Organic & Biomolecular Chemistry

Simple and Efficient one-pot multi-step strategy for synthesis of 2-substituted (1,2,5-triarylpyrrolo[3,2-c]pyridin-3-yl)-N- arylacetamide derivatives in water

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Electronic Supplementary Information (ESI)

Supplementary Information Available: complete product characterization data, analytical details.

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General information
All reagents were purchased from commercial suppliers and were used without further purification. The reactions were monitored by thin-layer chromatography (TLC) analysis using silica gel (GF254) plates. $^1$H NMR spectra were recorded on a 400 MHz instrument (Bruker Avance 400 Spectrometer). Chemical shifts ($\delta$) are given in ppm relative to TMS as the internal reference, with coupling constants ($J$) in Hz. $^{13}$C NMR spectra were recorded at 100 MHz. Chemical shift were reported in ppm with the internal chloroform signal at 77.7 ppm and dimethyl sulfoxide signal at 39.9 ppm as a standard. HRMS (ESI) was measured with a Waters XEVO G2-XS QTOF/H-CLASS instrument. Single-crystal X-ray diffraction measurement was carried out on a Rigaku Saturn CCD diffractometer at 100(2) K using graphite monochromated Mo Kα radiation ($\lambda = 0.71073$ Å). The structure was solved by direct methods and refined by full-matrix least squares on $F^2$ using the SHELXTL-97 program package.

X-ray Crystallography
Single-crystal X-ray diffraction measurement was carried out on a Rigaku Saturn CCD diffractometer at 100.00(10) K using graphite monochromated CuKα radiation ($\lambda = 1.54184$). An empirical absorption correction was applied using the SADABS program. The structure was solved by direct methods and refined by full-matrix least squares on $F^2$ using the SHELXTL-97 program package.

General procedure for the synthesis of products 5.
Typically, 6-methyl-1-aryl-4-(phenylamino)pyridin-2(1H)-one 1 (0.4 mmol), 2,2-dihydroxy-1-phenylethan-1-one 2 (0.4 mmol), and Meldrum acid 3 (0.4 mmol) were introduced in a 10-mL reaction vial with acetic acid (0.04 mmol) and water (3 mL). Subsequently, the reaction vial was stirred and heated at 80 °C. The reaction was monitored by TLC until conversion of the substrates was complete about 2 hours. The mixture was cooled to room temperature. The resulted precipitate was filtered and the crude products were further purified by recrystallization from the mixture of hot 95% ethanol and N,N-dimethylformamide to give the pure products 5.
General procedure for the synthesis of products 7.

Similarly, 6-methyl-1-aryl-4-(phenylamino)pyridin-2(1H)-one 1 (0.4 mmol), 2,2-dihydroxy-1-phenylethan-1-one 2 (0.4 mmol), and Meldrum acid 3 (0.4 mmol) were introduced in a 10-mL reaction vial with acetic acid (0.04 mmol) and water (3 mL). Subsequently, the reaction vial was stirred and heated 80 °C for about 2 hours. Then, alcohol 6 (0.8 mmol) was added the mixture and stirred for another 2 hours. The mixture was cooled and the precipitate was filtered. The crude products were further purified by recrystallization from hot 95% ethanol to give the pure products 7.

General procedure for the synthesis of products 9.

Similarly, 6-methyl-1-aryl-4-(phenylamino)pyridin-2(1H)-one 1 (0.4 mmol), 2,2-dihydroxy-1-phenylethan-1-one 2 (0.4 mmol), and Meldrum acid 3 (0.4 mmol) were introduced in a 10-mL reaction vial with acetic acid (0.04 mmol) and water (3 mL). Subsequently, the reaction vial was stirred and heated 80 °C for about 2 hours. Then, alcohol 6 (0.8 mmol) was added the mixture and stirred for another 2 hours. Lastly, amine 8 (0.4 mmol) was added above mixture for about 4 hours indicated by TLC. The crude products were further purified by recrystallization from the mixture of hot 95% ethanol and N,N-dimethylformamide to give the pure desired products 9.

Spectral data of the compounds

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5a)
Pale yellow solid; Mp: >300 °C; 1H NMR (400 MHz, CDCl₃, TMS): δ 7.54-7.62 (m, 3H, ArH), 7.45 (t, J = 8.0 Hz, 5H, ArH), 7.29-7.30 (m, 2H, ArH), 7.17-7.22 (m, 4H, ArH), 6.39 (s, 1H, CH), 3.78 (s, 2H, CH₂), 2.05 (s, 3H, CH₃); 13C NMR (100 MHz, CDCl₃, TMS): δ 172.3, 162.8, 141.0, 140.5, 138.2, 136.5, 136.1, 132.3, 131.6, 129.9, 129.8, 129.2, 128.6, 128.2, 128.0, 127.6, 122.6, 112.5, 112.0, 96.6, 33.9, 22.1; HRMS (ESI) m/z calcd for C₂₈H₂₂BrN₂O₃ [M + H]⁺: 513.0808, found: 513.0811.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-di-p-tolyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5b)
White powder; Mp: >300 °C; 1H NMR (400 MHz, CDCl₃, TMS): δ 13.90 (s, 1H, OH),
7.34-7.41 (m, 4H, ArH), 7.13-7.19 (m, 6H, ArH), 7.01 (s, 2H, ArH), 6.31 (s, 1H, CH), 3.72 (s, 2H, CH), 2.43 (s, 3H, CH), 2.39 (s, 3H, CH), 2.01 (s, 3H, CH); \(^{13}\text{C NMR}\) (100 MHz, CDCl\(_3\), TMS): δ 172.2, 162.5, 141.2, 141.3, 140.5, 140.4, 140.3, 138.2, 136.5, 136.1, 132.3, 131.6, 129.2, 128.6, 128.1, 128.0, 127.6, 96.6, 33.9, 22.6, 22.1; HRMS (ESI) m/z calcd for C\(_{30}\)H\(_{26}\)BrN\(_2\)O\(_3\) [M + H]\(^+\): 541.1121, found: 541.1116.

