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Palladium(II)-Catalyzed Sequential Aminopalladation and Oxidative Coupling with Acetylenes/Enones: Synthesis of Newly Substituted Quinolines from 2-Aminophenyl Propargyl Alcohols

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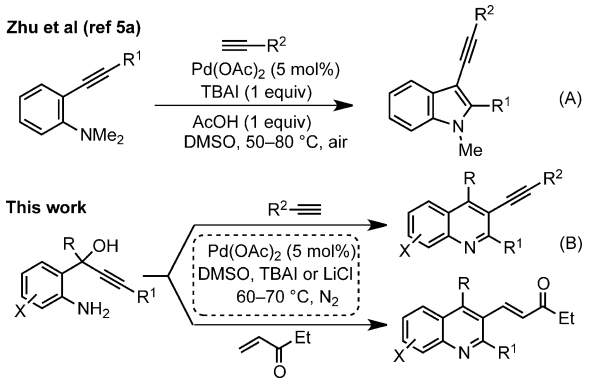
Abstract: Palladium catalyzed conversion of 1-(2-aminophenyl)-propargyl alcohols to 3-alkynyl quinolines is realized via a cascade that involves aminopalladation, oxidative coupling with alkynes and dehydration. The method is shown to have a broad substrate scope with respect to propargyl alcohols as well as alkynes. Vinyl ketones as coupling partners in the same reaction afforded 3-alkenyl quinolines with equal ease.

Keywords: aminopalladation; cascade reaction; oxidative coupling; propargyl alcohol; quinoline

Introduction

Intramolecular amino/oxy palladation of alkyne is a remarkable strategy for the synthesis of poly-functionalized heterocycles because this approach provides in tandem an avenue for further structural elaborations via an in situ coupling on the incipient C–Pd bond. Apart from step-economy, this mainly avoids the atom wastage that in general occurs in the stepwise preformation and prefunctionalization of the respective heterocycles. Although very attractive, this strategy is still underutilized, because it needs a careful development of the reaction conditions as these two steps (amino/oxy palladation and coupling) independently have different requirements and combining them as such is not possible in most cases. Surmounting these issues some groups developed a few elegant approaches using this tandem strategy for the synthesis of some highly functionalized heterocycles.[1–6] A few couplings like arylation/allylation,[1] Heck coupling[2] and carboxymethylation[3] are well studied for this tandem approach whereas their congeners like Suzuki-,[4] Sonogashira-,[5] Stille-coupling, for example, still lacks the attention. Further, most of this chemistry is restricted to 5-membered heterocycles[1–6] while the pathway to 6-membered counterparts is yet to be developed.

On the other hand, the wealth of quinolines and their derivatives in nature, and their interesting pharmacological, biological activities[7] has provided a huge driving force for chemists to develop efficient methods for their synthesis. Consequently, an ample amount of research has been dedicated to the synthesis of quinolines for more than a century.[8–9] Among them, the strategies using alkyne precursors showed considerable impact in this field recently.[9] For instance, Gabriele et al. reported an efficient method for the synthesis of 2,4-disubstituted quinolines from 1-(2-aminophenyl) propargyl alcohols through a copper or palladium catalyzed 6-*endo-dig* heterocyclization.[9k] Subsequently, an elegant capture of the C–Pd bond in the above pathway by CO/MeOH led to the synthesis of quinoline 3-carboxylic esters via a tandem aminopalladation/carbonylation sequence.[3e] In this context, we also earlier reported the synthesis of variety of highly substituted quinoline scaffolds via such electrophilic cyclizations.[9n–p] In continuation, we herein report the synthesis of 3-alkynyl/al-



Scheme 1. Tandem aminopalladation and alkyne/alkene coupling.

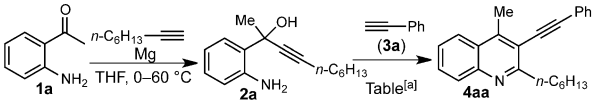
kenyl-2,4-disubstituted quinoline derivatives via tandem aminopalladation and oxidative coupling showing a rare instance of DMSO acting as oxidant for regeneration of Pd^{II} from Pd(0) (Scheme 1B).

Results and Discussion

At the outset, we aimed at the conversion of **2a** to **4aa** by using the conditions (Table 1, entry 1) developed by Zhu et al. (Scheme 1A)[5a] for the synthesis of 3-alkynyl indoles from *N*,*N*-dimethyl-2-alkynyl anilines. Pleasingly, the expected product **4aa** was isolated, but in 30% yield, through a desired cascade of reactions (entry 1). Inspired by this initial result, some reaction parameters such as catalyst, solvent and additive effects were investigated to improve the yield. A close observation revealed that the reasons for low yield in the initial attempt were (1) the formation of non alkynylated quinoline and (2) the competitive formation of dimer of **3a** (Glaser coupling). In the absence of AcOH, which we thought responsible for non-alkynylated product, yield was (as expected) improved to 48% (entry 2). Use of base, with or without AcOH, was found to be detrimental to the reaction (entries 3–5). The absence of TBAI led to slight reduction of the yield (25%, entry 6). Selection of solvent was crucial for the reaction. Changing the solvent from DMSO to others (entries 7–9) sharply reduced the yields. Other catalysts such as $PdCl_2$ and $Pd(TFA)_2$ in the same conditions were found to be less productive (entries 10–11). Use of other oxidants such as $Cu(OAc)_2$ or O_2 (instead of air) brought little change in the result (entries 12–13). Pleasingly, using anhydrous DMSO as a solvent and oxygen balloon instead of open air cleanly furnished the product in 65% (entries 14). Very surprisingly, under nitrogen atmosphere, that is, in the absence of oxygen, the reaction was more cleaner and the yield was increased to 73% (entries 15). The surprise was due to the non-requirement of any oxidant (here oxygen) which is necessary for the regeneration of the Pd^{II} from Pd(0) to continue the catalytic cycle (as shown in Scheme 2). A parallel observation of the release of dimethyl sulphide (by the characteristic disagreeable odor from the reaction mixture) in the reaction suggested that the DMSO was acting as the oxidant.[10] It may be attributed to the low oxidation potential of Pd(0). However, when we used diphenylsulphoxide (DPSO) instead of DMSO as solvent/reagent with an intention of isolating the reduced product DPSO, surprisingly no reaction was observed. We reasoned that the requirement of higher temperature (more than 75 °C) to melt the solvent (MP of DPSO is 70 °C) disqualified the reaction. Also, oxidizing property of DPSO must be relatively less due to conjugation and hence did not assist the regeneration of Pd^{II}. Attempts to identify any possible intermediate by mass spectroscopy revealed only a peak corresponding to diaminopalladium ($C_{12}H_{22}ON\text{-}Pd\text{-}NOH_{22}C_{12}+H^+=595$)

With the optimized conditions in hand, the scope and generality of the tandem reaction was studied.

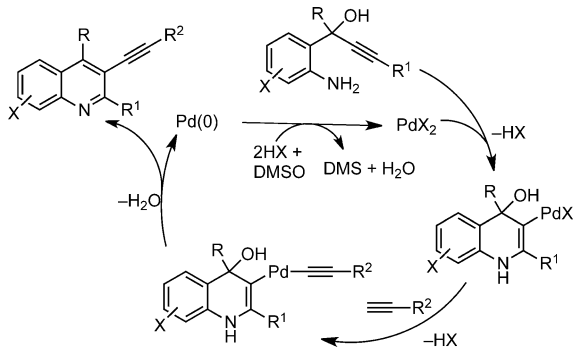
Table 1. Optimization studies



Entry	Catalyst	Additives	Oxidant	Base	Solvent	Yield[b]
1	$Pd(OAc)_2$	TBAI/AcOH	air	--	DMSO	30
2	$Pd(OAc)_2$	TBAI/--	air	--	DMSO	48
3	$Pd(OAc)_2$	TBAI/--	air	TEA	DMSO	15
4	$Pd(OAc)_2$	TBAI/--	air	Na_2CO_3	DMSO	18
5	$Pd(OAc)_2$	TBAI/AcOH	air	Na_2CO_3	DMSO	20
6	$Pd(OAc)_2$	--	air	--	DMSO	25
7	$Pd(OAc)_2$	TBAI/--	air	--	DCE	18
8	$Pd(OAc)_2$	TBAI/--	air	--	DMF	14
9	$Pd(OAc)_2$	TBAI/--	air	--	toluene	20
10	$PdCl_2$	TBAI/--	air	--	DMSO	18
11	$Pd(TFA)_2$	TBAI/--	air	--	DMSO	30
12	$Pd(OAc)_2$	TBAI/--	$Cu(OAc)_2$	--	DMSO	30
13	$Pd(OAc)_2$	TBAI/--	O_2	--	DMSO	38
14	$Pd(OAc)_2$	TBAI/--	O_2	--	DMSO(dry)	65
15	**$Pd(OAc)_2$**	TBAI/--	-- (N_2)	--	**DMSO(dry)**	**73**

