Synthesis of Tricyclic Quinolones and Naphthyridones by Intramolecular Heck Cyclization of Functionalized Electron-Rich Heterocycles

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Starting from commercially available pyrrole- and thiophene-2-carboxylic acids **1** or thiophene- and furan-3-carboxylic acids **6**, we report the synthesis of tricyclic fused quinolone and naphthyridone derivatives, in only three steps, by an intramolecular Heck cyclization. We also report the use of microwave irradiation to obtain, in some cases, better yields of cyclized products.

Introduction

Among basic types of palladium-catalyzed transformations, the Heck reaction and related chemistry occupy a special place. During the last thirty years this reaction has emerged as a highly efficient and well-documented mild procedure for forming carbon–carbon bonds.[1] The wide variety of functional groups tolerated makes the Heck reaction particularly versatile for organic synthesis. The starting materials may be readily available from simple precursors, and thus this strategy has been used for the construction of carbocyclic and heterocyclic systems.[2]

Following our research on the reactivity of indole derivatives, we first studied Pd-catalyzed reactions of these substrates.[3] We then extended this analysis to other electron-rich heterocyclic systems such as pyrroles, furans, and thiophenes. Moreover, we noticed from literature data the promising biological properties of quinolones and naphthyridones fused with pyrrole, furan, or thiophene rings. Among them, members of the furo[3,2-*c*]quinoline alkaloids group show activities as tyrosine kinase inhibitors,[4] as cytotoxic agents,[5] antimalarials,[6] antiosteoporosis agents,[7] and anticonvulsants.[8] Pyrrolo[2,3-*c*]quinolines have been reported to show activities as antitumor agents.[9] Thieno[2,3-*c*]quinolines have been found to exert cytostatic or cytotoxic activities against malignant cell lines,[10] and some derivatives show platelet aggregation inhibitory activity[11] and hypocholesteremic activity.[11] Aza-analogues of furonaphthyridones and thienonaphthyridones show effects against hyperproliferative skin disease,[12] and antiallergy,[13] immunomodulator,[14] and inflammation inhibitor activity.[14]

A few general, although not simple, approaches to tricyclic pyrrolo-, furo-, and thienoquinolines have been described in the literature. Photochemical[10a,10b,15] and radical[16] cyclizations of amide systems have been reported to give poor yields of thieno- and pyrrolo[2,3-*c*]quinolines, while the cycloaddition of 4-hydroxy-2-quinolones[17] and diazoquinolinediones[18] gives furoquinolines. Pyrolysis of azidoquinoline derivatives[19] and the traditional Paal–Knorr reaction[20] give pyrroloquinolines, while pyrolysis of azidobenzylthiophene derivatives gives thienoquinolines.[21]

Such considerations prompted us to search for a new synthetic path to these heteropolycyclic systems by exploiting a Pd-catalyzed intramolecular reaction as the key step.

Results and Discussion

We report here a very efficient and concise method for the construction of tricyclic fused quinolone and naphthyridone derivatives, which we planned to build starting from pyrrole- and thiophene-2-carboxylic acids **1** or thiophene- and furan-3-carboxylic acids **6**. The corresponding unknown *N*-(hetero)arylcarboxamides **4** and **8** were prepared in high yields by treatment of the acyl chlorides, generated in situ, with the appropriate 2-halo(hetero)arylamines **2**, as depicted in Schemes 1 and 2. The anilines **2** were preferably *ortho*-substituted with an iodine atom, which is better suited to give the best results in the Heck reaction. However, the reaction also proceeded with the bromo-substituted pyridine **2c**, since the pyridine is a π-electron-deficient

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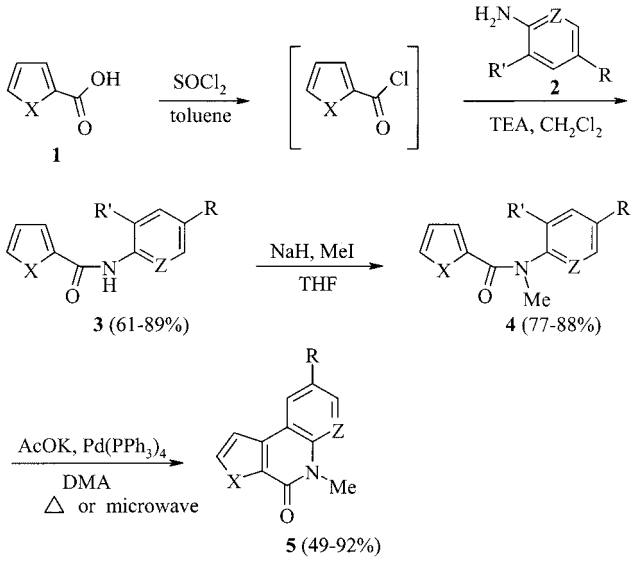
heterocycle. In fact the halopyridines are more susceptible to the oxidative addition to Pd^0 than simple carbocyclic aryl halides. In the case of **2b**, the presence of the Cl atom didn't interfere in the cyclization reaction, thus confirming the poor reactivity of chlorine-substituted substrates in the Pd-catalyzed coupling process. The subsequent *N*-methylation step, carried out with NaH and methyl iodide in THF solution, was necessary to avoid N–Pd complexation. Then, the intramolecular Heck cyclization at the positions 2 or 3 of the heterocyclic nucleus was the key step to obtain the desired tricyclic fused systems in excellent yields. This reaction was performed in DMA as solvent, with AcOK as base and $[Pd(PPh_3)_4]$ as catalyst at 120 °C for 24 h.