2-(1,5-bis(4-fluorophenyl)-6-methyl-4-oxo-2-phenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5c)

Pale yellow solid; Mp: >300 °C; \(^1\text{H NMR}\) (400 MHz, CDCl\(_3\), TMS): δ 7.28-7.39 (m, 8H, ArH), 7.11-7.18 (m, 8H, ArH), 6.35 (s, 1H, CH), 3.79 (s, 2H, CH), 2.07 (s, 3H, CH); \(^{13}\text{C NMR}\) (100 MHz, CDCl\(_3\), TMS): δ 172.2, 162.9, 162.6 (\(^1\text{J}\text{CF} = 247.8\) Hz), 162.0 (\(^1'\text{J}\text{CF} = 248.0\) Hz), 140.8, 140.2, 137.6, 134.1 (\(^4\text{J}\text{CF} = 2.8\) Hz), 132.7 (\(^4'\text{J}\text{CF} = 2.8\) Hz), 130.9, 130.1 (\(^3\text{J}\text{CF} = 8.6\) Hz), 129.3 (\(^3'\text{J}\text{CF} = 8.7\) Hz), 128.8, 128.5, 128.3, 127.9, 116.9 (\(^2\text{J}\text{CF} = 22.9\) Hz), 116.6 (\(^2'\text{J}\text{CF} = 22.9\) Hz), 112.4, 111.7, 96.5, 33.8, 22.1; HRMS (ESI) m/z calcd for C\(_{28}\)H\(_{21}\)BrN\(_2\)O\(_3\) [M + H]\(^+\): 471.1515, found: 471.1511.

2-(1,5-dibenzyl-2-(4-bromophenyl)-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5d)

Pale yellow solid; Mp: 230-232 °C; \(^1\text{H NMR}\) (400 MHz, CDCl\(_3\), TMS): δ 7.59 (d, \(J = 8.4\) Hz, 2H, ArH), 7.28-7.37 (m, 8H, ArH), 7.17 (d, \(J = 6.8\) Hz, 2H, ArH), 6.93 (d, \(J = 7.6\) Hz, 2H, ArH), 5.51 (s, 2H, CH), 5.18 (s, 2H, CH), 3.74 (s, 2H, CH), 2.37 (s, 3H, CH); \(^{13}\text{C NMR}\) (100 MHz, CDCl\(_3\), TMS): δ 172.4, 168.4, 140.2, 140.1, 136.6, 136.5, 136.4, 132.5, 132.0, 129.1, 128.9, 127.9, 127.5, 126.3, 125.7, 123.5, 112.2, 111.3, 97.0, 48.0, 47.2, 34.0, 21.3; HRMS (ESI) m/z calcd for C\(_{30}\)H\(_{26}\)BrN\(_2\)O\(_3\) [M + H]\(^+\): 541.1129, found: 541.1129.

2-(2-(4-bromophenyl)-1,5-dibutyl-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5e)

Gray powder; Mp: >300 °C; \(^1\text{H NMR}\) (400 MHz, CDCl\(_3\), TMS): δ 7.62 (d, \(J = 8.4\) Hz, 2H, ArH), 7.28 (d, \(J = 8.4\) Hz, 2H, ArH), 6.37 (s, 1H, CH), 4.12 (t, \(J = 7.6\) Hz, 2H, CH), 3.88 (d, \(J = 7.2\) Hz, 2H, CH), 3.58 (s, 2H, CH), 2.51 (s, 3H, CH), 1.66-1.70 (m, 2H, CH), 1.40-1.47 (m, 4H, CH), 1.04-1.10 (m, 2H, CH), 0.96 (t, \(J = 7.2\) Hz, 3H, CH), 0.75 (t, \(J = 7.2\) Hz, 2H, CH); \(^{13}\text{C NMR}\) (100 MHz, CDCl\(_3\), TMS): δ 172.6, 162.0, 139.3, 138.8, 135.8, 132.5, 132.0, 128.5, 123.2, 112.2, 110.8, 96.6, 44.4, 44.1, 32.8, 32.3, 31.2, 21.1, 20.3, 19.8, 13.8, 13.5; HRMS (ESI) m/z calcd for C\(_{24}\)H\(_{30}\)BrN\(_2\)O\(_3\) [M + H]\(^+\):
473.1434, found: 473.1433.

2-(6-methyl-4-oxo-1,2,5-triphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5f)
White solid; Mp: 285-286 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 7.49-7.61 (m, 5H, ArH), 7.40-7.46 (m, 4H, ArH), 7.31-7.34 (m, 9H, ArH), 7.24-7.28 (m, 2H, ArH), 7.19 (d, \(J = 7.6\) Hz, 2H, ArH), 6.40 (s, 1H, CH), 3.81 (s, 2H, CH), 2.06 (s, 3H, CH\(_3\)); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), TMS): \(\delta\) 172.5, 162.9 140.9, 140.1, 138.4, 137.5, 136.9, 131.0, 129.9, 129.6, 129.5, 129.4, 129.1, 129.0, 128.8, 128.4, 128.1, 127.8, 127.6, 127.5, 112.6, 111.7, 96.7, 33.9, 22.2; HRMS (ESI) m/z calcd for C\(_{28}\)H\(_{23}\)N\(_2\)O\(_3\) [M + H]\(^+\): 435.1703, found: 435.1698.

