Supporting Information

A Convenient Strategy for Remote C-H Bond Halogenation of 8-Aminoquinolines on the C5 Position
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1. General methods.

All reactions involving air- and moisture-sensitive reagents were carried out under a nitrogen atmosphere. Toluene, DMF, 1, 2-dichloroethane, DMSO, 1, 4-dioxane and CH₃CN were distilled from appropriate drying agents prior to use. All chemicals were purchased from Aldrich and used without further purification. Thin-layer chromatography (TLC) was performed using 60 mesh silica gel plates visualized with short-wavelength UV light (254 nm). Silica gel 60 (230~400 mesh) was used for column chromatography. ¹H NMR and ¹³C NMR spectra were recorded on a Bruker INOVA-400. NMR Spectrums were recorded on a 400 instrument (400 MHz for ¹H and 100 MHz for ¹³C). Chemical shifts (δ) were measured in ppm relative to TMS δ = 0 for ¹H, or to chloroform δ = 77.0 for ¹³C as internal standard. Data are reported as follows: Chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), Coupling constants, J, are reported in hertz. Mass data were measured with Thermo Scientific DSQ II mass spectrometer.

8-Aminoquinolines were easily prepared according to the corresponding literatures.¹²

2. Experimental procedures

**General Procedure for 5-Halogenation of N-(quinolin-8-yl)benzamide.**

A mixture of N-(quinolin-8-yl)benzamide (0.2 mmol, 49.6 mg, 1.0 equiv), LiX (0.3 mmol, 1.5 equiv, X = Cl, Br, I), and K₂S₂O₈ (108 mg, 0.4 mmol, 2 equiv for chlorination and bromination; 162 mg, 0.6 mmol, 3 equiv for iodination) in MeCN was stirred under argon at 80 °C (100 °C, X = I) for 8 h followed by cooling to room temperature. The solvent removed under reduced pressure. The contents were subjected to flash chromatography to give the corresponding product as white solids (X = Cl, 0.16 mmol, 43.4 mg, 82%; X = Br, 0.19 mmol, 58.9 mg, 95%; X = I, 0.17 mmol, 63.6 mg, 85%). The purified material was dried under an oil-pump vacuum.

**Procedure for Synthesis of 5-chloroquinolin-8-amine.**³

To a mixture of N-(5-chloroquinolin-8-yl) benzamide (10 mmol, 2.8 g, 1 equiv) in EtOH (75 mL), NaOH (60 mmol, 2.4 g, 6 equiv) was added. The reaction mixture was refluxed for 12 h. The solvent was removed by vacuum, and 100 mL H₂O was added to residue. The mixture was extracted with ethyl acetate (20 mL x 3). The organic layers were combined, dried over Na₂SO₄, and the solvent was evaporated under reduced pressure to provide 5-chloroquinolin-8-amine as a
yellow solid (9.1 mmol, 2.6 g, 91%).

**Procedure for Synthesis of 5-chloro-8-iodoquinoline.**
To a 50 mL round bottom flask was added 5-chloroquinolin-8-amine (10 mmol, 1.78 g, 1 equiv), H₂O (15 mL) and HCl (3 mL). To the resulting mixture was added a solution of NaNO₂ (12 mmol, 0.83 g, 1.2 equiv) in H₂O (3 mL). The mixture was stirred at 0 °C for 30 min prior to the addition of a cooled solution of KI (20 mmol, 3.32 g, 2 equiv) in H₂O (12 mL). The reaction was stirred at 0 °C for 2.5 h. The mixture was extracted with EtOAc (30 mL x 3). The combined organic layer was dried over MgSO₄, filtered through Celite and concentrated to dryness. Purification of the crude product by column chromatography on silica gel gave 5-chloro-8-iodoquinoline as a yellow solid (6.5 mmol, 1.89 g, 65%).

**Procedure for Synthesis of N-(5-cyanoquinolin-8-yl)benzamide.**
N-(5-bromoquinolin-8-yl)benzamide (229 mg, 0.7 mmol, 1.0 equiv) and CuCN (113 mg, 1.3 mmol, 1.7 equiv) were dissolved in DMF (1 mL). The mixture was heated at 150 °C for 12 h. After cooling down to room temperature, the crude product was poured into 20 mL water. The mixture was extracted with dichloromethane (20 mL x 3). The organic phase was dried over Na₂SO₄, filtered and concentrated under reduced pressure. Purification of the crude product by column chromatography on silica gel provided 5-chloro-8-iodoquinoline as a white solid (0.29 mmol, 80.4 mg, 42%).

**Procedure for Synthesis of N-(5-phenylquinolin-8-yl)benzamide.**
To a mixture of N-(5-bromoquinolin-8-yl)benzamide (1.0 mmol, 327.2 mg, 1 equiv) and Pd(PPh₃)₄ (0.05 mmol, 57.8 mg, 5 mol %) in dioxane (35 mL) was added PhB(OH)₂ (1.5 mmol, 182.9 mg, 1.5 equiv) followed by Na₂CO₃ (2 M, 1.0 mL). The reaction was refluxed for 48 h under argon. After the removal of dioxane in vacuum, THF was added and the suspension was stirred for 1 h. The mixture was filtered, washed thoroughly with THF and the filtrate was evaporated under the reduced pressure. Purification of the residue by column chromatography on silica gel gave the corresponding product as a white solid (0.84 mmol, 272.2 mg, 84%).
Kinetic isotope effect (KIE) experiment

Following the synthesis procedure of compound 2aa, a mixture of N-(quinolin-8-yl)benzamide (1a, 0.2 mmol, 49.7 mg), 1a-D2 (0.2 mmol, 50.0 mg), LiCl (17 mg, 0.4 mmol, 1.5 equiv), and K2S2O8 (216 mg, 0.4 mmol) in MeCN (2 mL) was stirred under argon at 80 °C for 1.5 h afforded the product in 44% yield.