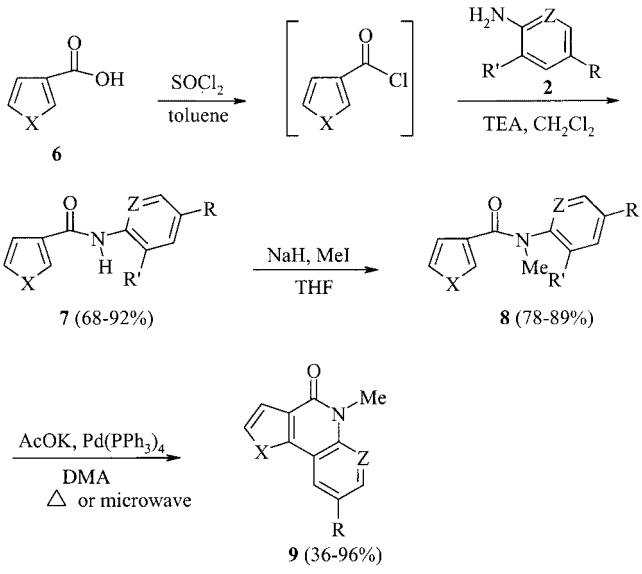


Substrate	X	Substrate	Z	R	R'	Products
1a	NMe	**2a**	CH	H	I	**3-5aa**
1a	NMe	**2b**	CH	Cl	I	**3-5ab**
1a	NMe	**2c**	N	Me	Br	**3-5ac**
1b	S	**2a**	CH	H	I	**3-5ba**
1b	S	**2b**	CH	Cl	I	**3-5bb**
1b	S	**2c**	N	Me	Br	**3-5bc**

Scheme 1. Synthesis of compounds **3**–**5**.

In the case of heteroarylamides **4ac**, **4bc**, **8ac**, and **8bc**, bearing a bromine atom, a large amount of unreacted starting material was recovered after 72 h heating. Since metal-catalyzed processes are often ideal candidates for acceleration by microwaves, non-conventional heating was tested. A wide range of organic reactions have recently been promoted by microwave irradiation,[22] but in the field of Heck chemistry only a limited number of papers have appeared (Scheme 1).[23]

Microwave heating can be very rapid, producing a heat profile that is not easily accessible by other heating techniques. Experiments performed using this methodology may therefore result in a different outcome when compared to conventional heating, even if the final temperature is the same. In conventional heating the energy is transferred by heat transfer through the walls of the reaction vessel and then by convection, causing a nonuniform distribution of



Substrate	X	Substrate	Z	R	R'	Products
6a	S	**2a**	CH	H	I	**7-9aa**
6a	S	**2b**	CH	Cl	I	**7-9ab**
6a	S	**2c**	N	Me	Br	**7-9ac**
6b	O	**2a**	CH	H	I	**7-9ba**
6b	O	**2b**	CH	Cl	I	**7-9bb**
6b	O	**2c**	N	Me	Br	**7-9bc**

Scheme 2. Synthesis of compounds **7**–**9**.

heat. Local overheating at the walls leads to decomposition of the catalyst. Deployment of heat directly to the reacting molecules by means of absorption of microwave energy by a polar solvent effectively affords high temperatures in a short time and in a uniform way. DMA has a dielectric constant of 37.8 D and would be expected to heat rapidly during the irradiation process. Taking the amide **4ac** as a probe, the reaction mixture was irradiated, in a multimode oven equipped with temperature control, at 450 W for 12 min, and in this period the solution temperature reached 140 °C. The irradiation time for each experiment was determined by TLC control and the results are reported in Table 1. This procedure gave quantitative yield of cyclized product **5ac**. Similar satisfactory results were also obtained for compounds **4bc**, **8ac**, and **8bc**. In the light of these results, the microwave technique represents the best reaction conditions. The main advantages of this procedure are short reaction times and very good yields (Scheme 2).

Table 1. Heck reaction of bromo-substituted substrates.[a]

Substrate	Product	Heat[b]		Microwave[c]	
		Yield [%]	*t* [h]	Yield [%]	*t* [min]
4ac	**5ac**	52	24	90	30
4bc	**5bc**	49	24	92	12
8ac	**9ac**	49	24	96	12
8bc	**9bc**	36	24	91	30

[a] All reactions were performed with AcOK (1.5 equiv.), $[Pd(PPh_3)_4]$ (10 mol-%) in DMA (4 mL). [b] At 120 °C. [c] At 450 W.

Conclusions

The applied reaction path provides an effective short synthesis of the target molecules − tricyclic fused quinolone and naphthyridone derivatives − starting from commercially available starting materials. This strategy should lead also to a variety of new analogues possessing modifications around the heterocyclic nucleus. In addition, conventional heating in the Pd-catalyzed coupling reaction has been compared with microwave irradiation and the benefits of the latter technique are shown. The speed and efficiency of the microwaves in accelerating coupling reactions suggest additional applications in heterocycle analogues.

Experimental Section

General Remarks: Melting points were measured with a Büchi B-540 heating unit and are not corrected. NMR spectra were recorded with an AVANCE 400 Bruker spectrometer at 400 MHz for ^{1}H NMR and 100 MHz for ^{13}C NMR in $CDCl_3$. Chemical shifts, relative to TMS as internal standard, are given in δ values. IR spectra were recorded with a Perkin–Elmer 1725X FT-IR spectrophotometer. The microwave reactions were performed with a Microsynth microwave system (Milestone) in a quartz reactor vessel.

