Supporting Information

Synthesis of *N*-Heteropolycyclic Compounds Including Quinazolinone Skeletons by Using Friedel-Crafts Alkylation

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1. Typical experimental procedures from 5a to 1a

Synthesis of 2-amino-*N*-phenylbenzamide (6a).

To a DCE solution (40 mL) of compound 2-aminobenzoic acid (4.8 g, 0.029 mol) was added a catalytic amount of DMF (0.2 mL, 2.9 mmol) and oxalyl chloride (5.0 mL, 0.058 mol) at 0 °C under Ar atmosphere. After the mixture was stirred for 2h at room temperature, the crude solution was evaporated under reduced pressure. The reaction mixture was redissolved in DCE (30 mL), pyridine (5.9 mL, 0.073 mol) and aniline (3.0 g, 0.032 mol) in DCE (10 mL) were added at 0 °C. The mixture was stirred for 8h at RT under Ar atmosphere. After TCL analysis, the crude mixture was diluted with ethyl acetate, washed with 1N HCl, NaHCO₃, NaCl solution, and dried over anhydrous MgSO₄. The residue was recrystallized from ethyl acetate and hexane to give 2-nitro-*N*-phenylbenzamide (6.2 g, 88%) as a brown solid.

Then, the solid (6.2 g, and 0.026 mol) and 10% Pd on charcoal (10 wt%) in methanol (50 mL) were stirred under H₂ (1 atm) atmosphere for 4h. After completion of reduction, Pd on charcoal was filtered off on celite, washed over and over with dichloromethane. The filtrate was concentrated under reduced pressure to afford 2-amino-*N*-phenylbenzamide (5.5 g, quantitative yield) as a white solid. Other substrate (6b) was synthesized to similar reaction conditions. Spectral data matches that previously reported.^[1]

Synthesis of 2-acetamido-*N*-phenylbenzamide (**7a**).

To a MC solution (50 mL) of **6** (5.5 g, 0.026 mol) was added triethylamine (7.3 mL, 0.052 mol) and acetic anhydride (4.9 mL, 0.052 mol) at 0 °C under Ar atmosphere. The reaction mixture was stirred for 2h at 40 °C. After TLC analysis, the crude was diluted with NH₄Cl, extracted with dichloromethane, washed with NaHCO₃, NaCl solution, and dried over anhydrous MgSO₄. The organic phase was concentrated under reduced pressure, and the residue was recrystallized from ethyl acetate and hexane to give 2-acetamido-*N*-phenylbenzamide (6.0 g, 90%) as a white solid. Other substrate (**7b**) was synthesized to similar reaction conditions. Spectral data matches that previously reported.^[2]

Synthesis of 2-methyl-3-phenylquinazolin-4(3*H*)-one (**4a**).

To a DCE solution (50 mL) of **7** (6.0g, 0.024 mol) was added triethylamine (16.7 mL, 0.12 mol) and chlorotrimethylsilane (15.2 mL, 0.12 mol) at 0 °C under Ar atmosphere. The reaction mixture was heated for 3h at 100 °C. After TLC analysis, the crude was diluted with NH₄Cl, extracted with ethyl acetate, washed with NaCl, and dried over MgSO₄. The organic phase was concentrated under reduced pressure, and the residue was recrystallized from ethyl acetate and hexane to give 2-methyl-3-phenylquinazolin-4(3*H*)-one (5.0 g, 88%) as a white solid. Other substrate (**4b**) was synthesized to similar reaction conditions. Spectral data matches that previously reported.^[3]

Synthesis of (*E*)-3-phenyl-2-strylquinazolin-4(3*H*)-one (3a). A General procedure

To a glacial acetic acid (8 mL) of **4a** (500 mg, 2.1 mmol) was added benzaldehyde (0.3 mL, 3.2 mmol) and sodium acetate (340 mg, 4.2 mmol) at 0 °C under Ar atmosphere. The reaction mixture was refluxed for 8h at 150 °C. After completion of reaction, the mixture was cooled to 0 °C, poured into crushed ice, and the separated precipitate was filtered off. The solid state was washed with water, and recrystallized from ethyl acetate and hexane to give (*E*)-3-phenyl-2-strylquinazolin-4(3*H*)-one (510 mg, 75%) as a white solid. Other substrates (**3b-e**) were synthesized to similar reaction conditions. Spectral data of **3a-b** matches that previously reported.^[4]

Synthesis of 5-phenyl-5,6-dihydro-12*H*-quinolino[2,1-*b*]quinazolin-12-one (2a). A General procedure

