Supporting Information for

Cu(II)-Promoted Three-Component Coupling Sequence for the Efficient Synthesis of Substituted Quinolines

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General information:

All experiments were carried out under an atmosphere of air. Flash column chromatography was performed over aluminum oxide 40-60 μm. $^1$H NMR and $^{13}$C NMR spectra were recorded on Bruker-AV (400 and 100 MHz, respectively) instrument internally referenced to SiMe$_4$ or chloroform signals. MS analyses were performed on an Agilent 5975 GC-MS instrument (EI). High-resolution mass spectra were recorded at the Center for Mass Spectrometry, Peking University. The structures of known compounds were further corroborated by comparing their $^1$H NMR data and MS data with those of literature. All reagents were used as received from commercial sources without further purification. Aldehydes, amines and acetone employed were reagent grade materials and used as received.

General procedure: (4a):

A 10 mL oven-dried reaction vessel was charged with CuCl$_2$ (1.3 mg, 5 mol %), benzaldehyde (1a, 30.6 μL, 0.3 mmol), aniline (2a, 18.3 μL, 0.2 mmol), trifluoromethanesulfonic acid (18.6 μL, 0.2 mmol) and charged with oxygen (1 atm). Acetone (0.2 mL) and ethanol (0.3 mL) were added to the sealed reaction vessel by syringe. The resulting solution was stirred at 50 °C for 24 h. After cooling to room temperature the volatiles were removed under vacuum and the residue was purified by column chromatography (aluminum oxide, petroleum ether/ dichloromethane = 4:1) to give 4a as pale yellow solid; yield: 35.1 mg (80%).

2-Phenyl-4-methylquinoline (4a, CAS: 4789-76-8) [1]
$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.20-8.15 (m, 3H), 8.01 (d, $J = 8.2$ Hz, 1H), 7.74-7.71 (m, 2H), 7.57-7.44 (m, 4H), 2.78 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 157.1, 148.3, 144.8, 139.9, 130.4, 129.3, 129.2, 128.8, 127.6, 127.3, 126.0, 123.6, 119.7, 18.9; MS (EI) m/z (%): 219 (100), 204, 189, 115, 108, 77.

4-Methyl-2-(4'-methylphenyl)quinoline (4b) $^{[2]}$

4-Methylbenzaldehyde (1b, 35.5 $\mu$L, 0.3 mmol) reacted with aniline (2a, 18.3 $\mu$L, 0.2 mmol) and acetone (0.2 mL) to give 4b as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 34.0 mg (73%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.16 (d, $J = 8.3$ Hz, 1H), 8.06 (d, $J = 7.6$ Hz, 2H), 7.99 (d, $J = 8.2$ Hz, 1H), 7.71-7.69 (m, 2H), 7.55-7.51 (m, 1H), 7.33 (d, $J = 7.6$ Hz, 2H), 2.76 (s, 3H), 2.44 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 157.0, 148.3, 144.6, 139.2, 137.1, 130.3, 129.5, 129.2, 127.4, 127.2, 125.8, 123.6, 119.6, 21.3, 18.9; MS (EI) m/z (%): 233 (100), 218, 189, 115, 108, 75.

4-Methyl-2-(4'-methoxyphenyl)quinoline (4c, CAS: 14428-50-3) $^{[3]}$

4-Methoxybenzaldehyde (1c, 36.5 $\mu$L, 0.3 mmol) reacted with aniline (2a, 18.3 $\mu$L, 0.2 mmol) and acetone (0.2 mL) to give 4c as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 3:1); yield: 35.4 mg (71%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.13 (d, $J = 8.5$ Hz, 3H), 7.98 (d, $J = 8.2$ Hz, 1H), 7.72-7.68 (m, 2H), 7.52 (t, $J = 7.4$ Hz, 1H), 7.04 (d, $J = 8.6$ Hz, 2H), 3.89 (s, 3H), 2.76 (s, 3H); $^{13}$C NMR
(CDCl$_3$, 100 MHz, ppm): $\delta$ 160.7, 156.7, 148.2, 144.6, 132.4, 130.1, 129.3, 128.9, 127.0, 125.7, 123.6, 119.3, 114.2, 55.4, 19.0; MS (EI) $m/z$ (%): 249 (100), 234, 206, 191, 77.