2-(2-(4-fluorophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetic acid (5g)
Pale yellow solid; Mp: >300 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 7.54-7.62 (m, 3H, ArH), 7.42-7.46 (m, 3H, ArH), 7.29-7.33 (m, 5H, ArH), 7.18 (d, \(J = 6.8\) Hz, 2H, ArH), 7.03 (t, \(J = 8.8\) Hz, 2H, ArH), 6.39 (s, 1H, CH), 3.78 (s, 2H, CH), 2.05 (s, 3H, CH\(_3\)); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), TMS): \(\delta\) 172.4, 162.8 (\(^{1}J\_\text{CF} = 247.4\) Hz), 140.8, 140.3, 138.3, 136.6, 136.3, 132.7 (\(^{3}J\_\text{CF} = 8.2\) Hz), 129.9, 129.6, 129.2, 128.5, 128.3, 127.6, 125.2, 125.1, 115.5 (\(^{2}J\_\text{CF} = 22.0\) Hz), 115.4, 112.4, 111.7, 96.6, 33.9, 22.1; HRMS (ESI) m/z calcd for C\(_{28}\)H\(_{22}\)FN\(_2\)O\(_3\) [M + H]\(^+\): 453.1609, found: 453.1605.

ethyl 2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7a)
Brown powder; Mp: 246-248 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 7.52 (t, \(J = 7.2\) Hz, 2H, ArH), 7.38-7.46 (m, 7H, ArH), 7.28 (t, \(J = 5.6\) Hz, 2H, ArH), 7.19 (d, \(J = 7.2\) Hz, 2H, ArH), 7.05 (d, \(J = 8.0\) Hz, 2H, ArH), 6.16 (s, 1H, CH), 4.12-4.18 (m, 2H, CH\(_2\)), 3.98 (s, 2H, CH\(_2\)), 1.95 (s, 3H, CH\(_3\)), 1.22 (m, \(J = 6.8\) Hz, 3H, CH\(_3\)); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), TMS): \(\delta\) 172.5, 161.1, 140.0, 139.3, 137.0, 134.4, 131.8, 131.5, 129.7, 129.5, 129.4, 128.8, 128.3, 127.9, 127.7, 122.0, 113.6, 112.8, 94.5, 60.7, 30.8, 22.3, 14.2; HRMS (ESI) m/z calcd for C\(_{30}\)H\(_{26}\)BrN\(_2\)O\(_3\) [M + H]\(^+\): 541.1121, found: 541.1123.

methyl 2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7b)
Yellow powder; Mp: 238-240 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 7.53 (t, \(J = 8.0\) Hz, 2H, ArH), 7.49-7.61 (m, 5H, ArH), 7.40-7.46 (m, 4H, ArH), 7.31-7.34 (m, 9H, ArH), 7.24-7.28 (m, 2H, ArH), 7.19 (d, \(J = 7.6\) Hz, 2H, ArH), 6.40 (s, 1H, CH), 3.81 (s, 2H, CH), 2.06 (s, 3H, CH\(_3\)).
isopropyl 2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7c)
Yellow powder; Mp: 228-230 °C; ¹H NMR (400 MHz, CDCl₃, TMS): δ 7.52 (t, J = 7.6 Hz, 2H, ArH), 7.33-7.46 (m, 6H, ArH), 7.19 (d, J = 6.8 Hz, 2H, ArH), 7.06 (d, J = 6.8 Hz, 2H, ArH), 6.15 (s, 1H, CH), 3.95 (s, 2H, CH₂), 1.95 (s, 3H, CH₃), 1.42 (s, 9H, CH₃); ¹³C NMR (100 MHz, CDCl₃, TMS): δ 171.8, 139.9, 139.8, 139.4, 137.1, 131.8, 131.3, 130.0, 129.4, 128.9, 128.2, 127.8, 127.7, 121.8, 114.3, 112.7, 94.4, 80.4, 31.7, 28.0, 22.2; HRMS (ESI) m/z calcd for C₃₂H₃₀BrN₂O₃ [M + H]⁺: 569.1434, found: 569.1434.

tert-butyl 2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7d)
Pale yellow solid; Mp: 289-290 °C; ¹H NMR (400 MHz, CDCl₃, TMS): δ 7.51 (t, J = 7.6 Hz, 2H, ArH), 7.37-7.46 (m, 6H, ArH), 7.19 (d, J = 6.8 Hz, 2H, ArH), 7.06 (d, J = 6.8 Hz, 2H, ArH), 6.15 (s, 1H, CH), 3.95 (s, 2H, CH₂), 1.95 (s, 3H, CH₃), 1.42 (s, 9H, CH₃); ¹³C NMR (100 MHz, CDCl₃, TMS): δ 171.8, 139.9, 139.8, 139.4, 137.1, 131.8, 131.3, 130.0, 129.4, 128.9, 128.2, 127.8, 127.7, 121.8, 114.3, 112.7, 94.4, 80.4, 31.7, 28.0, 22.2; HRMS (ESI) m/z calcd for C₃₂H₃₀BrN₂O₃ [M + H]⁺: 569.1434, found: 569.1434.

ethyl 2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-di-p-tolyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7e)
Pale yellow crystal; Mp: 258-260 °C; ¹H NMR (400 MHz, CDCl₃, TMS): δ 7.39 (d, J = 8.0 Hz, 2H, ArH), 7.30 (t, J = 8.0 Hz, 3H, ArH), 7.20 (d, J = 8.0 Hz, 2H, ArH), 7.13 (d, J = 8.0 Hz, 2H, ArH), 7.06 (t, J = 4.4 Hz, 2H, ArH), 6.13 (s, 1H, CH), 4.12-4.16 (m, 2H, CH₂), 3.97 (s, 1H, CH), 2.41 (d, J = 10.0 Hz, 6H, CH₃), 1.95 (s, 3H, CH₃), 1.22 (t, J = 7.2 Hz, 3H, CH₃); ¹³C NMR (100 MHz, CDCl₃, TMS): δ 172.5, 161.2, 140.0, 138.1, 137.8,
ethyl 2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-di-tolyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7f)

Brown solid; Mp: 170-172 °C; ¹H NMR (400 MHz, CDCl₃, TMS): δ 7.26-7.38 (m, 7H, ArH), 7.18-7.23 (m, 3H, ArH), 7.05-7.08 (m, 2H, ArH), 5.87 (s, 1H, CH), 4.12-4.18 (m, 2H, CH₂), 3.94-4.06 (m, 2H, CH₂), 2.13 (d, J = 4.4 Hz, 3H, CH₃), 1.96 (d, J = 4.0 Hz, 3H, CH₃), 1.86 (s, 3H, CH₃), 1.20-1.25 (m, 3H, CH₃); ¹³C NMR (100 MHz, CDCl₃, TMS): δ 172.4, 160.4, 140.1, 139.6, 138.5, 136.6, 136.5, 136.1, 136.0, 134.7, 131.4, 131.2, 131.0, 129.8, 129.7, 129.2, 129.0, 128.7, 128.6, 127.1, 126.8, 121.9, 115.3, 113.2, 112.7, 94.4, 60.7, 30.9, 21.7, 17.7, 17.6, 14.2; HRMS (ESI) m/z calcd for C₃₂H₃₀BrN₂O₃ [M + H]⁺: 569.1434, found: 569.1429.