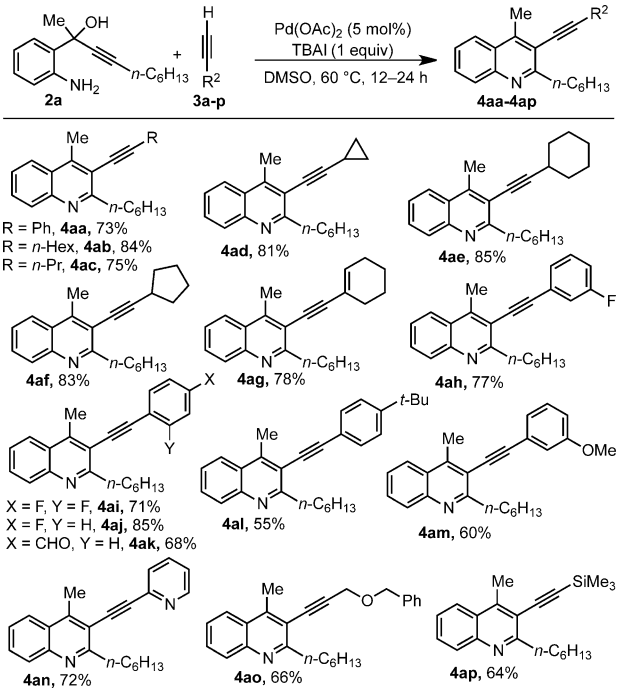
[a] Reaction conditions: **3a** (2.0 mmol), **2a** (1.0 mmol), additive (1.0 mmol), Pd^{II} (0.05 mmol), solvent (0.3 M), 60 °C for 12 h.

[b] Isolated overall yields.



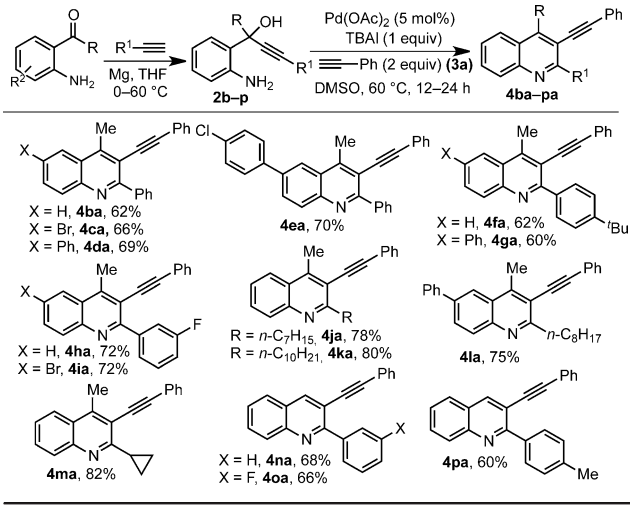
Scheme 2. Proposed catalytic cycle.

Table 2. Product scope with respect to **3**.[a]



[a] Reaction conditions: terminal alkyne (2.0 mmol), **3** (1.0 mmol), TBAI (1.0 mmol), $Pd(OAc)_2$ (0.05 mmol), DMSO (0.3 M), N_2 atm, 60 °C.

Table 3. Product scope with respect to **2**.[a]



[a] Reaction conditions: **2b–p** (1.0 mmol), **3a** (2.0 mmol), TBAI (1.0 mmol), $Pd(OAc)_2$ (0.05 mmol), DMSO (0.3 M), N_2 atm, 60 °C.

First, a variety of alkynes (**3a–p**) were tested with amino propargyl alcohol **2a**. As is evident from Table 2, the method is applicable over a wide range of alkynes. Various acyclic and cyclic aliphatic alkynes (**3b–f**) could be installed at C-3 of quinolines very cleanly (75-85%). Enyne **3g** also reacted with equal ease to produce **4ag** in 78% yield. Then, we chose various commercially available aryl acetylenes to screen through the reaction. Thus, fluorophenyl acetylenes (**3h–j**) delivered the corresponding products (**4ah–aj**) in excellent yields while electron rich and electron poor substrates (**3k–m**) showed slightly less productivity (**4ak–am** in 55-68% yields). Heteroaryl alkyne **3n** and protected propargyl alcohol **3o** also smoothly reacted under the standard conditions to produce **4an** and **4ao** respectively in 66-72% yields. Notably, the trimethylsilylacetylene afforded 3-alkynylated quinoline **4ap** without any hassle, which could be easily converted into a free acetylene product or used as a precursor for further functionalization.

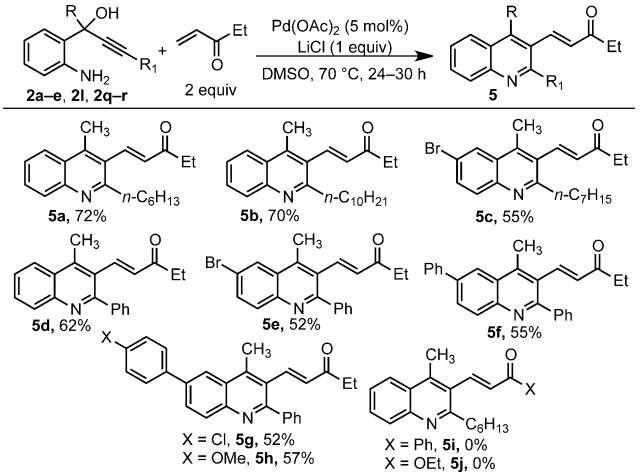
Next, we turned to investigate the scope of the reaction with respect to propargylic alcohols **2** (Table 3). Thus a variety of 2-amino substrates with broad substitution patterns (**2b–p**) were treated with phenyl acetylene under optimal conditions. Initially, substrates derived from acetophenone and aromatic alkynes (**2b–h**) were treated with phenyl acetylene. Thus various 2-aryl-3- alkynyl derivatives were obtained in 60-72% yields. The yields were good to excellent irrespective of the substitution (bromo, chloro, fluoro, methyl, phenyl and tert-butyl) on C2-aryl or the quinoline core. Note that the halogen groups survived the equally possible Sonogashira coupling. Next, C2-alkyl/cyclopropyl substituted adducts were obtained with equal ease in the established pathway. Unfortunately, 4-aryl substituted adducts were not possible in this way. Then the substrates derived from 2-amino benzaldehydes (**2n–p**) were transformed into the corresponding 4-unsubstituted quinoline adducts (**4na–pa**), but in relatively lesser yields (60-68%).

Encouraged by these results, we next turned our attention toward the tandem amino palladation/oxidative alkenylation reaction (Heck type coupling). Thus we have treated the basic substrate **2** with ethyl vinyl ketone under optimal conditions (Table 4). To our delight desired product **5a** was isolated in 62% yield. Yield was improved to 72% by replacing TBAI with LiCl. Then different 2-aminophenyl propargylic alcohols were treated in this way to probe the substrate scope. Thus 2-alkyl adducts (**5a–b**) were obtained in 70–72% yields whereas bromo substitution (**5c**) led to the decrease of yield to 55%. Similarly, 2-aryl adducts (**5d–h**) with varied substitution (Br, Cl, OMe and Ph) were obtained but again in slightly reduced yields (52–62%).