1H NMR analysis of the isolated product demonstrated a 1:1 ratio of H/D at the 7-position of the quinoline (Figure S1). The KIE of 1.0 was resolved for the chlorination reaction, indicating that the turnover-limiting step did not involve C-H activation.

Figure S1. 1H NMR spectrum of product of the KIE experiment
3. Characterization of the Products

2aa: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.68 (s, 1H), 8.89-8.87 (m, 2H), 8.57 (d, $J = 8.0$ Hz, 1H), 8.07 (d, $J = 8.0$ Hz, 2H), 7.64 (d, $J = 8.0$ Hz, 1H), 7.60-7.53 (m, 4H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.44, 148.77, 139.33, 134.88, 133.87, 133.49, 132.00, 128.85, 127.33, 127.28, 126.02, 124.49, 122.42, 116.48. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{16}$H$_{11}$ClN$_2$ONa$^+$: 305.0458, Found: 305.0427.

2ba: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.16 (s, 1H), 8.88 (d, $J = 8.0$ Hz, 1H), 8.81 (d, $J = 4.0$ Hz, 1H), 8.56 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 7.66 (dd, $J = 12.0$ Hz, $J = 8.0$ Hz, 2H), 7.55 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.40 (t, $J = 12.0$ Hz, 1H), 7.32 (t, $J = 8.0$ Hz, 2H), 2.60 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 168.06, 148.68, 139.11, 136.73, 136.27, 133.95, 133.35, 131.40, 130.43, 127.19, 127.18, 126.00, 125.94, 124.49, 122.33, 116.36, 20.17. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{13}$ClN$_2$ONa$^+$: 319.0614 Found: 319.0597.

2ca: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.63 (s, 1H), 8.87 (d, $J = 8.0$ Hz, 2H), 8.56 (d, $J = 8.0$ Hz, 1H), 7.84 (d, $J = 12.0$ Hz, 2H), 7.63 (d, $J = 8.0$ Hz, 1H), 7.57 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.45-7.38 (m, 2H), 2.48 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.58, 148.70, 139.23, 138.69, 134.79, 133.85, 133.37, 132.73, 128.64, 127.99, 127.25, 125.91, 124.35, 124.14, 122.34, 116.39, 21.45. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{13}$ClN$_2$ONa$^+$: 319.0614 Found: 319.0597.

2da: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.56 (s, 1H), 8.85 (d, $J = 8.0$ Hz, 2H), 8.52 (d, $J = 8.0$ Hz, 1H), 7.63-7.59 (m, 3H), 7.43 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.19 (s, 1H), 2.43 (s, 6H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.68, 148.62, 139.14, 138.42, 134.74, 133.84, 133.55, 133.24, 127.16, 125.81, 124.91, 124.20, 122.24, 116.30, 21.30. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{18}$H$_{15}$ClN$_2$ONa$^+$: 333.0771, Found: 333.0739.
**2ea:** White solid. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\): 10.61 (s, 1H), 8.85-8.83 (m, 2H), 8.53 (dd, \(J = 1.6\) Hz, \(J = 8.0\) Hz, 1H), 7.94 (d, \(J = 8.0\) Hz, 2H), 7.61 (d, \(J = 12.0\) Hz, 1H), 7.55 (dd, \(J = 4.0\) Hz, \(J = 8.0\) Hz, 1H), 7.32 (d, \(J = 8.0\) Hz, 2H), 2.43 (s, 3H). \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\): 165.28, 148.62, 142.46, 139.20, 133.88, 133.33, 131.95, 129.42, 127.22, 127.20, 125.89, 124.20, 122.28, 116.28, 21.48. HRMS (ESI) ([M+Na]\(^+\)) Calcd. for C\(_{17}\)H\(_{13}\)ClN\(_2\)ONa\(^+\): 319.0614, Found: 319.0592.

**2fa:** White solid. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\): 10.62 (s, 1H), 8.90-8.86 (m, 2H), 8.59 (d, \(J = 8.0\) Hz, 1H), 8.04 (d, \(J = 8.0\) Hz, 2H), 7.65 (d, \(J = 8.0\) Hz, 1H), 7.60 (dd, \(J = 4.0\) Hz, \(J = 8.0\) Hz, 1H), 7.04 (d, \(J = 8.0\) Hz, 2H), 3.90 (s, 3H). \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\): 164.96, 162.61, 148.67, 139.28, 134.03, 133.45, 129.16, 127.34, 127.12, 125.98, 124.14, 122.35, 116.26, 114.01, 55.47. HRMS (ESI) ([M+Na]\(^+\)) Calcd. for C\(_{17}\)H\(_{13}\)ClN\(_2\)ONa\(^+\): 335.0563, Found: 335.0525.