2-(4-Fluorophenyl)-4-methyl-quinoline (4d)

![Image of 2-(4-Fluorophenyl)-4-methyl-quinoline](image)

4-Fluorobenzaldehyde ($1d$, 32.2 $\mu$L, 0.3 mmol) reacted with aniline ($2a$, 18.3 $\mu$L, 0.2 mmol) and acetone (0.2 mL) to give 4d as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 38.4 mg (81%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.16-8.15 (m, 3H), 8.00 (d, $J$ = 8.2 Hz, 1H), 7.74-7.67 (m, 2H), 7.55 (t, $J$ = 7.4 Hz, 1H), 7.26-7.18 (m, 2H), 2.77 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 163.8 (d, $J$ = 247.0 Hz), 155.9, 148.2, 144.9, 136.0 (d, $J$ = 3.0 Hz), 130.3, 129.4, 129.3 (d, $J$ = 8.4 Hz), 127.2, 126.1, 123.6, 119.3, 115.7 (d, $J$ = 21.4 Hz), 18.9; MS (EI) $m/z$ (%): 237 (100), 222, 216, 117, 75; HRMS calcd. for : C$_{16}$H$_{13}$FN [M+H]$^+$ 238.1026, found 238.1025.

2-(4-Chlorophenyl)-4-methylquinoline (4e, CAS: 14428-49-0) $^{[4]}$

![Image of 2-(4-Chlorophenyl)-4-methylquinoline](image)

4-Chlorobenzaldehyde ($1e$, 32.2 $\mu$L, 0.3 mmol) reacted with aniline ($2a$, 18.3 $\mu$L, 0.2 mmol) and acetone (0.2 mL) to give 4e as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 43.0 mg (85%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.17-8.10 (m, 3H), 8.00 (d, $J$ = 8.2 Hz, 1H), 7.75-7.68 (m, 2H), 7.57-7.48 (m, 3H), 2.77 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 155.7, 148.2, 145.0, 138.2, 135.4, 130.3, 129.5, 128.9, 128.8, 127.3, 126.2, 123.6, 119.3, 18.9; MS (EI) $m/z$ (%): 253 (100), 238, 217, 203, 108, 75.

2-(4-Bromophenyl)-4-methylquinoline (4f, CAS: 14444-95-2) $^{[4]}$
4-Bromobenzaldehyde (1f, 55.5 mg, 0.3 mmol) reacted with aniline (2a, 18.3 μL, 0.2 mmol) and acetone (0.2 mL) to give 4f as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 51.7 mg (87%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.15 (d, $J$ = 8.4 Hz, 1H), 8.05-7.99 (m, 3H), 7.74-7.54 (m, 5H), 2.77 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 155.7, 148.2, 145.0, 138.7, 131.9, 130.3, 129.5, 127.2, 127.4, 126.3, 123.8, 123.6, 119.3, 18.9; MS (EI) $m/z$ (%): 297 (100), 284, 217, 203, 108, 75.

2-(4-tert-Butylphenyl)-4-methylquinoline (4g, CAS: 863487-45-0)

4-(tert-Butyl)benzaldehyde (1g, 50.2 μL, 0.3 mmol) reacted with aniline (2a, 18.3 μL, 0.2 mmol) and acetone to give 4g as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 40.7 mg (74%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.16 (d, $J$ = 8.0 Hz, 1H), 8.08 (d, $J$ = 8.0 Hz, 2H), 7.99 (d, $J$ = 8.4 Hz, 1H), 7.70 (d, $J$ = 7.0 Hz, 2H), 7.54 (d, $J$ = 8.0 Hz, 3H), 2.77 (s, 3H), 1.38 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 155.2, 152.4, 148.2, 144.7, 137.1, 130.3, 129.3, 128.2, 127.3, 125.9, 125.8, 123.7, 119.8, 34.8, 31.4, 19.0; MS (EI) $m/z$ (%): 275, 260 (100), 244, 116, 108, 75; HRMS calcd. for : C$_{20}$H$_{22}$N [M+H]$^+$ 276.1747, found 276.1750.