ethyl 2-(2-(4-bromophenyl)-1,5-bis(2,4-dimethylphenyl)-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7g)

Yellow solid; Mp: 222-224 °C; ¹H NMR (400 MHz, CDCl₃, TMS): δ 7.37 (d, J = 8.4 Hz, 2H, ArH), 7.03-7.18 (m, 8H, ArH), 5.86 (s, 1H, CH), 4.12-4.15 (m, 2H, CH₂), 3.99 (s, 2H, CH₂), 2.38 (d, J = 2.4 Hz, 6H, CH₃), 2.08 (d, J = 4.0 Hz, 3H, CH₃), 1.87-1.92 (s, 6H, CH₃), 1.19-1.24 (m, 3H, CH₃); ¹³C NMR (100 MHz, CDCl₃, TMS): δ 172.5, 172.4, 160.6, 140.2, 139.7, 138.8, 138.3, 136.0, 135.8, 135.6, 134.7, 133.4, 132.0, 131.8, 131.5, 131.3, 129.9, 128.9, 128.4, 127.8, 127.5, 121.8, 113.0, 112.6, 94.4, 60.6, 30.9, 21.7, 21.2, 17.6, 17.5, 14.2; HRMS (ESI) m/z calcd for C₃₄H₃₄BrN₂O₃ [M + H]⁺: 597.1747, found: 597.1754.

ethyl 2-(2-(4-bromophenyl)-1,5-bis(4-fluorophenyl)-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7h)

Yellow powder; Mp: >300 °C; ¹H NMR (400 MHz, CDCl₃, TMS): δ 7.41 (d, J = 8.4 Hz, 2H, ArH), 7.11-7.23 (m, 8H, ArH), 7.04 (d, J = 8.4 Hz, 2H, ArH), 6.11 (s, 1H, CH), 4.12-4.18 (m, 2H, CH₂), 3.94 (s, 2H, CH₂), 1.96 (s, 3H, CH₃), 1.23 (t, J = 8.0 Hz, 3H, CH₃); ¹³C NMR (100 MHz, CDCl₃, TMS): δ 172.4, 162.3 (¹J_CF = 242.3 Hz), 162.2 (¹¹J_CF = 242.5 Hz), 140.0, 135.1 (¹⁴J_CF = 3.0 Hz), 134.6 (¹⁴J_CF = 3.0 Hz), 132.9, 131.9, 131.6, 130.6, 130.5 (¹J_CF = 9.0 Hz), 129.4 (¹³J_CF = 8.9 Hz), 122.2, 116.5 (¹²J_CF = 22.8 Hz), 116.4 (¹²J_CF =
ethyl 2-(2-(4-bromophenyl)-1,5-bis(2-fluorophenyl)-6-methyl-4-oxo-4,5-dihydro-1H-
pyrrolo[3,2-c]pyridin-3-yl)acetate (7i)
Yellow solid; Mp: 245-246 °C; ^1H NMR (400 MHz, CDCl₃, TMS): δ 7.39-7.48 (m, 5H, ArH), 7.19-7.36 (m, 7H, ArH), 7.08 (d, J = 8.4 Hz, 2H, ArH), 6.03 (s, 1H, CH), 4.12-4.17 (m, 2H, CH₂), 3.80-4.02 (m, 1H, CH₂), 7.75-3.80 (m, 1H, CH₂), 1.99 (s, 3H, CH₃), 1.22 (t, J = 4.0 Hz, 3H, CH₃); ^13C NMR (100 MHz, CDCl₃, TMS): δ 172.2, 172.1, 160.4 (1JCF = 242.5 Hz), 160.2 (1JCF = 242.4 Hz), 140.6, 140.5 (4JCF = 2.1 Hz), 140.1 (4JCF = 2.7 Hz), 134.9, 131.5, 130.9 (2JCF = 7.5 Hz), 130.5, 130.4, 130.3, 130.2 (3JCF = 6.6 Hz), 129.3, 124.9, 122.3, 117.0 (2JCF = 22.5 Hz), 116.8 (2JCF = 22.4 Hz), 113.8, 112.8, 94.7, 94.6, 60.7, 30.8, 21.5, 14.1; HRMS (ESI) m/z calcd for C₃₀H₂₄BrF₂N₂O₃ [M + H]^+: 577.0933, found: 577.0929.

ethyl 2-(2-(4-bromophenyl)-1,5-bis(3-chlorophenyl)-6-methyl-4-oxo-4,5-dihydro-1H-
pyrrolo[3,2-c]pyridin-3-yl)acetate (7j)
Yellow crystal; Mp: 275-276 °C; ^1H NMR (400 MHz, CDCl₃, TMS): δ 7.42-7.49 (m, 4H, ArH), 7.27-7.38 (m, 2H, ArH), 7.28 (d, J = 6.8 Hz, 3H, ArH), 7.17 (d, J = 6.8 Hz, 1H, ArH), 7.01-7.06 (m, 3H, ArH), 6.15 (s, 1H, CH), 4.13-4.19 (m, 2H, CH₂), 3.93 (s, 2H, CH₂), 1.99 (s, 3H, CH₃), 1.23 (m, J = 6.8 Hz, 3H, CH₃); ^13C NMR (100 MHz, CDCl₃, TMS): δ 172.3, 160.8, 140.3, 139.9, 138.0, 135.1, 135.0, 134.5, 131.8, 131.7, 130.5, 129.3, 129.1, 128.8, 128.3, 127.7, 127.3, 126.1, 122.3, 114.1, 112.8, 94.5, 60.8, 30.8, 22.2, 14.2; HRMS (ESI) m/z calcd for C₃₀H₂₄BrCl₂N₂O₃ [M + H]^+: 609.0342, found: 609.0344.