**2ga:** White solid. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\): 10.61 (s, 1H), 8.88 (dd, \(J = 1.2\) Hz, \(J = 4.0\) Hz, 1H), 8.83 (d, \(J = 8.0\) Hz, 1H), 8.57 (dd, \(J = 1.6\) Hz, \(J = 8.0\) Hz, 1H), 8.09-8.05 (m, 2H), 7.63 (d, \(J = 8.0\) Hz, 1H), 7.59 (dd, \(J = 4.0\) Hz, \(J = 8.0\) Hz, 1H), 7.26-7.20 (m, 2H). \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\): 165.03 (d, \(J_{C-F} = 252.0\) Hz), 164.20, 148.74, 139.16, 133.63, 133.45, 130.97 (d, \(J_{C-F} = 3.0\) Hz), 129.63 (d, \(J_{C-F} = 9.0\) Hz), 127.23, 125.94, 124.56, 122.41, 116.38, 155.88 (d, \(J_{C-F} = 22.0\) Hz). HRMS (ESI) ([M+Na]\(^+\)) Calcd. for C\(_{16}\)H\(_{10}\)ClFN\(_2\)ONa\(^+\): 323.0363, Found: 323.0337.

**2ha:** White solid. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \(\delta\): 10.64 (s, 1H), 8.89 (dd, \(J = 1.2\) Hz, \(J = 4.0\) Hz, 1H), 8.84 (d, \(J = 8.0\) Hz, 1H), 8.59 (dd, \(J = 1.2\) Hz, \(J = 8.0\) Hz, 1H), 8.01-7.99 (m, 2H), 7.65 (d, \(J = 12.0\) Hz, 1H), 7.60 (dd, \(J = 4.0\) Hz, \(J = 8.0\) Hz, 1H), 7.54-7.51 (m, 2H). \(^{13}\)C NMR (100 MHz, CDCl\(_3\)) \(\delta\): 164.24, 148.80, 139.18, 138.30, 133.55, 133.51, 133.18, 129.09, 128.67, 127.26, 125.98, 124.71, 122.46, 116.48. HRMS (ESI) ([M+Na]\(^+\)) Calcd. for C\(_{16}\)H\(_{10}\)ClF\(_2\)ONa\(^+\): 339.0068, Found: 339.0037.
2ia: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.64 (s, 1H), 8.88 (dd, $J = 1.6$ Hz, $J = 4.0$ Hz, 1H), 8.84 (d, $J = 8.0$ Hz, 1H), 8.59 (d, $J = 8.0$ Hz, 1H), 7.92 (d, $J = 8.0$ Hz, 2H), 7.67 (d, $J = 16.0$ Hz, 2H), 7.62 (d, $J = 8.0$ Hz, 1H), 7.60 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 164.33, 148.81, 139.19, 133.65, 133.54, 133.50, 132.08, 128.84, 127.26, 126.80, 125.98, 124.73, 122.47, 116.50. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{16}$H$_{10}$ClBrN$_2$ONa$^+$: 382.9563, Found: 382.9538.

2ja: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.69 (s, 1H), 8.88 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 8.83 (d, $J = 8.0$ Hz, 1H), 8.57 (dd, $J = 1.2$ Hz, $J = 8.0$ Hz, 1H), 8.15 (d, $J = 8.0$ Hz, 2H), 7.80 (d, $J = 8.0$ Hz, 2H), 7.69 (d, $J = 8.0$ Hz, 1H), 7.60 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 163.89, 148.86, 139.11, 138.01, 138.00, 133.65 (q, $J_{C-F} = 33.0$ Hz), 133.52, 133.31, 127.69, 127.19, 125.95, 125.87 (q, $J_{C-F} = 4.0$ Hz), 120.93 (d, $J_{C-F} = 271.0$ Hz), 122.50, 116.60. HRMS (ESI) ([M+K]$^+$) Calcd. for C$_{17}$H$_{10}$ClF$_3$N$_2$OK$^+$: 389.0075, Found: 389.0023.

2la: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.82 (s, 1H), 8.93-8.91 (m, 2H), 8.60-8.57 (m, 2H), 8.10 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 8.04-7.97 (m, 2H), 7.92-7.90 (m, 1H), 7.66 (d, $J = 8.0$ Hz, 1H), 7.60-7.56 (m, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.41, 148.77, 139.27, 134.94, 133.86, 133.43, 132.67, 132.00, 129.18, 128.72, 127.98, 127.93, 127.77, 127.30, 126.85, 125.97, 124.47, 123.57, 122.40, 116.48. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{20}$H$_{13}$ClN$_2$ONa$^+$: 355.0614, Found: 355.0578.

2ma: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 9.00 (dd, $J = 1.6$ Hz, $J = 4.0$ Hz, 1H), 8.13 (d, $J = 8.0$ Hz, 1H), 8.68 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.45-7.34 (m, 3H), 7.29 (d, $J = 8.0$ Hz, 1H), 7.08 (t, $J = 8.0$ Hz, 1H), 6.99 (t, $J = 8.0$ Hz, 2H), 3.60 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 172.14, 150.52, 142.34, 136.59, 136.38, 136.35, 129.30, 129.26, 129.21, 127.93, 127.59, 127.37, 126.27, 121.70, 38.50. HRMS (ESI) ([M+K]$^+$) Calcd. for C$_{17}$H$_{13}$ClN$_2$OK$^+$: 335.0353, Found: 335.0368.
2Na: Colorless oil. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.20 (s, 1H), 8.84 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 8.73 (d, $J = 8.0$ Hz, 2H), 8.52 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 1.43 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 177.18, 148.60, 139.21, 133.89, 133.24, 127.16, 125.78, 123.88, 122.17, 116.03, 40.29, 27.63. HRMS (ESI) ([M+Na$^+$]) Calcd. for C$_{14}$H$_{15}$ClN$_2$ONa$: 285.0771, Found: 285.0748.