4-Methyl-2-(4-methylsulfonylphenyl)quinoline (4h)
4-(Methylsulfonyl)benzaldehyde (1h, 55.3 mg, 0.3 mmol) reacted with aniline (2a, 18.3 µL, 0.2 mmol) and acetone (0.2 mL) to give 4h as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 2:1); yield: 50.5 mg (85%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): δ 8.36 (d, $J$ = 8.2 Hz, 2H), 8.19 (d, $J$ = 8.4 Hz, 1H), 8.09 (d, $J$ = 8.2 Hz, 2H), 8.04 (d, $J$ = 8.2 Hz, 1H), 7.78-7.76 (m, 2H), 7.61 (t, $J$ = 7.4 Hz, 1H), 3.10 (s, 3H), 2.81 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): δ 154.6, 148.1, 145.5, 144.8, 140.8, 130.5, 129.7, 128.3, 127.7, 127.6, 126.8, 123.7, 119.5, 44.6, 19.0; MS (EI) $m/z$ (%): 297, 282, 234, 218 (100), 108, 75; HRMS calcd. for C$_{17}$H$_{16}$NO$_3$S [M+H]$^+$ 298.0896, found 298.0901.

4-Methyl-2-(4-nitrophenyl)quinoline (4i, CAS: 14365-92-5) [5]

4-Nitrobenzaldehyde (1i, 30.3 µL, 0.3 mmol) reacted with aniline (2a, 18.3 µL, 0.2 mmol) and acetone to give 4i as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 38.0 mg (72%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): δ 8.39-8.37 (m, 4H), 8.19 (d, $J$ = 8.4 Hz, 1H), 8.04 (d, $J$ = 8.3 Hz, 1H), 7.77 (t, $J$ = 7.0 Hz, 2H), 7.62 (t, $J$ = 7.4 Hz, 1H), 2.82 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): δ 154.2, 148.3, 148.2, 145.7, 145.6, 130.6, 129.9, 128.3, 127.7, 127.0, 123.9, 123.7, 119.5, 19.0; MS (EI) $m/z$ (%): 264, 234, 218 (100), 191, 75.

2-(2'-Chlorophenyl)-4-methylquinoline (4j, CAS: 1131453-82-1) [6]
2-Chlorobenzaldehyde (1j, 33.7 μL, 0.3 mmol) reacted with aniline (2a, 18.3 μL, 0.2 mmol) and acetone (0.2 mL) to give 4j as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 30.4 mg (60%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): 8.18 (d, $J = 8.4$ Hz, 1H), 8.05 (d, $J = 8.2$ Hz, 1H), 7.74-7.50 (m, 5H), 7.42-7.35 (m, 2H), 2.77 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): δ 157.2, 148.0, 143.9, 139.9, 132.4, 131.7, 130.3, 130.1, 129.7, 129.3, 127.3, 127.1, 126.5, 123.7, 123.4, 18.8; MS (EI) m/z (%): 253, 238, 218 (100), 203, 108, 75.

4-Methyl-2-m-tolylquinoline (4k, CAS: 1039775-39-7)$^{[7]}$

3-Methylbenzaldehyde (1k, 35.3 μL, 0.3 mmol) reacted with aniline (2a, 18.3 μL, 0.2 mmol) and acetone (0.2 mL) to give 4k as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 36.4 mg (78%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): δ 8.18 (d, $J = 8.3$ Hz, 1H), 8.01-7.99 (m, 2H), 7.91 (d, $J = 7.5$ Hz, 1H), 7.74-7.71 (m, 2H), 7.54 (t, $J = 7.4$ Hz, 1H), 7.41 (t, $J = 7.5$ Hz, 1H), 7.28-7.26 (m, 1H), 2.77 (s, 3H), 2.48 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): δ 157.3, 148.3, 144.7, 139.9, 138.4, 130.4, 130.0, 129.3, 128.7, 128.3, 127.3, 126.0, 124.7, 123.6, 119.9, 21.6, 18.9; MS (EI) m/z (%): 233 (100), 218, 203, 115, 108, 75.

