Synthesis C.-K. Chan et al. Paper

Environmentally Friendly Nafion-Mediated Friedländer Quinoline Synthesis under Microwave Irradiation: Application to One-Pot Synthesis of Substituted Quinolinyl Chalcones

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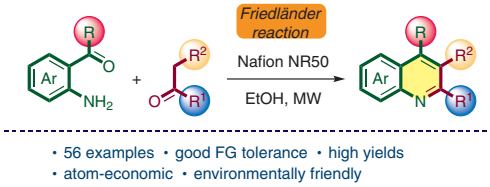

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Abstract An efficient and eco-friendly synthetic route for Friedländer quinoline synthesis of polysubstituted quinolines is described. This green chemical method starts from various 2-aminobenzophenones and mono- or dicarbonyl synthons and uses reusable Nafion NR50 material as a solid catalyst in ethanol under microwave irradiation. The protocol has a high generality of functional groups and provides the desired quinolines in good to excellent yields. Some structures were confirmed by single-crystal X-ray diffraction analysis.

Key words Friedländer reaction, Nafion catalyst, quinolines, chalcone, microwave irradiation

Quinoline is a ubiquitous skeleton in privileged heterocyclic scaffold systems. It is found in numerous natural products,[1] and is widely used as synthons[2] and as ligands for the preparation of metal complexes.[3] Its usefulness to drug discovery and remarkably broad pharmacological and biological activities, such as antimalarial (chloroquinine and quinine),[4] antifungal and analgesic,[5] anticancer,[6] antibacterial,[7] anti-inflammatory,[8] anti-platelet aggregation,[9] anti-asthmatic,[10] anti-hypertensive,[11] and anti-tuberculosis properties,[12] as tyrosine kinase PDGF-RTK inhibiting agents,[5e,10a,13] as multifunctional agents for Alzheimer's disease,[14] and applications as other therapeutic agents,[15] have been widely explored. Nevertheless, substituted quinoline derivatives are valuable precursors to hierarchically undergo self-assembly processes for the preparation of various nano- and mesostructures with enhanced electronic and photonic functions.[16]

The traditional protocols for the construction of quinolines include Skraup, Doebner, Doebner–von Miller, Gould–Jacobs, Combes, Pfitzinger, and Friedländer quinoline syntheses.[17] Most of these reactions require drastic reaction conditions or unsatisfactory yields. Among these, Friedländer annulation, an acid-,[18] base-,[19] or metal-promoted[20] intermolecular condensation of substituted 2-aminobenzaldehyde, 2′-aminoacetophenone, or 2-aminobenzophenone with a carbonyl compound possessing a reactive α-methylene group, followed by cyclodehydration, belongs to the simplest and most straightforward approaches for the synthesis of polysubstituted quinolines.[17b–d] Although the Friedländer synthesis using 2-aminobenzaldehyde and acetaldehyde was already reported in 1882 in the presence of sodium hydroxide,[17b] there are some problems due to the basic reaction conditions, causing less generality and more operational complexity because of the occurrence of side reactions. Moreover, base-catalyzed annulations of 2-aminobenzophenone with uncomplicated ketones, including cyclohexanone or β-keto esters, fail to occur,[21] which is why acid-catalyzed Friedländer annulations have been broadly developed.

Numerous Friedländer-type quinoline synthetic methods have been developed with the involvement of various Brønsted or Lewis acid catalysts for the preparation of substituted quinoline skeletons.[22] Among them, sulfonic acid and its analogues have been widely applied to the synthesis of substituted quinolines, especially *p*-toluenesulfonic acid. For example, Wang's group developed a *p*-toluenesulfonic acid catalyzed synthesis of quinoline derivatives under solvent-free and microwave irradiation conditions.[18g] Heydari and co-workers presented a facile protocol for quinoline synthesis in an aqueous medium by the use of a sulfonic acid functionalized ionic liquid as a water-tolerant acidic catalyst.[23] Javanshir's group reported an innovative ball-milling technique for the synthesis of quinoline derivatives.[24] In 2018, Wang described a *p*-toluenesulfonic acid catalyzed annulation to obtain quinolines in ethanol at reflux.[18m] In the past two years, Pastor published imidazolium salt mediated quinoline syntheses.[18n,o] Recently, some heterogeneous catalysts, alumina or acid-supported and metal-organic framework (MOF) materials, have been developed.[25] Hasaninejad developed a reusable sulfonic acid modified polyethylene glycol (PEG) to prepare substituted quinolines under microwave irradiation conditions.[26] Therefore, the development of a facile, simple, low-cost, and eco-benign protocol for preparing quinolines is desirable in organic synthesis.

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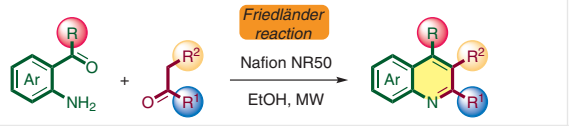
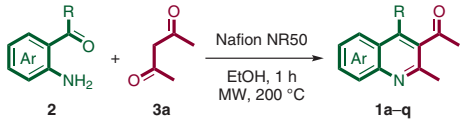
Nafion is a commercially available acidic material, and belongs to a type of synthetic polymer possessing ionic properties named ionomers.[27] Its unique ionic properties result from copolymerization incorporating perfluorovinyl ether groups terminated with sulfonate groups onto a tetrafluoroethylene (PTFE) backbone.[28] Therefore, the development of new synthetic routes for the preparation of substituted quinoline derivatives is of relevant interest in organic synthesis.[29] Continuing our research on synthetic applications of microwave irradiation[30] and the preparation of quinoline derivatives,[31] in this work, we aim to provide a facile, efficient, eco-benign, and greener protocol for the preparation of substituted quinolines by using reusable, commercially available Nafion NR50 as a sulfonic acid functionalized material catalyst under microwave irradiation conditions (Scheme 1).[32]

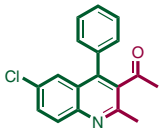


Scheme 1 Nafion NR50 mediated synthesis of substituted quinolines

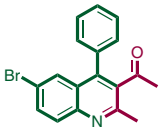
Table 1 Synthesis of Substituted Quinolines **1a–q**[a,b]



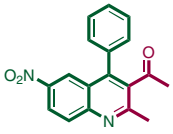
2 **3a** **1a–q**



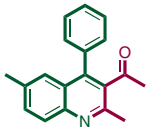
1a (95%)



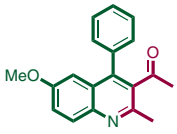
1b (91%)



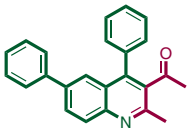
1c (83%)



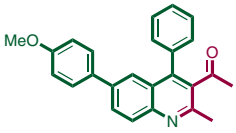
1d (93%)



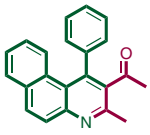
1e (92%)



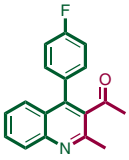
1f (95%)



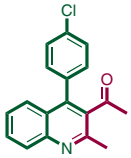
1g (91%)



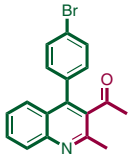
1h (94%)



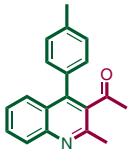
1i (91%)



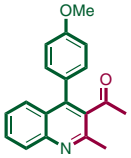
1j (90%)



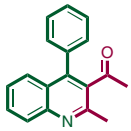
1k (93%)



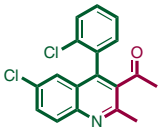
1l (92%)



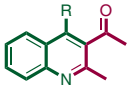
1m (95%)



1n (92%)



1o (86%)



1p R = Me (94%)
1q R = H (95%)

[a] Reaction conditions: **2** (1.0 mmol), **3a** (1.1 mmol), Nafion NR50 (20 mol%), EtOH (10 mL), MW.
[b] Isolated yields given in parentheses.

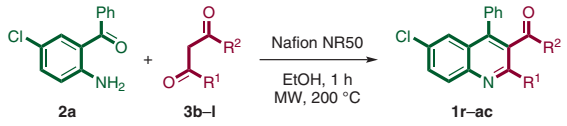
To examine this transformation of compounds **2** and **3** to quinoline **1**, 2-amino-5-chlorobenzophenone (**2a**) and acetylacetone (**3a**) were selected as the model substrates; the optimization of the reaction conditions and the plausible mechanism are shown in Table S1 and Scheme S1, respectively (Supporting Information, SI). With an effective Friedländer cyclization procedure established, the substrate scope of the Nafion-catalyzed quinoline synthesis was probed, as shown in Table 1. Initially, numerous 2-aminobenzophenones **2** were examined individually by reacting them with acetylacetone (**3a**) under the optimized reaction conditions. Compounds **2** with halogens (**2a**,**b**), nitro (**2c**), electron-donating (**2d–g**), and naphthalene (**2h**) groups on the Ar ring gave the desired products **1a–h** in high yields. Other substituents on Ar such as electron-withdrawing halophenyl groups (**2i–k**), electron-donating *p*-tolyl (**2l**), methoxyphenyl (**2m**), and unsubstituted phenyl (**2n**) gave corresponding quinolines **1i–n** in good to excellent yields. Chlorophenyl-substituted **2o** was also suitable to provide **1o** in an 86% yield. Non-aryl R substituents, as in 2′-aminoacetophenone **2p** and 2′-aminobenzaldehyde **2q**, reacted with **3a** to afford the corresponding **1p** and **1q** in excellent yields. The structures of **1a**,**b** and **1i–l** were confirmed by single-crystal X-ray crystallography.[33]

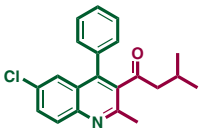
Next, other 1,3-diketone synthons **3b–l** were investigated in reactions with compound **2a** in the presence of Nafion NR50 under the optimized reaction conditions (Table 2). The reaction of **2a** and unsymmetrical diketone **3b** provided two isomers **1r** and **1s** in 43% and 41% yield, respectively. Benzoylacetone **3c** and dibenzoylmethane **3d** reacted with **2a** to provide products **1t**,**u** in high yields. The reactions between cyclic 1,3-diketones **3e–g** and **2a** afforded the desired tricyclic quinolines **1v–x** in 88–93% yield. Additionally, functionalized β-keto esters **3h–l** were also suitable for this transformation to provide products **1y–ac** in good to excellent yields. The structures of **1t**, **1x**, **1ab**, and **1ac** were confirmed by single-crystal X-ray crystallography.[33]

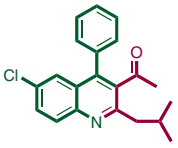
On the basis of the above-mentioned results in Tables 1 and 2, we set out to survey monocarbonyl-containing α-methylene group substrates. As shown in Scheme 2, Friedländer quinoline cyclization of substituted 2-aminobenzophenones **2** with acetone (**4a**) proceeded smoothly, leading to the desired 4-aryl-2-methylquinolines **5a–k** in good to excellent yields. The structure of **5a** was confirmed by single-crystal X-ray crystallography.[33]

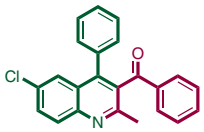
We further examined various monocarbonyl synthons **4b–q** with **2a** under the optimized reaction conditions (Ta-

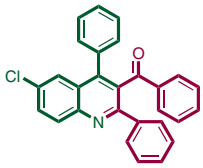
Table 2 Synthesis of Substituted Quinolines **1r–ac**[a,b]

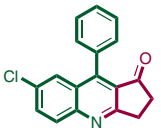


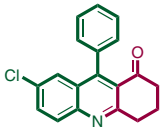

1r (43%)[c]

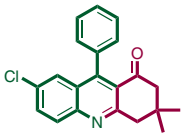

1s (41%)[c]

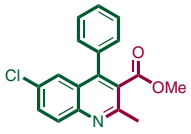

1t (92%)


1u (89%)

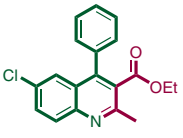

1v (89%)


1w (88%)

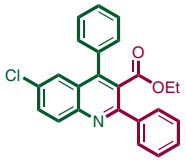

1x (93%)



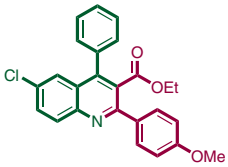
1y (95%)



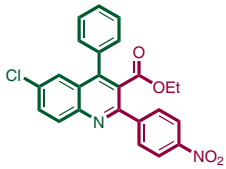
1z (94%)



1aa (89%)



1ab (92%)



1ac (92%)

[a] Reaction conditions: **2a** (1.0 mmol), **3b–l** (1.1 mmol), Nafion NR50 (20 mol%), EtOH (10 mL), MW.
[b] Isolated yields given in parentheses.
[c] Two products **1r** and **1s** were obtained from the reaction between **2a** and **3b**.

