7.40-7.41 (m, 4H), 7.54 (td, 1H, *J* = 7.6, 1.1 Hz), 7.70 (td, 1H, *J* = 7.7, 1.4 Hz), 7.77 (d, 1H, *J* = 8.2 Hz) 7.96 (s, 1H), 8.12 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.0, 126.3, 126.7, 127.1, 127.5, 128.4, 128.5, 128.8, 129.2, 129.3, 130.2, 132.1, 134.3, 137.3, 139.0, 139.6, 146.6, 159.4; HRMS (ESI): Calcd for $C_{22}H_{17}NCl$ $[M+H]^+$ = 330.1044, Found = 330.1042.

3-Benzyl-2-(4'-bromophenyl)quinoline (**2e-G**): Yield: 688.3 mg (61%); white solid; mp: 103-104 °C; IR (neat): 3028, 1597, 1551 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 4.12 (s, 2H), 6.99 (d, 2H, *J* = 6.6 Hz), 7.18-7.27 (m, 3H), 7.35 (d, 2H, *J* = 8.6 Hz), 7.51-7.58 (m, 3H), 7.70 (td, 1H, *J* = 7.7, 1.4 Hz), 7.77 (d, 1H, *J* = 8.2 Hz) 7.95 (s, 1H), 8.12 (d, 1H, *J* = 8.8 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.0, 122.6, 126.3, 126.7, 127.1, 127.5, 128.5, 128.8, 129.2, 129.3, 130.5, 131.4, 132.1, 137.3, 139.5, 139.6, 146.6, 159.4; HRMS (ESI): Calcd for $C_{22}H_{17}NBr$ $[M+H]^+$ = 374.0539, Found = 374.0537.

3-Benzyl-2-[4'-(trifluoromethyl)phenyl]quinoline (**2e-H**): Yield: 707.5 mg (65%); white solid; mp: 105-106 °C; IR (neat): 3028, 2954, 1598, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 4.11 (s, 2H), 6.97 (d, 2H, *J* = 6.6 Hz), 7.19-7.24 (m, 3H), 7.54-7.58 (m, 3H), 7.69 (d, 2H, *J* = 8.2 Hz), 7.72 (t, 1H, *J* = 7.6 Hz), 7.80 (d, 1H, *J* = 8.2 Hz), 7.99 (s, 1H), 8.13 (d, 1H, *J* = 8.2 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.0, 124.1 (q, J_{C-F} = 272.5 Hz), 125.2 (d, J_{C-F} = 3.8 Hz), 126.4, 126.9, 127.1, 127.6, 128.5, 128.8, 129.2 (2C), 129.4, 130.2 (q, J_{C-F} = 32.5 Hz), 132.0, 137.4, 139.5, 144.1, 146.6, 159.2; HRMS (ESI): Calcd for $C_{23}H_{17}NF_3$ $[M+H]^+$ = 364.1308, Found = 364.1305.

3-Benzyl-2-(naphthalene-2'-yl)quinoline (**2e-I**): Yield: 724.4 mg (70%); white solid; mp: 118 °C; IR (neat): 3048, 3019, 1594, 1551 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 4.18 (s, 2H), 7.02 (d, 2H, *J* = 6.6 Hz), 7.19-7.24 (m, 3H), 7.49-7.56 (m, 3H), 7.63 (dd, 1H, *J* = 8.3, 1.6 Hz), 7.70 (td, 1H, *J* = 7.7, 1.4 Hz), 7.78-7.83 (m, 2H), 7.88-7.94 (m, 3H), 7.98 (s, 1H), 8.16 (d, 1H, *J* = 8.4 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.4, 126.4, 126.5, 126.6, 126.7, 126.9, 127.4, 127.7, 127.9, 128.2, 128.5, 128.6, 128.7, 129.2, 129.4, 129.5, 132.8, 133.2, 133.3, 137.4, 138.2, 140.2, 146.9, 160.8; HRMS (ESI): Calcd for $C_{26}H_{20}N$ $[M+H]^+$ = 346.1590, Found = 346.1588.

2-(Benzofuran-2'-yl)-3-benzylquinoline (**2e-J**): Yield: 704.4 mg (70%); white solid; mp: 135 °C; IR (neat): 3136, 3019, 1590, 1556 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 4.57 (s, 2H), 7.19-7.25 (m, 3H), 7.27-7.30 (m, 3H), 7.36 (td, 1H, *J* = 8.4, 1.4 Hz), 7.39 (s, 1H), 7.52 (t, 1H, *J* = 7.0 Hz), 7.59 (d, 1H, *J* = 8.2 Hz), 7.67 (d, 1H, *J* = 7.7 Hz), 7.69-7.73 (m, 2H), 7.90 (s, 1H), 8.18 (dd, 1H, *J* = 8.4, 0.9 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.1, 108.4, 111.6, 121.6, 123.1, 125.1, 126.3, 126.9, 127.0, 127.5, 128.3, 128.6, 129.0, 129.3, 129.4, 129.5, 132.1 138.0 (2C), 139.6, 146.6, 155.1; HRMS (ESI): Calcd for $C_{24}H_{18}ON$ $[M+H]^+$ = 336.1383, Found = 336.1379.