General Procedure for the Preparation of Heteroamides 3 and 7: A solution of **1** or **6** (10 mmol) and $SOCl_2$ (3.2 mL, 44.5 mmol) in toluene (10 mL) was stirred at 70 °C for 2.5 h. After evaporation of the solvent, the residue was taken up with CH_2Cl_2 (20 mL). A solution of **2** (13.3 mmol) and TEA (1.9 mL, 13.6 mmol) in CH_2Cl_2 (5 mL) was then added dropwise at 0 °C. After stirring for 5 h at room temperature, the solution was washed with 5% HCl (2×20 mL) and then with 5% aqueous NaOH (2×20 mL). The organic layer was dried (Na_2SO_4) and the solvent evaporated under reduced pressure. The residue was chromatographed on a silica gel column with light petroleum ether/Et_2O (4:1) as eluent to give compounds **3** and **7**.

***N*-(2-Iodophenyl)-1-methyl-1*H*-pyrrole-2-carboxamide (3aa):** Yield: 83%. M.p. 66–67 °C (diisopropyl ether). ^{1}H NMR: δ = 4.01 (s, 3 H), 6.20 (dd, *J* = 2.6, 3.8 Hz, 1 H), 6.83–6.87 (overlapping, 2 H), 6.90 (dd, *J* = 1.5, 3.8 Hz, 1 H), 7.37 (ddd, *J* = 1.1, 7.3, 8.8 Hz, 1 H), 7.80 (d, *J* = 1.1, 7.9 Hz, 1 H), 8.07 (br. s, 1 H, absent after deuteration), 8.36 (dd, *J* = 1.2, 8.8 Hz, 1 H) ppm. ^{13}C NMR: δ = 37.3 (q), 90.4 (s), 108.2 (d), 113.4 (d), 121.7 (d), 125.8 (d), 129.6 (d), 129.7 (d), 139.0 (s), 139.1 (s), 139.2 (d), 159.9 (s) ppm. IR: $\tilde{\nu}$ = 1649, 3266 cm^{-1}. $C_{12}H_{11}IN_2O$ (326.14): calcd. C 44.19, H 3.40, N 8.59; found C 44.02, H 3.47, N 8.68.

***N*-(4-Chloro-2-iodophenyl)-1-methyl-1*H*-pyrrole-2-carboxamide (3ab):** Yield: 81%. M.p. 116–117 °C (diisopropyl ether). ^{1}H NMR: δ = 4.00 (s, 3 H), 6.19 (dd, *J* = 2.5, 4.0 Hz, 1 H), 6.84 (dd, *J* = 1.5, 2.5 Hz, 1 H), 6.87 (dd, *J* = 1.5, 4.0 Hz, 1 H), 7.34 (dd, *J* = 2.3, 8.8 Hz, 1 H), 7.77 (d, *J* = 2.3 Hz, 1 H), 8.02 (br. s, 1 H, absent after deuteration), 8.30 (d, *J* = 8.8 Hz, 1 H) ppm. ^{13}C NMR: δ = 37.3 (q), 89.9 (s), 108.3 (d), 113.5 (d), 121.9 (d), 125.5 (s), 129.9 (d), 131.0 (d), 137.8 (s), 138.2 (d), 139.1 (s), 159.8 (s) ppm. IR: $\tilde{\nu}$ = 1641, 3266 cm^{-1}. $C_{12}H_{10}ClIN_2O$ (360.58): calcd. C 39.97, H 2.80, N 7.77; found C 39.80, H 2.97, N 7.90.

***N*-(3-Bromo-5-methylpyridin-2-yl)-1-methyl-1*H*-pyrrole-2-carboxamide (3ac):** Yield: 61%. M.p. 100–102 °C (diisopropyl ether). ^{1}H NMR: major invertomer: δ = 2.33 (s, 3 H), 4.01 (s, 3 H), 6.18 (dd, *J* = 3.2, 3.2 Hz, 1 H), 6.80–6.84 (overlapping, 2 H), 7.75 (d, *J* = 1.2 Hz, 1 H), 8.25–8.31 (overlapping, 2 H; after deuteration: d, *J* = 1.2 Hz, 1 H) ppm; minor invertomer: 2.32 (s, 3 H), 3.90 (s, 3 H), 6.03 (dd, *J* = 3.9 3.9 Hz, 1 H), 6.72–6.76 (overlapping, 2 H), 7.77 (s, 1 H), 8.21 (s, 1 H), 8.29 (br. s, 1 H, absent after deuteration) ppm. ^{13}C NMR: major invertomer: δ = 17.9 (q), 37.5 (q), 108.1 (d), 111.8 (s), 113.7 (d), 130.0 (d), 131.3 (s), 134.4 (s), 142.2 (d), 146.8 (s), 147.6 (d), 159.0 (s) ppm; minor invertomer: 17.8 (q), 36.7 (q), 108.6 (d), 118.7 (d), 127.4 (s), 130.0 (d), 130.5 (s), 134.4 (s), 143.0 (d), 148.8 (d), 151.1 (s), 164.8 (s) ppm. IR: $\tilde{\nu}$ = 1643, 3264 cm^{-1}. $C_{12}H_{12}BrN_3O$ (294.15): calcd. C 49.00, H 4.11, N 14.29; found C 49.19, H 4.12, N 14.32.