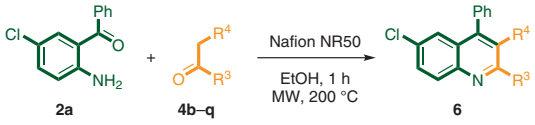
ble 3), based on the successful preparation of 2-methylquinolines **5a–k** in Scheme 2. The reactions between **2a** and acetophenone (**4b**) and 4-nitroacetophenone (**4c**) took place as expected to afford the desired 2,4-diarylquinolines **6a**,**b** in high yields. Interestingly, the reactions with medium-sized cyclic ketones **4d–f**, 4-*tert*-butylcyclohexanone (**4g**), tetralones **4h–j**, and benzo-fused cycloketone **4k** proceeded smoothly to provide tri- or tetracyclic quinolines **6c–j** in good to excellent yields.

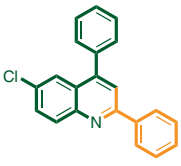
Moreover, functionalized deoxybenzoins **4l**,**m** were appropriate reagents in this transformation to give products **6k**,**l** in excellent yields (Table 3). Hydroxy-substituted acetophenone **4n** and substituted 4-chromanones **4o**,**p** were suitable precursors to give **6m–o** in moderate to good yields. Compound **6p** was formed in 87% yield from **2a** and β-keto nitrile **4q**. The structures of **6a**, **6c–f**, **6h–k**, and **6n**,**o** were confirmed by single-crystal X-ray crystallography.[33]

To understand the catalytic activity of recovered Nafion NR50 particles, recycling experiments were conducted (SI, Figure S1).

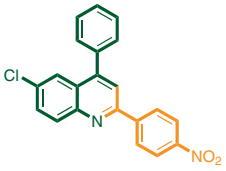
Chalcone is regarded as a privileged and ubiquitous scaffold found in many naturally occurring compounds and is used as an effective template in medicinal chemistry for drug discovery. Additionally, substituted chalcones are also versatile building blocks in organic chemistry because of their α,β-unsaturated ketone functional group, which is a potential Michael acceptor.[34] Because of the significant properties of substituted chalcones in organic and medici-

Table 3 Synthesis of Substituted Quinolines **6**[a,b]

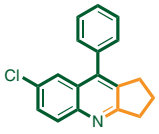




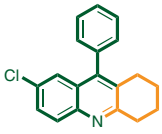
6a (91%)



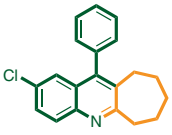
6b (92%)



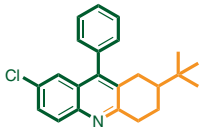
6c (88%)



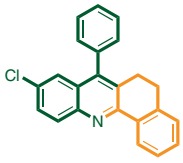
6d (94%)



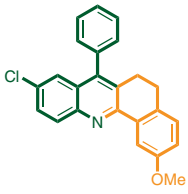
6e (94%)



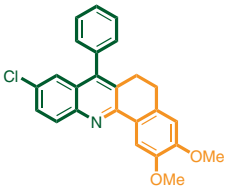
6f (86%)



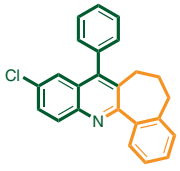
6g (86%)



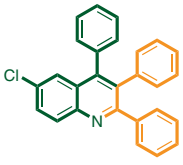
6h (90%)



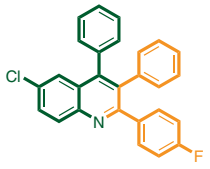
6i (92%)



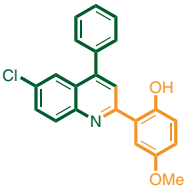
6j (92%)



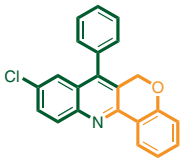
6k (93%)



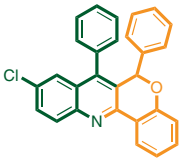
6l (95%)



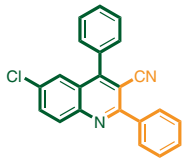
6m (77%)



6n (92%)

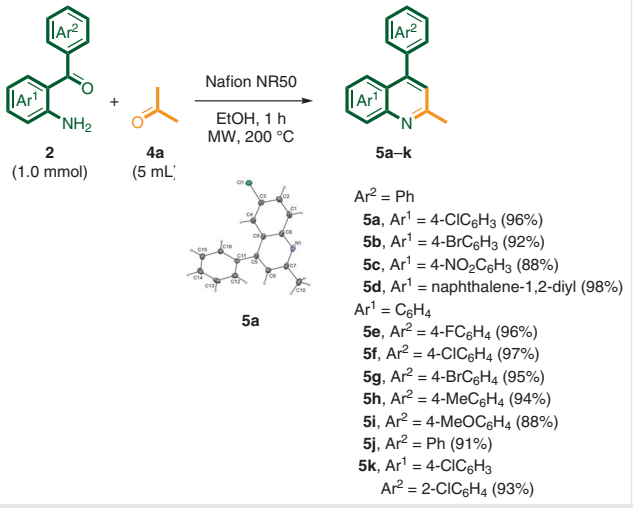


6o (93%)



6p (87%)

[a] Reaction conditions: **2a** (1.0 mmol), **4b–q** (1.1 mmol), Nafion NR50 (20 mol%), EtOH (10 mL), MW.
[b] Isolated yields given in parentheses.



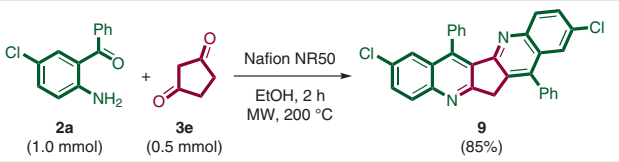
Scheme 2 Synthesis of 4-aryl-2-methylquinolines **5a–k** and X-ray crystal structure of **5a**

nal chemistry, alternative methods for the preparation of chalcone scaffolds are necessary.

In Scheme 3, the one-pot synthesis of quinolinyl chalcones with biological potential is illustrated.[35] The quinolinyl chalcone skeleton was prepared from 2-amino-5-chlorobenzophenone (**2a**) and acetylacetone **3a** by the involvement of Nafion NR50 in EtOH under microwave irradiation. Then NaOH and aromatic benzaldehydes **7a–f** were added directly, to afford functionalized chalcones **8a–f**. This two-step one-pot synthetic route provided the desired products **8a–f** in high yields. The structure of **8f** was confirmed by single-crystal X-ray crystallography.[33]

On the basis of the results in Tables 1–3 and Scheme 2, we further investigated a double Friedländer route for the construction of a quinoline dimers. We selected 2-aminobenzophenone **2a** to react with cyclopentane-1,3-dione (**3e**) under the optimized reaction conditions (Scheme 4). The corresponding quinoline dimer **9** was isolated in good yield.

In summary, we reported a Friedländer synthesis of various quinolines from the reactions of substituted 2-aminoacetophenones with mono- or diketones by the involvement of environmentally friendly Nafion NR50 as an acidic catalyst. This efficient protocol provides the desired products in good to excellent yields. Nafion NR50 particles are an environmentally friendly and reusable polymer. A two-step, one-pot pathway for the high-yield synthesis of functionalized chalcones was also developed.

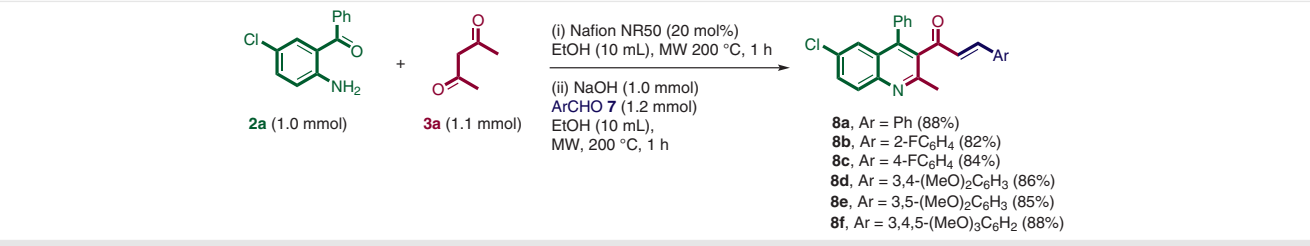


Scheme 4 Synthesis of quinoline dimer **9**

All reagents and solvents were commercially available and used without further purification. Reactions were routinely performed using the Discover SP system (CEM) in sealed reaction vessels in standard mode with the temperature monitored by using a vertically focused IR sensor. All reactions were monitored by TLC on silica gel 60 F254 (Merck) with detection by UV light. Column chromatography was performed by using silica gel (200–300 mesh). Products in organic solvents were dried with anhydrous magnesium sulfate before concentration in vacuo. Melting points were determined with a MP-2D melting apparatus. ^{1}H and ^{13}C NMR spectra were recorded on a Bruker AVIII 500 and AV 400 spectrometer operating at 500 or 400 and 125 or 100 MHz, respectively. HRMS measurements were obtained on a Waters LCT Premier XE (Waters Corp., Manchester, UK) instrument equipped with an electrospray source. The X-ray intensity data were measured at low temperature (100 K) using an Mo Kα radiation diffractometer equipped with a kappa geometry goniometer and were corrected for absorption effects using the numerical method (SADABS).

Quinoline Derivatives 1, 5, and 6; General Procedure

A mixture of 2-aminobenzophenone **2** (1.0 mmol), ketone **3** or **4** (1.1 mmol), and Nafion NR50 (20 mol%) in ethanol (10 mL) was loaded into a dried 35 mL microwave vial at 25 °C. The mixture was subjected to microwave irradiation and stirred at 200 °C for 1 h. Consumption of the starting materials was confirmed by TLC. The mixture was cooled to 25 °C and then transferred to a 100 mL round-bottom flask; the solvent was concentrated under reduced pressure to afford crude product. The solid crude product was recrystallized (hexane–EtOAc, 5:1 to 2:1). The oily or gummy crude product was purified by column chromatography (silica gel, hexanes–EtOAc, 4:1 to 1:1). This afforded products **1a–ac**, **5a–k**, and **6a–p**.



Scheme 3 One-pot synthesis of quinolinyl chalcones **8a–f**

1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)ethan-1-one (1a)[36]

Yield: 280 mg (95%); colorless crystals; mp 160–161 °C (Lit.[36] 159–160 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.00 (d, *J* = 9.0 Hz, 1 H), 7.64 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.56 (d, *J* = 2.0 Hz, 1 H), 7.53–7.52 (m, 3 H), 7.34–7.32 (m, 2 H), 2.67 (s, 3 H), 1.99 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.25, 153.92, 145.88, 143.06, 135.45, 134.44, 132.44, 130.95, 130.50, 129.89 (2×), 129.20, 128.91 (2×), 125.83, 124.88, 31.79, 23.80.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}ClNO$: 296.0837; found: 296.0829.