ethyl 2-(6-methyl-4-oxo-1,2,5-triphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetate (7k)
Brown solid; Mp: 261-262 °C; ^1H NMR (400 MHz, CDCl₃, TMS): δ 7.49-7.53 (m, 2H, ArH), 7.31-7.44 (m, 6H, ArH), 7.23-7.25 (m, 5H, ArH), 7.15-7.20 (m, 4H, ArH), 6.16 (s, 1H, CH), 4.10-4.15 (m, 2H, CH₂), 3.98 (s, 2H, CH₂), 1.94 (m, 3H, CH₃), 1.20 (t, J = 3.2 Hz, 3H, CH₃); ^13C NMR (100 MHz, CDCl₃, TMS): δ 172.6, 139.6, 139.4, 137.3, 130.7, 130.4, 129.5, 129.2, 128.9, 128.3, 128.1, 127.7, 127.6, 113.1, 94.6, 60.6, 30.9, 22.2, 14.1; HRMS (ESI) m/z calcd for C₃₀H₂₇N₂O₃ [M + H]^+: 463.2016, found: 463.2011.
pyrrolo[3,2-c]pyridin-3-yl)acetate (7l).

Pale yellow crystal; Mp: 256-258 °C; 1H NMR (400 MHz, CDCl₃, TMS): δ 7.46-7.51 (m, 2H, ArH), 7.36-7.44 (m, 4H, ArH), 7.26-7.29 (m, 3H, ArH), 7.14-7.20 (m, 4H, ArH), 6.96 (d, J = 8.4 Hz, 2H, ArH), 6.17 (s, 1H, CH), 4.12-4.17 (m, 2H, CH₂), 3.97 (s, 2H, CH₂), 1.95 (s, 3H, CH₃), 1.22 (s, J = 6.8 Hz, 3H, CH₃); 13C NMR (100 MHz, CDCl₃, TMS): δ 172.5, 161.1 (J CF = 247.2 Hz), 139.7 (J CF = 2.0 Hz), 139.4, 137.1, 134.7, 132.1 (J CF = 8.0 Hz), 129.9, 129.6, 129.5, 129.3, 128.9, 128.3, 127.8, 127.7, 126.8, 115.3 (J CF = 22.0 Hz), 113.2, 112.6, 94.6, 60.7, 30.8, 22.2, 14.2; HRMS (ESI) m/z calcd for C₃₀H₂₆FN₂O₃ [M + H]+: 481.1922, found: 481.1933.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-phenylacetamide (9a).

White powder; Mp: >300 °C; 1H NMR (400 MHz, CDCl₃, TMS): δ 11.23 (s, 1H, NH), 7.58-7.67 (m, 5H, ArH), 7.44-7.48 (m, 7H, ArH), 7.28-7.34 (m, 4H, ArH), 7.18-7.19 (m, 2H, ArH), 7.04-7.06 (m, 1H, ArH), 6.29 (s, 1H, CH), 3.81 (s, 2H, CH₂), 2.02 (s, 3H, CH₃); 13C NMR (100 MHz, CDCl₃, TMS): δ 170.2, 162.2, 140.8, 140.1, 139.6, 139.2, 136.9, 135.4, 132.7, 131.3, 129.9, 129.6, 128.9, 128.3, 127.8, 127.7, 126.8, 123.1, 122.3, 119.4, 114.4, 112.2, 95.6, 34.9, 22.1; HRMS (ESI) m/z calcd for C₃₄H₂₇BrN₃O₂ [M + H]+: 588.1281, found: 588.1277.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9b).

White powder; Mp: >300 °C; 1H NMR (400 MHz, CDCl₃, TMS): δ 11.10 (s, 1H, NH), 7.55-7.63 (m, 3H, ArH), 7.42-7.48 (m, 9H, ArH), 7.27-7.31 (m, 3H, ArH), 7.07-7.17 (m, 4H, ArH), 6.26 (s, 1H, CH), 3.78 (s, 2H, CH₂), 2.29 (s, 3H, CH₃), 2.00 (s, 3H, CH₃); 13C NMR (100 MHz, CDCl₃, TMS): δ 170.0, 162.2, 140.8, 140.1, 139.6, 139.2, 136.9, 135.4, 132.7, 131.3, 129.8, 129.6, 128.9, 128.3, 127.8, 127.7, 123.1, 122.3, 119.4, 114.4, 112.2, 95.6, 34.9, 22.1; HRMS (ESI) m/z calcd for C₃₅H₂₉BrN₃O₂ [M + H]+: 602.1438, found: 602.1447.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(4-methoxyphenyl)acetamide (9c).

White solid; Mp: 286-288 °C; 1H NMR (400 MHz, CDCl₃, TMS): δ 11.9 (s, 1H, NH), 7.65 (t, J = 7.6 Hz, 2H, ArH), 7.18 (d, J = 7.2 Hz, 1H, ArH), 7.53 (d, J = 8.4 Hz, 2H,
ArH), 7.31 (d, $J = 7.6$ Hz, 2H, ArH), 7.18 (d, $J = 6.8$ Hz, 2H, ArH), 6.29 (s, 1H, CH), 3.80 (s, 5H, OMe + CH$_2$), 2.02 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 169.8, 162.2, 155.5, 140.8, 140.1, 139.2, 136.9, 135.4, 133.0, 132.7, 131.3, 129.9, 129.6, 128.9, 128.5, 128.4, 128.2, 127.7, 122.2, 120.8, 114.6, 113.9, 112.3, 95.6, 55.5, 34.8, 22.2; HRMS (ESI) m/z calcd for C$_{35}$H$_{29}$BrN$_3$O$_3$ [M + H]$^+$: 618.1387, found: 618.1391.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(4-fluorophenyl)acetamide (9d).