3,5-Dimethyl-2-phenylquinoline (**2f-A**): Yield: 324.8 mg (46%); white solid; yellow oil; IR (neat): 2919, 1598, 1569 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.51 (s, 3H), 2.81 (s, 3H), 7.38-7.52 (m, 5H), 7.61 (d, 1H, *J* = 7.9 Hz), 7.68-7.71 (m, 2H), 7.98 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 17.9, 20.7, 124.6, 126.0, 127.3, 128.0 (2C), 128.5, 128.6, 129.3, 137.0, 137.2, 141.2, 145.7, 158.6; HRMS (ESI): Calcd for $C_{17}H_{16}N$ $[M+H]^+$ = 234.1277, Found = 234.1275.

3,7-Dimethyl-2-phenylquinoline (**2g-A**): Yield: 476.7 mg (68%); yellow oil; IR (neat): 3024, 2952, 1600, 1560 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.45 (s, 3H), 2.54 (s, 3H), 7.40-7.51 (m, 4H), 7.54 (s, 1H), 7.59 (d, 2H, *J* = 6.7 Hz), 7.93 (s, 1H), 8.01 (d, 1H, *J* = 8.8 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 21.6, 125.5, 127.5, 128.0, 128.2, 128.8, 128.9, 129.0, 131.0, 136.0, 136.1, 140.9, 145.1, 159.5; HRMS (ESI): Calcd for $C_{17}H_{16}N$ $[M+H]^+$ = 234.1277, Found = 234.1273.

7-Chloro-3-methyl-2-phenylquinoline (**2h-A**): Yield: 474.2 mg (62%); yellow oil; IR (neat): 2985, 1592, 1553 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.47 (s, 3H), 7.43-7.52 (m, 3H), 7.57-7.61 (m, 3H), 7.76 (s, 1H), 7.94 (s, 1H), 8.05 (d, 1H, *J* = 9.1 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.6, 125.3, 128.1, 128.3 (2C), 128.7, 129.6, 130.3, 130.9, 132.0, 135.7, 140.4, 144.9, 160.7; HRMS (ESI): Calcd for $C_{16}H_{13}NCl$ $[M+H]^+$ = 254.0731, Found = 254.0727.

3,4-Dimethyl-2-phenylquinoline (**2i-A**): Yield: 280.7 mg (40%); white solid; mp: 59-61 °C; IR (neat): 3060, 2988, 1575, 1494 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.39 (s, 3H), 2.70 (s, 3H), 7.40-7.50 (m, 3H), 7.53-7.57 (m, 3H), 7.65 (td, 1H, *J* = 7.7, 1.4 Hz), 8.04 (d, 1H, *J* = 8.4 Hz), 8.11 (d, 1H, *J* = 7.5 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.7, 17.4, 123.2, 126.0, 126.9, 127.0, 127.8 (2C), 128.1, 128.8, 130.0, 141.7, 142.1, 145.8, 160.4; HRMS (ESI): Calcd for $C_{17}H_{16}ON$ $[M+H]^+$ = 234.1277, Found = 234.1276.

3-(4'-Chlorobenzyl)-7-methyl-2-phenylquinoline (**2j-A**): Yield: 161.6 mg (47%); white solid; mp: 95-98 °C; NOE (3.9%) between H atom at 8-position and CH_3 group at 7-position in quinoline core was observed. IR (neat): 3026, 2968, 1596, 1558 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.54 (s, 3H), 4.08 (s, 2H), 6.89 (d, 2H, *J* = 8.3 Hz), 7.19 (d, 2H, *J* = 8.3 Hz), 7.42-7.45 (m, 5H), 7.52-7.54 (m, 2H) 7.81 (s, 1H), 8.02 (d, 1H, *J* = 8.2 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$):

δ = 21.6, 38.5, 125.9, 127.4, 128.1, 128.3, 128.5, 128.8, 128.9, 130.2, 131.6, 131.8, 132.0, 136.3, 136.5, 138.5, 140.5, 145.3, 159.6; HRMS (ESI): Calcd for $C_{23}H_{19}N$ $[M+H]^+$ = 344.1201, Found = 344.1197.

7-Chloro-3-(4'-methylbenzyl)-2-phenylquinoline (**2j'-A**): Yield: 45.6mg (13%); white solid; mp: 135 °C; IR (neat): 3048, 3019, 1594, 1509 cm^{-1}; ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 2.32 (s, 3H), 4.08 (s, 2H), 6.89 (d, 2H, *J* = 7.9 Hz), 7.06 (d, 2H, *J* = 7.9 Hz), 7.44-7.51 (m, 5H), 7.60 (dd, 1H, *J* = 9.1, 2.1 Hz), 7.73 (s, 1H), 7.80 (s, 1H), 8.06 (d, 1H, *J* = 8.8 Hz); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 21.0, 38.6, 125.7, 128.1, 128.4, 128.8, 128.9, 129.3, 130.0, 130.9, 132.1, 133.9, 135.9 (2C), 136.0, 136.4, 140.2, 144.9, 160.9; HRMS (ESI): Calcd for $C_{23}H_{19}N$ $[M+H]^+$ = 344.1201, Found = 344.1196.

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Supporting Information
^{1}H-NMR and ^{13}C-NMR charts of all quinolone derivatives **2**.

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Notes and references

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