Single-crystal X-ray crystallography: A crystal of **1a** was grown by slow diffusion of EtOAc into a solution of **1a** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*n*, *a* = 10.4578(12) Å, *b* = 7.7868(9) Å, *c* = 17(7) Å, *V* = 17.583(2) Å^3, *Z* = 4, d_{calcd} = 1.372 Mg/m^3, *F*(000) = 616, 2θ range 2.250–27.101°, *R* indices (all data) *R*1 = 0.0375, w*R*2 = 0.0830. CCDC number: 1945823.

1-(6-Bromo-2-methyl-4-phenylquinolin-3-yl)ethan-1-one (1b)[37]

Yield: 308 mg (91%); white solid; mp 161–162 °C (Lit.[37] 157–158 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.92 (d, *J* = 8.5 Hz, 1 H), 7.76 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.72 (d, *J* = 2.0 Hz, 1 H), 7.53–7.51 (m, 3 H), 7.33–7.31 (m, 2 H), 2.65 (s, 3 H), 1.98 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.12, 154.06, 146.09, 142.95, 135.43, 134.40, 133.49, 130.61, 129.89 (2×), 129.19, 128.90 (2×), 128.15, 126.31, 120.61, 31.76, 23.81.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}BrNO$: 340.0332; found: 340.0323.

Single-crystal X-ray crystallography: A crystal of **1b** was grown by slow diffusion of EtOAc into a solution of **1b** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*n*, *a* = 10.5493(7) Å, *b* = 7.8437(5) Å, *c* = 17.5892(11) Å, *V* = 1455.35(16) Å^3, *Z* = 4, d_{calcd} = 1.553 Mg/m^3, *F*(000) = 688, 2θ range 2.241–27.102°, *R* indices (all data) *R*1 = 0.0237, w*R*2 = 0.0559. CCDC number: 1940422.

1-(2-Methyl-6-nitro-4-phenylquinolin-3-yl)ethan-1-one (1c)[18m]

Yield: 254 mg (83%); yellow solid; mp 152–153 °C (Lit.[18m] 165–167 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.57 (d, *J* = 2.5 Hz, 1 H), 8.48 (ddd, *J* = 1.5, 2.5, 9.0 Hz, 1 H), 8.19 (d, *J* = 9.0 Hz, 1 H), 7.59–7.56 (m, 3 H), 7.37–7.35 (m, 2 H), 2.74 (s, 3 H), 2.01 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 204.52, 157.93, 149.55, 145.65, 145.60, 136.25, 133.58, 130.76, 129.89 (2×), 129.83, 129.24 (2×), 124.37, 123.58, 123.16, 31.68, 24.24.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}N_2O_3$: 307.1077; found: 307.1078.

1-(2,6-Dimethyl-4-phenylquinolin-3-yl)ethan-1-one (1d)

Yield: 256 mg (93%); colorless solid; mp 117–118 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.95 (d, *J* = 8.5 Hz, 1 H), 7.53–7.49 (m, 4 H), 7.34–7.32 (m, 3 H), 2.66 (s, 3 H), 2.39 (s, 3 H), 1.97 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.83, 152.35, 146.07, 143.17, 136.37, 135.31, 134.78, 132.26, 129.97 (2×), 128.73, 128.61 (2×), 128.52, 124.86, 124.80, 31.87, 23.68, 21.65.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{18}NO$: 276.1833; found: 276.1375.

1-(6-Methoxy-2-methyl-4-phenylquinolin-3-yl)ethan-1-one (1e)

Yield: 268 mg (92%); colorless solid; mp 135–136 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.94 (d, *J* = 9.0 Hz, 1 H), 7.48–7.46 (m, 3 H), 7.34–7.32 (m, 3 H), 6.84 (d, *J* = 2.5 Hz, 1 H), 3.68 (s, 3 H), 2.62 (s, 3 H), 1.95 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.64, 157.54, 150.49, 143.30, 142.39, 135.17, 134.81, 129.97, 129.64 (2×), 128.66, 128.56 (2×), 125.68, 122.04, 103.88, 55.07, 31.64, 23.18.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{18}NO_2$: 292.1332; found: 292.1327.

1-(2-Methyl-4,6-diphenylquinolin-3-yl)ethan-1-one (1f)

Yield: 320 mg (95%); colorless solid; mp 169–170 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.14 (d, *J* = 8.5 Hz, 1 H), 7.96 (dt, *J* = 2.0, 8.5 Hz, 1 H), 7.80 (d, *J* = 2.0 Hz, 1 H), 7.54–7.49 (m, 5 H), 7.40–7.37 (m, 4 H), 7.32–7.30 (m, 1 H), 2.72 (s, 3 H), 2.00 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.47, 153.35, 146.81, 143.87, 140.17, 139.14, 135.08, 135.01, 129.93 (2×), 129.64, 129.24, 128.87, 128.74 (2×), 128.67 (2×), 127.51, 127.20 (2×), 125.07, 123.67, 31.75, 23.76.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{24}H_{20}NO$: 338.1539; found: 338.1536.

1-[6-(4-Methoxyphenyl)-2-methyl-4-phenylquinolin-3-yl]ethan-1-one (1g)

Yield: 334 mg (91%); colorless solid; mp 190–191 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.11 (d, *J* = 8.5 Hz, 1 H), 7.95 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.73 (d, *J* = 2.0 Hz, 1 H), 7.53–7.51 (m, 3 H), 7.48 (d, *J* = 8.5 Hz, 2 H), 7.40–7.38 (m, 2 H), 6.94 (d, *J* = 8.5 Hz, 2 H), 3.82 (s, 3 H), 2.70 (s, 3 H), 2.00 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.63, 159.35, 153.02, 146.56, 143.76, 138.75, 135.10, 135.05, 132.60, 129.96 (2×), 129.47, 129.15, 128.86, 128.68 (2×), 128.26 (2×), 125.13, 122.85, 114.23 (2×), 55.20, 31.80, 23.76.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{22}NO_2$: 368.1645; found: 368.1638.

1-(3-Methyl-1-phenylbenzo[*f*]quinolin-2-yl)ethan-1-one (1h)

Yield: 292 mg (94%); colorless crystals; mp 199–200 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.94 (s, 2 H), 7.81 (d, *J* = 7.5 Hz, 1 H), 7.52–7.47 (m, 3 H), 7.42 (d, *J* = 7.5 Hz, 1 H), 7.38 (d, *J* = 9.0 Hz, 1 H), 7.32–7.29 (m, 2 H), 7.08 (t, *J* = 7.5 Hz, 1 H), 2.68 (s, 3 H), 1.91 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 206.09, 151.70, 148.73, 143.28, 138.87, 136.54, 132.88, 132.03, 129.74, 129.38 (4×), 128.73, 128.65, 127.85, 127.56, 126.37, 125.69, 121.38, 31.77, 23.10.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{18}NO$: 312.1383; found: 312.1380.

1-[4-(4-Fluorophenyl)-2-methylquinolin-3-yl]ethan-1-one (1i)[18g]

Yield: 254 mg (91%); colorless crystals; mp 149–150 °C (Lit.[18g] 140–142 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (d, *J* = 8.5 Hz, 1 H), 7.74–7.70 (m, 1 H), 7.57 (dd, *J* = 1.0, 8.5 Hz, 1 H), 7.47–7.43 (m, 1 H), 7.36–7.32 (m, 2 H), 7.23–7.20 (m, 2 H), 2.69 (s, 3 H), 2.03 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.52, 163.0 (d, *J* = 247.875 Hz), 153.43, 147.50, 142.70, 135.02, 131.85 (d, *J* = 8.0 Hz, 2×), 131.00 (d, *J* = 3.125 Hz), 130.17, 128.95, 126.66, 125.79, 124.99, 115.90 (d, *J* = 21.5 Hz, 2×), 31.99, 23.80.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}FNO$: 280.1132; found: 280.1127.

Single-crystal X-ray crystallography: A crystal of **1i** was grown by slow diffusion of EtOAc into a solution of **1i** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*n*, *a* = 9.6875(5) Å, *b* = 16.2485(8) Å, *c* = 18.2756(9) Å, *V* = 2798.1(2) Å^3, *Z* = 8, d_{calcd} = 1.326 Mg/m^3, *F*(000) = 1168, 2θ range 1.698–27.095°, *R* indices (all data) *R*1 = 0.0501, w*R*2 = 0.1132. CCDC number: 1941657.

1-[4-(4-Chlorophenyl)-2-methylquinolin-3-yl]ethan-1-one (1j)[18m]

Yield: 266 mg (90%); white solid; mp 152–153 °C (Lit.[18m] 157–159 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (d, *J* = 8.0 Hz, 1 H), 7.72 (t, *J* = 7.5 Hz, 1 H), 7.55 (d, *J* = 8.0 Hz, 1 H), 7.27 (d, *J* = 8.0 Hz, 2 H), 7.45 (t, *J* = 7.5 Hz, 1 H), 7.30 (d, *J* = 8.5 Hz, 2 H), 2.69 (s, 3 H), 2.05 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.36, 153.41, 147.48, 142.45, 135.22, 134.88, 133.52, 131.34 (2×), 130.22, 129.02 (2×), 128.98, 126.72, 125.73, 124.76, 32.10, 23.80.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}ClNO$: 296.0837; found: 296.0838.

Single-crystal X-ray crystallography: A crystal of **1j** was grown by slow diffusion of EtOAc into a solution of **1j** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 7.0661(9) Å, *b* = 10.0723(13) Å, *c* = 10.5449(14) Å, *V* = 724.96(16) Å^3, *Z* = 2, d_{calcd} = 1.355 Mg/m^3, *F*(000) = 308, 2θ range 1.998–27.061°, *R* indices (all data) *R*1 = 0.0390, w*R*2 = 0.0926. CCDC number: 1940035.

1-[4-(4-Bromophenyl)-2-methylquinolin-3-yl]ethan-1-one (1k)

Yield: 315 mg (93%); colorless crystals; mp 185–186 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.06 (d, *J* = 8.5 Hz, 1 H), 7.71 (t, *J* = 8.0 Hz, 1 H), 7.65 (d, *J* = 8.0 Hz, 2 H), 7.54 (d, *J* = 8.5 Hz, 1 H), 7.44 (t, *J* = 8.0 Hz, 1 H), 7.23 (d, *J* = 8.0 Hz, 2 H), 2.68 (s, 3 H), 2.05 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.31, 153.38, 147.45, 142.40, 134.78, 133.98, 131.94 (2×), 131.58 (2×), 130.20, 128.95, 126.71, 125.70, 124.64, 123.38, 32.11, 23.78.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}BrNO$: 340.0332; found: 340.0326.

Single-crystal X-ray crystallography: A crystal of **1k** was grown by slow diffusion of EtOAc into a solution of **1k** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 9.0783(9) Å, *b* = 9.4367(9) Å, *c* = 9.7984(10) Å, *V* = 738.73(13) Å^3, *Z* = 2, d_{calcd} = 1.529 Mg/m^3, *F*(000) = 344, 2θ range 2.232–27.103°, *R* indices (all data) *R*1 = 0.0249, w*R*2 = 0.0582. CCDC number: 1940423.