White powder; Mp: 293-294°C; $^1$H NMR (400 MHz, CDCl$_3$, TMS): $\delta$ 11.28 (s, 1H, NH), 7.63-7.67 (m, 2H, ArH), 7.54-7.60 (m, 3H, ArH), 7.39-7.48 (m, 7H, ArH), 7.29-7.32 (m, 3H, ArH), 7.18 (d, $J = 6.8$ Hz, 2H, ArH), 6.97 (t, $J = 8.8$ Hz, 2H, ArH), 6.30 (s, 1H, CH), 3.79 (s, 2H, CH$_2$), 2.02 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 170.1, 161.2 ($^1$J$_{CF}$ = 245.2 Hz), 157.4, 140.8, 140.2, 139.2, 136.8, 135.7, 135.5 ($^4$J$_{CF}$ = 2.0 Hz), 132.6, 131.3, 129.9, 129.6, 129.0, 128.4 ($^3$J$_{CF}$ = 8.2 Hz), 127.7, 122.3, 120.9, 120.8, 161.1 ($^2$J$_{CF}$ = 22.2 Hz), 114.3, 112.2, 95.7, 34.8, 22.1; HRMS (ESI) m/z calcd for C$_{34}$H$_{26}$BrFN$_3$O$_2$ [M + H]$^+$: 606.1187, found: 606.1196.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(4-chlorophenyl)acetamide (9e).

Pale yellow powder; Mp: 261-262°C; $^1$H NMR (400 MHz, CDCl$_3$, TMS): $\delta$ 11.36 (s, 1H, NH), 7.63-7.67 (m, 2H, ArH), 7.59 (d, $J = 6.8$ Hz, 1H, ArH), 7.54 (d, $J = 8.8$ Hz, 2H, ArH), 7.38-7.48 (m, 7H, ArH), 7.18 (d, $J = 6.8$ Hz, 2H, ArH), 6.30 (s, 1H, CH), 3.79 (s, 2H, CH$_2$), 2.02 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 170.2, 162.2, 140.9, 140.2, 139.1, 138.2, 136.8, 135.6, 132.6, 131.4, 129.9, 129.6, 128.4, 128.3, 127.8, 127.7, 122.4, 120.6, 114.1, 112.2, 95.7, 34.9, 22.1; HRMS (ESI) m/z calcd for C$_{34}$H$_{26}$BrClN$_3$O$_2$ [M + H]$^+$: 622.0891, found: 622.0898.

N-(4-bromophenyl)-2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)acetamide (9f).

White powder; Mp: >300°C; $^1$H NMR (400 MHz, CDCl$_3$, TMS): $\delta$ 11.40 (s, 1H, NH), 7.64 (d, $J = 6.8$ Hz, 2H, ArH), 7.59 (d, $J = 6.0$ Hz, 1H, ArH), 7.19-7.51 (m, 11H, ArH), 7.30 (d, $J = 7.2$ Hz, 2H, ArH), 7.19 (s, 2H, ArH), 6.30 (s, 1H, CH), 3.78 (s, 2H, CH$_2$), 2.02 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 170.3, 162.2, 140.9, 140.2,
139.1, 138.7, 136.8, 132.6, 131.6, 131.4, 129.9, 129.6, 129.0, 128.4, 128.3, 127.7, 122.4, 121.0, 115.4, 114.1, 112.2, 95.7, 35.0, 22.1; HRMS (ESI) m/z calcd for C_{34}H_{26}Br_{2}N_{3}O_{2} [M + H]^+: 666.0386, found: 666.0396.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(4-(trifluoromethyl)phenyl)acetamide (9g).

Pale yellow crystal; Mp: 282-284 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 11.62 (s, 1H, NH), 7.59-7.71 (m, 4H, ArH), 7.60 (d, \(J = 7.6\) Hz, 1H, ArH), 7.29-7.54 (m, 8H, ArH), 7.17-7.19 (m, 4H, ArH), 7.18 (d, \(J = 6.4\) Hz, 1H, ArH), 6.31 (s, 1H, CH), 3.81 (s, 2H, CH\(_2\)), 2.03 (s, 3H, CH\(_3\)); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), TMS): \(\delta\) 170.6, 162.3, 142.7, 140.9, 140.3, 139.1, 136.8, 135.7, 132.6, 132.3, 131.6, 131.4, 129.9, 129.7, 129.6, 129.1, 128.3, 127.6, 125.9, 122.4, 119.0, 113.8, 112.1, 95.7, 35.1, 22.1; HRMS (ESI) m/z calcd for C_{35}H_{26}BrF_{3}N_{3}O_{2} [M + H]^+: 656.1155, found: 656.1156.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(3-chlorophenyl)acetamide (9h).

Yellow solid; Mp: 286-288 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 11.47 (s, 1H, NH), 7.65-7.69 (m, 2H, ArH), 7.54-7.59 (m, 3H, ArH), 7.29-7.48 (m, 10H, ArH), 7.18-7.22 (m, 3H, ArH); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), TMS): \(\delta\) 170.4, 162.2, 140.9, 140.3, 139.1, 136.8, 135.6, 134.2, 132.6, 132.4, 131.6, 131.4, 130.0, 129.8, 129.6, 129.0, 128.3, 127.7, 123.1, 122.4, 119.4, 117.4, 114.1, 112.1, 95.7, 35.0, 22.1; HRMS (ESI) m/z calcd for C_{35}H_{26}BrClN_{3}O_{2} [M + H]^+: 622.0891, found: 622.0885.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(2,4-dichlorophenyl)acetamide (9i).