To a DCE solution (4 mL) of **3a** (320 mg, 1.0 mmol) was added trifluoromethanesulfonic acid (0.4 mL, 5.0 mmol) at 0 °C under Ar atmosphere. The reaction mixture was heated for 8h at 100 °C. After TLC analysis, the crude mixture was diluted with H₂O, extracted with ethyl acetate, washed with NaHCO₃, NaCl solution, dried over anhydrous MgSO₄, and concentrated under reduced pressure. The concentrated residue was purified by flash silica gel chromatography by using a 1:2 mixture of ethyl acetate and hexane to give 5-phenyl-5*H*-quinolino[2,1-*b*]quinazolin-12(6*H*)-one (230 mg, 71%) as a white solid. Other substrates (**2b-e**) were synthesized to similar reaction conditions.

Synthesis of 5-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (1a). A General procedure

To a benzene solution (2 mL) of **2a** (150 mg, 0.46 mmol) was added 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (160 mg, 0.69 mmol) at 0 °C under Ar atmosphere. The reaction mixture was heated for 1h at 100 °C. After TLC analysis, the crude mixture was diluted with H₂O, extracted with ethyl acetate, washed with NaHCO₃, NaCl solution, dried over MgSO₄, and concentrated under reduced

pressure. The concentrated residue was purified by flash silica gel chromatography by using a 1:4 mixture of ethyl acetate and hexane to give 5-phenyl-12*H*-quinolino[2,1-*b*]quinazolin-12-one (120 mg, 78%) as a white solid. Other substrates (**1b-e**) were synthesized to similar reaction conditions.

2. Spectroscopic data of compounds

3c: Yellow solid; **M.P** 212 – 213 °C; **¹H NMR** (400 MHz, CDCl₃) δ 8.31 (d, *J*

= 8.0 Hz, 1H), 7.90 (d, J = 16.0 Hz, 1H), 7.82 – 7.79 (m, 2H), 7.62 – 7.52 (m, 3H), 7.51 – 7.47 (m, 1H), 7.43 (d, J = 8.4 Hz, 2H), 7.32 (d, J = 7.2 Hz, 2H), 7.17 (d, J = 8.4 Hz, 2H), 6.37 (d, J = 15.6 Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.34, 151.51, 147.79, 138.60, 136.97, 134.79, 134.29, 132.11, 130.08, 129.55, 129.23, 128.78, 127.40, 127.30, 126.89, 123.89, 121.06, 120.63, 120.61; IR (NaCl, cm^{-1}) 3059, 1681, 1632, 1548; HRMS (ESI) Calcd for $(\text{C}_{22}\text{H}_{14}\text{N}_2\text{O} + \text{Na})^+$ requires m/z 425.0260, found m/z 425.0260.

3d: Yellow solid; **M.P** 209 – 211°C; ^1H NMR (400 MHz, CDCl_3) δ 7.90 (d, J = 15.6 Hz, 1H), 7.74 (d, J = 8.8 Hz, 1H), 7.67 (d, J = 2.8 Hz, 1H), 7.62 – 7.56 (m, 3H), 7.40 (dd, J = 8.4 Hz, 2.4 Hz, 1H), 7.35 – 7.30 (m, 7H), 6.37 (d, J = 15.6 Hz, 1H), 3.93 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.25, 158.50, 149.77, 142.54, 138.97, 137.28, 135.55, 130.10, 130.08, 130.02, 129.62, 129.55, 129.42, 129.22, 129.14, 128.87, 128.80, 127.76, 125.05, 121.78, 120.10, 106.63, 55.96; IR (NaCl, cm^{-1}) 3063, 2850, 1673, 1616, 1487; HRMS (ESI) Calcd for $(\text{C}_{23}\text{H}_{18}\text{N}_2\text{O}_2 + \text{Na})^+$ requires m/z 377.1260, found m/z 377.1261.

3e: Yellow solid; **M.P** 263 – 265 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.82 (d, J = 15.6 Hz, 1H), 7.72 (d, J = 9.2 Hz, 1H), 7.66 (d, J = 2.4 Hz, 1H), 7.61 – 7.56 (m, 3H), 7.42 – 7.38 (m, 3H), 7.32 (d, J = 7.2 Hz, 2H), 7.15 (d, J = 8.8 Hz, 2H), 6.34 (d, J = 16.0 Hz, 1H), 3.92 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.15, 158.63, 149.46, 142.44, 137.58, 137.18, 134.47, 132.09, 130.05, 129.50, 129.16, 129.12, 128.79, 125.09, 123.63, 121.86, 120.73, 106.69, 55.98; IR (NaCl, cm^{-1}) 3077, 2842, 1671, 1616, 1488; HRMS (ESI) Calcd for $(\text{C}_{23}\text{H}_{17}\text{BrN}_2\text{O}_2 + \text{Na})^+$ requires m/z 455.0366, found m/z

455.0364.