1-[2-Methyl-4-(*p*-tolyl)quinolin-3-yl]ethan-1-one (1l)[38]

Yield: 253 mg (92%); white solid; mp 130–131 °C (Lit.[38] 130–131 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.06 (d, *J* = 8.5 Hz, 1 H), 7.72–7.69 (m, 1 H), 7.64 (dd, *J* = 1.0, 8.5 Hz, 1 H), 7.45–7.41 (m, 1 H), 7.31 (d, *J* = 7.5 Hz, 2 H), 7.24 (d, *J* = 8.0 Hz, 2 H), 2.69 (s, 3 H), 2.45 (s, 3 H), 2.01 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.87, 153.50, 147.49, 144.09, 138.86, 134.81, 132.11, 130.01, 129.91 (2×), 129.37 (2×), 128.79, 126.37, 126.19, 125.18, 31.94, 23.84, 21.32.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{18}NO$: 276.1383; found: 276.1377.

1-[4-(4-Methoxyphenyl)-2-methylquinolin-3-yl]ethan-1-one (1m)

Yield: 276 mg (95%); colorless crystals; mp 161–162 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.05 (d, *J* = 8.5 Hz, 1 H), 7.70 (dd, *J* = 1.5, 8.5 Hz, 1 H), 7.66 (d, *J* = 8.5 Hz, 1 H), 7.44–7.41 (m, 1 H), 7.28–7.27 (m, 2 H), 7.03–7.01 (m, 2 H), 3.87 (s, 3 H), 2.67 (s, 3 H), 1.99 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.92, 160.01, 153.48, 147.51, 143.72, 134.86, 131.30 (2×), 129.95, 128.79, 127.09, 126.34, 126.07, 125.26, 114.13 (2×), 55.28, 31.82, 23.80.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{18}NO_2$: 292.1332; found: 292.1333.

1-(2-Methyl-4-phenylquinolin-3-yl)ethan-1-one (1n)[20k]

Yield: 240 mg (92%); white solid; mp 102–103 °C (Lit.[20k] 100–103 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (d, *J* = 8.5 Hz, 1 H), 7.73–7.70 (m, 1 H), 7.61 (dd, *J* = 1.0, 8.5 Hz, 1 H), 7.52–7.49 (m, 3 H), 7.45–7.42 (m, 1 H), 7.36–7.34 (m, 2 H), 2.69 (s, 3 H), 1.99 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.64, 153.51, 147.52, 143.89, 135.19, 134.80, 130.06, 130.04, 130.01 (2×), 128.86, 128.67 (2×), 126.48, 126.12, 125.01, 31.90, 23.84.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{16}NO$: 262.1226; found: 262.1222.

1-[6-Chloro-4-(2-chlorophenyl)-2-methylquinolin-3-yl]ethan-1-one (1o)[18o]

Yield: 283 mg (86%); yellow solid; mp 148–149 °C (Lit.[18o] 144–146 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.02 (d, *J* = 9.0 Hz, 1 H), 7.65 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.58 (dd, *J* = 1.0, 8.0 Hz, 1 H), 7.49 (td, *J* = 2.0, 7.5 Hz, 1 H), 7.42 (td, *J* = 1.0, 7.5 Hz, 1 H), 7.264 (d, *J* = 2.0 Hz, 1 H), 7.23 (dd, *J* = 2.0, 7.5 Hz, 1 H), 2.70 (s, 3 H), 2.15 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 204.44, 154.06, 145.63, 140.47, 135.67, 133.38, 133.33, 132.77, 132.02, 131.17, 130.85, 130.62, 130.03, 127.40, 125.41, 124.57, 31.27, 23.82.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{14}Cl_2NO$: 330.0447; found: 330.0445.

1-(2,4-Dimethylquinolin-3-yl)ethan-1-one (1p)[39]

Yield: 187 mg (94%); yellow gum.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.01 (d, *J* = 8.5 Hz, 1 H), 7.97 (d, *J* = 8.5 Hz, 1 H), 7.72–7.69 (m, 1 H), 7.56–7.53 (m, 1 H), 2.63 (s, 3 H), 2.59 (s, 3 H), 2.58 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 206.65, 152.59, 146.94, 138.57, 135.70, 129.80, 129.26, 126.37, 125.95, 123.64, 32.64, 23.54, 15.22.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{13}H_{14}NO$: 200.1070; found: 200.1065.

1-(2-Methylquinolin-3-yl)ethan-1-one (1q)[40]

Yield: 176 mg (95%); white solid; mp 81–82 °C (Lit.[40] 75–76 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.46 (s, 1 H), 8.02 (d, *J* = 8.5 Hz, 1 H), 7.84 (d, *J* = 8.0 Hz, 1 H), 7.77 (t, *J* = 7.0 Hz, 1 H), 7.54 (t, *J* = 7.0 Hz, 1 H), 2.90 (s, 3 H), 2.70 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 199.90, 157.56, 148.23, 138.14, 131.67, 131.07, 128.53, 128.29, 126.62, 125.57, 29.18, 25.59.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{12}H_{12}NO$: 186.0913; found: 186.0911.

1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-methylbutan-1-one (1r)

Yield: 145 mg (43%); yellow gum.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.99 (d, *J* = 9.0 Hz, 1 H), 7.63 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.54 (d, *J* = 2.0 Hz, 1 H), 7.51–7.49 (m, 3 H), 7.32–7.30 (m, 2 H), 2.65 (s, 3 H), 2.10 (d, *J* = 6.5 Hz, 2 H), 2.02–1.94 (m, 1 H), 0.64 (d, *J* = 6.5 Hz, 6 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 206.81, 154.13, 145.80, 143.05, 135.44, 134.35, 132.36, 130.81, 130.47, 130.17 (2×), 129.07, 128.77 (2×), 125.95, 124.83, 53.43, 23.65, 23.15, 22.15 (2×).

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{21}H_{21}ClNO$: 338.1306; found: 338.1298.

1-(6-Chloro-2-isobutyl-4-phenylquinolin-3-yl)ethan-1-one (1s)

Yield: 138 mg (41%); yellow solid; mp 128–129 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.03 (d, *J* = 8.5 Hz, 1 H), 7.65 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.56 (d, *J* = 2.0 Hz, 1 H), 7.54–7.52 (m, 3 H), 7.35–7.32 (m, 2 H), 2.78 (d, *J* = 7.0 Hz, 2 H), 2.36–2.28 (m, 1 H), 1.98 (s, 3 H), 0.98 (d, *J* = 7.0 Hz, 6 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 205.32, 157.11, 145.92, 143.03, 135.88, 134.65, 132.40, 130.82, 130.79, 130.03 (2×), 129.12, 128.87 (2×), 125.74, 124.83, 45.30, 32.42, 28.74, 22.57 (2×).

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{21}H_{21}ClNO$: 338.1306; found: 338.1303.

(6-Chloro-2-methyl-4-phenylquinolin-3-yl)(phenyl)methanone (1t)[41]

Yield: 328 mg (92%); colorless crystals; mp 224–225 °C (Lit.[41] 216–218 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (d, *J* = 9.0 Hz, 1 H), 7.69 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.59–7.57 (m, 2 H), 7.55 (d, *J* = 2.0 Hz, 1 H), 7.48–7.45 (m, 1 H), 7.32–7.18 (m, 7 H), 2.62 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 197.40, 155.10, 146.21, 144.80, 136.89, 134.12, 133.71, 133.22, 132.46, 131.01, 130.56, 129.90, 129.22 (2×), 128.59 (2×), 128.52 (2×), 128.25, 126.12, 125.01 (2×), 23.98.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{23}H_{17}ClNO$: 358.0993; found: 358.0991.

Single-crystal X-ray crystallography: A crystal of **1t** was grown by slow diffusion of EtOAc into a solution of **1t** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*c*, *a* = 11.6437(7) Å, *b* = 14.2645(9) Å, *c* = 10.6138(7) Å, *V* = 1762.67(19) Å^3, *Z* = 4, d_{calcd} = 1.348 Mg/m^3, *F*(000) = 744, 2θ range 1.749–27.095°, *R* indices (all data) *R*1 = 0.0414, w*R*2 = 0.0940. CCDC number: 1940033.

(6-Chloro-2,4-diphenylquinolin-3-yl)(phenyl)methanone (1u)[26]

Yield: 373 mg (89%); colorless crystals; mp 161–162 °C (Lit.[26] 170–172 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.24 (d, *J* = 9.0 Hz, 1 H), 7.73 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.64–7.62 (m, 3 H), 7.50 (d, *J* = 7.5 Hz, 2 H), 7.49–7.06 (m, 11 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 196.60, 156.54, 146.28, 139.44, 137.51, 134.17, 133.05 (2×), 133.00, 132.76, 131.39, 131.24, 129.12 (8×), 128.75, 128.47, 128.18 (2×), 128.06 (2×), 126.46, 125.06.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{28}H_{19}ClNO$: 420.1150; found: 420.1148.

7-Chloro-9-phenyl-2,3-dihydro-1*H*-cyclopenta[*b*]quinolin-1-one (1v)[20h]

Yield: 261 mg (89%); white crystals; mp 229–230 °C (Lit.[20h] 208–209 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.09 (d, *J* = 9.0 Hz, 1 H), 7.75 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.72 (s, 1 H), 7.57–7.56 (m, 3 H), 7.34–7.33 (m, 2 H), 3.44 (t, *J* = 7.0 Hz, 2 H), 2.85 (t, *J* = 7.0 Hz, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 203.28, 171.25, 149.67, 148.12, 132.99, 132.68, 132.46, 130.57, 129.28 (2×), 129.16, 128.30 (2×), 127.36, 126.87, 124.33, 36.60, 28.41.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{13}ClNO$: 294.0680; found: 294.0678.

7-Chloro-9-phenyl-3,4-dihydroacridin-1(2*H*)-one (1w)[18o]

Yield: 270 mg (88%); colorless crystals; mp 194–195 °C (Lit.[18o] 199–200 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.00 (d, *J* = 8.5 Hz, 1 H), 7.69 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.52–7.50 (m, 3 H), 7.42 (d, *J* = 2.0 Hz, 1 H), 7.17–7.15 (m, 2 H), 3.36 (t, *J* = 6.5 Hz, 2 H), 2.71 (t, *J* = 6.5 Hz, 2 H), 2.28–2.22 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 197.68, 162.50, 150.49, 147.02, 136.82, 132.56, 132.40, 130.15, 128.30 (2×), 128.26, 127.96 (2×), 127.88, 126.71, 124.42, 40.56, 34.51, 21.24.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{15}ClNO$: 308.0837; found: 308.0832.

7-Chloro-3,3-dimethyl-9-phenyl-3,4-dihydroacridin-1(2*H*)-one (1x)[20h]

Yield: 312 mg (93%); yellow crystals; mp 214–215 °C (Lit.[20h] 213–214 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.00 (d, *J* = 9.0 Hz, 1 H), 7.69 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.54–7.47 (m, 3 H), 7.43 (d, *J* = 2.5 Hz, 1 H), 7.17–7.15 (m, 2 H), 3.25 (s, 2 H), 2.57 (s, 2 H), 1.16 (s, 6 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 197.70, 161.43, 150.10, 147.36, 136.78, 132.49, 132.43, 130.16, 128.33 (2×), 128.20, 127.98 (2×), 127.87, 126.75, 123.28, 54.16, 48.28, 32.23, 28.31 (2×).

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{21}H_{19}ClNO$: 336.1150; found: 336.1147.

Single-crystal X-ray crystallography: A crystal of **1x** was grown by slow diffusion of EtOAc into a solution of **1x** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 9.838(3) Å, *b* = 10.056(3) Å, *c* = 10.112(3) Å, *V* = 844.9(4) Å^3, *Z* = 2, d_{calcd} = 1.320 Mg/m^3, *F*(000) = 352, 2θ range 2.145–27.089°, *R* indices (all data) *R*1 = 0.0544, w*R*2 = 0.1033. CCDC number: 1947412.