4-Methyl-2-(3,4,5-trimethoxyphenyl)quinoline (4l)

3,4,5-Trimethoxybenzaldehyde (1l, 58.9 mg, 0.3 mmol) reacted with aniline (2a, 18.3 μL, 0.2 mmol) and acetone (0.2 mL) to give 4l as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 3:1); yield: 50.1 mg (81%).
\[ ^1 \text{H NMR (CDCl}_3, 400 \text{ MHz, ppm): } \delta \ 8.17 (d, J = 8.4 \text{ Hz, } 1\text{H}), \ 8.00 (d, J = 8.2 \text{ Hz, } 1\text{H}), \ 7.73 (t, J = 7.4 \text{ Hz, } 1\text{H}), \ 7.76 (s, 1\text{H}), \ 7.55 (t, J = 7.4 \text{ Hz, } 1\text{H}), \ 7.39 (s, 2\text{H}), \ 4.02 (s, 6\text{H}), \ 3.92 (s, 3\text{H}), \ 2.79 (s, 3\text{H}); \]

\[ ^{13} \text{C NMR (CDCl}_3, 100 \text{ MHz, ppm): } \delta \ 156.7, \ 153.6, \ 148.1, \ 144.8, \ 139.6, \ 135.6, \ 130.3, \ 129.4, \ 127.3, \ 126.0, \ 123.6, \ 119.5, \ 105.1, \ 60.9, \ 56.4, \ 18.9; \]

MS (EI) \( m/z \) (%): 309 (100), 294, 264, 236, 180, 77; HRMS calcd. for \( \text{C}_{19}\text{H}_{20}\text{NO} \cdot [\text{M+H}]^+ \): 310.1438, found 310.1441.

4-Methyl-2-(naphthalen-2-yl)quinoline (4m) \[ ^8 \]

![4m](image)

2-Naphthaldehyde (1m, 46.9 mg, 0.3 mmol) reacted with aniline (2a, 18.3 \( \mu \text{L}, 0.2 \text{ mmol}) and acetone (0.2 mL) to give 4m as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 3:1); yield: 48.4 mg (90%).

\[ ^1 \text{H NMR (CDCl}_3, 400 \text{ MHz, ppm): } \delta \ 8.62 (s, 1\text{H}), \ 8.37 (d, J = 8.3 \text{ Hz, } 1\text{H}), \ 8.23 (d, J = 8.3 \text{ Hz, } 1\text{H}), \ 8.04-7.89 (m, 5\text{H}), \ 7.75 (t, J = 7.4 \text{ Hz, } 1\text{H}), \ 7.59-7.53 (m, 3\text{H}), \ 2.82 (s, 3\text{H}); \]

\[ ^{13} \text{C NMR (CDCl}_3, 100 \text{ MHz, ppm): } \delta \ 156.9, \ 148.3, \ 144.9, \ 137.1, \ 133.9, \ 133.6, \ 130.3, \ 129.5, \ 128.9, \ 128.6, \ 127.8, \ 127.4, \ 127.1, \ 126.7, \ 126.3, \ 126.1, \ 125.2, \ 123.7, \ 119.9, \ 19.1; \]

MS (EI) \( m/z \) (%): 269 (100), 254, 239, 133, 127, 75.

2-(Furan-2-yl)-4-methylquinoline (4n, CAS: 20364-42-5) \[ ^9 \]

![4n](image)

Furan-2-carbaldehyde (1n, 24.9 \( \mu \text{L}, 0.3 \text{ mmol}) reacted with aniline (2a, 18.3 \( \mu \text{L}, 0.2 \text{ mmol}) and acetone (0.2 mL) to give 4n as pale yellow solid; yield (aluminum oxide, petroleum ether/dichloromethane = 4:1): 21.3 mg (51%).

\[ ^1 \text{H NMR (CDCl}_3, 400 \text{ MHz, ppm): } \delta \ 8.13 (d, J = 8.4 \text{ Hz, } 1\text{H}), \ 7.96 (d, J = 8.2 \text{ Hz, } 1\text{H}), \ 7.72-7.68 (m, 2\text{H}), \ 7.63 (s, 1\text{H}), \ 7.52 (t, J = 7.4 \text{ Hz, } 1\text{H}), \ 7.21 (s, 1\text{H}), \ 6.59 (s, 1\text{H}), \ 2.74 (s, 3\text{H}); \]

\[ ^{13} \text{C NMR (CDCl}_3, 100 \text{ MHz, ppm): } \delta \ 153.9, \ 148.8, \ 148.0, \ 144.7, \ 143.9, \ 130.0, \ 129.5, \ 127.3, \ 125.9, \ 123.6,
4,6-Dimethyl-2-phenyl-quinoline (4o) [10]

Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with p-toluidine (2b, 22.3 μL, 0.2 mmol) and acetone (0.2 mL) to give 4o as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 37.8 mg (81%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.14 (d, $J = 7.3$ Hz, 2H), 8.06 (d, $J = 8.5$ Hz, 1H), 7.75 (s, 1H), 7.68 (s, 1H), 7.56-7.44 (m, 4H), 2.74 (s, 3H), 2.58 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 156.3, 146.7, 144.1, 140.0, 135.9, 131.6, 130.0, 129.0, 128.8, 127.5, 127.2, 122.7, 119.8, 22.0, 19.1; MS (EI) m/z (%): 233 (100), 218, 108, 89, 77.