Yellow crystal; Mp: 283-284 °C; \(^1\)H NMR (400 MHz, CDCl\(_3\), TMS): \(\delta\) 10.55 (s, 1H, NH), 8.19 (d, \(J = 8.4\) Hz, 1H, ArH), 7.57-7.61 (m, 2H, ArH), 7.53 (d, \(J = 7.2\) Hz, 1H, ArH), 7.52-7.54 (m, 5H, ArH), 7.29-7.44 (m, 6H, ArH), 7.21 (s, 3H, ArH), 6.29 (s, 1H, CH), 3.94 (s, 2H, CH\(_2\)), 2.03 (s, 3H, CH\(_3\)); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), TMS): \(\delta\) 171.0, 161.8, 140.4, 140.2, 138.8, 136.9, 135.2, 134.6, 132.5, 131.3, 129.7, 129.6, 129.0, 128.8, 128.6, 128.5, 128.2, 127.6, 127.1, 125.5, 124.8, 122.3, 114.0, 112.4, 95.3, 34.6, 22.2; HRMS (ESI) m/z calcd for C_{34}H_{26}BrCl_{2}N_{3}O_{2} [M + H]^+: 656.0502, found: 656.0509.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(2,4-dichlorophenyl)acetamide (9j).
c[pyridin-3-yl]-N-(2,4-dimethylphenyl)acetamide (9j).
White crystal; Mp: 248-250 °C; ^1H NMR (400 MHz, CDCl\textsubscript{3}, TMS): δ 10.19 (s, 1H, NH), 7.76 (d, J = 8.0 Hz, 1H, ArH), 7.56-7.60 (m, 2H, ArH), 7.53 (d, J = 6.8 Hz, 1H, ArH), 7.41-7.45 (m, 8H, ArH), 7.20-7.29 (m, 5H, ArH), 6.99 (d, J = 8.0 Hz, 1H, ArH), 6.96 (s, 1H, ArH), 6.30 (s, 1H, CH), 3.88 (s, 2H, CH\textsubscript{2}), 2.29 (s, 3H, CH\textsubscript{3}), 2.16 (s, 3H, CH\textsubscript{3}), 2.03 (s, 3H, CH\textsubscript{3}); ^13C NMR (100 MHz, CDCl\textsubscript{3}, TMS): δ 170.6, 161.9, 140.5, 140.1, 139.0, 137.0, 135.3, 134.3, 133.8, 132.7, 131.3, 131.0, 129.7, 129.6, 128.8, 128.5, 128.1, 127.7, 126.6, 123.4, 122.2, 114.9, 112.4, 95.4, 34.4, 22.2, 20.9, 18.3; HRMS (ESI) m/z calcd for C\textsubscript{36}H\textsubscript{31}BrN\textsubscript{3}O\textsubscript{2} [M + H]+: 616.1594, found: 616.1597.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(2,6-dimethylphenyl)acetamide (9k).
Yellow solid; Mp: 228-230 °C; ^1H NMR (400 MHz, CDCl\textsubscript{3}, TMS): δ 10.29 (s, 1H, NH), 7.93 (d, J = 8.0 Hz, 1H, ArH), 7.52-7.61 (m, 4H, ArH), 7.41-7.44 (m, 8H, ArH), 7.27-7.31 (m, 3H, ArH), 7.13-7.22 (m, 5H, ArH), 7.02 (d, J = 7.2 Hz, 1H, ArH), 6.31 (s, 1H, CH), 3.90 (s, 2H, CH\textsubscript{2}), 2.21 (s, 3H, CH\textsubscript{3}), 2.02 (s, 6H, CH\textsubscript{3}); ^13C NMR (100 MHz, CDCl\textsubscript{3}, TMS): δ 170.7, 161.9, 140.6, 140.1, 138.9, 137.0, 136.9, 135.3, 132.7, 132.4, 131.6, 131.3, 130.3, 129.9, 129.8, 129.7, 129.6, 128.8, 128.5, 128.3, 128.2, 127.6, 126.1, 124.3, 123.2, 122.2, 114.7, 112.4, 95.5, 34.5, 22.2, 18.5; HRMS (ESI) m/z calcd for C\textsubscript{36}H\textsubscript{31}BrN\textsubscript{3}O\textsubscript{2} [M + H]+: 616.1594, found: 616.1593.

2-(2-(4-bromophenyl)-6-methyl-4-oxo-1,5-di-p-tolyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9l).
White crystal; Mp: 263-264 °C; ^1H NMR (400 MHz, CDCl\textsubscript{3}, TMS): δ 11.14 (s, 1H, NH), 7.42-7.50 (m, 8H, ArH), 7.17-7.29 (m, 4H, ArH), 7.04-7.10 (m, 8H, ArH), 6.25 (s, 1H, CH), 3.78 (s, 2H, CH\textsubscript{2}), 2.51 (s, 3H, CH\textsubscript{3}), 2.41 (s, 3H, CH\textsubscript{3}), 2.31 (s, 3H, CH\textsubscript{3}), 2.01 (s, 3H, CH\textsubscript{3}); ^13C NMR (100 MHz, CDCl\textsubscript{3}, TMS): δ 170.1, 162.3, 140.8, 140.1, 138.8, 138.1, 137.1, 136.5, 135.4, 134.3, 132.7, 132.5, 131.3, 130.5, 130.2, 129.1, 128.7, 128.1, 127.4, 122.1, 119.4, 114.3, 112.1, 95.5, 34.9, 22.1, 21.3, 21.2, 20.9; HRMS (ESI) m/z calcd for C\textsubscript{37}H\textsubscript{33}BrN\textsubscript{3}O\textsubscript{2} [M + H]+: 630.1751, found: 630.1755.

2-(2-(4-bromophenyl)-1,5-bis(4-methoxyphenyl)-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9m).
Pale yellow crystal; Mp: 237-238 °C; ^1H NMR (400 MHz, CDCl\textsubscript{3}, TMS): δ 11.14 (s, 1H, NH), 7.42-7.50 (m, 8H, ArH), 7.17-7.29 (m, 4H, ArH), 7.04-7.10 (m, 4H, ArH), 6.25 (s, 1H, CH), 3.78 (s, 2H, CH\textsubscript{2}), 2.51 (s, 3H, CH\textsubscript{3}), 2.41 (s, 3H, CH\textsubscript{3}), 2.31 (s, 3H, CH\textsubscript{3}), 2.01 (s, 3H, CH\textsubscript{3}); ^13C NMR (100 MHz, CDCl\textsubscript{3}, TMS): δ 170.1, 162.3, 140.8, 140.1, 138.8, 138.1, 137.1, 136.5, 135.4, 134.3, 132.7, 132.5, 131.3, 130.5, 130.2, 129.1, 128.7, 128.1, 127.4, 122.1, 119.4, 114.3, 112.1, 95.5, 34.9, 22.1, 21.3, 21.2, 20.9; HRMS (ESI) m/z calcd for C\textsubscript{37}H\textsubscript{33}BrN\textsubscript{3}O\textsubscript{2} [M + H]+: 630.1751, found: 630.1755.
NH), 7.42-7.50 (m, 6H, ArH), 7.20-7.29 (m, 2H, ArH), 7.08-7.14 (m, 6H, ArH), 6.93 (d, $J = 8.4$ Hz, 2H, ArH), 6.22 (s, 1H, CH), 3.94 (s, 3H, OMe), 3.85 (s, 3H, OMe), 3.78 (s, 2H, CH$_2$), 2.31 (s, 3H, CH$_3$), 2.02 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 170.1, 162.5, 159.6, 159.1, 141.0, 140.4, 137.1, 135.5, 132.7, 132.5, 131.8, 131.3, 129.6, 129.3, 129.2, 128.8, 128.6, 122.1, 119.4, 115.0, 114.7, 114.2, 112.0, 95.5, 55.6, 55.5, 34.9, 22.2, 20.9; HRMS (ESI) m/z calcd for C$_{37}$H$_{33}$BrN$_3$O$_4$ [M + H]$^+$: 662.1649, found: 662.1655.