2oa: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 9.72 (s, 1H), 8.83 (s, 1H), 8.69 (d, $J = 8.0$ Hz, 1H), 8.54 (d, $J = 8.0$ Hz, 1H), 7.58-7.54 (m, 2H), 2.35 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 168.71, 148.52, 138.70, 133.72, 133.34, 127.17, 125.81, 124.13, 122.26, 116.24, 25.08. HRMS (ESI) ([M+Na$^+$]) Calcd. for C$_{11}$H$_{9}$ClN$_2$ONa$: 243.0301, Found: 243.0283.

2ab: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.69 (s, 1H), 8.85-8.81 (m, 2H), 8.53-8.50 (m, 1H), 8.06 (d, $J = 8.0$ Hz, 2H), 7.83 (dd, $J = 1.6$ Hz, $J = 8.4$ Hz, 1H), 7.61-7.52 (m, 4H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.34, 148.72, 139.35, 135.96, 134.78, 134.43, 131.99, 130.92, 128.81, 127.24, 127.19, 122.70, 116.95, 114.38. HRMS (ESI) ([M+Na$^+$]) Calcd. for C$_{16}$H$_{11}$BrN$_2$ONa$: 348.9952, Found: 348.9925.

2bb: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.18 (s, 1H), 8.83 (d, $J = 8.0$ Hz, 1H), 8.78 (dd, $J = 1.6$ Hz, $J = 4.0$ Hz, 1H), 8.52 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 7.84 (d, $J = 8.0$ Hz, 1H), 7.67 (d, $J = 7.6$ Hz, 1H), 7.50 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.43-7.39 (m, 1H), 7.32 (t, $J = 8.0$ Hz, 2H), 2.60 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 168.07, 148.70, 139.22, 136.75, 136.23, 135.92, 134.58, 131.42, 130.86, 130.46, 127.18, 126.01, 122.67, 116.91, 114.44, 20.20. HRMS (ESI) ([M+Na$^+$]) Calcd. for C$_{17}$H$_{13}$BrN$_2$ONa$: 363.0109, Found: 363.0099.
2cb: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.63 (s, 1H), 8.84-8.79 (m, 2H), 8.50 (d, $J = 8.0$ Hz, 1H), 7.82 (t, $J = 12$ Hz, 3H), 7.55 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.44-7.37 (m, 2H), 2.47 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.51, 148.67, 139.31, 138.66, 135.88, 134.73, 134.46, 132.72, 130.87, 128.62, 127.97, 127.12, 124.12, 116.91, 114.27, 21.44. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{13}$BrN$_2$ONa$: 363.0109, Found: 363.0099.

![Image of 2cb](image)

2db: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.61 (s, 1H), 8.87 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 8.82 (d, $J = 8.0$ Hz, 1H), 8.52 (dd, $J = 1.2$ Hz, $J = 8.0$ Hz, 1H), 7.82 (d, $J = 8.0$ Hz, 1H), 7.64 (s, 2H), 7.57 (dd, $J = 4.4$ Hz, $J = 8.0$ Hz, 1H), 7.21 (s, 1H), 2.44 (s, 6H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.80, 148.70, 139.38, 138.48, 135.92, 134.81, 134.57, 133.62, 130.92, 127.16, 124.96, 122.64, 116.96, 114.22, 21.34. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{18}$H$_{15}$BrN$_2$ONa$: 377.0265, Found: 377.0248.

![Image of 2db](image)

2eb: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.63 (s, 1H), 8.33 (dd, $J = 1.6$ Hz, $J = 4.0$ Hz, 1H), 8.80 (d, $J = 12$ Hz, 1H), 8.49 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 7.94 (d, $J = 8.0$ Hz, 1H), 7.80 (d, $J = 8.0$ Hz, 1H), 7.54 (dd, $J = 4.0$, $J = 8.0$ Hz, 1H), 7.32 (d, $J = 8.0$ Hz, 1H), 2.44 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.25, 148.63, 142.49, 139.29, 135.86, 134.50, 131.90, 129.43, 127.21, 127.11, 122.62, 116.82, 114.15, 21.51. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{13}$BrN$_2$ONa$: 363.0109, Found: 363.0101.

![Image of 2eb](image)

2fb: White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.64 (s, 1H), 8.86 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 8.81 (d, $J = 8.0$ Hz, 1H), 8.54 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 8.06-8.03 (m, 2H), 7.83 (d, $J = 12.0$ Hz, 1H), 7.58 (dd, $J = 4.0$, $J = 8.0$ Hz, 1H), 7.05-7.03 (m, 2H), 3.90 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 164.93, 162.62, 148.67, 139.41, 135.99, 134.67, 131.00, 129.17, 127.22, 127.09, 122.68, 116.82, 114.06, 114.01, 55.47. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{13}$BrN$_2$O$_2$Na$: 379.0058, Found: 379.0044.