6-Methoxy-4-methyl-2-phenylquinoline (4p, CAS: 171774-27-9) [11]

Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with 4-methoxyaniline (2c, 22.6 μL, 0.2 mmol) and acetone (0.2 mL) to give 4p as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 3:1); yield: 27.9 mg (56%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.13-8.08 (m, 3H), 7.70 (s, 1H), 7.53-7.37 (m, 4H), 7.21 (s, 1H), 4.00 (s, 3H), 2.73 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 157.6, 154.8, 144.1, 143.3, 140.0, 131.8, 128.9, 128.8, 128.1, 127.3, 121.5, 120.0, 101.9, 55.6, 19.3; MS (EI) m/z (%): 249 (100), 234, 206, 191, 102, 77.

6-Fluoro-4-methyl-2-phenylquinoline (4q)
Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with 4-fluoroaniline (2d, 19.0 μL, 0.2 mmol) and acetone (0.2 mL) to give 4q as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 25.6 mg (56%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): δ 8.18-8.12 (m, 3H), 7.74 (s, 1H), 7.60-7.46 (m, 5H), 2.73 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): δ 160.4 (d, $J = 246.0$ Hz), 156.5 (d, $J = 2.6$ Hz), 145.3, 144.2 (d, $J = 5.4$ Hz), 139.6, 132.8 (d, $J = 9.1$ Hz), 129.3, 128.8, 128.0 (d, $J = 9.2$ Hz), 127.4, 120.3, 119.3 (d, $J = 25.4$ Hz), 107.2 (d, $J = 22.1$ Hz), 19.0; MS (EI) m/z (%): 237 (100), 222, 158, 133, 117, 77; HRMS calcd. for : C$_{16}$H$_{13}$FN [M+H]$^+$ 238.1026, found 238.1028.

6-Chloro-4-methyl-2-phenylquinoline (4r)\cite{12}

Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with 4-chloroaniline (2e, 22.5 mg, 0.2 mmol) and acetone (0.2 mL) to give 4r as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 33.5 mg (66%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): δ 8.15-8.09 (m, 3H), 7.97 (s, 1H), 7.74 (s, 1H), 7.65 (d, $J = 8.4$ Hz, 1H), 7.54-7.46 (m, 3H), 2.74 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): δ 157.2, 146.5, 144.0, 139.4, 131.9, 131.8, 130.2, 129.5, 128.9, 128.0, 127.5, 122.8, 120.0, 18.9; MS (EI) m/z (%): 253 (100), 238, 217, 203, 108, 75.

6-Bromo-4-methyl-2-phenyl-quinoline (4s)

Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with 4-bromoaniline (2f, 34.4 mg, 0.2 mmol) and
acetone (0.2 mL) to give 4s as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 47.5 mg (80%).

\(^1\)H NMR (CDCl\(_3\), 400 MHz, ppm): \(\delta 8.15-8.14\) (m, 3H), 8.04 (d, \(J = 8.8\) Hz, 1H), 7.79-7.74 (m, 2H), 7.55-7.45 (m, 3H), 2.74 (s, 3H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz, ppm): \(\delta 157.3, 146.7, 144.0, 139.3, 132.7, 132.0, 129.5, 128.9, 128.5, 127.5, 126.2, 120.4, 120.0, 19.0\); MS (EI) \(m/\zeta\) (%): 297 (100), 282, 217, 203, 108, 75; HRMS calcd. for : C\(_{16}\)H\(_{13}\)BrN [M+H]\(^+\) 298.0226, found 298.0233.