***N*-(2-Iodophenyl)thiophene-2-carboxamide (3ba):** Yield: 89%. M.p. 102–104 °C (diisopropyl ether). ^{1}H NMR: δ = 6.84 (ddd, *J* = 1.4, 7.2, 8.0 Hz, 1 H), 7.15 (dd, *J* = 3.6, 5.2 Hz, 1 H), 7.38 (ddd, *J* = 1.4, 7.2, 8.4 Hz, 1 H), 7.59 (dd, *J* = 1.0, 5.2 Hz, 1 H), 7.72 (dd, *J* = 1.0, 3.6 Hz, 1 H), 7.80 (dd, *J* = 1.4, 8.0 Hz, 1 H), 8.16 (br. s, 1 H, absent after deuteration), 8.38 (dd, *J* = 1.4, 8.4 Hz, 1 H) ppm. ^{13}C NMR: δ = 90.3 (s), 113.1 (d), 116.1 (d), 122.1 (d), 126.4 (d), 129.7 (d), 138.3 (s), 139.3 (d), 145.2 (d), 147.8 (s), 160.9 (s) ppm. IR: $\tilde{\nu}$ = 1641, 3265 cm^{-1}. $C_{11}H_8INOS$ (329.16): calcd. C 40.14, H 2.45, N 4.26; found C 40.21, H 2.56, N 4.39.

***N*-(4-Chloro-2-iodophenyl)thiophene-2-carboxamide (3bb):** Yield: 86%. M.p. 98–100 °C (diisopropyl ether). ^{1}H NMR: δ = 7.13 (dd, *J* = 3.5, 4.2 Hz, 1 H), 7.30 (dd, *J* = 1.9, 8.8 Hz, 1 H), 7.55 (d, *J* = 4.2 Hz, 1 H), 7.70 (d, *J* = 3.5 Hz, 1 H), 7.72 (d, *J* = 1.9 Hz, 1 H), 8.13 (br. s, 1 H, absent after deuteration), 8.21 (d, *J* = 8.8 Hz, 1 H) ppm. ^{13}C NMR: δ = 90.8 (s), 115.5 (d), 122.7 (d), 128.5 (d), 129.7 (d), 130.4 (s), 132.1 (d), 137.2 (s), 139.0 (d), 146.1 (s), 160.1 (s) ppm. IR: $\tilde{\nu}$ = 1642, 3262 cm^{-1}. $C_{11}H_7ClINOS$ (363.61): calcd. C 36.34, H 1.94, N 3.85; found C 36.21, H 2.05, N 3.78.

***N*-(3-Bromo-5-methylpyridin-2-yl)thiophene-2-carboxamide (3bc):** Yield: 69%. M.p. 112–114 °C (diisopropyl ether). ^{1}H NMR: δ = 2.30 (s, 3 H), 7.10 (dd, *J* = 3.6, 4.4 Hz, 1 H), 7.55 (d, *J* = 4.4 Hz, 1 H), 7.70 (d, *J* = 3.6 Hz, 1 H), 7.73 (s, 1 H), 8.22 (s, 1 H), 8.63 (br. s, 1 H, absent after deuteration) ppm. ^{13}C NMR: δ = 18.0 (q), 113.9 (s), 128.2 (d), 129.9 (d), 131.8 (d), 132.6 (s), 133.9 (d), 138.9 (s), 142.4 (d), 143.2 (s), 159.9 (s) ppm. IR: $\tilde{\nu}$ = 1644, 3263 cm^{-1}. $C_{11}H_9BrN_2OS$ (297.18): calcd. C 44.46, H 3.05, N 9.43; found C 44.57, H 3.17, N 9.51.

***N*-(2-Iodophenyl)thiophene-3-carboxamide (7aa):** Yield: 92%. M.p. 120–122 °C (diisopropyl ether). ^{1}H NMR: δ = 6.86 (ddd, *J* = 1.4, 7.7, 7.7 Hz, 1 H), 7.34 (ddd, *J* = 1.2, 7.7, 8.4 Hz, 1 H), 7.40 (dd, *J* = 2.8, 5.0 Hz, 1 H), 7.56 (dd, *J* = 1.1, 5.0 Hz, 1 H), 7.78 (dd, *J* = 1.2, 7.7 Hz, 1 H), 8.07 (dd, *J* = 1.1, 2.8 Hz, 1 H), 8.19 (br. s, 1 H, absent after deuteration), 8.35 (dd, *J* = 1.4, 8.4 Hz, 1 H) ppm. ^{13}C NMR: δ = 90.9 (s), 122.4 (d), 126.5 (d), 127.0 (d), 127.5 (d), 128.5 (d), 129.8 (d), 138.5 (s), 139.1 (s), 139.2 (d), 161.2 (s) ppm. IR: $\tilde{\nu}$ = 1641, 3264 cm^{-1}. $C_{11}H_8INOS$ (329.16): calcd. C 40.14, H 2.45, N 4.26; found C 40.21, H 2.32, N 4.17.

***N*-(4-Chloro-2-iodophenyl)thiophene-3-carboxamide (7ab):** Yield: 89%. M.p. 86–88 °C (diisopropyl ether). ^{1}H NMR: δ = 7.31 (dd, *J* = 2.0, 8.8 Hz, 1 H), 7.40 (dd, *J* = 1.8, 4.8 Hz, 1 H), 7.54 (d, *J* = 4.8 Hz, 1 H), 7.74 (d, *J* = 2.0 Hz, 1 H), 8.06 (d, *J* = 1.8 Hz, 1 H), 8.12 (br. s, 1 H, absent after deuteration), 8.27 (d, *J* = 8.8 Hz, 1 H) ppm. ^{13}C NMR: δ = 90.7 (s), 122.7 (d), 126.4 (d), 127.6 (d), 129.2 (d), 129.7 (d), 130.3 (s), 137.2 (s), 137.4 (s), 138.2 (d), 161.1 (s) ppm. IR: $\tilde{\nu}$ = 1645, 3250 cm^{-1}. $C_{11}H_7ClINOS$ (363.61): calcd. C 36.34, H 1.94, N 3.85; found C 36.47, H 2.05, N 4.01.