![Image of 2fb](image)
**2gb:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.63 (s, 1H), 8.85-8.84 (m, 1H), 8.78 (d, $J = 8.0$ Hz, 1H), 8.54-8.52 (m, 1H), 8.09-8.06 (m, 2H), 7.83 (d, $J = 8.0$ Hz, 1H), 7.60-7.56 (m, 1H), 7.27-7.20 (m, 2H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.05 (d, $J_{C-F} = 251.0$ Hz), 164.20, 148.76, 139.30, 136.03, 134.28, 130.96 (d, $J_{C-F} = 3.0$ Hz), 130.91, 129.64 (d, $J_{C-F} = 9.0$ Hz), 127.20, 122.75, 116.94, 115.89 (d, $J_{C-F} = 22.0$ Hz), 114.51. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{16}$H$_{10}$BrFN$_2$ONa$: 366.9858$, Found: 366.9842.

**2hb:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.67 (s, 1H), 8.87-8.84 (m, 1H), 8.79 (t, $J = 8.0$ Hz, 1H), 8.57-8.52 (m, 2H), 8.01-7.98 (m, 1H), 7.83 (t, $J = 12.0$ Hz, 1H), 7.61-7.56 (m, 1H), 7.53-7.50 (m, 2H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 164.25, 148.82, 139.33, 138.32, 136.08, 134.21, 133.17, 130.94, 129.10, 128.68, 127.25, 122.80, 117.05, 114.66. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{16}$H$_{10}$BrClN$_2$ONa$: 382.9563$, Found: 382.9542.

**2ib:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.64 (s, 1H), 8.86-8.84 (m, 1H), 8.77 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 8.54-8.51 (m, 1H), 7.93-7.90 (m, 2H), 7.83 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.68-7.66 (m, 2H), 7.60-7.56 (m, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 164.30, 148.79, 139.27, 136.03, 134.15, 133.59, 132.05, 130.90, 128.81, 127.19, 126.80, 122.77, 117.02, 114.66. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{16}$H$_{10}$Br$_2$N$_2$ONa$: 426.9058$, Found: 426.9060.

**2jb:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.70 (s, 1H), 8.84 (dd, $J = 1.6$ Hz, $J = 4.0$ Hz, 1H), 8.76 (d, $J = 8.0$ Hz, 1H), 8.51 (dd, $J = 1.6$ Hz, $J = 8.0$ Hz, 1H), 8.14 (d, $J = 8.0$ Hz, 2H), 8.10 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 3H), 7.58 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 163.86, 148.86, 139.21, 137.97, 136.05, 133.94, 133.63 (q, $J_{C-F} = 33.0$ Hz), 130.84, 127.68, 127.18, 125.86 (q, $J_{C-F} = 4.0$ Hz), 123.63 (d, $J_{C-F} = 271.0$ Hz), 122.82, 177.11, 114.94. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{10}$BrF$_3$N$_2$ONa$: 416.9826$, Found: 416.9858.

**2lb:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.83 (s, 1H), 8.89-8.86 (m, 2H), 8.57-8.53 (m,
2H), 8.10 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 8.04-8.02 (m, 1H), 7.98 (d, J = 8.0 Hz, 1H), 7.92-7.90 (m, 1H), 7.85 (d, J = 8.0 Hz, 1H), 7.62-7.56 (m, 3H). \(^{13}C\) NMR (100 MHz, CDCl\(_3\)) \(\delta\): 165.41, 148.78, 139.43, 136.01, 134.96, 134.53, 132.69, 132.00, 130.98, 129.19, 128.73, 127.99, 127.95, 127.78, 127.24, 126.85, 123.57, 122.72, 117.05, 114.43. HRMS (ESI) ([M+Na\(^+\)]\(^{+}\)) Calcd. for C\(_{20}\)H\(_{13}\)BrN\(_2\)ONa\(^+\): 399.0109, Found: 399.0086.

![Image](https://via.placeholder.com/150)

**2mb:** White solid. \(^1H\) NMR (400 MHz, CDCl\(_3\)) \(\delta\): 8.97 (dd, J = 1.6 Hz, J = 4.0 Hz, 1H), 8.45 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.63 (d, J = 8.0 Hz, 1H), 7.50 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.27 (dd, J = 1.6 Hz, J = 8.0 Hz, 3H), 7.08 (t, J = 8.0 Hz, 1H), 6.99 (t, J = 8.0 Hz, 2H), 3.55 (s, 3H). \(^{13}C\) NMR (100 MHz, CDCl\(_3\)) \(\delta\): 172.00, 151.06, 144.38, 142.35, 136.37, 135.77, 129.89, 129.42, 129.22, 128.38, 127.82, 127.46, 122.75, 120.93, 38.52. HRMS (ESI) ([M+Na\(^+\)]\(^{+}\)) Calcd. for C\(_{17}\)H\(_{13}\)BrN\(_2\)ONa\(^+\): 363.0109, Found: 363.0111.

![Image](https://via.placeholder.com/150)

**2nb:** Colorless oil. \(^1H\) NMR (400 MHz, CDCl\(_3\)) \(\delta\): 10.21 (s, 1H), 8.80 (dd, J = 1.2 Hz, J = 4.0 Hz, 1H), 8.67 (d, J = 8.0 Hz, 1H), 8.47 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.76 (d, J = 8.0 Hz, 1H), 7.52 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 1.41 (s, 9H). \(^{13}C\) NMR (100 MHz, CDCl\(_3\)) \(\delta\): 177.21, 148.61, 139.35, 135.81, 134.53, 130.84, 127.03, 122.51, 116.62, 113.82, 40.32, 27.62. HRMS (ESI) ([M+Na\(^+\)]\(^{+}\)) Calcd. for C\(_{14}\)H\(_{15}\)BrN\(_2\)ONa\(^+\): 329.0265, Found: 329.0253.