1-(4-Methyl-2-phenylquinolin-6-yl)ethanone (4t)

Benzaldehyde (1a, 30.6 \(\mu\)L, 0.3 mmol) reacted with 1-(4-aminophenyl)ethanone (2g, 34.4 mg, 0.2 mmol) and acetone (0.2 mL) to give 4t as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 31.8 mg (61%).

\(^1\)H NMR (CDCl\(_3\), 400 MHz, ppm): \(\delta 8.65\) (s, 1H), 8.27-8.18 (m, 4H), 7.80 (s, 1H), 7.56-7.49 (m, 3H), 2.86 (s, 3H), 2.77 (s, 3H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz, ppm): \(\delta 197.6, 159.1, 150.0, 146.5, 139.2, 134.3, 130.8, 129.8, 128.9, 127.8, 127.7, 126.6, 125.5, 120.4, 26.8, 19.0\); MS (EI) \(m/\zeta\) (%): 261, 246 (100), 217, 189, 108, 77; HRMS calcd. for: C\(_{18}\)H\(_{16}\)NO [M+H]\(^+\) 262.1226, found 262.1230.

4, 8-Dimethyl-2-phenylquinoline (4u)

Benzaldehyde (1a, 30.6 \(\mu\)L, 0.3 mmol) reacted with o-toluidine (2h, 21.2 \(\mu\)L, 0.2 mmol) and acetone (0.2 mL) to give 4u as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 29.4 mg (63%).

\(^1\)H NMR (CDCl\(_3\), 400 MHz, ppm): \(\delta 8.26\) (d, \(J = 7.5\) Hz, 2H), 7.85 (d, \(J = 8.2\) Hz, 1H), 7.75 (s, 1H), 7.58-7.41 (m, 5H), 2.92 (s, 3H), 2.76 (s, 3H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz, ppm): \(\delta 155.1, 151.3, 146.4, 139.2, 135.0, 134.3, 133.9, 129.8, 128.9, 128.6, 127.8, 127.7, 126.6, 125.5, 120.4, 26.8, 19.0\); MS (EI) \(m/\zeta\) (%): 307 (100), 292, 283, 249, 108, 77; HRMS calcd. for: C\(_{18}\)H\(_{16}\)NO [M+H]\(^+\) 308.1333, found 308.1332.
4,7-Dimethyl-2-phenyl-quinoline (4v)

Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with m-toluidine (2i, 21.6 μL, 0.2 mmol) and acetone (0.2 mL) to give 4v as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 31.7 mg (68%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.14 (d, $J$ = 7.6 Hz, 2H), 7.96 (s, 1H), 7.89 (d, $J$ = 8.4 Hz, 1H), 7.64 (s, 1H), 7.53-7.37 (m, 4H), 2.74 (s, 3H), 2.57 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 157.1, 148.5, 144.8, 140.1, 139.4, 129.4, 129.0, 128.7, 128.2, 127.5, 125.3, 123.3, 119.0, 21.7, 18.9; MS (EI) m/z (%): 233 (100), 218, 203, 108, 77; HRMS calcd. for C$_{17}$H$_{16}$N [M+H]$^+$ 234.1277, found 234.1278.

5,7-Dimethoxy-4-methyl-2-phenylquinoline (4w)

Benzaldehyde (1a, 30.6 μL, 0.3 mmol) reacted with 3,5-dimethoxyaniline (2j, 30.6 mg, 0.2 mmol) and acetone (0.2 mL) to give 4w as pale yellow solid (aluminum oxide, petroleum ether/dichloromethane = 4:1); yield: 36.8 mg (66%).

$^1$H NMR (CDCl$_3$, 400 MHz, ppm): $\delta$ 8.10 (d, $J$ = 7.3 Hz, 2H), 7.52-7.43 (m, 4H), 7.11 (s, 1H), 6.49 (s, 1H), 3.95 (s, 3H), 3.92 (s, 3H), 2.89 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz, ppm): $\delta$ 160.5, 158.6, 157.3, 151.9, 146.2, 139.8, 129.0, 128.7, 127.4, 119.2, 115.7, 101.2, 98.5, 55.54, 55.51, 24.5; MS (EI) m/z (%): 279 (100), 264, 250, 236, 221, 139, 102, 77; HRMS calcd. for C$_{18}$H$_{18}$NO$_2$ [M+H]$^+$ 280.1332, found 280.1330.
References:

$^1$H NMR and $^{13}$C NMR spectra for all products