***N*-(3-Bromo-5-methylpyridin-2-yl)thiophene-3-carboxamide (7ac):** Yield: 71%. M.p. 98–100 °C (diisopropyl ether). ^{1}H NMR: δ = 2.28 (s, 3 H), 7.36 (d, *J* = 4.0 Hz, 1 H), 7.52 (d, *J* = 4.0 Hz, 1 H), 7.73

(s, 1 H), 7.87 (br. s, 1 H, absent after deuteration), 8.02 (s, 1 H), 8.21 (s, 1 H) ppm. ^{13}C NMR: δ = 17.8 (q), 117.8 (s), 125.7 (d), 127.9 (d), 130.4 (d), 133.1 (s), 137.5 (s), 142.6 (d), 147.5 (s), 148.0 (d), 161.8 (s) ppm. IR: $\tilde{\nu}$ = 1642, 3265 cm^{-1}. $C_{11}H_9BrN_2OS$ (297.18): calcd. C 44.46, H 3.05, N 9.43; found C 44.37, H 3.17, N 9.31.

***N*-(2-Iodophenyl)furan-3-carboxamide (7ba):** Yield: 89%. M.p. 93–94 °C (diisopropyl ether). ^{1}H NMR: δ = 6.78 (d, J = 1.3 Hz, 1 H), 6.86 (ddd, J = 1.4, 8.0, 8.2 Hz, 1 H), 7.33 (ddd, J = 1.0, 7.8, 8.2 Hz, 1 H), 7.48 (dd, J = 1.3, 1.6 Hz, 1 H), 7.76 (dd, J = 1.0, 8.0 Hz, 1 H), 7.96 (br. s, 1 H, absent after deuteration), 8.09 (d, J = 1.6 Hz, 1 H), 8.27 (dd, J = 1.4, 7.8 Hz, 1 H) ppm. ^{13}C NMR: δ = 91.1 (s), 108.7 (d), 122.6 (d), 123.3 (s), 126.6 (d), 129.7 (d), 138.3 (s), 139.1 (d), 144.6 (d), 145.9 (d), 160.9 (s) ppm. IR: $\tilde{\nu}$ = 1642, 3265 cm^{-1}. $C_{11}H_8INO_2$ (313.10): calcd. C 42.20, H 2.58, N 4.47; found C 42.39, H 2.68, N 4.48.

***N*-(4-Chloro-2-iodophenyl)furan-3-carboxamide (7bb):** Yield: 81%. M.p. 97–99 °C (diisopropyl ether). ^{1}H NMR: δ = 7.26 (dd, J, 1.8, 8.6 Hz, 1 H), 7.36 (d, J = 4.7 Hz, 1 H), 7.50 (d, J = 4.7 Hz, 1 H), 7.70 (d, J = 1.8 Hz, 1 H), 8.0 (s, 1 H), 8.14 (br. s, 1 H, absent after deuteration), 8.17 (d, J = 8.6 Hz, 1 H) ppm. ^{13}C NMR: δ = 100.0 (s), 124.1 (d), 127.3 (d), 129.4 (d), 131.3 (s), 132.1 (d), 135.1 (s), 137.4 (s), 137.5 (d), 138.7 (d), 161.1 (s) ppm. IR: $\tilde{\nu}$ = 1642, 3263 cm^{-1}. $C_{11}H_7ClINO_2$ (347.54): calcd. C 38.02, H 2.03, N 4.03; found C 38.19, H 2.11, N 4.15.

***N*-(3-Bromo-5-methylpyridin-2-yl)furan-3-carboxamide (7bc):** Yield: 68%. M.p. 104–106 °C (diisopropyl ether). ^{1}H NMR: δ = 2.38 (s, 3 H), 6.54 (s, 1 H), 7.36 (d, J = 1.4 Hz, 1 H), 7.80 (br. s, 1 H, absent after deuteration), 7.82–7.87 (overlapping, 2 H), 8.27 (s, 1 H) ppm. ^{13}C NMR: δ = 18.1 (q), 110.4 (d), 119.7 (s), 123.1 (s), 136.0 (s), 142.9 (d), 144.1 (d), 147.8 (d), 148.6 (d), 149.3 (s), 165.7 (s) ppm. IR: $\tilde{\nu}$ = 1644, 3263 cm^{-1}. $C_{11}H_9BrN_2O_2$ (281.11): calcd. C 47.00, H 3.23, N 9.97; found C 46.90, H 3.16, N 9.79.

General Procedure for the Preparation of Heteroamides 4 and 8: NaH (324 mg, 13.5 mmol) was added portionwise to a solution of **3** or **7** (9 mmol) in THF (25 mL) under nitrogen. After 10 min MeI (0.67 mL, 10.8 mmol) was added dropwise and the mixture was stirred at room temperature for 6 h. The solvent was then evaporated to dryness. The residue was taken up in water (20 mL) and adjusted to pH 7 with HCl. The mixture was extracted with Et_2O, the organic layer was dried with Na_2SO_4, and the solvent was evaporated under reduced pressure. The residue was chromatographed on a silica gel column with light petroleum ether/Et_2O (4:1) as eluent to give compounds **4** and **8**.