![Image](https://via.placeholder.com/150)

**2ob:** White solid. \(^1H\) NMR (400 MHz, CDCl\(_3\)) \(\delta\): 9.73 (s, 1H), 8.77 (dd, J = 4.0 Hz, J = 12.0 Hz, 1H), 8.61 (q, J = 8.0 Hz, 1H), 8.50-8.42 (m, 1H), 7.76-7.70 (m, 1H), 7.55-7.49 (m, 1H), 2.34 (s, 3H). \(^{13}C\) NMR (100 MHz, CDCl\(_3\)) \(\delta\): 168.73, 148.53, 138.83, 135.91, 134.35, 130.84, 127.06, 122.59, 116.80, 114.06, 25.11. HRMS (ESI) ([M+Na\(^+\)]\(^{+}\)) Calcd. for C\(_{11}\)H\(_9\)BrN\(_2\)ONa\(^+\): 286.9796, Found: 286.9783.

![Image](https://via.placeholder.com/150)

**2ac:** Pale yellow solid. \(^1H\) NMR (400 MHz, CDCl\(_3\)) \(\delta\): 10.69 (s, 1H), 8.77 (d, J = 1.6 Hz, 1H), 8.67 (d, J = 8.0 Hz, 1H), 8.33 (d, J = 8.0 Hz, 1H), 8.06 (t, J = 12.0 Hz, 1H), 7.58-7.49 (m, 3H). \(^{13}C\) NMR (100 MHz, CDCl\(_3\)) \(\delta\): 165.28, 148.78, 140.65, 139.18, 138.20, 135.36, 134.73, 131.99,
129.52, 128.80, 127.23, 123.16, 117.78, 89.53. HRMS (ESI) ([M+Na]^+) Calcd. for C_{16}H_{11}IN_{2}ONa^+: 396.9814, Found: 396.9804.

2bc: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.22 (s, 1H), 8.72 (t, J = 8.0 Hz, 2H), 8.37 (d, J = 8.0 Hz, 1H), 7.68 (d, J = 8.0 Hz, 1H), 7.52 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.41 (t, J = 8.0 Hz, 1H), 7.32 (t, J = 8.0 Hz, 2H), 2.60 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ: 168.07, 148.78, 140.66, 139.12, 135.67, 136.76, 136.22, 135.55, 131.42, 130.47, 129.57, 127.19, 126.01, 123.16, 117.77, 89.58, 20.22. HRMS (ESI) ([M+H]^+) Calcd. for C_{17}H_{14}IN_{2}O^+: 389.0151, Found: 389.0183.

2cc: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.67 (s, 1H), 8.80 (d, J = 4.0 Hz, 1H), 8.69 (d, J = 8.0 Hz, 1H), 8.35 (d, J = 8.0 Hz, 1H), 8.09 (d, J = 8.0 Hz, 1H), 7.85 (t, J = 8.0 Hz, 1H), 7.53 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.44-7.38 (m, 2H), 2.47 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ: 165.54, 148.77, 140.64, 139.21, 138.67, 138.22, 135.44, 134.74, 132.74, 129.52, 128.63, 127.99, 124.14, 123.13, 117.79, 89.42, 21.46. HRMS (ESI) ([M+H]^+) Calcd. for C_{17}H_{14}IN_{2}O^+: 389.0151, Found: 389.0201.

2dc: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.65 (s, 1H), 8.83 (dd, J = 1.6 Hz, J = 4.4 Hz, 1H), 8.71 (d, J = 8.0 Hz, 1H), 8.38 (dd, J = 1.2 Hz, J = 8.0 Hz, 1H), 8.12 (d, J = 8.0 Hz, 1H), 8.65 (s, 2H), 7.55 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.22 (s, 1H), 2.44 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ: 165.86, 148.81, 140.71, 139.32, 138.51, 138.30, 135.58, 134.85, 133.66, 129.60, 125.00, 123.16, 117.88, 89.35, 21.36. HRMS (ESI) ([M+Na]^+) Calcd. for C_{18}H_{15}IN_{2}ONa^+: 425.0127, Found: 425.0132.

2ec: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.70 (s, 1H), 8.81-8.80 (m, 1H), 8.70 (d, J = 8.0 Hz, 1H), 8.37 (dd, J = 1.6 Hz, J = 8.0 Hz, 1H), 8.11 (d, J = 8.0 Hz, 1H), 7.96 (d, J = 8.0 Hz, 1H), 7.55 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.34 (d, J = 8.0 Hz, 2H), 2.45 (s, 3H). ¹³C NMR (100 MHz,

2fc: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.64 (s, 1H), 8.81-8.79 (m, 1H), 8.68 (t, J = 8.0 Hz, 1H), 8.38-8.33 (m, 1H), 8.12-8.02 (m, 3H), 7.56-7.51 (m, 1H), 7.04-7.01 (m, 2H), 3.89 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ: 164.93, 162.64, 148.74, 140.72, 139.31, 138.32, 135.65, 129.61, 129.17, 127.10, 123.15, 117.70, 114.01, 89.10, 55.47. HRMS (ESI) ([M+H]⁺) Calcd. for C₁₇H₁₄IN₂O⁺: 405.0100, Found: 405.0105.