Methyl 6-Chloro-2-methyl-4-phenylquinoline-3-carboxylate (1y)[26]

Yield: 295 mg (95%); colorless crystals; mp 139–140 °C (Lit.[26] 136–137 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.00 (d, *J* = 8.5 Hz, 1 H), 7.64 (dt, *J* = 1.5, 8.5 Hz, 1 H), 7.54 (d, *J* = 7.5 Hz, 1 H), 7.51–7.48 (m, 3 H), 7.34–7.32 (m, 2 H), 3.57 (s, 3 H), 2.75 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 168.50, 154.79, 146.02, 145.39, 134.85, 132.27, 131.05, 130.45, 129.04 (2×), 128.70, 128.38 (2×), 127.91, 125.73, 125.11, 52.13, 23.65.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{15}ClNO_2$: 312.0786; found: 312.0779.

Ethyl 6-Chloro-2-methyl-4-phenylquinoline-3-carboxylate (1z)[42]

Yield: 306 mg (94%); white solid; mp 102–103 °C (Lit.[42] 101–103 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.00 (d, *J* = 9.0 Hz, 1 H), 7.64 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.53 (d, *J* = 2.5 Hz, 1 H), 7.51–7.49 (m, 3 H), 7.35–7.33 (m, 2 H), 4.06 (q, *J* = 7.0 Hz, 2 H), 2.76 (s, 3 H), 0.94 (t, *J* = 7.0 Hz, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 168.09, 154.98, 146.04, 145.40, 134.96, 132.32, 131.12, 130.48, 129.25 (2×), 128.75, 128.43 (2×), 128.16, 125.93, 125.20, 61.47, 23.72, 13.60.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{17}ClNO_2$: 326.0942; found: 326.0936.

Ethyl 6-Chloro-2,4-diphenylquinoline-3-carboxylate (1aa)[20h]

Yield: 344 mg (89%); white solid; mp 113–114 °C (Lit.[20h] 118–119 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.17 (d, *J* = 9.0 Hz, 1 H), 7.76 (d, *J* = 8.0 Hz, 2 H), 7.70 (dd, *J* = 1.0, 9.0 Hz, 1 H), 7.59 (s, 1 H), 7.53–7.40 (m, 8 H), 3.89 (q, *J* = 7.0 Hz, 2 H), 0.82 (t, *J* = 7.0 Hz, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 167.75, 156.14, 146.26, 146.13, 139.72, 134.76, 132.95, 131.35 (2×), 129.23 (2×), 129.00, 128.76, 128.45 (2×), 128.39 (2×), 128.36 (2×), 127.87, 126.25, 125.18, 61.32, 13.32.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{24}H_{19}ClNO_2$: 388.1099; found: 388.1105.

Ethyl 6-Chloro-2-(4-methoxyphenyl)-4-phenylquinoline-3-carboxylate (1ab)[42]

Yield: 384 mg (92%); colorless crystals; mp 141–142 °C (Lit.[42] 133–135 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.13 (d, *J* = 9.0 Hz, 1 H), 7.73 (d, *J* = 9.0 Hz, 2 H), 7.68–7.66 (m, 1 H), 7.55 (d, *J* = 2.0 Hz, 1 H), 7.52–7.50 (m, 3 H), 7.40–7.38 (m, 2 H), 7.00 (d, *J* = 8.5 Hz, 2 H), 3.92 (q, *J* = 7.5 Hz, 2 H), 3.85 (s, 3 H), 0.86 (t, *J* = 7.5 Hz, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 167.99, 160.39, 155.57, 146.16, 146.13, 134.82, 132.61, 132.20, 131.22 (2×), 129.91 (2×), 129.23 (2×), 128.69, 128.31 (2×), 127.73, 126.03, 125.12, 113.87 (2×), 61.29, 55.24, 13.41.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{21}ClNO_3$: 418.1205; found: 418.1209.

Single-crystal X-ray crystallography: A crystal of **1ab** was grown by slow diffusion of EtOAc into a solution of **1ab** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the orthorhombic crystal system, space group *Pbca*, *a* = 15.2409(18) Å, *b* = 15.4430(19) Å, *c* = 17.0445(19) Å, *V* = 4011.7(8) Å^3, *Z* = 8, d_{calcd} = 1.384 Mg/m^3, *F*(000) = 1744, 2θ range 2.225–27.125°, *R* indices (all data) *R*1 = 0.0497, w*R*2 = 0.0897. CCDC number: 1951569.

Ethyl 6-Chloro-2-(4-nitrophenyl)-4-phenylquinoline-3-carboxylate (1ac)

Yield: 397 mg (92%); yellow solid; mp 148–149 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.33 (d, *J* = 8.5 Hz, 2 H), 8.15 (d, *J* = 9.0 Hz, 1 H), 7.93 (d, *J* = 9.0 Hz, 2 H), 7.74 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.61 (d, *J* = 2.5 Hz, 1 H), 7.55–7.53 (m, 3 H), 7.40–7.38 (m, 2 H), 3.90 (q, *J* = 7.5 Hz, 2 H), 0.84 (t, *J* = 7.5 Hz, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 167.39, 153.67, 148.09, 146.98, 146.12, 145.85, 134.41, 133.92, 131.93, 131.45, 129.64 (2×), 129.15 (2×), 129.04, 128.51 (2×), 127.52, 126.61, 125.35, 123.58 (2×), 61.72, 13.38.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{24}H_{18}ClN_2O_4$: 433.0950; found: 433.0950.

Single-crystal X-ray crystallography: A crystal of **1ac** was grown by slow diffusion of EtOAc into a solution of **1ac** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 10.4312(6) Å, *b* = 10.6735(6) Å, *c* = 18.7880(12) Å, *V* = 2012.4(2) Å^3, *Z* = 4, d_{calcd} = 1.429 Mg/m^3, *F*(000) = 896, 2θ range 1.124–27.143°, *R* indices (all data) *R*1 = 0.0437, w*R*2 = 0.0946. CCDC number: 1951570.

6-Chloro-2-methyl-4-phenylquinoline (5a)[43]

Yield: 243 mg (96%); colorless crystals; mp 94–95 °C (Lit.[43] 85–86 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.98 (d, *J* = 9.0 Hz, 1 H), 7.79 (d, *J* = 2.5 Hz, 1 H), 7.55 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.49–7.39 (m, 5 H), 7.19 (s, 1 H), 2.72 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.61, 147.52, 146.59, 137.24, 131.40, 130.49, 129.93, 129.17 (2×), 128.54 (2×), 128.42, 125.60, 124.26, 122.75, 25.11.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}ClN$: 254.0731; found: 254.0732.

Single-crystal X-ray crystallography: A crystal of **5a** was grown by slow diffusion of EtOAc into a solution of **5a** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*c*, *a* = 15.6239(8) Å, *b* = 7.8332(4) Å, *c* = 20.5290(10) Å, *V* = 2475.0(2) Å^3, *Z* = 8, d_{calcd} = 1.362 Mg/m^3, *F*(000) = 1056, 2θ range 2.014–27.107°, *R* indices (all data) *R*1 = 0.0409, w*R*2 = 0.0893. CCDC number: 1954104.

6-Bromo-2-methyl-4-phenylquinoline (5b)

Yield: 273 mg (92%); yellow solid; mp 89–90 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.98 (d, *J* = 2.0 Hz, 1 H), 7.94 (d, *J* = 8.5 Hz, 1 H), 7.73 (d, *J* = 9.0 Hz, 1 H), 7.54–7.44 (m, 5 H), 7.23 (s, 1 H), 2.74 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.92, 147.62, 146.93, 137.34, 132.66, 130.73, 129.30 (2×), 128.68 (2×), 128.56, 127.69, 126.28, 122.89, 119.70, 25.27.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}BrN$: 298.0226; found: 298.0219.

2-Methyl-6-nitro-4-phenylquinoline (5c)[44]

Yield: 232 mg (88%); yellow crystals; mp 195–196 °C (Lit.[44] 141 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.81 (d, *J* = 2.5 Hz, 1 H), 8.44 (dd, *J* = 1.0, 9.0 Hz, 1 H), 8.17 (d, *J* = 9.0 Hz, 1 H), 7.61–7.56 (m, 3 H), 7.51–7.49 (m, 2 H), 7.39 (s, 1 H), 2.83 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 162.65, 150.61, 150.43, 145.11, 136.52, 130.75, 129.36 (2×), 129.22, 129.04 (2×), 124.15, 123.83, 122.86 (2×), 25.68.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}N_2O_2$: 265.0972; found: 265.0973.

3-Methyl-1-phenylbenzo[*f*]quinoline (5d)

Yield: 264 mg (98%); white solid; mp 91–92 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.01 (d, *J* = 9.0 Hz, 1 H), 7.95 (d, *J* = 9.0 Hz, 1 H), 7.84 (d, *J* = 8.0 Hz, 1 H), 7.64 (d, *J* = 8.5 Hz, 1 H), 7.51–7.49 (m, 3 H), 7.43 (t, *J* = 7.5 Hz, 1 H), 7.42–7.39 (m, 2 H), 7.23 (s, 1 H), 7.14 (t, *J* = 8.5 Hz, 1 H), 2.78 (s, 3 H),.

^{13}C NMR (125 MHz, $CDCl_3$): δ = 156.95, 149.25, 148.48, 142.68, 132.51, 131.19, 129.68, 129.03 (2×), 128.44, 128.23, 128.19 (2×), 127.91, 127.74, 126.06, 125.32, 124.78, 121.73, 24.49.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{20}H_{16}N$: 270.1277; found: 270.1276.

4-(4-Fluorophenyl)-2-methylquinoline (5e)[45]

Yield: 228 mg (96%); colorless crystals; mp 108–109 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (d, *J* = 8.5 Hz, 1 H), 7.77 (d, *J* = 8.5 Hz, 1 H), 7.66 (t, *J* = 8.5 Hz, 1 H), 7.43–7.38 (m, 3 H), 7.19–7.15 (m, 3 H), 2.74 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 162.72 (d, *J* = 246.5 Hz), 158.36, 148.31, 147.26, 133.97 (d, *J* = 3.25 Hz), 131.05 (d, *J* = 8.125 Hz, 2×), 129.25, 129.02, 125.74, 125.21, 124.90, 122.12, 115.45 (d, *J* = 21.375 Hz, 2×), 25.20.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}FN$: 238.1027; found: 238.1023.

4-(4-Chlorophenyl)-2-methylquinoline (5f)[43]

Yield: 245 mg (97%); colorless crystals; mp 116–117 °C (Lit.[43] 109–110 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.08 (d, *J* = 8.5 Hz, 1 H), 7.77 (d, *J* = 8.0 Hz, 1 H), 7.66 (dd, *J* = 2.0, 8.0 Hz, 1 H), 7.47–7.45 (m, 2 H), 7.43–7.38 (m, 3 H), 7.17 (s, 1 H), 2.75 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.39, 148.29, 147.07, 136.43, 134.42, 130.69 (2×), 129.35, 129.05, 128.68 (2×), 125.84, 125.13, 124.70, 122.02, 25.25.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}ClN$: 254.0731; found: 254.0728.

4-(4-Bromophenyl)-2-methylquinoline (5g)[46]

Yield: 282 mg (95%); yellow crystals; mp 116–117 °C (Lit.[46] 135–137 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.09 (d, *J* = 8.0 Hz, 1 H), 7.79 (d, *J* = 8.5 Hz, 1 H), 7.70 (t, *J* = 8.0 Hz, 1 H), 7.66 (d, *J* = 8.0 Hz, 2 H), 7.44 (t, *J* = 8.0 Hz, 1 H), 7.37 (d, *J* = 8.0 Hz, 2 H), 7.20 (s, 1 H), 2.78 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.51, 148.39, 147.23, 137.04, 131.75 (2×), 131.08 (2×), 129.47, 129.14, 125.96, 125.23, 124.75, 122.70, 122.06, 25.33.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}BrN$: 298.0226; found: 298.0219.