***N*-[(2-Iodophenyl)methyl]-1-methyl-1*H*-pyrrole-2-carboxamide (4aa):** Yield: 77%. M.p. 110–112 °C (diisopropyl ether). ^{1}H NMR: δ = 3.35 (s, 3 H), 3.95 (s, 3 H), 5.53 (br. s, 1 H), 5.79 (dd, J = 2.6, 4.0 Hz, 1 H), 6.60 (dd, J = 2.2, 2.6 Hz, 1 H), 7.03 (ddd, J = 1.8, 7.0, 7.0 Hz, 1 H), 7.15–7.23 (overlapping, 2 H), 7.85 (dd, J = 1.4, 7.0 Hz, 1 H) ppm. ^{13}C NMR: δ = 36.7 (q), 37.5 (q), 108.0 (d), 108.6 (d), 111.7 (s), 113.6 (d), 118.7 (d), 129.9 (d), 130.5 (d), 131.2 (s), 142.0 (d), 146.8 (s), 159.1 (s) ppm. IR: $\tilde{\nu}$ = 1633 cm^{-1}. $C_{13}H_{13}IN_2O$ (340.17): calcd. C 45.90, H 3.85, N 8.24; found C 45.84, H 3.71, N 8.30.

***N*-[(4-Chloro-2-iodophenyl)methyl]-1-methyl-1*H*-pyrrole-2-carboxamide (4ab):** Yield: 84%. M.p. 113–115 °C (diisopropyl ether). ^{1}H NMR: δ = 3.26 (s, 3 H), 3.87 (s, 3 H), 5.55 (br. s, 1 H), 5.77 (br. s, 1 H), 6.56 (br. s, 1 H), 7.19 (d, J = 8.4 Hz, 1 H), 7.30 (dd, J = 2.1, 8.4 Hz, 1 H), 7.76 (d, J = 2.1 Hz, 1 H) ppm. ^{13}C NMR: δ = 37.3 (q), 37.7 (q), 100.3 (s), 107.4 (d), 116.3 (d), 125.3 (s), 127.6 (d), 130.2 (d), 130.3 (d), 134.0 (s), 139.7 (d), 146.8 (s), 163.0 (s) ppm. IR: $\tilde{\nu}$ = 1637 cm^{-1}. $C_{13}H_{12}ClIN_2O$ (374.61): calcd. C 41.68, H 3.23, N 7.48; found C 41.80, H 3.37, N 7.60.

***N*-[(3-Bromo-5-methylpyridin-2-yl)methyl]-1-methyl-1*H*-pyrrole-2-carboxamide (4ac):** Yield: 78%. M.p. 127–128 °C (diisopropyl ether). ^{1}H NMR: δ = 2.33 (s, 3 H), 3.38 (s, 3 H), 3.92 (s, 3 H), 5.61 (br. s, 1 H), 5.77 (dd, J = 2.7, 3.6 Hz, 1 H), 6.59 (br. s, 1 H), 7.65 (d, J = 1.1 Hz, 1 H), 8.29 (d, J = 1.1 Hz, 1 H) ppm. ^{13}C NMR: δ = 17.9 (q), 35.4 (q), 36.5 (q), 107.2 (d), 115.6 (d), 119.3 (s), 126.3 (s), 127.3 (d), 134.5 (s), 143.1 (d), 148.6 (d), 153.6 (s), 163.7 (s) ppm. IR: $\tilde{\nu}$ = 1631 cm^{-1}. $C_{13}H_{14}BrN_3O$ (308.18): calcd. C 50.67, H 4.58, N 13.63; found C 50.79, H 4.52, N 13.52.

***N*-[(2-Iodophenyl)methyl]thiophene-2-carboxamide (4ba):** Yield: 88%. M.p. 94–96 °C (diisopropyl ether). ^{1}H NMR: δ = 3.28 (s, 3 H), 6.68–6.77 (overlapping, 2 H), 7.06 (dd, J = 7.6, 7.6 Hz, 1 H), 7.21 (d, J = 4.7 Hz, 1 H), 7.27 (d, J = 7.6 Hz, 1 H), 7.36 (dd, J = 7.6, 7.9 Hz, 1 H), 7.86 (d, J = 7.9 Hz, 1 H) ppm. ^{13}C NMR: δ = 38.2 (q), 100.7 (s), 127.2 (d), 130.4 (d), 130.5 (d), 130.7 (d), 131.3 (d), 132.4 (d), 138.0 (s), 140.7 (d), 146.4 (s), 162.5 (s) ppm. IR: $\tilde{\nu}$ = 1626 cm^{-1}. $C_{12}H_{10}INOS$ (343.19): calcd. C 42.00, H 2.94, N 4.08; found C 42.11, H 2.86, N 4.19.

***N*-[(4-Chloro-2-iodophenyl)methyl]-thiophene-2-carboxamide (4bb):** Yield: 86%. M.p. 97–98 °C (diisopropyl ether). ^{1}H NMR: δ = 3.28 (s, 3 H), 6.79 (br. s, 1 H), 6.89 (br. s, 1 H), 7.22 (d, J = 7.9 Hz, 1 H), 7.29 (br. s, 1 H), 7.36 (d, J = 7.9 Hz, 1 H), 7.86 (s, 1 H) ppm. ^{13}C NMR: δ = 38.2 (q), 101.1 (s), 127.3 (d), 129.7 (d), 130.9 (d), 131.4 (d), 132.7 (d), 135.4 (s), 137.5 (s), 140.0 (d), 145.3 (s), 162.5 (s) ppm. IR: $\tilde{\nu}$ = 1635 cm^{-1}. $C_{12}H_9ClINOS$ (377.63): calcd. C 38.17, H 2.40, N 3.71; found C 38.01, H 2.25, N 3.78.