2-(2-(4-bromophenyl)-1,5-bis(4-fluorophenyl)-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9n).

White crystal; Mp: 260-262 °C; $^1$H NMR (400 MHz, DMSO-$d_6$, TMS): $\delta$ 10.66 (s, 1H, NH), 7.55 (d, $J = 8.0$ Hz, 2H, ArH), 7.30-7.43 (m, 12H, ArH), 7.08 (d, $J = 8.0$ Hz, 2H, ArH), 6.31 (s, 1H, CH), 3.67 (s, 2H, CH), 2.24 (s, 3H, CH$_3$), 1.95 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, DMSO-$d_6$, TMS): $\delta$ 169.3, 163.0, 161.8 ($^1$J$_{CF} = 243.2$ Hz), 161.3 ($^1$J$_{CF} = 243.2$ Hz), 141.3, 140.9, 137.3, 135.6, 135.1, 133.1, 133.0, 132.5, 132.3, 131.8, 131.6, 131.3 ($^3$J$_{CF} = 9.0$ Hz), 130.5 ($^2$J$_{CF} = 8.0$ Hz), 129.6, 129.3, 122.1, 119.2, 117.1, 116.7 ($^2$J$_{CF} = 22.2$ Hz), 116.5 ($^2$J$_{CF} = 22.2$ Hz), 114.0, 111.8, 95.0, 34.4, 22.0, 20.8; HRMS (ESI) m/z calcd for C$_{35}$H$_{27}$BrF$_2$N$_3$O$_2$ [M + H]$^+$: 638.1249, found: 638.1248.

2-(1,5-dibenzyl-2-(4-bromophenyl)-6-methyl-4-oxo-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9o).

Pale yellow solid; Mp: 261-262 °C; $^1$H NMR (400 MHz, CDCl$_3$, TMS): $\delta$ 11.16 (s, 1H, NH), 7.59 (d, $J = 8.0$ Hz, 2H, ArH), 7.60 (d, $J = 8.0$ Hz, 2H, ArH), 7.29-7.38 (m, 8H, ArH), 7.19 (s, 2H, ArH), 6.99 (d, $J = 8.0$ Hz, 3H, ArH), 6.20 (s, 1H, CH), 5.55 (s, 2H, CH$_2$), 5.15 (s, 2H, CH$_2$), 3.75 (s, 2H, CH$_2$), 2.36 (s, 3H, CH$_3$), 2.29 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 170.0, 161.8, 139.9, 139.8, 137.1, 137.0, 136.9, 135.9, 132.8, 132.4, 131.7, 129.1, 129.0, 128.9, 128.4, 127.7, 127.3, 126.1, 125.8, 123.2, 119.4, 113.5, 112.0, 95.9, 47.9, 47.0, 35.1, 21.4, 20.9; HRMS (ESI) m/z calcd for C$_{37}$H$_{33}$BrN$_3$O$_2$ [M + H]$^+$: 630.1751, found: 630.1751.

2-(2-(4-fluorophenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9p).

Gray powder; Mp: >300 °C; $^1$H NMR (400 MHz, CDCl$_3$, TMS): $\delta$ 11.15 (s, 1H, NH), 7.64 (d, $J = 7.6$ Hz, 2H, ArH), 7.41-7.65 (m, 10H, ArH), 6.29 (s, 1H, CH), 3.80 (s, 2H,
2-(2-(4-methoxyphenyl)-6-methyl-4-oxo-1,5-diphenyl-4,5-dihydro-1H-pyrrolo[3,2-c]pyridin-3-yl)-N-(p-tolyl)acetamide (9q).

White powder; Mp: >300 °C; $^1$H NMR (400 MHz, CDCl$_3$, TMS): $\delta$ 11.20 (s, 1H, NH), 7.51-7.67 (m, 7H, ArH), 7.29-7.47 (m, 6H, ArH), 7.19 (d, $J = 8.0$ Hz, 2H, ArH), 7.10 (d, $J = 8.0$ Hz, 2H, ArH), 6.88 (d, $J = 8.0$ Hz, 2H, ArH), 6.29 (s, 1H, CH), 3.82 (s, 5H, OMe + CH$_3$), 2.32 (s, 3H, CH$_3$), 2.01 (s, 3H, CH$_3$); $^{13}$C NMR (100 MHz, CDCl$_3$, TMS): $\delta$ 170.4, 162.2, 159.1, 140.3, 139.5, 139.3, 137.2, 136.6, 132.5, 132.3, 129.9, 129.8, 129.5, 129.4, 129.2, 129.1, 128.8, 128.5, 128.3, 127.9, 127.8, 125.7, 121.9, 121.1, 119.3, 113.5, 112.2, 95.7, 55.2, 35.0, 22.1, 20.9; HRMS (ESI) m/z calcd for C$_{36}$H$_{32}$N$_3$O$_3$ [M + H]$^+$: 554.2438, found: 554.2440.

$^1$H and $^{13}$C NMR Spectra