2-Methyl-4-(*p*-tolyl)quinoline (5h)[47]

Yield: 219 mg (94%); yellow solid; mp 60–61 °C (Lit.[47] 60–61 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.10 (d, *J* = 8.0 Hz, 1 H), 7.87 (d, *J* = 8.5 Hz, 1 H), 7.65 (t, *J* = 7.5 Hz, 1 H), 7.38 (t, *J* = 7.5 Hz, 1 H), 7.36 (d, *J* = 7.5 Hz, 2 H), 7.28 (d, *J* = 7.5 Hz, 2 H), 7.19 (s, 1 H), 2.75 (s, 3 H), 2.43 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.25, 148.36, 148.26, 137.98, 135.01, 129.22 (2×), 129.03 (3×), 128.83, 125.51, 125.43, 125.00, 121.95, 25.15, 21.09.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{17}H_{16}N$: 234.1277; found: 234.1274.

4-(4-Methoxyphenyl)-2-methylquinoline (5i)[48]

Yield: 219 mg (88%); white solid; mp 99–100 °C (Lit.[48] 92–93 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.08 (d, *J* = 8.0 Hz, 1 H), 7.90 (dd, *J* = 1.0, 8.5 Hz, 1 H), 7.69–7.66 (m, 1 H), 7.45–7.41 (m, 1 H), 7.43 (d, *J* = 8.5 Hz, 2 H), 7.21 (s, 1 H), 7.04 (d, *J* = 8.5 Hz, 2 H), 3.89 (s, 3 H), 2.76 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 159.74, 158.44, 148.41, 148.17, 130.69 (2×), 130.38, 129.17, 128.95, 125.63, 125.56, 125.22, 122.11, 113.96 (2×), 55.34, 25.30.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{17}H_{16}NO$: 250.1226; found: 250.1219.

2-Methyl-4-phenylquinoline (5j)[43]

Yield: 199 mg (91%); white solid; mp 102–103 °C (Lit.[43] 96–97 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.09 (d, *J* = 8.5 Hz, 1 H), 7.85 (d, *J* = 8.5 Hz, 1 H), 7.69–7.66 (m, 1 H), 7.53–7.46 (m, 5 H), 7.44–7.41 (m, 1 H), 7.23 (s, 1 H), 2.77 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.41, 148.47, 148.30, 138.06, 129.42 (2×), 129.24, 128.92, 128.45 (2×), 128.25, 125.66, 125.57, 125.01, 122.15, 25.26.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{14}N$: 220.1121; found: 220.1114.

6-Chloro-4-(2-chlorophenyl)-2-methylquinoline (5k)

Yield: 267 mg (93%); yellow crystals; mp 108–109 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.01 (d, *J* = 9.0 Hz, 1 H), 7.59 (d, *J* = 9.0 Hz, 1 H), 7.54 (d, *J* = 8.0 Hz, 1 H), 7.43–7.36 (m, 3 H), 7.28 (d, *J* = 7.5 Hz, 1 H), 7.20 (s, 1 H), 2.75 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.73, 146.40, 145.04, 136.01, 133.08, 131.66, 131.12, 130.58, 130.22, 129.92, 129.88, 126.83, 125.79, 124.28, 123.35, 25.24.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{12}Cl_2N$: 288.0341; found: 288.0338.

6-Chloro-2,4-diphenylquinoline (6a)[49]

Yield: 287 mg (91%); yellow solid; mp 117–118 °C (Lit.[49] 120–121 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.20–8.17 (m, 3 H), 7.87 (d, *J* = 2.5 Hz, 1 H), 7.84 (s, 1 H), 7.67 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.58–7.48 (m, 8 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 157.06, 148.42, 147.20, 139.18, 137.72, 132.17, 131.70, 130.42, 129.57, 129.42 (2×), 128.88 (2×), 128.79 (2×), 128.69, 127.51 (2×), 126.46, 124.46, 120.02.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{21}H_{15}ClN$: 316.0888; found: 316.0887.

Single-crystal X-ray crystallography: A crystal of **6a** was grown by slow diffusion of EtOAc into a solution of **6a** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the orthorhombic crystal system, space group *Pca*21, *a* = 7.6512(4) Å, *b* = 10.1449(5) Å, *c* =

19.8333(10) Å, V = 1539.47(14) Å^3, Z = 4, d_{calcd} = 1.362 Mg/m^3, F(000) = 656, 2θ range 2.007–27.104°, R indices (all data) $R1$ = 0.0259, w$R2$ = 0.0659. CCDC number: 1957108.

6-Chloro-2-(4-nitrophenyl)-4-phenylquinoline (6b)[50]

Yield: 331 mg (92%); white solid; mp 225–226 °C (Lit.[50] 219–220 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.38 (br s, 4 H), 8.19 (d, J = 9.0 Hz, 1 H), 7.90 (d, J = 2.5 Hz, 1 H), 7.88 (s, 1 H), 7.71 (dd, J = 2.5, 9.0 Hz, 1 H), 7.61–7.54 (m, 5 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 154.25, 149.15, 148.46, 147.19, 144.96, 137.25, 133.31, 131.91, 131.03, 129.37 (2×), 129.01, 128.94 (2×), 128.29 (2×), 126.87, 124.60, 124.06 (2×), 119.79.

HRMS (ESI): m/z [M + H]$^+$ calcd for $C_{21}H_{14}ClN_2O_2$: 361.0738; found: 361.0747.

7-Chloro-9-phenyl-2,3-dihydro-1*H*-cyclopenta[*b*]quinoline (6c)[42]

Yield: 246 mg (88%); colorless crystals; mp 92–93 °C (Lit.[42] 96–98 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.98 (d, J = 9.0 Hz, 1 H), 7.57 (d, J = 2.5 Hz, 1 H), 7.54–7.50 (m, 3 H), 7.48–7.45 (m, 1 H), 7.32 (d, J = 8.0 Hz, 2 H), 3.20 (t, J = 7.5 Hz, 2 H), 2.88 (t, J = 7.5 Hz, 2 H), 2.18–2.12 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 167.77, 146.29, 141.83, 135.96, 134.61, 131.24, 130.30, 129.09 (2×), 128.92, 128.65 (2×), 128.22, 126.96, 124.43, 35.05, 30.30, 23.36.

HRMS (ESI): m/z [M + H]$^+$ calcd for $C_{18}H_{15}ClN$: 280.0888; found: 280.0880.

Single-crystal X-ray crystallography: A crystal of **6c** was grown by slow diffusion of EtOAc into a solution of **6c** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group $C2/c$, a = 23.676(5) Å, b = 9.3719(17) Å, c = 13.351(3) Å, V = 2743.2(9) Å^3, Z = 8, d_{calcd} = 1.355 Mg/m^3, F(000) = 1168, 2θ range 1.858–27.096°, R indices (all data) $R1$ = 0.0366, w$R2$ = 0.0924. CCDC number: 1951572.

7-Chloro-9-phenyl-1,2,3,4-tetrahydroacridine (6d)[41]

Yield: 275 mg (94%); colorless crystals; mp 172–173 °C (Lit.[41] 163–165 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.93 (d, J = 9.0 Hz, 1 H), 7.52–7.49 (m, 3 H), 7.47–7.44 (m, 1 H), 7.27 (d, J = 2.0 Hz, 1 H), 7.19 (d, J = 7.0 Hz, 2 H), 3.16 (t, J = 6.5 Hz, 2 H), 2.57 (t, J = 6.5 Hz, 2 H), 1.96–1.91 (m, 2 H), 1.78–1.73 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 159.36, 145.59, 144.57, 136.27, 131.03, 129.97, 129.32, 129.11, 128.90 (2×), 128.71 (2×), 127.94, 127.27, 124.41, 34.09, 27.99, 22.78, 22.68.

HRMS (ESI): m/z [M + H]$^+$ calcd for $C_{19}H_{17}ClN$: 294.1044; found: 294.1042.

Single-crystal X-ray crystallography: A crystal of **6d** was grown by slow diffusion of EtOAc into a solution of **6d** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the Monoclinic crystal system, space group $C2/c$, a = 24.1033(16) Å, b = 8.9412(6) Å, c = 14.6847(10) Å, V = 2864.6(3) Å^3, Z = 8, d_{calcd} = 1.362 Mg/m^3, F(000) = 1232, 2θ range 1.867–27.118°, R indices (all data) $R1$ = 0.0331, w$R2$ = 0.0849. CCDC number: 1948413.

2-Chloro-11-phenyl-7,8,9,10-tetrahydro-6*H*-cyclohepta[*b*]quinoline (6e)[51]

Yield: 289 mg (94%); colorless crystals; mp 203–204 °C (Lit.[51] 193–195 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.95 (d, J = 9.0 Hz, 1 H), 7.52–7.46 (m, 4 H), 7.24 (d, J = 2.0 Hz, 1 H), 7.21–7.19 (m, 2 H), 3.30–3.22 (m, 2 H), 2.72–2.64 (m, 2 H), 1.88–1.78 (m, 4 H), 1.64–1.55 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 165.03, 144.62, 144.16, 136.81, 134.76, 131.28, 130.19, 129.26 (2×), 128.94, 128.57 (2×), 127.88, 127.66, 125.08, 40.05, 31.78, 30.66, 28.30, 26.87.

HRMS (ESI): m/z [M + H]$^+$ calcd for $C_{20}H_{19}ClN$: 308.1201; found: 308.1197.

Single-crystal X-ray crystallography: A crystal of **6e** was grown by slow diffusion of EtOAc into a solution of **6e** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group P–1, a = 9.3909(12) Å, b = 9.5008(12) Å, c = 10.1043(13) Å, V = 764.86(17) Å^3, Z = 2, d_{calcd} = 1.337 Mg/m^3, F(000) = 324, 2θ range 2.172–27.103°, R indices (all data) $R1$ = 0.0391, w$R2$ = 0.0872. CCDC number: 1951568.

2-(*tert*-Butyl)-7-chloro-9-phenyl-1,2,3,4-tetrahydroacridine (6f)[52]

Yield: 300 mg (86%); white solid; mp 150–151 °C (Lit.[52] 146–148 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.93 (d, J = 8.5 Hz, 1 H), 7.54–7.45 (m, 4 H), 7.27 (d, J = 2.0 Hz, 1 H), 7.21–7.19 (m, 2 H), 3.31–3.26 (m, 1 H), 3.12–3.05 (m, 1 H), 2.67–2.62 (m, 1 H), 2.31–2.26 (m, 1 H), 2.14–2.10 (m, 1 H), 1.56–1.43 (m, 2 H), 0.83 (s, 9 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 159.64, 145.79, 144.60, 136.21, 131.03, 129.98, 129.70, 129.11, 129.01, 128.78, 128.75 (2×), 128.01, 127.31, 124.48, 44.55, 34.75, 32.49, 29.33, 27.05 (3×), 24.00.

HRMS (ESI): m/z [M + H]$^+$ calcd for $C_{23}H_{25}ClN$: 350.1670; found: 350.1665.

Single-crystal X-ray crystallography: A crystal of **6f** was grown by slow diffusion of EtOAc into a solution of **6f** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the orthorhombic crystal system, space group $Pbca$, a = 11.0458(14) Å, b = 18.128(2) Å, c = 18.878(2) Å, V = 3780.2(8) Å^3, Z = 8, d_{calcd} = 1.230 Mg/m^3, F(000) = 1488, 2θ range 2.158–27.114°, R indices (all data) $R1$ = 0.0704, w$R2$ = 0.1298. CCDC number: 1948414.