Setting a limitation for this tandem approach, the other Heck coupling partners like styrene and methyl acrylate did not participate in the reaction and only the uncoupled quinoline products were obtained. A structure from each category of compounds (**4ea** and **5d**) was unambiguously confirmed by X-ray crystallography (Figure 1).[11]

Next, we became interested in studying the electronic and steric influences on alkyne group in the

Table 4. Synthesis of 3-Alkenyl Quinolines **5** from **2**.[a]



[a] Reaction conditions: Ethyl vinyl ketone (2.0 mmol), **2** (1.0 mmol), LiCl (1.0 mmol) $Pd(OAc)_2$ (0.05 mmol), DMSO (0.3 M), N_2 atm, 70 °C

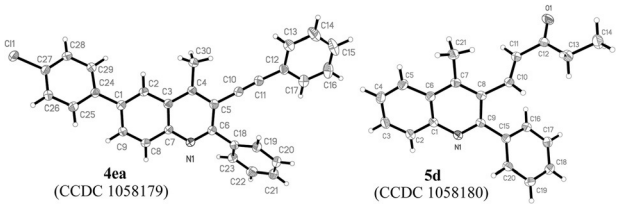
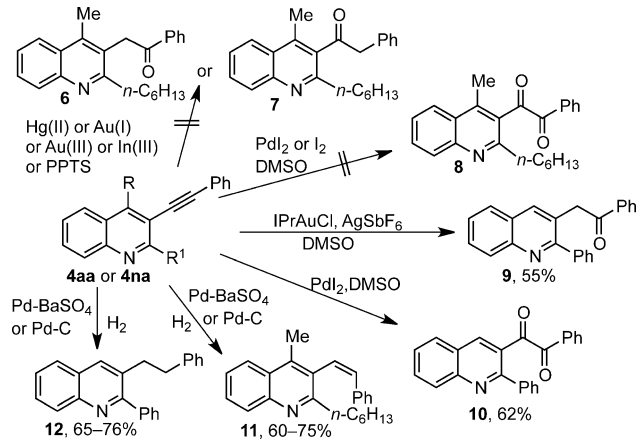


Figure 1. X-ray Crystal Structures of **4ea** and **5d**.

newly synthesized 3-alkynyl quinolines **4** during derivatization through oxidative and reductive pathways (Scheme 3). We first chose **4aa** with *ortho*-disubstitution for the hydration. The substrate was found to be very adamant with all sorts of hydration processes available in the literature.[12] Also, dioxygenation to produce **7** was also met with total failure.[13] This must be due to steric crowding around alkyne. We next moved to ortho mono substituted **4na** for the same kind of hydration and oxidation reactions. Thus, **4na** when treated with IPrAuCl in presence of $AgSbF_6$[12c] furnished the regioseletive hydrated product **9** in 55% yield.

This selectivity must be due to the steric factors. The other hydrating reagents like Hg^{II} salts, $AuCl_3$, AuCl or $In(OTf)_3$ again failed. Dioxygenation using PdI_2 in DMSO[12] in this case worked well to afford **10** in 62% yield. We next attempted the reduction of alkyne group. With **4aa**, both Pd/C and Pd-$BaSO_4$ stopped the reaction at olefin stage where as in case of **4na** use of either of the reagents led to the complete reduction to alkyl group. The reasons for these unusual reaction sequences might be steric factors in earlier case and polarization of the triple bond in latter case.



Scheme 3. Derivatization of **4**.

Conclusions

In summary, we have demonstrated a novel and efficient synthesis of poly functionalized quinolines from linear and readily accessible substrates, 1-(2-aminophenyl)-propargyl alcohols, via a tandem aminopalladation/oxidative coupling with both alkynes and enones. This method further features atom economy, mild reaction conditions and broad functional group tolerance.

Experimental Section

General Information:

All reagents and solvents were purchased from commercial sources and used without purification. NMR spectra were recorded with a 400 or 500 MHz spectrometer for ^{1}H NMR, 50, 100 or 125 MHz for ^{13}C NMR spectroscopy. Chemical shifts are reported relative to the residual signals of tetramethylsilane in $CDCl_3$ or deuterated solvent $CDCl_3$/[D6]DMSO for ^{1}H and ^{13}C NMR spectroscopy. Multiplicities are reported as follows: singlet (s), doublet (d), doublet of doublets (dd), doublet of triplets (dt), triplet (t), quartet (q), multiplet (m). HRMS were recorded by using QTof mass spectrometer. Column chromatography was performed with silica gel (100–200 mesh) as the stationary phase. All reactions were monitored by using TLC. The purity and characterization of compounds were further established by using HRMS.

General procedure A for the Synthesis 4aa–4ap and 4ba–4pa from 2a–p, taking synthesis of 4aa as an example :

1-(2-Aminoaryl)-2-yn-1-ols **(2a–p)** were synthesized by using literature precedents[9k] and were used as such for next step without purification. To a stirred solution of **2a** (245 mg, 1 mmol, 1 equiv) in 3 mL of anhydrous DMSO

under N_2 atm was added $Pd(OAc)_2$ (11.2 mg, 0.05 mmol, 0.05 equiv), TBAI (369 mg, 1 mmol, 1 equiv), phenyl acetylene (**3a**, 204 mg, 2 mmol, 2 equiv) at room temperature. The reaction mixture was stirred at 60 °C until the starting material had been fully consumed (12 h to 24 h). The reaction mixture was diluted with water (20 mL) and extracted with EtOAc (3×15 mL). The combined organic layers were washed with brine (15 mL) and dried over Na_2SO_4. After removal of the solvent under reduced pressure the crude material was purified on silica using 2% EtOAc/hexanes to get **4aa** (244 mg, 73% two step overall yield) as a brown oil.

2-Ethyl-4-methyl-3-(phenylethynylquinoline (4aa): **4aa** (0.238 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 73%; brown oil; R_f=0.55 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07–7.93 (m, 2H), 7.71–7.63 (m, 1H), 7.62–7.56 (m, 2H), 7.54–7.47 (m, 1H), 7.45–7.30 (m, 3H), 3.22 (t, J=8.1 Hz, 2H), 2.90 (s, 3H), 1.96–1.83 (m, 2H), 1.56–1.43 (m, 2H), 1.42–1.28 (m, 4H), 0.87 (t, J=7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.2, 146.7, 146.1, 131.5, 129.6, 129.5, 128.7, 128.6, 126.1, 124.0, 123.4, 116.9, 98.7, 86.3, 38.7, 31.9, 29.7, 29.4, 22.7, 16.9, 14.2; IR (neat) ν 3398, 2400, 1636, 1384, 1068, 929, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{26}N$ [M + H]$^+$ 328.2065, found 328.2057.

3-(But-1-ynyl)-2-ethyl-4-methylquinoline (4ab): **4ab** (0.281 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 84%; brown oil; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00–7.91 (m, 2H), 7.64–7.60 (m, 1H), 7.50–7.46 (m, 1H), 3.11 (t, J=8.0 Hz, 2H), 2.79 (s, 3H), 2.55 (t, J=7.0 Hz, 2H), 1.85–1.78 (m, 2H), 1.72–1.65 (m, 2H), 1.56–1.28 (m, 12H), 0.93–0.86 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.4, 129.2, 129.0, 126.0, 125.7, 123.7, 117.5, 100.0, 38.4, 31.7, 31.4, 29.6, 29.2, 28.8, 28.7, 22.6, 22.6, 19.8, 16.6, 14.1, 14.0; IR (neat) ν 3745, 3019, 1730, 1384, 1068, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{34}N$ [M + H]$^+$ 336.2691, found 336.2685.

3-(But-1-ynyl)-2-ethyl-4-methylquinoline (4ac): **4ac** (0.219 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 75%; light yellow oil; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (dd, J=24.8, 8.1 Hz, 2H), 7.62 (t, J=7.1 Hz, 1H), 7.48 (t, J=7.1 Hz, 1H), 3.12 (t, J=7.9 Hz, 2H), 2.80 (s, 3H), 2.54 (t, J=6.9 Hz, 2H), 1.88–1.76 (m, 2H), 1.75–1.62 (m, 3H), 1.56–1.28 (m, 5H), 1.12 (t, J=7.3 Hz, 3H), 0.89 (t, J=9.3 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 146.2, 145.8, 129.4, 129.1, 126.1, 125.8, 123.9, 117.6, 99.9, 77.5, 38.6, 31.9, 29.7, 29.4, 22.7, 22.4, 21.9, 16.7, 14.2, 13.8; IR (neat) ν 3746, 3399, 1733, 1384, 1068, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{28}N$ [M + H]$^+$ 294.2222, found 294.2210.

3-(Cyclopropylethynyl)-2-ethyl-4-methylquinoline (4ad): **4ad** (0.235 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 81%; brown oil; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99–7.90 (m, 2H), 7.63–7.59 (m, 1H), 7.51–7.42 (m, 1H), 3.08 (t, J=7.9 Hz, 2H), 2.77 (s, 3H), 1.86–1.71 (m, 2H), 1.63–1.55 (m, 1H), 1.51–1.39 (m, 2H), 1.39–1.27 (m, 4H), 0.99–0.81 (m, 8H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 146.2, 145.7, 129.4, 129.1, 126.1, 125.8, 123.8, 117.5, 103.2, 77.4, 38.6, 31.9, 29.7, 29.3, 22.7, 16.7, 14.2, 9.1, 0.7; IR (neat) ν 3390, 2929, 1402, 1069, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{26}N$ [M + H]$^+$ 292.2065, found 292.2062.