2gc: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.65 (s, 1H), 8.79 (dd, J = 1.2 Hz, J = 4.0 Hz, 1H), 8.64 (d, J = 8.0 Hz, 1H), 8.35 (dd, J = 1.6 Hz, J = 8.0 Hz, 1H), 8.10-8.04 (m, 3H), 7.54 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H), 7.24-7.18 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ: 166.29, 164.15, 163.78, 148.81, 140.73, 139.15, 138.21, 135.22, 130.93 (d, J_C-F = 3.0 Hz), 129.64 (d, J_C-F = 9.0 Hz), 129.56 123.21, 117.77, 115.88 (d, J_C-F = 22.0 Hz), 89.63. HRMS (ESI) ([M+Na]⁺) Calcd. for C₁₆H₁₀FIN₂ONa⁺: 414.9720 Found: 414.9713.

2hc: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.64 (s, 1H), 8.77 (d, J = 4.0 Hz, 1H), 8.62 (d, J = 8.0 Hz, 1H), 8.33 (d, J = 8.0 Hz, 1H), 8.07 (d, J = 8.0 Hz, 1H), 7.96 (d, J = 8.0 Hz, 2H), 7.53-7.48 (m, 3H). ¹³C NMR (100 MHz, CDCl₃) δ: 164.08, 148.81, 140.69, 139.06, 138.27, 138.15, 135.07, 133.04, 129.51, 129.03, 128.62, 123.21, 117.79, 89.79. HRMS (ESI) ([M+H]⁺) Calcd. for C₁₆H₁₁ClIN₂O⁺: 408.9605, Found: 408.9599.

2ic: White solid. ¹H NMR (400 MHz, CDCl₃) δ: 10.69 (s, 1H), 8.81 (dd, J = 1.2 Hz, J = 4.0 Hz, 1H), 8.66 (d, J = 8.0 Hz, 1H), 8.38 (dd, J = 1.6 Hz, J = 8.0 Hz, 1H), 8.11 (d, J = 8.0 Hz, 2H), 7.93-7.91 (m, 2H), 7.69-7.66 (m, 2H), 7.56 (dd, J = 4.0 Hz, J = 8.0 Hz, 1H). ¹³C NMR (100 MHz, CDCl₃) δ: 164.34, 148.89, 140.80, 139.17, 138.24, 135.14, 133.61, 132.07, 129.62, 128.84, 126.83, 123.28, 117.89, 89.84. HRMS (ESI) ([M+H]⁺) Calcd. for C₁₆H₁₁BrIN₂O⁺: 452.9099, Found: 452.9132.
**2jc:** Bright, yellow solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.73 (s, 1H), 8.79 (dd, $J = 1.6$ Hz, $J = 4.0$ Hz, 1H), 8.64 (d, $J = 8.0$ Hz, 1H), 8.36 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 8.14 (d, $J = 12.0$ Hz, 2H), 8.09 (d, $J = 8.0$ Hz, 1H), 7.80 (d, $J = 8.0$ Hz, 2H), 7.55 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 13C NMR (101 MHz, CDCl$_3$) $\delta$: 163.85, 148.93, 140.78, 139.06, 138.16, 137.95, 134.89, 133.57 (q, $J_{C,F} = 32.0$ Hz), 129.57, 127.69, 125.86 (q, $J_{C,F} = 4.0$ Hz), 123.62 (q, $J_{C,F} = 271.0$ Hz), 123.30, 117.94, 90.16. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{10}$IF$_3$N$_2$ONa$: 464.9688$, Found: 464.9669$. 

**2lb:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.85 (s, 1H), 8.83-8.82 (m, 2H), 8.74-8.71 (m, 1H), 8.56 (s, 1H), 8.37-8.34 (m, 1H), 8.13-8.07 (m, 2H), 8.02 (d, $J = 8.0$ Hz, 1H), 7.97 (d, $J = 8.0$ Hz, 1H), 7.90 (d, $J = 8.0$ Hz, 1H), 7.62-7.51 (m, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.36, 148.82, 140.68, 139.23, 138.25, 135.43, 134.92, 132.63, 131.91, 129.56, 129.17, 128.69, 127.98, 127.93, 127.75, 126.83, 123.53, 123.18, 117.85, 89.56. HRMS (ESI) ([M+H]$^+$) Calcd. for C$_{20}$H$_{14}$IN$_2$O$: 425.0151$, Found: 425.0148$. 

**2mc:** Pale yellow solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 8.93 (d, $J = 4.0$ Hz, 1H), 8.30 (d, $J = 4.0$ Hz, 1H), 7.93 (d, $J = 4.0$ Hz, 1H), 7.48 (ddd, $J = 1.6$ Hz, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 7.28 (d, $J = 8.0$ Hz, 2H), 7.15 (d, $J = 8.0$ Hz, 1H), 7.10 (t, $J = 8.0$ Hz, 1H), 7.00 (t, $J = 8.0$ Hz, 2H), 3.56 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$: 172.00, 151.20, 144.23, 143.46, 143.46, 140.60, 137.21, 136.39, 130.95, 129.88, 129.46, 127.87, 127.49, 123.31, 97.32, 38.57. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{13}$IO$_2$ONa$: 410.9970$, Found: 410.9947$. 