***N*-[(3-Bromo-5-methylpyridin-2-yl)methyl]thiophene-2-carboxamide (4bc):** Yield: 84%. M.p. 121–123 °C (diisopropyl ether). ^{1}H NMR: δ = 2.28 (s, 3 H), 3.29 (s, 3 H), 6.67–7.01 (overlapping, 2 H), 7.22 (dd, J = 2.6, 3.3 Hz, 1 H), 7.68 (s, 1 H), 8.23 (s, 1 H) ppm. ^{13}C NMR: δ = 18.0 (q), 36.1 (q), 120.0 (s), 127.0 (d), 130.6 (d), 131.3 (d), 133.8 (s), 136.0 (s), 143.4 (d), 149.1 (d), 152.3 (s), 163.2 (s) ppm. IR: $\tilde{\nu}$ = 1638 cm^{-1}. $C_{12}H_{11}BrN_2OS$ (311.20): calcd. C 46.32, H 3.56, N 9.00; found C 46.47, H 3.47, N 9.11.

***N*-[(2-Iodophenyl)methyl]thiophene-3-carboxamide (8aa):** Yield: 84%. M.p. 134–135 °C (diisopropyl ether). ^{1}H NMR: δ = 3.32 (s, 3 H), 6.97–7.04 (overlapping, 3 H), 7.10 (s, 1 H), 7.19 (d, J = 7.5 Hz, 1 H), 7.30 (dd, J = 7.5, 7.5 Hz, 1 H), 7.84 (d, J = 7.9 Hz, 1 H) ppm. ^{13}C NMR: δ = 37.9 (q), 99.9 (s), 115.1 (d), 120.1 (d), 124.9 (d), 128.9 (d), 130.0 (d), 136.8 (s), 139.2 (d), 140.6 (d), 147.2 (s), 165.0 (s) ppm. IR: $\tilde{\nu}$ = 1639 cm^{-1}. $C_{12}H_{10}INOS$ (343.19): calcd. C 42.00, H 2.49, N 4.08; found C 42.12, H 2.52, N 4.17.

***N*-[(4-Chloro-2-iodophenyl)methyl]thiophene-3-carboxamide (8ab):** Yield: 85%. M.p. 96–98 °C (diisopropyl ether). ^{1}H NMR: δ = 3.11 (s, 3 H), 6.80–6.87 (overlapping, 2 H), 6.97–7.01 (overlapping, 2 H), 7.11 (d, J = 7.4 Hz, 1 H), 7.63 (s, 1 H) ppm. ^{13}C NMR: δ = 37.8 (q), 100.3 (s), 125.3 (d), 128.7 (d), 129.8 (d), 130.3 (d), 130.6 (d), 134.4 (s), 136.6 (s), 139.6 (d), 145.9 (s), 164.6 (s) ppm. IR: $\tilde{\nu}$ = 1638 cm^{-1}. $C_{12}H_9ClINOS$ (377.63): calcd. C 38.17, H 2.40, N 3.71; found C 38.27, H 2.55, N 3.63.

***N*-[(3-Bromo-5-methylpyridin-2-yl)methyl]thiophene-3-carboxamide (8ac):** Yield: 78%. M.p. 118–120 °C (diisopropyl ether). ^{1}H NMR: δ = 2.24 (s, 3 H), 3.29 (s, 3 H), 6.93–6.99 (overlapping, 2 H), 7.12 (s, 1 H), 7.61 (s, 1 H), 8.18 (s, 1 H) ppm. ^{13}C NMR: δ = 17.9 (q), 35.7 (q), 119.4 (s), 125.1 (d), 128.2 (d), 129.2 (d), 135.3 (s), 137.2 (s), 143.2 (d), 148.9 (d), 152.8 (s), 165.6 (s) ppm. IR: $\tilde{\nu}$ = 1637 cm^{-1}. $C_{12}H_{11}BrN_2OS$ (311.20): calcd. C 46.32, H 3.56, N 9.00; found C 46.37, H 3.41, N 9.11.

***N*-[(2-Iodophenyl)methyl]furan-3-carboxamide (8ba):** Yield: 89%. M.p. 81–83 °C (diisopropyl ether). ^{1}H NMR: δ = 3.34 (s, 3 H), 6.21 (s, 1 H), 6.81 (s, 1 H), 7.11 (ddd, J = 1.4, 7.7, 8.8 Hz, 1 H), 7.18 (s, 1 H), 7.32 (dd, J = 1.4, 7.7 Hz, 1 H), 7.44 (ddd, J = 1.2, 7.7, 8.8 Hz, 1 H), 7.96 (dd, J = 1.2, 7.7 Hz, 1 H) ppm. ^{13}C NMR: δ = 37.4 (q), 100.3 (s), 111.3 (d), 120.2 (d), 122.2 (s), 130.3 (d), 139.3 (d), 140.6 (d), 142.6 (d), 145.6 (d), 146.5 (s), 163.3 (s) ppm. IR: $\tilde{\nu}$ = 1638 cm^{-1}. $C_{12}H_{10}INO_2$ (327.12): calcd. C 44.06, H 3.08, N 4.28; found C 43.99, H 2.98, N 4.38.

***N*-[(4-Chloro-2-iodophenyl)methyl]furan-3-carboxamide (8bb):** Yield: 82%. M.p. 79–81 °C (diisopropyl ether). ^{1}H NMR: δ = 3.23 (s, 3 H), 6.14 (s, 1 H), 6.88 (s, 1 H), 7.11–7.21 (overlapping, 2 H), 7.34 (s, 1 H), 7.86 (s, 1 H) ppm. ^{13}C NMR: δ = 37.4 (q), 100.6 (s), 111.2 (d), 115.4 (d), 122.0 (s), 130.5 (d), 135.2 (s), 139.9 (d), 142.8 (d), 145.3 (s), 145.6 (d), 163.2 (s) ppm. IR: $\tilde{\nu}$ = 1641 cm^{-1}. $C_{12}H_9ClINO_2$ (361.57): calcd. C 39.86, H 2.51, N 3.87; found C 39.97, H 2.41, N 3.90.