3-(Cyclohexylethynyl)-2-ethyl-4-methylquinoline (4ae): **4ae** (0.283 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 85%; light yellow oil; R_f=0.65 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (dd, J=25.3, 8.4 Hz, 2H), 7.64–7.60 (m, 1H), 7.49–7.45 (m, 1H), 3.12 (t, J=7.9 Hz, 2H), 2.79 (s, 3H), 1.95–1.92 (m, 2H), 1.88–1.28 (m, 17H), 0.89 (t, J=7.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 146.1, 145.8, 129.4, 129.1, 126.1, 125.8, 123.9, 117.6, 104.1, 38.7, 32.8, 31.9, 30.2, 29.7, 29.4, 26.1, 24.9, 22.7, 16.7, 14.2; IR (neat) ν 3847, 3643, 3392, 3019, 1384, 1046, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{32}N$ [M + H]$^+$ 334.2535, found 334.2530.

3-(Cyclopentylethynyl)-2-ethyl-4-methylquinoline (4af): **4af** (0.264 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 83%; light yellow gum; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (dd, J=25.6, 8.6 Hz, 2H), 7.62 (t, J=7.8 Hz, 1H), 7.47 (t, J=7.8 Hz, 1H), 3.11 (t, J=8.0 Hz, 2H), 3.05–2.92 (m, 1H), 2.78 (s, 3H), 2.06–1.95 (m, 2H), 1.95–1.57 (m, 6H), 1.56–1.26 (m, 8H), 0.89 (t, J=6.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 146.0, 145.8, 129.4, 129.1, 126.1, 125.8, 123.9, 117.7, 104.4, 76.8, 38.7, 34.1, 31.9, 31.3, 29.7, 29.4, 25.2, 22.7, 16.7, 14.2; IR (neat) ν 3388, 3019, 1400, 1122, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{30}N$ [M + H]$^+$ 320.2378, found 320.2379.

3-(Cyclohexenylethynyl)-2-ethyl-4-methylquinoline (4ag): **4ag** (0.257 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 78%; light yellow oil; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (dd, J=24.8, 7.9 Hz, 2H), 7.73–7.56 (m, 1H), 7.55–7.38 (m, 1H), 6.28 (s, 1H), 3.13 (t, J=7.2 Hz, 2H), 2.80 (s, 3H), 2.45–2.08 (m, 4H), 1.96–1.58 (m, 6H), 1.57–1.13 (m, 6H), 1.00–0.68 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.2, 146.0, 145.9, 135.5, 129.4, 129.3, 126.1, 125.9, 123.9, 121.0, 117.3, 100.7, 83.7, 38.7, 31.9, 29.7, 29.4, 29.3, 25.9, 22.7, 22.4, 21.6, 16.8, 14.2; IR (neat) ν 3399, 3019, 1733, 1384, 1046, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{30}N$ [M + H]$^+$ 332.2378, found 332.2370.

3-Ethyl)-((3-fluorophenyl)ethynyl)-4-methylquinoline (4ah): **4ah** (0.265 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 77%; yellow gum; R_f=0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J=17.7, 8.3 Hz, 2H), 7.70–7.66 (m, 1H), 7.54–7.50 (m, 1H), 7.39–7.33 (m, 2H), 7.28–7.26 (m, 1H), 7.11–7.06 (m, 1H), 3.20 (t, J=7.6 Hz, 2H), 2.89 (s, 3H), 1.93–1.85 (m, 2H), 1.53–1.46 (m, 2H), 1.40–1.27 (m, 4H), 0.87 (t, J=6.9 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.1, 162.7 (d, J=161 Hz), 146.6 (d, J=79 Hz), 130.1 (d, J=8 Hz), 129.7 (d, J=21 Hz), 127.4, 127.3, 126.2, 125.9, 125.2, 125.1, 124.0, 118.2 (d, J=22 Hz), 116.4, 116.0 (d, J=22 Hz), 97.3, 87.3, 38.6, 31.9, 29.7, 29.4, 22.7, 16.9, 14.2; IR (neat) ν 3843, 3019, 2929, 1607, 1384, 1070, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{25}FN$ [M + H]$^+$ 346.1971, found 346.1963.

3-((2,4-Difluorophenyl)ethynyl)-2-ethyl-4-methylquinoline (4ai): **4ai** (0.257 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 71%; brown gum; R_f=0.45 (SiO_2, 10% EtOAc/hexanes);

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (t, J=8.3 Hz, 1H), 7.98 (d, J=8.3 Hz, 1H), 7.73–7.63 (m, 1H), 7.59–7.44 (m, 2H), 6.98–6.87 (m, 2H), 3.21 (t, J=7.9 Hz, 2H), 2.90 (s, 3H), 1.96–1.80 (m, 2H), 1.54–1.43 (m, 2H), 1.43–1.27 (m, 4H), 0.87 (t, J=7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.1 (d, J=256 Hz), 163.0, 147.1, 146.3, 133.9 (dd, J=9, 2 Hz), 129.8, 129.6, 126.1, 125.9, 124.0, 116.4, 111.8 (dd, J=22, 3 Hz), 108.4 (dd, J=16, 4 Hz), 104.5 (t, J=25 Hz), 91.3, 90.9, 38.6, 31.9, 29.6, 29.5, 22.7, 16.8, 14.2; IR (neat) ν 3381, 3021, 1619, 1381, 1246, 766 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{24}F_2N$ $[M+H]^+$ 364.1877, found 364.1860.

2-Ethyl-3-((4-fluorophenyl)ethynyl)-4-methylquinoline (4aj): **4aj** (0.293 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 85%; brown oil; R_f=0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J=18.2, 8.3 Hz, 2H), 7.74–7.60 (m, 1H), 7.59–7.45 (m, 3H), 7.10 (t, J=8.3 Hz, 2H), 3.20 (t, J=8.0 Hz, 2H), 2.89 (s, 3H), 1.96–1.79 (m, 2H), 1.55–1.43 (m, 2H), 1.40–1.28 (m, 4H), 0.86 (t, J=6.9 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.1, 163.0 (d, J=250 Hz), 146.4 (d, J=54 Hz), 133.3 (d, J=8 Hz), 129.7, 129.6, 126.1, 126.0, 124.0, 119.5, 116.7, 116.1, 115.9, 97.5, 86.1, 38.7, 31.9, 29.7, 29.4, 22.7, 16.9, 14.2; IR (neat) ν 3397, 3019, 1629, 1508, 1123, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{25}FN$ $[M+H]^+$ 346.1971, found 346.1973.

4-((2-Ethyl-4-methylquinolin-3-yl)ethynyl)benzaldehyde (4ak): **4ak** (0.241 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 68%; light yellow gum; R_f=0.65 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.10–7.96 (m, 2H), 7.92 (d, J=7.9 Hz, 2H), 7.81–7.63 (m, 3H), 7.54 (t, J=7.3 Hz, 1H), 3.22 (t, J=8.1 Hz, 2H), 2.92 (s, 3H), 1.99–1.80 (m, 2H), 1.74–1.27 (m, 6H), 0.99–0.75 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.4, 163.1, 147.5, 146.5, 135.8, 132.0, 130.1, 129.8, 129.6, 129.6, 126.3, 125.9, 124.1, 116.2, 97.6, 90.5, 38.7, 31.9, 29.8, 29.5, 22.7, 17.0, 14.2; IR (neat) ν 3398, 3019, 1603, 1318, 1067, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{25}H_{26}NO$ $[M+H]^+$ 356.2014, found 356.2011.

3-((4-*tert*-Butylphenyl)ethynyl)-2-ethyl-4-methylquinoline (4al): **4al** (0.210 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 55%; brown gum; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J=19.1, 8.2 Hz, 2H), 7.66 (t, J=7.5 Hz, 1H), 7.57–7.46 (m, 3H), 7.42 (d, J=8.2 Hz, 2H), 3.22 (t, J=7.8 Hz, 2H), 2.89 (s, 3H), 1.97–1.80 (m, 2H), 1.56–1.44 (m, 2H), 1.44–1.28 (m, 13H), 0.87 (t, J=6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.2, 152.1, 146.5, 146.1, 131.3, 129.5, 129.5, 126.1, 126.0, 125.6, 124.0, 120.4, 117.1, 98.9, 85.7, 38.7, 35.0, 31.9, 29.8, 29.7, 29.4, 22.7, 16.9, 14.2; IR (neat) ν 3853, 3400, 3019, 2927, 1602, 1069, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{28}H_{34}N$ $[M+H]^+$ 384.2691, found 384.2673.