9-Chloro-7-phenyl-5,6-dihydrobenzo[*c*]acridine (6g)[42]

Yield: 293 mg (86%); colorless crystals; mp 154–155 °C (Lit.[42] 146–148 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.59 (d, J = 8.0 Hz, 1 H), 8.11 (d, J = 9.0 Hz, 1 H), 7.59–7.51 (m, 4 H), 7.44 (t, J = 8.0 Hz, 1 H), 7.40–7.38 (m, 2 H), 7.30 (d, J = 7.0 Hz, 2 H), 7.25 (d, J = 9.0 Hz, 1 H), 2.93–2.87 (m, 2 H), 2.86–2.81 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.39, 145.57, 144.66, 139.26, 136.20, 134.76, 131.69, 131.19, 129.86, 129.39 (2×), 129.35, 129.06, 128.77 (2×), 128.21, 127.98, 127.73, 127.31, 126.31, 124.82, 28.10, 26.51.

HRMS (ESI): m/z [M + H]$^+$ calcd for $C_{23}H_{17}ClN$: 342.1044; found: 342.1044.

9-Chloro-2-methoxy-7-phenyl-5,6-dihydrobenzo[*c*]acridine (6h)

Yield: 334 mg (90%); colorless crystals; mp 164–165 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.17 (d, J = 2.5 Hz, 1 H), 8.12 (d, J = 9.0 Hz, 1 H), 7.58–7.52 (m, 4 H), 7.38 (d, J = 2.0 Hz, 1 H), 7.30 (d, J = 7.0 Hz, 2 H), 7.15 (d, J = 8.5 Hz, 1 H), 6.96 (dd, J = 2.5, 8.5 Hz, 1 H), 3.97 (s, 3 H), 2.81 (s, 4 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 159.02, 153.22, 145.43, 144.59, 136.21, 135.65, 131.69, 131.15, 129.36 (2×), 129.28, 129.26, 129.10, 128.76, 128.73 (2×), 128.16, 128.00, 124.78, 117.05, 109.94, 55.53, 27.20, 26.74.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{24}H_{19}ClNO$: 372.1150; found: 372.1146.

Single-crystal X-ray crystallography: A crystal of **6h** was grown by slow diffusion of EtOAc into a solution of **6h** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*n*, *a* = 9.5237(7) Å, *b* = 9.5964(7) Å, *c* = 20.1366(16) Å, *V* = 1811.4(2) Å^3, *Z* = 4, d_{calcd} = 1.364 Mg/m^3, *F*(000) = 776, 2θ range 2.055–27.147°, *R* indices (all data) *R*1 = 0.0394, w*R*2 = 0.0926. CCDC number: 1951571.

9-Chloro-2,3-dimethoxy-7-phenyl-5,6-dihydrobenzo[*c*]acridine (6i)

Yield: 339 mg (92%); colorless crystals; mp 261–262 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.14 (s, 1 H), 8.08 (d, *J* = 9.0 Hz, 1 H), 7.57–7.51 (m, 4 H), 7.34 (d, *J* = 2.0 Hz, 1 H), 7.30–7.28 (m, 2 H), 6.72 (s, 1 H), 4.08 (s, 3 H), 3.94 (s, 3 H), 2.81 (s, 4 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.25, 150.67, 148.40, 145.46, 144.37, 136.30, 132.72, 131.21, 130.83, 129.37 (2×), 129.21, 128.73 (2×), 128.41, 128.13, 127.72, 127.35, 124.81, 110.31, 108.69, 5612, 55.92, 27.67, 26.70.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{21}ClNO_2$: 402.1255; found: 402.1252.

Single-crystal X-ray crystallography: A crystal of **6i** was grown by slow diffusion of EtOAc into a solution of **6i** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*n*, *a* = 11.676(2) Å, *b* = 10.7728(18) Å, *c* = 16.079(3) Å, *V* = 1938.8(6) Å^3, *Z* = 4, d_{calcd} = 1.377 Mg/m^3, *F*(000) = 840, 2θ range 1.920–27.103°, *R* indices (all data) *R*1 = 0.0397, w*R*2 = 0.0886. CCDC number: 1952311.

10-Chloro-8-phenyl-6,7-dihydro-5*H*-benzo[6,7]cyclohepta[1,2-*b*]quinoline (6j)

Yield: 327 mg (92%); colorless crystals; mp 174–175 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.15 (d, *J* = 9.0 Hz, 1 H), 7.92 (d, *J* = 7.5 Hz, 1 H), 7.60 (d, *J* = 9.0 Hz, 1 H), 7.57–7.50 (m, 3 H), 7.47 (t, *J* = 7.5 Hz, 1 H), 7.42 (d, *J* = 7.5 Hz, 1 H), 7.40 (s, 1 H), 7.33 (d, *J* = 8.0 Hz, 2 H), 7.25 (d, *J* = 7.5 Hz, 1 H), 2.65 (t, *J* = 7.0 Hz, 2 H), 2.42 (t, *J* = 7.0 Hz, 2 H), 2.09–2.04 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 160.74, 145.36, 145.15, 140.22, 139.12, 136.42, 131.84, 131.61, 131.19, 129.36 (4×), 128.92, 128.58 (2×), 128.26, 128.16, 127.81, 127.05, 124.98, 31.92, 31.03, 27.32.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{24}H_{19}ClN$: 356.1201; found: 356.1196.

Single-crystal X-ray crystallography: A crystal of **6j** was grown by slow diffusion of EtOAc into a solution of **6j** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 9.649(3) Å, *b* = 9.664(3) Å, *c* = 9.806(3) Å, *V* = 882.6(4) Å^3, *Z* = 2, d_{calcd} = 1.339 Mg/m^3, *F*(000) = 372, 2θ range 2.110–27.142°, *R* indices (all data) *R*1 = 0.0542, w*R*2 = 0.0895. CCDC number: 1952312.

6-Chloro-2,3,4-triphenylquinoline (6k)[53]

Yield: 364 mg (93%); colorless crystals; mp 166–167 °C (Lit.[53] 191–193 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.19 (d, *J* = 3.0, 9.0 Hz, 1 H), 7.67 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.56–7.55 (m, 1 H), 7.40–7.34 (m, 2 H), 7.33–7.27 (m, 3 H), 7.25–7.18 (m, 3 H), 7.15–7.09 (m, 2 H), 7.06–6.98 (m, 3 H), 6.93–6.84 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 159.25, 146.94, 145.71, 140.77, 137.94, 136.24, 133.78, 132.41, 131.34, 131.21 (2×), 130.27, 130.17 (2×), 129.84 (2×), 127.99 (2×), 127.79, 127.77, 127.70 (2×), 127.57, 127.40 (2×), 126.51, 125.33.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{27}H_{19}ClN$: 392.1201; found: 392.1199.

Single-crystal X-ray crystallography: A crystal of **6k** was grown by slow diffusion of EtOAc into a solution of **6k** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 9.5370(9) Å, *b* = 10.1602(10) Å, *c* = 11.5493(12) Å, *V* = 996.99(17) Å^3, *Z* = 2, d_{calcd} = 1.305 Mg/m^3, *F*(000) = 408, 2θ range 1.888–27.103°, *R* indices (all data) *R*1 = 0.0417, w*R*2 = 0.0882. CCDC number: 1948412.

6-Chloro-2-(4-fluorophenyl)-3,4-diphenylquinoline (6l)

Yield: 389 mg (95%); colorless crystals; mp 211–212 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.18 (d, *J* = 9.0 Hz, 1 H), 7.67 (d, *J* = 2.0, 9.0 Hz, 1 H), 7.57 (d, *J* = 2.0 Hz, 1 H), 7.39–7.36 (m, 2 H), 7.32–7.28 (m, 3 H), 7.13–7.11 (m, 2 H), 7.06–7.03 (m, 3 H), 6.93–6.89 (m, 4 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 162.41 (d, *J* = 246.375 Hz), 158.03, 147.07, 145.66, 137.79, 136.79 (d, *J* = 3.125 Hz), 136.08, 133.60, 132.50, 131.72 (d, *J* = 8.25 Hz, 2×), 131.24, 131.12 (2×), 130.35, 130.08 (2×), 127.99 (2×), 127.60, 127.55 (2×), 127.39, 126.63, 125.32, 114.67 (d, *J* = 21.375 Hz, 2×).

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{27}H_{18}ClFN$: 410.1106; found: 410.1106.

2-(6-Chloro-4-phenylquinolin-2-yl)-4-methoxyphenol (6m)

Yield: 278 mg (77%); yellow solid; mp 189–190 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 14.33 (br s, 1 H), 8.03 (d, *J* = 9.0 Hz, 1 H), 7.92 (s, 1 H), 7.84 (d, *J* = 2.5 Hz, 1 H), 7.68 (dd, *J* = 2.0, 9.0 Hz, 1 H), 7.61–7.53 (m, 5 H), 7.43 (d, *J* = 3.0 Hz, 1 H), 7.04 (d, *J* = 9.0 Hz, 1 H), 7.00 (dd, *J* = 2.5, 9.0 Hz, 1 H), 3.83 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 157.39, 155.07, 152.19, 149.43, 143.88, 137.24, 132.65, 131.21, 129.59, 129.34 (2×), 129.06, 128.94 (2×), 126.05, 124.73, 119.24, 118.89, 118.65, 118.43, 111.64, 56.11.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{17}ClNO_2$: 362.0942; found: 362.0937.

9-Chloro-7-phenyl-6*H*-chromeno[4,3-*b*]quinoline (6n)[42]

Yield: 316 mg (92%); colorless crystals; mp 184–185 °C (Lit.[42] 181–183 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.50 (d, *J* = 7.5 Hz, 1 H), 8.10 (d, *J* = 9.0 Hz, 1 H), 7.61–7.53 (m, 4 H), 7.44 (s, 1 H), 738 (t, *J* = 7.5 Hz, 1 H), 7.29 (d, *J* = 7.0 Hz, 2 H), 7.18 (t, *J* = 7.5 Hz, 1 H), 6.98 (d, *J* = 7.5 Hz, 1 H), 5.09 (s, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 157.25, 148.99, 146.43, 142.90, 134.17, 132.06, 131.99, 131.18, 130.19, 129.12 (2×), 128.97 (2×), 128.84, 127.77, 125.71, 124.91, 123.66, 123.17, 122.55, 117.19, 66.61.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{15}ClNO$: 344.0837; found: 344.0836.

Single-crystal X-ray crystallography: A crystal of **6n** was grown by slow diffusion of EtOAc into a solution of **6n** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal sys-

tem, space group *C*2/*c*, *a* = 18.2667(15) Å, *b* = 7.8037(6) Å, *c* = 22.7233(18) Å, *V* = 3229.3(4) Å^3, *Z* = 8, d_{calcd} = 1.414 Mg/m^3, *F*(000) = 1424, 2θ range 1.798–27.107°, *R* indices (all data) *R*1 = 0.0354, w*R*2 = 0.0858. CCDC number: 1952313.

9-Chloro-6,7-diphenyl-6*H*-chromeno[4,3-*b*]quinoline (6o)

Yield: 390 mg (93%); colorless crystals; mp 221–222 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.17 (d, *J* = 8.5 Hz, 1 H), 7.67 (d, *J* = 2.5 Hz, 1 H), 7.66 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.57 (t, *J* = 7.5 Hz, 1 H), 7.46 (t, *J* = 7.5 Hz, 1 H), 7.42–7.40 (m, 2 H), 7.30–7.25 (m, 2 H), 7.18–7.13 (m, 3 H), 7.10 (t, *J* = 7.5 Hz, 1 H), 7.00 (d, *J* = 7.5 Hz, 2 H), 6.87 (d, *J* = 8.0 Hz, 1 H), 6.80 (d, *J* = 7.5 Hz, 1 H), 6.26 (s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 154.87, 148.70, 146.66, 144.44, 139.35, 134.01, 132.23, 132.03, 131.17, 130.50, 129.44, 128.82 (2×), 128.68, 128.47, 128.31, 128.20 (2×), 127.99 (4×), 125.37, 125.12, 124.77, 123.24, 122.26, 118.12.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{28}H_{19}ClNO$: 420.1150; found: 420.1150.