2a: White solid; **M.P** 207 – 209 °C; **¹H NMR** (400 MHz, CDCl₃) δ 8.34 (d, *J* = 7.2 Hz, 1H), 8.28 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.70 (m, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 8.0 Hz, 1H), 7.42 – 7.38 (m, 1H), 7.32 – 7.21 (m, 4H), 7.17 (d, *J* = 7.6 Hz, 2H), 7.06 (d, *J* = 7.6 Hz, 1H), 4.36 – 4.33 (m, 1H), 3.50 – 3.36 (m, 2H); **¹³C NMR** (100 MHz, CDCl₃) δ 160.80, 153.40, 146.37, 139.32, 134.57, 134.35, 129.02, 127.89, 127.59, 127.38, 127.26, 127.17, 126.80, 120.73, 124.32, 122.09, 41.48, 40.52; **IR** (NaCl, Cm⁻¹) 3060, 1683, 1594; **HRMS** (ESI) Calcd for (C₂₂H₁₆N₂O + Na)⁺ requires *m/z* 347.1155, found *m/z* 347.1154.

2b: Yellow solid; **M.P** 201 – 202 °C; **¹H NMR** (400 MHz, CDCl₃) δ 8.34 (d, *J* = 8.0 Hz, 1H), 8.27 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.71 (m, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.6 Hz, 1H), 7.40 (t, *J* = 7.2 Hz, 1H), 7.24 (d, *J* = 7.6 Hz, 1H), 7.08 (d, *J* = 8.4 Hz, 3H), 6.82 (d, *J* = 8.4 Hz, 2H), 4.31 – 4.28 (m, 1H), 3.75 (s, 3H), 3.46 – 3.33 (m, 2H); **¹³C NMR** (100 MHz, CDCl₃) δ 160.82, 158.75, 153.57, 146.36, 134.74, 134.70, 134.59, 134.28, 131.22, 129.02, 128.97, 128.88, 127.96, 127.87, 127.59, 127.30, 127.19, 126.80, 126.71, 124.32, 122.07, 114.39, 55.30, 40.69; **IR** (NaCl, Cm⁻¹) 3063, 2836, 1683, 1594; **HRMS** (ESI) Calcd for (C₂₃H₁₈N₂O₂ + Na)⁺ requires *m/z* 377.1260, found *m/z* 377.1260.

2c: White solid; **M.P** 182 – 184 °C; **¹H NMR** (400 MHz, CDCl₃) δ 8.33 (d, *J* = 8.0 Hz, 1H), 8.28 (d, *J* = 8.0 Hz, 1H), 7.73 (t, *J* = 7.2 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.45 – 7.40 (m, 3H), 7.27 (t, *J* = 8.0

Hz, 1H), 7.09 – 7.03 (m, 3H), 4.30 (t, 6.0 Hz, 1H), 3.46 – 3.35 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 160.72, 152.92, 146.21, 138.36, 134.72, 134.29, 133.56, 132.15, 129.57, 127.87, 127.63, 127.57, 127.33, 126.98, 126.73, 124.48, 122.01, 121.38, 40.98, 40.37; IR (NaCl, cm^{-1}) 3064, 1686, 1595; HRMS (ESI) Calcd for $(\text{C}_{22}\text{H}_{15}\text{BrN}_2\text{O} + \text{Na})^+$ requires m/z 425.0260, found m/z 425.0260.

2d: White solid; **M.P** 176 – 177 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.30 (d, J = 8.0 Hz, 1H), 7.71 (d, J = 2.8 Hz, 1H), 7.52 (d, J = 9.2 Hz, 1H), 7.41 (t, J = 7.2 Hz, 1H), 7.33 – 7.28 (m, 2H), 7.25 – 7.21 (m, 3H), 7.17 (d, J = 7.2 Hz, 2H), 7.06 (d, J = 7.2 Hz, 1H), 4.35 – 4.32 (m, 1H), 3.93 (s, 3H), 3.48 – 3.34 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 160.74, 158.45, 151.13, 140.94, 139.41, 134.53, 134.50, 129.03, 128.32, 127.92, 127.38, 127.24, 127.17, 124.72, 124.40, 122.81, 107.13, 55.93, 41.63, 40.34; IR (NaCl, cm^{-1}) 3063, 2851, 1680, 1490; HRMS (ESI) Calcd for $(\text{C}_{23}\text{H}_{18}\text{N}_2\text{O}_2 + \text{Na})^+$ requires m/z 377.1260, found m/z 377.1261.