2-Ethyl-3-((3-methoxyphenyl)ethynyl)-4-methylquinoline (4am): **4am** (0.213 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 60%; brown oil; R_f=0.60 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J=18.6, 8.2 Hz, 2H), 7.67 (t, J=7.5 Hz, 1H), 7.51 (t, J=7.5 Hz, 1H), 7.31 (t, J=8.2 Hz, 1H), 7.18 (d, J=7.5 Hz, 1H), 7.10 (s, 1H), 6.94 (d, J=7.5 Hz, 1H), 3.85 (s, 3H), 3.22 (t, J=8.0 Hz, 2H), 2.90 (s, 3H), 2.00–1.81 (m, 2H), 1.57–1.43 (m, 2H), 1.43–1.25 (m, 4H), 0.87 (t, J=6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.2, 159.6, 146.8, 146.2, 129.7, 129.6, 129.5, 126.1, 124.4, 124.1, 124.0, 116.8, 116.5, 115.1, 98.6, 86.2, 55.4, 38.7, 31.9, 29.7, 29.4, 22.7, 16.9, 14.2; IR (neat) ν 3399, 3019, 1603, 1215, 1047, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{25}H_{28}NO$ $[M+H]^+$ 358.2171, found 358.2169.

2-Ethyl-4-methyl-3-(pyridin-2-ylethynyl)quinoline (4an): **4an** (0.236 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 72%; brown gum; R_f=0.45 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68–8.67 (m, 1H), 8.04–7.98 (m, 2H), 7.75–7.66 (m, 2H), 7.58–7.50 (m, 2H), 7.30–7.27 (m, 1H), 3.24 (t, J=7.9 Hz, 2H), 2.94 (s, 3H), 1.94–1.86 (m, 2H), 1.56–1.42 (m, 2H), 1.42–1.27 (m, 4H), 0.85 (t, J=7.9 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.3, 150.4, 148.1, 146.4, 143.6, 136.3, 130.0, 129.6, 127.3, 126.2, 125.9, 124.1, 123.1, 116.0, 97.7, 86.1, 38.5, 31.9, 29.6, 29.4, 22.7, 17.1, 14.2; IR (neat) ν 3745, 3416, 3019, 1637, 1215, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{25}N_2$ $[M+H]^+$ 329.2018, found 329.2017.

3-(3-(Benzyloxy)prop-1-ynyl)-2-ethyl-4-methylquinoline (4ao): **4ao** (0.244 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 66%; light yellow gum; R_f=0.70 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06–7.90 (m, 2H), 7.71–7.62 (m, 1H), 7.56–7.47 (m, 1H), 7.45–7.28 (m, 5H), 4.74 (s, 2H), 4.55 (s, 2H), 3.15 (t, J=7.9 Hz, 2H), 2.84 (s, 3H), 1.92–1.76 (m, 2H), 1.52–1.39 (m, 2H), 1.39–1.27 (m, 4H), 0.87 (t, J=7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.2, 147.3, 146.2, 137.5, 129.7, 129.5, 128.6, 128.2, 128.1, 126.1, 125.9, 124.0, 116.3, 94.6, 83.3, 71.8, 58.1, 38.5, 31.9, 29.6, 29.4, 22.7, 16.9, 14.2; IR (neat) ν 3398, 2926, 1384, 1069, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{26}H_{30}NO$ $[M+H]^+$ 372.2327, found 372.2324.

2-Ethyl-4-methyl-3-((trimethylsilyl)ethynyl)quinoline (4ap): **4ap** (0.206 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure A. Two steps overall yield 64%; brown gum; R_f=0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00–7.93 (m, 2H), 7.67–7.63 (m, 1H), 7.52–7.47 (m, 1H), 3.13 (t, J=7.9 Hz, 2H), 2.82 (s, 3H), 1.86–1.78 (m, 2H), 1.48–1.25 (m, 6H), 0.89 (t, J=7.6 Hz, 3H), 0.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 147.4, 146.1, 129.7, 129.5, 126.0, 125.9, 124.0, 116.9, 104.4, 101.8, 38.7, 31.9, 29.7, 29.4, 22.7, 16.9, 14.2, 0.11; IR (neat) ν 3399, 2926, 1732, 1618, 1046, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{30}NSi$ $[M+H]^+$ 324.2148, found 324.2148.

4-Methyl-2-phenyl-3-(phenylethynyl)quinoline: (4ba): **4ba** (0.197 g) was obtained from **2b** (0.237 g, 1 mmol) following general procedure A. Two steps overall yield 62%; brown oil; R_f=0.50 (SiO_2, 30% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, J=8.3 Hz, 1H), 8.06 (d, J=8.3 Hz, 1H), 8.02–7.93 (m, 2H), 7.22 (t, J=7.1 Hz, 1H), 7.59 (t, J=7.1 Hz, 1H), 7.56–7.44 (m, 3H), 7.42–7.27 (m, 5H), 3.00 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.8, 147.7, 146.4, 140.6, 131.3, 130.4, 129.9, 129.7, 128.8, 128.6, 128.5, 127.9, 126.9, 126.3, 124.1, 123.3, 116.2, 99.2, 87.4, 17.2; IR (neat) ν 3398, 2400, 1636, 1384, 1068, 929, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{18}N$ $[M+H]^+$ 320.1439, found 320.1440.

6-Bromo-4-methyl-2-phenyl-3-(phenylethynyl)quinoline (4ca): **4ca** (0.262 g) was obtained from **2c** (0.315 g, 1 mmol)

following general procedure A. Two steps overall yield 66%; white solid; mp 165–167 °C; R_f = 0.55 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, J = 2.0 Hz, 1H), 8.05–7.93 (m, 3H), 7.77 (dd, J = 8.9 Hz 2.1 Hz, 1H), 7.58–7.45 (m, 3H), 7.41–7.28 (m, 5H), 2.95 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.0, 146.6, 144.9, 140.2, 133.2, 132.1, 131.4, 129.7, 129.0, 128.8, 128.5, 128.0, 127.6, 126.4, 123.0, 121.0, 117.7, 99.9, 87.0, 17.2; IR (neat) ν 3850, 3745, 3379, 3019, 1598, 1384, 1215, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{17}BrN$ [M + H]$^+$ 398.0544, found 398.0541.

4-Methyl-2,6-diphenyl-3-(phenylethynyl)quinoline (4da): **4da** (0.272 g) was obtained from **2d** (0.313 g, 1 mmol) following general procedure A. Two steps overall yield 69%; brown solid; mp 155–157 °C; R_f = 0.50 (SiO_2, 15% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26–8.16 (m, 2H), 8.06–7.93 (m, 3H), 7.80–7.71 (m, 2H), 7.61–7.28 (m, 11H), 3.05 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.6, 147.7, 145.7, 140.8, 140.5, 139.7, 131.3, 130.8, 129.7, 129.6, 129.1, 128.8, 128.6, 128.5, 127.9, 127.9, 127.6, 126.4, 123.3, 121.9, 116.5, 99.5, 87.5, 17.2; IR (neat) ν 3397, 3019, 1637, 1384, 1216, 1068, 770 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{30}H_{22}N$ [M + H]$^+$ 396.1752, found 396.1754.

6-(4-Chlorophenyl)-4-methyl-2-phenyl-3-(phenylethynyl)-quinoline (4ea): **4ea** (0.300 g) was obtained from **2e** (0.347 g, 1 mmol) following general procedure A. Two steps overall yield 70%; light yellow solid; mp 210–212 °C; R_f = 0.40 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27–8.12 (m, 2H), 8.08–7.85 (m, 3H), 7.74–7.61 (m, 2H), 7.58–7.43 (m, 5H), 7.41–7.28 (m, 5H), 3.04 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.8, 147.7, 145.7, 140.5, 139.3, 138.4, 134.1, 131.3, 131.0, 129.7,129.2, 128.9, 128.9, 128.7, 128.5, 127.9, 126.4, 123.2, 121.9, 116.7, 99.5, 87.4, 17.2; IR (neat) ν 3391, 3019, 2400, 1650, 1384, 1215, 1068, 757 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{30}H_{21}ClN$ [M + H]$^+$ 430.1363, found 430.1364.

2-(4-(*tert*-Butyl)phenyl)-4-methyl-3-(phenylethynyl)quinoline: (4fa): **4fa** (0.232 g) was obtained from **2f** (0.293 g, 1 mmol) following general procedure A. Two steps overall yield 62%; brown gum; R_f = 0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18–8.11 (m, 1H), 8.07–8.01 (m, 1H), 7.97–7.89 (m, 2H), 7.75–7.66 (m, 1H), 7.61–7.49 (m, 3H), 7.40–7.28 (m, 5H), 2.99 (s, 3H), 1.40 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.8, 151.8, 147.4, 146.3, 137.7, 131.3, 130.3, 129.8, 129.3, 128.5, 128.4, 126.7, 126.2, 124.9, 124.0, 123.4, 116.2, 99.1, 87.7, 34.8, 31.5, 17.2; IR (neat) ν 3386, 2918, 1589, 1384, 1218, 1068, 832, 684 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{28}H_{26}N$ [M + H]$^+$ 376.2065, found 376.2061.