Single-crystal X-ray crystallography: A crystal of **6o** was grown by slow diffusion of EtOAc into a solution of **6o** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group *P*–1, *a* = 9.6174(7) Å, *b* = 10.1886(7) Å, *c* = 11.7888(9) Å, *V* = 999.86(13) Å^3, *Z* = 2, d_{calcd} = 1.395 Mg/m^3, *F*(000) = 436, 2θ range 1.857–27.1125°, *R* indices (all data) *R*1 = 0.0401, w*R*2 = 0.0864. CCDC number: 1954575.

6-Chloro-2,4-diphenylquinoline-3-carbonitrile (6p)[52]

Yield: 296 mg (87%); white solid; mp 197–198 °C (Lit.[52] 191–192 °C).

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.19 (d, *J* = 9.0 Hz, 1 H), 8.00 (d, *J* = 7.5 Hz, 2 H), 7.79 (dd, *J* = 2.5, 9.0 Hz, 1 H), 7.67–7.63 (m, 4 H), 7.58–7.55 (m, 3 H), 7.53–7.51 (m, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 158.69, 155.52, 146.88, 137.68, 133.93, 133.86, 133.36, 131.62, 130.12, 130.01, 129.30 (2×), 129.21 (2×), 129.02 (2×), 128.63 (2×), 124.45, 125.41, 116.84, 106.46.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{14}ClN_2$: 341.0840; found: 341.0840.

Quinolinyl Chalcones 8; General Procedure

A mixture of 2-aminobenzophenone **2a** (1.0 mmol), acetylacetone (**3a**; 1.1 mmol), and Nafion NR50 (20 mol%) in ethanol (10 mL) was placed in a dried 35 mL microwave vial at 25 °C. The mixture was subjected to microwave irradiation and stirred at 200 °C for 1 h. The consumption of the starting materials was confirmed by TLC. The mixture was cooled to 25 °C, the Nafion NR50 particles were removed, and then NaOH (1.0 mmol) and aromatic benzaldehydes **7** (1.2 mmol) were added directly, after which the mixture was stirred at 200 °C for another 1 h. The consumption of the quinolines was confirmed by TLC. The mixture was cooled to 25 °C and then transferred to a 100 mL round-bottom flask; the solvent was then concentrated under reduced pressure to afford the crude product. Solid crude product was recrystallized (hexane–EtOAc, 5:1 to 2:1). Gummy crude product was purified by chromatography (silica gel, hexanes–EtOAc, 4:1 to 1:1). This afforded compounds **8a–f**.

(*E*)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-phenylprop-2-en-1-one (8a)[54]

Yield: 337 mg (88%); white solid; mp 164–165 °C (Lit.[54] 160–162 °C).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.06 (d, *J* = 8.8 Hz, 1 H), 7.69 (dd, *J* = 2.0, 8.8 Hz, 1 H), 7.59 (d, *J* = 2.0 Hz, 1 H), 7.41–7.28 (m, 10 H), 7.10 (d, *J* = 16.0 Hz, 1 H), 6.60 (d, *J* = 16.0 Hz, 1 H), 2.70 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.12, 155.29, 146.83, 146.13, 144.53, 134.49, 133.92, 133.33, 132.42, 130.97 (2×), 130.54, 129.87 (2×), 128.91 (2×), 128.85, 128.55 (2×), 128.34 (2×), 127.57, 126.09, 125.04, 23.90.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{19}ClNO$: 384.1150; found: 384.1140.

(*E*)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-(2-fluorophenyl)prop-2-en-1-one (8b)

Yield: 329 mg (82%); white solid; mp 169–170 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.05 (d, *J* = 9.2 Hz, 1 H), 7.67 (dd, *J* = 2.0, 8.8 Hz, 1 H), 7.59 (d, *J* = 2.0 Hz, 1 H), 7.43–7.37 (m, 3 H), 7.34–7.25 (m, 5 H), 7.08 (t, *J* = 7.6 Hz, 1 H), 7.01 (t, *J* = 10.0 Hz, 1 H), 6.63 (d, *J* = 16.4 Hz, 1 H), 2.69 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.15, 161.19 (d, *J* = 253.2 Hz), 155.25, 145.37 (d, *J* = 153.8 Hz), 138.92, 134.46, 133.08, 132.45, 132.42, 132.37, 130.99, 130.54, 129.97 (2×), 129.38 (d, *J* = 5.4 Hz), 128.85, 128.73, 128.55 (2×), 126.01, 125.03, 124.45 (d, *J* = 3.0 Hz), 122.04 (d, *J* = 11.5 Hz), 116.12 (d, *J* = 21.4 Hz), 23.91.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{18}ClFNO$: 402.1056; found: 402.1050.

(*E*)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-(4-fluorophenyl)prop-2-en-1-one (8c)[49]

Yield: 337 mg (84%); yellow gum.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.05 (d, *J* = 9.2 Hz, 1 H), 7.67 (dd, *J* = 2.4, 8.8 Hz, 1 H), 7.58 (d, *J* = 2.4 Hz, 1 H), 7.42–7.38 (m, 3 H), 7.32–7.27 (m, 4 H), 7.06 (d, *J* = 16.0 Hz, 1 H), 7.00 (t, *J* = 8.4 Hz, 2 H), 6.50 (d, *J* = 16.0 Hz, 1 H), 2.69 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 196.86, 164.26 (d, *J* = 251.4 Hz), 155.27, 146.13, 145.29, 144.54, 134.50, 133.25, 132.46, 131.01, 130.54, 130.28 (d, *J* = 8.7 Hz, 2×), 130.16 (d, *J* = 3.3 Hz), 129.86 (2×), 128.89, 128.57 (2×), 127.23, 126.04, 125.02, 116.15 (d, *J* = 21.9 Hz, 2×), 23.90.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{18}ClFNO$: 402.1056; found: 402.1049.

(*E*)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-(3,4-dimethoxyphenyl)prop-2-en-1-one (8d)[54]

Yield: 381 mg (86%); yellow solid; mp 195–196 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.05 (d, *J* = 8.8 Hz, 1 H), 7.67 (dd, *J* = 2.4, 8.8 Hz, 1 H), 7.57 (d, *J* = 2.4 Hz, 1 H), 7.44–7.37 (m, 3 H), 7.30 (s, 1 H), 7.29 (dd, *J* = 2.0, 4.8 Hz, 1 H), 7.02 (d, *J* = 16.0 Hz, 1 H), 6.91 (dd, *J* = 2.0, 8.4 Hz, 1 H), 6.84 (d, *J* = 2.0 Hz, 1 H), 6.79 (d, *J* = 8.4 Hz, 1 H), 6.49 (d, *J* = 16.0 Hz, 1 H), 3.88 (s, 3 H), 3.84 (s, 3 H), 2.69 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 196.96, 155.40, 151.87, 149.25, 147.18, 146.08, 144.46, 134.57, 133.44, 132.36, 130.88, 130.51, 129.84 (2×), 128.76, 128.49 (2×), 126.84, 126.17, 125.71, 125.06, 123.34, 111.01, 109.72, 55.96, 55.83, 23.91.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{27}H_{23}ClNO_3$: 444.1361; found: 444.1370.

(*E*)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-(3,5-dimethoxyphenyl)prop-2-en-1-one (8e)

Yield: 377 mg (85%); yellow gum.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.06 (d, *J* = 9.2 Hz, 1 H), 7.68 (dd, *J* = 2.4, 9.2 Hz, 1 H), 7.58 (d, *J* = 2.4 Hz, 1 H), 7.44–7.39 (m, 3 H), 7.30–7.28 (m, 2 H), 7.00 (d, *J* = 16.0 Hz, 1 H), 6.55 (d, *J* = 16.0 Hz, 1 H), 6.46 (dd, *J* = 2.4, 8.4 Hz, 1 H), 6.45 (s, 2 H), 3.75 (s, 6 H), 2.69 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.13, 161.01 (2×), 155.31, 146.90, 146.17, 144.61, 135.79, 134.49, 133.29, 132.47, 131.02, 130.57, 129.91 (2×), 128.90, 128.59 (2×), 128.06, 126.13, 125.08, 106.19 (2×), 103.37, 55.41 (2×), 23.93.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{27}H_{23}ClNO_3$: 444.1361; found: 444.1356.

(*E*)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (8f)[54]

Yield: 416 mg (88%); yellow crystals; mp 140–141 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.02 (d, *J* = 8.8 Hz, 1 H), 7.63 (dd, *J* = 2.4, 8.8 Hz, 1 H), 7.55 (d, *J* = 2.4 Hz, 1 H), 7.44–7.34 (m, 3 H), 7.32–7.27 (m, 2 H), 6.99 (d, *J* = 16.0 Hz, 1 H), 6.54 (s, 2 H), 6.52 (d, *J* = 16.0 Hz, 1 H), 3.82 (s, 3 H), 3.78 (s, 6 H), 2.67 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 196.75, 155.19, 153.28 (2×), 146.92, 145.99, 144.42, 140.74, 134.39, 133.20, 132.30, 130.82, 130.41, 129.77 (2×), 129.18, 128.73, 128.43 (2×), 126.91, 126.02, 124.93, 105.50 (2×), 60.79, 56.00 (2×), 23.80.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{28}H_{25}ClNO_4$: 474.1467; found: 474.1476.

Single-crystal X-ray crystallography: A crystal of **8f** was grown by slow diffusion of EtOAc into a solution of **8f** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group *P*21/*n*, *a* = 7.0352(6) Å, *b* = 28.703(3) Å, *c* = 11.7018(10) Å, *V* = 2328.7(3) Å^3, *Z* = 4, d_{calcd} = 1.352 Mg/m^3, *F*(000) = 992, 2θ range 1.419–27.103°, *R* indices (all data) *R*1 = 0.0328, w*R*2 = 0.0821. CCDC number: 1963945.

2,8-Dichloro-6,13-diphenyl-12*H*-cyclopenta[1,2-*b*:3,4-*b*′]diquinoline (9)

A mixture of 2-aminobenzophenone **2a** (1.0 mmol), ketone **3e** (0.5 mmol), and Nafion NR50 (20 mol%) in ethanol (10 mL) was placed in a dried 35 mL microwave vial at 25 °C. The mixture was subjected to microwave irradiation and stirred at 200 °C for 2 h. The consumption of the starting materials was confirmed by TLC. The mixture was cooled to 25 °C and then transferred to a 100 mL round-bottom flask; then the solvent was concentrated under reduced pressure to afford crude product. The solid crude product was recrystallized (hexane–EtOAc, 5:1 to 2:1).

Yield: 207 mg (85%); brown solid; mp 236–237 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (d, *J* = 9.0 Hz, 1 H), 7.79 (s, 1 H), 7.68–7.54 (m, 11 H), 7.48 (d, *J* = 9.0 Hz, 1 H), 7.43 (d, *J* = 7.5 Hz, 2 H), 4.13 (s, 2 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 165.41, 158.48, 147.15, 146.93, 143.87, 142.70, 135.37, 134.17, 132.40, 132.24 (2×), 131.86, 130.72, 130.57, 130.07 (2×), 129.42, 129.22, 129.07 (2×), 129.01 (2×), 128.73, 128.52, 128.16, 128.11 (2×), 126.97, 125.91, 124.29, 36.13.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{31}H_{19}Cl_2N_2$: 489.0920; found: 489.0914.

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Supporting Information

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