2e: White solid; **M.P** 221 – 223 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.30 (d, J = 8.0 Hz, 1H), 7.70 (d, J = 2.4 Hz, 1H), 7.52 (d, J = 9.2 Hz, 1H), 7.44 – 7.40 (m, 3H), 7.32 (dd, J = 8.0 Hz, 2.0 Hz, 1H), 7.27 (d, J = 15.2 Hz, 1H), 7.08 (d, J = 7.6 Hz, 1H), 7.04 (d, J = 7.6 Hz, 2H), 4.31 – 4.28 (m, 1H), 3.93 (s, 3H), 3.44 – 3.33 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 160.66, 158.54, 150.55, 140.86, 138.48, 134.48, 133.76, 132.15, 129.60, 128.37, 127.89, 127.54, 127.29, 124.80, 124.57, 122.78, 121.35, 107.16, 50.95, 41.17, 40.24; IR (NaCl, cm^{-1}) 3062, 2837, 1680, 1490; HRMS (ESI) Calcd for $(\text{C}_{23}\text{H}_{17}\text{BrN}_2\text{O}_2 + \text{Na})^+$ requires m/z 455.0366, found m/z 455.0365.

1a: Whit solid; **M.P** 168 – 170 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.55 (d, *J* = 8.8 Hz, 1H), 8.47 (d, *J* = 7.6 Hz, 1H), 7.84 – 7.80 (m, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.66 – 7.60 (m, 2H), 7.53 – 7.50 (m, 6H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.22 (s, 1H); **¹³C NMR** (100 MHz, CDCl₃) δ 162.95, 147.80, 146.98, 146.95, 136.90, 135.80, 134.76, 129.29, 129.10, 129.05, 128.89, 127.65, 126.99, 126.52, 126.25, 126.08, 124.61, 121.95, 120.15; **IR** (NaCl, Cm⁻¹) 3060, 1683, 1544; **HRMS** (ESI) Calcd for (C₂₂H₁₄N₂O + H)⁺ requires *m/z* 323.1297, found *m/z* 323.1297.

1b: Yellow solid; **M.P** 204 – 205 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.53 (d, *J* = 8.8 Hz, 1H), 8.47 (d, *J* = 7.6 Hz, 1H), 7.82 (t, *J* = 6.8 Hz, 1H), 7.76 – 7.70 (m, 2H), 7.62 (t, *J* = 7.2 Hz, 1H), 7.53 – 7.47 (m, 3H), 7.41 (t, *J* = 8.0 Hz, 1H), 7.20 (s, 1H), 7.07 (d, *J* = 8.4 Hz, 2H), 3.91 (s, 3H); **¹³C NMR** (100 MHz, CDCl₃) δ 162.98, 160.36, 147.98, 147.06, 146.72, 135.85, 134.77, 130.44, 129.21, 129.11, 127.67, 127.04, 126.46, 126.24, 125.98, 124.81, 124.16, 121.96, 120.07, 114.37, 55.55; **IR** (NaCl, Cm⁻¹) 3067, 2853, 1685, 1546; **HRMS** (ESI) Calcd for (C₂₃H₁₇N₂O₂ + H)⁺ requires *m/z* 353.1285, found *m/z* 353.1284.

1c: Yellow solid; **M.P** 252 – 254 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.55 (d, *J* = 8.4 Hz, 1H), 8.47 (d, *J* = 7.6 Hz, 1H), 7.83 (t, *J* = 7.6 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.65 – 7.58 (m, 2H), 7.53 (d, *J* = 7.6

Hz, 1H), 7.43 – 7.39 (m, 3H), 7.19 (s, 1H); **¹³C NMR** (100MHz, CDCl₃) δ 162.89, 147.59, 146.84, 145.79, 135.81, 135.77, 134.90, 132.21, 130.71, 129.56, 127.71, 126.71, 126.54, 126.41, 126.32, 124.71, 124.21, 123.47, 122.07, 120.19; **IR** (NaCl, Cm⁻¹) 3066, 1682, 1549; **HRMS** (ESI) Calcd for (C₂₂H₁₄BrN₂O + H)⁺ requires *m/z* 401.0284, found *m/z* 401.0284.