2-(4-(*tert*-Butyl)phenyl)-4-methyl-6-phenyl-3-(phenylethynyl)quinoline (4ga): **4ga** (0.270 g) was obtained from **2g** (0.369 g, 1 mmol) following general procedure A. Two steps overall yield 60%; brown solid; mp 180–182 °C; R_f = 0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26–8.13 (m, 2H), 8.03–7.89 (m, 3H), 7.82–7.70 (m, 2H), 7.62–7.48 (m, 4H), 7.47–7.28 (m, 6H), 3.04 (s, 3H), 1.41 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.7, 151.8, 147.5, 145.7, 140.9, 139.5, 137.7, 131.3, 130.8, 129.5, 129.4, 129.1, 128.5, 128.4, 127.8, 127.6, 126.4, 124.9, 123.4, 121.9, 116.5, 99.3, 87.8, 34.8, 31.5, 17.2; IR (neat) ν 3399, 3018, 2963, 1598, 1489, 1384, 1069, 834, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{34}H_{30}N$ [M + H]$^+$ 452.2378, found 452.2374.

2-(3-Fluorophenyl)-4-methyl-3-(phenylethynyl)quinoline: (4ha): **4ha** (0.239 g) was obtained from **2h** (0.255 g, 1 mmol) following general procedure A. Two steps overall yield 72%; yellow solid; mp 130–132 °C; R_f = 0.40 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20–8.01 (m, 2H), 7.85–7.68 (m, 3H), 7.67–7.56 (m, 1H), 7.54–7.28 (m, 6H), 7.23–7.13 (m, 1H), 3.00 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.5 (d, J = 245.3 Hz), 158.1, 148.0, 146.2, 142.6 (d, J = 7.6 Hz), 131.3, 130.3 (d, J = 29.2 Hz), 129.4 (d, J = 8.1 Hz), 128.8, 128.6, 127.2, 126.4, 125.5, 124.1, 123.1, 117.0, 116.7, 116.0, 115.7 (d, J = 21 Hz), 99.5, 87.0, 17.2; IR (neat) ν 3746, 3398, 3019, 1589, 1384, 1215, 769 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{17}H_{24}FN$ [M + H]$^+$ 338.1345, found 338.1339.

6-Bromo-2-(3-fluorophenyl)-4-methyl-3-(phenylethynyl)-quinoline (4ia): **4ia** (0.298 g) was obtained from **2i** (0.333 g, 1 mmol) following general procedure A. Two steps overall yield 72%; white solid; mp 170–172 °C; R_f = 0.40 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25–8.15 (m, 1H), 8.04–7.94 (m, 1H), 7.84–7.69 (m, 3H), 7.54–7.29 (m, 6H), 7.24–7.13 (m, 1H), 2.95 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.5 (d, J = 245 Hz), 158.4, 147.0, 144.8, 142.2 (d, J = 7.9 Hz), 133.4, 132.1, 131.4, 129.5 (d, J = 8.3 Hz), 129.0, 128.6, 127.7, 126.5, 125.5 (d, J = 2.9 Hz), 122.8, 121.3, 116.9, (d, J = 5.5 Hz), 116.4 (d, J = 62.9 Hz), 115.9, 100.3, 86.6, 17.2; IR (neat) ν 3390, 3019, 2918, 1619, 1384, 1216, 770 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{16}BrFN$ [M + H]$^+$ 416.0450, found 416.0444.

3-Bromo-7-heptyl-5-methyl-6-(phenylethynyl)quinoline (4ja): **4ja** (0.265 g) was obtained from **2j** (0.259 g, 1 mmol) following general procedure A. Two steps overall yield 78%; brown liquid; R_f = 0.55 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06–7.93 (m, 2H), 7.71–7.62 (m, 1H), 7.62–7.56 (m, 2H), 7.55–7.48 (m, 1H), 7.44–7.35 (m, 3H), 3.28–3.17 (m, 2H), 2.90 (s, 3H), 1.98–1.81 (m, 2H), 1.56–1.45 (m, 2H), 1.44–1.34 (m 2H), 1.31–1.19 (m, 3H), 0.91–0.79 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.2, 146.7, 146.2, 131.5, 129.6, 129.5, 128.7, 128.6, 126.1, 126.0, 124.0, 123.4, 116.9, 98.7, 86.4, 38.7, 31.9, 30.0, 29.5, 29.3, 22.7, 16.9, 14.2; IR (neat) ν 3399, 3019, 2926, 2855, 1639, 1384, 1216, 1069, 769, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{25}H_{28}N$ [M + H]$^+$ 342.2222, found 342.2222.

4-Methyl-2-octyl-6-phenyl-3-(phenylethynyl)quinoline (4ka): **4ka** (0.323 g) was obtained from **2k** (0.349 g, 1 mmol) following general procedure A. Two steps overall yield 75%; brown gum; R_f = 0.55 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18–8.04 (m, 2H), 7.98–7.88 (m, 1H), 7.78–7.68 (m, 2H), 7.64–7.56 (m, 2H), 7.55–7.46 (m, 2H), 7.45–7.36 (m, 4H), 3.23 (t, J = 8.1 Hz, 2H), 2.95 (s, 3H), 1.99–1.81 (m, 2H), 1.56–1.44 (m, 2H), 1.44–1.26 (m, 6H), 0.93–0.76 (m, 5H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.3, 146.8, 145.5, 140.9, 139.0, 131.5, 130.0, 129.3, 129.0, 128.7, 128.6, 127.7, 127.6, 126.2, 123.4, 122.0, 117.3, 98.8, 86.4, 38.7, 32.0, 30.0, 29.7, 29.5, 29.4, 22.8, 17.0, 14.2; IR (neat) ν 3399, 3021, 1733, 1374, 1248, 1216, 1046 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{32}H_{34}N$ [M + H]$^+$ 432.2691, found 432.2676.

2-Decyl-4-methyl-3-(phenylethynyl)quinoline: (4la): **4la** (0.306 g) was obtained from **2l** (0.301 g, 1 mmol) following general procedure A. Two steps overall yield 80%; brown oil; R_f = 0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09–7.93 (m, 2H), 7.72–7.63 (m, 1H),

7.62–7.55 (m, 2H), 7.55–7.47 (m, 1H), 7.44–7.36 (m, 3H), 3.26–3.17 (m, 2H), 2.90 (s, 2H), 1.89 (q, J=15.4 Hz, 7.8 Hz 2H), 1.37–1.11 (m, 11H), 0.93–0.79 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.3, 146.8, 146.1, 131.5, 129.6, 129.5, 128.7, 128.6, 126.1, 124.0, 123.4, 116.9, 98.6, 86.4, 38.7, 32.0, 30.1, 29.8, 29.7, 29.7, 29.5, 29.4, 22.8, 16.9, 14.2; IR (neat) ν 3399, 3019, 1650, 1384, 1215, 1068, 758, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{28}H_{34}N$ $[M + H]^+$ 384.2691, found 384.2685.

2-Cyclopropyl-4-methyl-3-(phenylethynyl)quinoline: (4ma): **4ma** (0.232 g) was obtained from **2m** (0.201 g, 1 mmol) following general procedure A. Two steps overall yield 82%; brown gum; R_f=0.45 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01–7.84 (m, 2H), 7.67–7.54 (m, 3H), 7.50–7.35 (m, 4H), 2.90 (s, 3H), 1.33–1.26 (m, 3H), 1.15–1.04 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.6, 146.4, 146.0, 131.6, 129.5, 129.4, 128.6, 128.6, 125.8, 125.6, 124.0, 123.4, 117.2, 98.8, 86.5, 16.9, 16.1, 10.4; IR (neat) ν 3388, 1584, 1384, 1217, 1068, 771, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{18}N$ $[M + H]^+$ 284.1439, found 284.1433.

2-Phenyl-3-(phenylethynyl)quinoline (4na): **4na** (0.207 g) was obtained from **2n** (0.223 g, 1 mmol) following general procedure A. Two steps overall yield 68%; brown gum; R_f= 0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 8.16 (d, J=8.5 Hz, 1H), 8.11–8.04 (m, 2H), 7.83 (d, J=8.3 Hz, 1H), 7.77–7.69 (m, 1H), 7.60–7.46 (m, 4H), 7.43–7.37 (m, 2H), 7.35–7.30 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.5, 147.0, 140.7, 139.8, 135.3, 131.5, 130.4, 129.7, 129.1, 128.7, 128.5, 128.0, 127.2, 127.1, 126.4, 123.0, 116.3, 94.7, 88.1; IR (neat) ν 3388, 1584, 1384, 1217, 1068, 771, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{16}N$ $[M + H]^+$ 306.1283, found 306.1270.