**2nc:** Colorless oil. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.23 (s, 1H), 8.73 (dd, $J = 4.0$ Hz, $J = 4.4$ Hz, 1H), 8.54 (d, $J = 8.0$ Hz, 1H), 8.28 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 8.02 (d, $J = 8.0$ Hz, 1H), 7.47 (dd, $J = 4.0$ Hz, $J = 8.0$ Hz, 1H), 1.41 (s, 9H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 177.16, 148.64, 140.47, 139.18, 138.11, 135.44, 129.34, 122.95, 117.47, 88.89, 40.31, 27.60. HRMS (ESI)
([M+Na]+) Calcd. for C_{14}H_{15}IN_{2}ONa+: 377.0127, Found: 377.0112.

![Image](image1.png)

**2oc:** White solid. $^1$H NMR (400 MHz, CDCl$_3$) δ: 9.79 (s, 1H), 8.76-8.75 (m, 1H), 8.53 (d, $J$ = 8.0 Hz, 1H), 8.37-8.35 (m, 1H), 8.06 (d, $J$ = 8.0 Hz, 1H), 7.53 (dd, $J$ = 4.0 Hz, $J$ = 8.0 Hz, 1H), 2.35 (s, 3H). $^{13}$C NMR (100 MHz, CDCl$_3$) δ: 168.80, 148.65, 140.73, 138.79, 138.23, 135.37, 129.51, 123.12, 117.74, 89.20, 25.17. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{11}$H$_9$IN$_2$ONa+: 334.9657, Found: 334.9647.

![Image](image2.png)

Yellow solid. $^1$H NMR (400 MHz, CDCl$_3$) δ: 8.80 (dd, $J$ = 1.6 Hz, $J$ = 4.0 Hz, 1H), 8.47 (dd, $J$ = 4.0 Hz, $J$ = 8.0 Hz, 1H), 7.49 (dd, $J$ = 4.0 Hz, $J$ = 8.0 Hz, 1H), 7.39 (d, $J$ = 8.0 Hz, 1H), 6.83 (d, $J$ = 8.0 Hz, 1H), 5.12 (s, 2H). $^{13}$C NMR (100 MHz, CDCl$_3$) δ: 147.75, 143.24, 138.71, 132.89, 127.21, 126.50, 122.05, 118.03, 109.48. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_9$H$_7$ClIN$_2$Na+: 201.0195, Found: 201.0174.

![Image](image3.png)

Yellow solid. $^1$H NMR (400 MHz, CDCl$_3$) δ: 8.98 (d, $J$ = 1.6 Hz, 1H), 8.45-8.41 (m, 1H), 8.20-8.16 (m, 1H), 7.51-7.48 (m, 1H), 7.31-7.27 (m, 1H), 5.12 (s, 2H). $^{13}$C NMR (100 MHz, CDCl$_3$) δ: 151.81, 147.28, 139.16, 133.44, 132.29, 127.49, 126.59, 122.61, 101.81. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_9$H$_5$ClINNa+: 311.9053, Found: 311.9041.

![Image](image4.png)

White solid. $^1$H NMR (400 MHz, CDCl$_3$) δ: 10.89 (s, 1H), 8.97 (t, $J$ = 8.0 Hz, 2H), 8.54 (d, $J$ = 8.0 Hz, 1H), 8.07 (d, $J$ = 4.0 Hz, 2H), 8.01 (d, $J$ = 8.0 Hz, 1H), 7.69 (dd, $J$ = 4.0 Hz, $J$ = 8.0 Hz, 1H), 7.62 (t, $J$ = 8.0 Hz, 1H), 7.57 (t, $J$ = 8.0 Hz, 2H). $^{13}$C NMR (100 MHz, CDCl$_3$) δ: 165.59, 149.54, 139.11, 137.76, 134.79, 134.15, 134.02, 132.48, 128.95, 127.72, 127.35, 123.79, 117.00, 115.22, 103.02. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{17}$H$_{11}$N$_3$ONa$: 296.0800, Found: 296.0783.
White solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$: 10.86(s, 1H), 8.99(d, $J$ = 8.0 Hz, 1H), 8.87(dd, $J$ = 1.6 Hz, $J$ = 4.0 Hz, 1H), 8.33(dd, $J$ = 4.0 Hz, $J$ = 8.0 Hz, 1H), 8.12(dd, $J$ = 1.6 Hz, $J$ = 8.0 Hz, 2H), 7.61-7.55(m, 4H), 7.53-7.43(m, 6H). $^{13}$C NMR (100 MHz, CDCl$_3$) $\delta$: 165.48, 148.07, 139.19, 138.82, 135.17, 134.85, 134.48, 133.97, 131.86, 130.11, 128.81, 128.51, 128.00, 127.49, 127.32, 126.36, 121.61, 116.14. HRMS (ESI) ([M+Na]$^+$) Calcd. for C$_{22}$H$_{16}$N$_2$ONa$: 347.1160, Found: 347.1177.
4. NMR Charts
Crystal structure.

X-ray single-crystal for N-(5-chloroquinolin-8-yl)benzamide 2aa (CCDC 1507063)
5. References.

5. Tran, C.; Gallavardin, T.; Petit, M.; Slimi, R.; Dhimane, H.; Blanchard-Desce, M.; Acher, F.