1d: Yellow solid; **M.P** 183 – 184 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.60 (d, *J* = 8.8 Hz, 1H), 7.84 (d, *J* = 2.4 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.65 – 7.59 (m, 2H), 7.53 (s, 5H), 7.45 (dd, *J* = 8.8 Hz, 2.8 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.20 (s, 1H), 3.99 (s, 3H); **¹³C NMR** (100 MHz, CDCl₃) δ 162.74, 158.13, 146.05, 145.79, 141.79, 137.02, 135.78, 129.12, 129.05, 128.95, 128.89, 128.29, 126.89, 126.26, 125.74, 124.83, 124.68, 122.02, 120.80, 106.40, 55.99; **IR** (NaCl, Cm⁻¹) 3071, 2850, 1680, 1487; **HRMS** (ESI) Calcd for (C₂₃H₁₇N₂O₂ + H)⁺ requires *m/z* 353.1285, found *m/z* 353.1285.

1e: Yellow solid; **M.P** 196 – 198 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.60 (d, *J* = 8.4 Hz, 1H), 7.83 (d, *J* = 2.8 Hz, 1H), 7.71 – 7.64 (m, 3H), 7.62 – 7.56 (m, 2H), 7.46 – 7.36 (m, 4H), 7.17 (s, 1H), 3.99 (s, 3H); **¹³C NMR** (100 MHz, CDCl₃) δ 162.62, 158.25, 145.76, 144.48, 141.66, 135.91, 135.81, 132.16, 130.72, 129.25, 128.32, 126.53, 126.33, 125.74, 124.86, 124.38, 123.30, 122.12, 120.87, 106.45, 55.98; **IR** (NaCl, Cm⁻¹) 3072, 2850, 1671, 1485; **HRMS** (ESI) Calcd for (C₂₃H₁₆BrN₂O₂ + H)⁺ requires *m/z* 431.0390, found *m/z* 431.0389.

8a: Yellow solid; **M.P** 253 – 255 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.55 (d, *J* = 8.4 Hz, 1H), 8.48 (d, *J* = 8.0 Hz, 1H), 7.83 (t, *J* = 7.6 Hz, 1H), 7.76 (s, 2H), 7.74 (s, 2H), 7.65 – 7.59 (m, 5H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.44 (t, *J* = 7.2 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.28 (s, 1H), 2.44 (s, 3H); **¹³C NMR** (100 MHz, CDCl₃) δ 162.92, 147.86, 146.88, 146.84, 141.94, 137.79, 137.45, 135.40, 134.82, 129.79, 129.56, 129.36, 127.68, 127.35, 127.08, 126.43, 126.33, 126.12, 124.58, 124.36, 121.98, 120.11, 21.29; **IR** (NaCl, Cm⁻¹) 3066, 2858, 1680, 1487; **HRMS** (ESI) Calcd for (C₂₉H₂₁N₂O + H)⁺ requires *m/z* 413.1648, found *m/z* 413.1647.

8b: White solid; **M.P** 217 – 219 °C; **¹H NMR** (400 MHz, CDCl₃) δ 9.56 (d, *J* = 8.8 Hz, 1H), 8.49 (d, *J* = 8.4 Hz, 1H), 7.84 (t, *J* = 8.0 Hz, 1H), 7.78 – 7.74 (m, 2H), 7.71 – 7.69 (m, 2H), 7.65 (t, *J* = 7.6 Hz, 1H), 7.59 – 7.51 (m, 6H), 7.46 – 7.40 (m, 3H), 7.32 (t, *J* = 6.8 Hz, 1H), 7.27 (s, 1H), 7.23 (d, *J* = 6.0 Hz, 1H); **¹³C NMR** (100 MHz, CDCl₃) δ 162.90, 147.85, 147.01, 146.65, 138.27, 137.15, 135.93, 135.85, 134.81, 130.10, 129.53, 129.35, 128.90, 128.12, 127.86, 127.68, 126.98, 126.95, 126.80, 126.52, 126.32, 126.12, 124.52, 124.42, 122.01, 120.16; **IR** (NaCl, Cm⁻¹) 3027, 1682, 1544, 1468; **HRMS** (ESI) Calcd for (C₃₀H₂₀N₂O + H)⁺ requires *m/z* 425.1648, found *m/z* 425.1648.

3. References

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4. ^1H and ^{13}C NMR Spectra of compounds