2-(3-Fluorophenyl)-3-(phenylethynyl)quinoline (4oa): **4oa** (0.213 g) was obtained from **2o** (0.241 g, 1 mmol) following general procedure A. Two steps overall yield 66%; yellow solid; mp 168–170 °C; R_f=0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (s, 1H), 8.15 (d, J=8.4 Hz, 1H), 7.94–7.80 (m, 3H), 7.79–7.70 (m, 1H), 7.66–7.13 (m, 8H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.7 (d, J= 246 Hz), 157.8, 146.9, 141.8 (d, J=7 Hz), 140.9, 131.5, 130.6, 129.7, 129.5 (d, J=8 Hz), 128.9, 128.6, 127.4, 127.2, 126.6, 125.5 (d, J=2 Hz), 122.8, 116.8 (d, J=22 Hz), 116.1 (d, J= 4 Hz), 115.9, 95.1, 87.6; IR (neat) ν 3848, 3745, 3670, 3398, 3021, 1732, 1374, 1216, 769 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{15}FN$ $[M + H]^+$ 324.1189, found 324.1173.

3-(Phenylethynyl)-2-p-tolylquinoline (4pa): **4pa** (0.191 g) was obtained from **2p** (0.237 g, 1 mmol) following general procedure A. Two steps overall yield 60%; yellow gum; R_f=0.50 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (s, 1H), 8.14 (d, J=8.3 Hz, 1H), 8.01 (d, J= 8.1 Hz, 2H), 7.81 (d, J=8.0 Hz, 1H), 7.76–7.69 (m, 1H), 7.59–7.49 (m, 1H), 7.48–7.39 (m, 2H), 7.38–7.28 (m, 5H), 2.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.3, 147.1, 140.8, 139.1, 137.0, 135.8, 131.5, 130.3, 129.7, 128.7, 128.7, 128.5, 127.1, 127.0, 126.3, 123.2, 116.2, 94.5, 88.3, 21.5; IR (neat) ν 3399, 3019, 2927, 1619, 1491, 1383, 1215, 827 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{18}N$ $[M + H]^+$ 320.1439, found 320.1429.

General procedure B for the Synthesis 3-alkenyl quinolines (5a–h), taking synthesis of 5a as an example:

To a stirred solution of **2a** (245 mg, 1 mmol, 1 equiv) in 3 mL of anhydrous DMSO under N_2 atmosphere was added $Pd(OAc)_2$ (11.2 mg, 0.05 mmol, 0.05 equiv), LiCl (41 mg, 1 mmol, 1 equiv), ethyl vinyl ketone (168 mg, 2 mmol, 2 equiv)) at room temperature. The reaction mixture was stirred at 70 °C until starting material had been fully consumed (12 h to 36 h). The reaction mixture was diluted with water (20 mL) and extracted with EtOAc (3×15 mL). The combined organic layers were washed with brine (15 mL) and dried over Na_2SO_4. After removal of the solvent under reduced pressure the crude material was purified on silica gel using 8% EtOAc/hexanes to get **5a** (222 mg, 72% (two step overall yield)) as a brown gum.

(*E*)-1-(2-Ethyl-4-methylquinolin-3-yl)pent-1-en-3-one (5a): **5a** (0.222 g) was obtained from **2a** (0.245 g, 1 mmol) following general procedure B. Two steps overall yield 72%; brown gum; R_f=0.50 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00–7.92 (m, 2H), 7.86 (d, J=16.3 Hz, 1H), 7.68 (t, J=7.1 Hz, 1H), 7.52 (t, J=7.1 Hz, 1H), 6.37 (d, J=16.3 Hz, 1H), 2.94 (t, J=8.0 Hz, 2H), 2.74 (q, J=7.1 Hz, 2H), 2.67 (s, 3H), 1.80–1.62 (m, 2H), 1.47–1.26 (m, 6H), 1.22 (t, J=7.3 Hz, 3H), 0.87 (t, J=7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.2, 160.3, 146.8, 141.6, 139.8, 134.2, 129.5, 129.4, 128.1, 126.6, 126.1, 124.2, 37.8, 34.5, 31.8, 29.5, 29.3, 22.6, 16.1, 14.1, 8.2; IR (neat) ν 3391, 1614, 1216, 1068, 667 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{28}NO$ $[M + H]^+$ 310.2171, found 310.2170.

(*E*)-1-(2-Decyl-4-methylquinolin-3-yl)pent-1-en-3-one (5b): **5b** (0.255 g) was obtained from **2l** (0.301 g, 1 mmol) following general procedure B. Two steps overall yield 70%; brown oil; R_f=0.65 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (dd, J=15.4, 8.3 Hz, 2H), 7.86 (d, J=16.4 Hz, 1H), 7.71–7.64 (m, 1H), 7.56–7.48 (m, 1H), 6.36 (d, J=16.4 Hz, 1H), 2.94 (t, J=8.0 Hz, 2H), 2.74 (q, J= 7.3 Hz, 2H), 2.67 (s, 3H), 1.77–1.67 (m, 2H), 1.42–1.34 (m, 2H), 1.33–1.25 (m, 15H), 0.87 (t, J=7.3 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.2, 160.4, 146.9, 141.6, 139.8, 134.2, 129.5, 129.5, 128.2, 126.6, 126.1, 124.2, 37.8, 34.5, 32.0, 29.8, 29.7, 29.7, 29.6, 29.4, 29.3, 22.8, 16.1, 14.2, 8.2; IR (neat) ν 3378, 3020, 1619, 1248, 1046, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{25}H_{36}NO$ $[M + H]^+$ 366.2797, found 366.2784.

(*E*)-1-(6-Bromo-2-heptyl-4-methylquinolin-3-yl)pent-1-en-3-one (5c): **5c** (0.220 g) was obtained from **2q** (0.337 g, 1 mmol) following general procedure B. Two steps overall yield 55%; brown gum; R_f=0.60 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (d, J=1.6 Hz, 1H), 7.94–7.65 (m, 3H), 6.36 (d, J=16.5 Hz, 1H), 2.91 (t, J=8.0 Hz, 2H), 2.74 (q, J=7.2 Hz, 2H), 2.62 (s, 3H), 1.81–1.64 (m, 2H), 1.37–1.20 (m, 8H), 0.91–0.73 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.0, 160.9, 145.5, 140.6, 139.2, 134.4, 132.8, 131.3, 129.1, 127.9, 126.7, 120.1, 37.7, 34.6, 31.8, 29.8, 29.2, 29.1, 22.7, 16.1, 14.2, 8.1; IR (neat) ν 3399, 3019, 1592, 1216, 1123, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{22}H_{29}BrNO$ $[M + H]^+$ 402.1433, found 402.1429.

(*E*)-1-(4-Methyl-2-phenylquinolin-3-yl)pent-1-en-3-one (5d): **5d** (0.186 g) was obtained from **2b** (0.237 g, 1 mmol) following general procedure B. Two steps overall yield 62%; brown solid, mp 116–118 °C; R_f=0.55 (SiO_2, 20% EtOAc/

hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19–8.04 (m, 2H), 7.76–7.71 (m, 1H), 7.65 (d, J=16.5 Hz, 1H), 7.63–7.52 (m, 3H), 7.48–7.38 (m, 3H), 6.19 (d, J=16.5 Hz, 1H), 2.80 (s, 3H), 2.49 (q, J=7.3 Hz, 2H), 1.06 (t, J=7.3 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 200.4, 158.6, 146.9, 143.2, 140.8, 140.3, 134.2, 130.3, 130.0, 129.8, 128.6, 128.4, 127.3, 127.0, 126.9, 124.4, 33.9, 16.2, 8.1; IR (neat) ν 3386, 3019, 1399, 1121, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{20}NO$ $[M+H]^+$ 302.1545, found 302.1540.

(*E*)-1-(4-Methyl-2,6-diphenylquinolin-3-yl)pent-1-en-3-one (5e): **5e** (0.196 g) was obtained from **2c** (0.313 g, 1 mmol) following general procedure B. Two steps overall yield 52%; yellow solid, mp 126–128 °C; R_f=0.55 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34–8.10 (m, 2H), 8.00 (d, J=8.2 Hz, 1H), 7.83–7.32 (m, 11H), 6.20 (d, J=16.8 Hz, 1H), 2.85 (s, 3H), 2.51 (q, J=7.7 Hz, 2H), 1.07 (t, J=7.7 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.4, 158.5, 146.3, 143.3, 140.8, 140.3, 139.8, 134.3, 131.0, 130.8, 129.9, 129.8, 129.1, 128.7, 128.4, 127.9, 127.7, 127.2, 122.3, 33.9, 16.3, 8.1; IR (neat) ν 3399, 3019, 1654, 1384, 1046, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{27}H_{24}NO$ $[M+H]^+$ 378.1858, found 378.1854.

(*E*)-1-(6-Bromo-4-methyl-2-phenylquinolin-3-yl)pent-1-en-3-one (5f): **5f** (0.208 g) was obtained from **2d** (0.315 g, 1 mmol) following general procedure B. Two steps overall yield 55%; light yellow solid, mp 142–144 °C; R_f=0.50 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 8.03 (d, J=8.5 Hz, 1H), 7.80 (d, J=7.8 Hz, 1H), 7.72–7.35 (m, 6H), 6.19 (d, J=16.7 Hz, 1H), 2.75 (s, 3H), 2.49 (q, J=7.2 Hz, 2H), 1.06 (t, J=7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.2, 158.9, 145.3, 142.4, 140.2, 139.6, 134.6, 133.4, 131.9, 129.8, 128.9, 128.4, 128.3, 128.2, 126.8, 121.1, 34.0, 16.3, 8.1; IR (neat) ν 3848, 3398, 3019, 1402, 1119, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{21}H_{19}BrNO$ $[M+H]^+$ 380.0650, found 380.0656.

((*E*)-1-(6-(4-Chlorophenyl)-4-methyl-2-phenylquinolin-3-yl)pent-1-en-3-one (5g): **5g** (0.213 g) was obtained from **2e** (0.347 g, 1 mmol) following general procedure B. Two steps overall yield 52%; yellow solid, mp 150–152 °C; R_f=0.45 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.29 (bs, 1H), 8.20 (d, J=1.5 Hz, 1H), 7.96 (d, J=8.5 Hz, 1H), 7.73–7.62 (m, 3H), 7.59 (d, J=6.5 Hz, 2H), 7.53–7.39 (m, 5H), 6.21 (d, J=16.5 Hz, 1H), 2.85 (s, 3H), 2.50 (q, J=7.4 Hz, 2H), 1.07 (t, J=7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.3, 158.5, 143.8, 139.9, 139.1, 138.7, 134.5, 134.2, 130.6, 129.9, 129.6, 129.3, 128.9, 128.9, 128.4, 127.9, 127.2, 122.2, 34.0, 16.4, 8.1; IR (neat) ν 3852, 3675, 3019, 1637, 1068, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{27}H_{23}ClNO$ $[M+H]^+$ 412.1468, found 412.1468.

(*E*)-1-(6-(4-Methoxyphenyl)-4-methyl-2-phenylquinolin-3-yl)pent-1-en-3-one (5h): **5h** (0.231 g) was obtained from **2r** (0.343 g, 1 mmol) following general procedure B. Two steps overall yield 57%; yellow solid, mp 145–147 °C; R_f=0.55 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (bs, 1H), 8.19 (s, 1H), 7.99 (d, J=7.7 Hz, 1H), 7.76–7.35 (m, 8H), 7.05 (d, J=7.8 Hz, 2H), 6.21 (d, J=16.2 Hz, 1H), 3.89 (s, 3H), 2.85 (s, 3H), 2.50 (q, J=6.7 Hz, 2H), 1.07 (t, J=6.7 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.3, 159.8, 157.8, 145.2, 139.9, 139.6, 134.5, 133.0, 130.0, 129.9, 128.9, 128.7, 128.4, 127.7, 127.3, 121.4, 114.6, 55.5, 34.0, 16.5, 8.1; IR (neat) ν 3399, 3019, 1592, 1216, 1123, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{28}H_{26}NO_2$ $[M+H]^+$ 408.1964, found 408.1961.

1-Phenyl-2-(2-phenylquinolin-3-yl)ethanone (9): To a stirred solution of **4na** (305 mg, 1 mmol, 1 equiv) in 6 mL (2:1) of Dioxane + water was added IPrAuCl (31 mg, 0.05 mmol, 0.05 equiv), $AgSbF_6$ (171 mg, 0.5 mmol, 0.5 equiv) at room temperature. The reaction mixture was stirred at 130 °C for 24 h.The reaction mixture was diluted with water (20 mL) and extracted with EtOAc (3×15 mL). The combined organic layers were washed with brine (15 mL) and dried over Na_2SO_4.After removal of the solvent under reduced pressure the crude material was purified on silica using 5% EtOAc/hexanes to get **9** (177 mg) as a light yellow gum. yield 55%; R_f=0.55 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, J=8.3 Hz, 1H), 8.13 (s, 1H), 7.85–7.82 (m, 3H), 7.77–7.70 (m, 1H), 7.58–7.52 (m, 4H), 7.44–7.40 (m, 5H), 4.46 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.5, 160.4, 147.1, 140.4, 138.4, 136.5, 133.5, 129.7, 129.4, 128.9, 128.7, 128.6, 128.5, 128.4, 127.5, 126.8, 126.5, 114.2, 43.0; IR (neat) ν3386, 3019, 1399, 1121, 668 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{18}NO$ $[M+H]^+$ 324.1388, found 324.1382.

1-Phenyl-2-(2-phenylquinolin-3-yl)ethane-1,2-dione (10): **10** (0.209 g) was obtained from **4na** (0.305 g, 1 mmol) following literature procedure.[14] yield 62%; light yellow gum,; R_f=0.35 (SiO_2, 20% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.76 (s, 1H), 8.21 (d, J=8.4 Hz, 1H), 8.00 (d, J=8.0 Hz, 1H), 7.89–7.86 (m, 3H), 7.65–7.62 (m, 1H), 7.57 (t, J=7.4 Hz, 1H), 7.51–7.49 (m, 2H), 7.39 (t, J=8.0 Hz, 2H), 7.15–7.11 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.0, 190.6, 158.6, 149.2, 140.7, 140.0, 134.4, 132.6, 132.5, 130.1, 129.7, 129.7, 129.3, 129.0, 128.4, 128.4, 127.6, 126.2; IR (neat) ν3391, 1614, 1216, 1068, 667 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{16}NO_2$ $[M+H]^+$ 338.1181, found 338.1187.

(*Z*)-2-Hexyl-4-methyl-3-styrylquinoline (11): Yield 60% (with Pd-C) and 75% (with Pd-$BaSO_4$); colorless oil,; R_f= 0.60 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, J=8.3 Hz, 1H), 7.93 (d, J=8.2 Hz, 1H), 7.68–7.64 (m, 1H), 7.56–7.41 (m, 1H), 7.16–7.03 (m, 3H), 7.02–6.92 (m, 2H), 6.83 (d, J=12.4 Hz, 2H), 6.73 (d, J= 12.4 Hz, 1H), 3.00–2.79 (m, 2H), 2.47 (s, 3H), 1.84–1.59 (m, 3H), 1.43–1.32 (m, 2H), 1.30–1.11 (m, 3H), 0.85 (t, J= 6.9 Hz, 3H),; ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.2, 146.7, 141.0, 136.9, 133.1, 130.0, 129.4, 128.7, 128.5, 128.4, 127.6, 126.8, 126.7, 125.6, 124.1, 37.8, 31.8, 29.6, 29.0, 22.7, 15.4, 14.2; IR (neat) ν3390, 2929, 1402, 1069, 669 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{24}H_{28}N$ $[M+H]^+$ 330.2222, found 330.2219.

3-Phenethyl-2-phenylquinoline (12): Yield 65% (with Pd-$BaSO_4$) and 76% (with Pd-C); light yellow oil; R_f=0.40 (SiO_2, 10% EtOAc/hexanes); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, J=8.5 Hz, 1H), 8.01 (s, 1H), 7.78 (d, J=8.1 Hz, 1H), 7.72–7.63 (m, 1H), 7.58–7.40 (m, 6H), 7.25–7.11 (m, 3H), 7.00–6.91(m, 2H), 3.13–3.04 (m, 2H), 2.83–2.74 (m, 2H),; ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.8, 146.6, 141.2, 140.9, 136.2, 133.1, 129.4, 129.1, 128.8, 128.5, 128.5, 128.3, 127.7, 127.1, 126.6, 126.2, 37.1, 35.4, 29.8; IR (neat) ν3399, 3021, 1733, 1374, 1248, 1216, 1046 cm^{-1}; HRMS (ESI-TOF) calcd for $C_{23}H_{20}N$ $[M+H]^+$ 310.1596, found 310.1588.

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