***N*-[(3-Bromo-5-methylpyridin-2-yl)methyl]furan-3-carboxamide (8bc):** Yield: 83%. M.p. 92–94 °C (diisopropyl ether). ^{1}H NMR: δ = 2.40 (s, 3 H), 3.36 (s, 3 H), 6.19 (s, 1 H), 7.06 (s, 1 H), 7.19 (s, 1 H), 7.78 (d, J = 1.4 Hz, 1 H), 8.33 (d, J = 1.4 Hz, 1 H) ppm. ^{13}C NMR: δ = 18.0 (q), 35.4 (q), 110.6 (d), 120.0 (s), 122.4 (s), 135.8 (s), 142.7 (d), 143.4 (d), 145.2 (d), 149.0 (d), 152.5 (s), 163.9 (s) ppm. IR: $\tilde{\nu}$ = 1638 cm^{-1}. $C_{12}H_{11}BrN_2O_2$ (295.14): calcd. C 48.84, H 3.76, N 9.49; found C 48.70, H 3.66, N 9.59.

General Procedure for the Preparation of Fused Quinolones and Naphthyridones 5 and 9: A solution of **4** or **8** (0.5 mmol), [Pd(PPh$_3$)$_4$] (59 mg, 0.05 mmol), and AcOK (75 mg, 0.77 mmol) in DMA (4 mL) was stirred at 120 °C for 24 h. The residue was diluted with H$_2$O/NaCl (15 mL) and extracted with Et$_2$O. The organic layer was dried with Na$_2$SO$_4$ and evaporated under reduced pressure. The residue was chromatographed on a silica gel column with light petroleum ether/Et$_2$O (5:1) as eluent to give compounds **5** and **9**.

3,5-Dimethyl-3,5-dihydropyrrolo[2,3-*c*]quinolin-4-one (5aa): Yield: 89%. M.p. 191–193 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 3.79 (s, 3 H), 4.22 (s, 3 H), 6.70 (d, J = 2.7 Hz, 1 H), 7.04 (d, J = 2.7 Hz, 1 H), 7.27 (ddd, J = 1.3, 6.4, 8.0 Hz, 1 H), 7.40 (dd, J = 1.3, 8.3 Hz, 1 H), 7.43 (ddd, J = 1.3, 6.4, 8.3 Hz, 1 H), 7.91 (dd, J = 1.3, 8.0 Hz, 1 H) ppm. ^{13}C NMR: δ = 29.1 (q), 36.6 (q), 100.8 (d), 115.2 (d), 119.1 (s), 120.3 (s), 122.4 (d), 123.6 (d), 127.0 (d), 128.2 (s), 131.4 (d), 137.1 (s), 156.7 (s) ppm. IR: $\tilde{\nu}$ = 1655 cm^{-1}. $C_{13}H_{12}N_2O$ (212.25): calcd. C 73.57, H 5.70, N 13.20; found C 73.62, H 5.51, N 13.11.

8-Chloro-3,5-dimethyl-3,5-dihydropyrrolo[2,3-*c*]quinolin-4-one (5ab): Yield: 74%. M.p. 205–207 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 3.71 (s, 3 H), 4.18 (s, 3 H), 6.61 (br. s, 1 H), 7.02 (br. s, 1 H), 7.25 (d, J = 8.8 Hz, 1 H), 7.32 (d, J = 8.8 Hz, 1 H), 7.78 (s, 1 H) ppm. ^{13}C NMR: δ = 29.3 (q), 36.6 (q), 101.0 (d), 116.5 (d), 120.3 (s), 122.5 (s), 123.0 (d), 126.8 (d), 126.9 (s), 127.8 (s), 131.5 (d), 135.6 (s), 156.3 (s) ppm. IR: $\tilde{\nu}$ = 1657 cm^{-1}. $C_{13}H_{11}ClN_2O$ (246.70): calcd. C 63.29, H 4.49, N 11.36; found C 63.20, H 4.57, N 11.50.

3,5,8-Trimethyl-3,5-dihydropyrrolo[2,3-*c*][1,8]naphthyridin-4-one (5ac): Yield: 52%. M.p. 136–138 °C (diisopropyl ether). ^{1}H NMR: δ = 2.44 (s, 3 H), 3.88 (s, 3 H), 4.22 (s, 3 H), 6.67 (d, J = 2.7 Hz, 1 H), 7.05 (d, J = 2.7 Hz, 1 H), 7.95 (d, J = 1.9 Hz, 1 H), 8.31 (d, J = 1.9 Hz, 1 H) ppm. ^{13}C NMR: δ = 18.2 (q), 28.4 (q), 36.6 (q), 101.3 (d), 114.2 (s), 118.8 (s), 122.3 (s), 125.9 (s), 127.6 (s), 129.0 (d), 131.3 (d), 146.2 (d), 157.2 (s) ppm. IR: $\tilde{\nu}$ = 1660 cm^{-1}. $C_{13}H_{13}N_3O$ (227.27): calcd. C 68.71, H 5.77, N 18.49; found C 68.76, H 5.83, N 18.56.

5-Methyl-5*H*-thieno[2,3-*c*]quinolin-4-one (5ba): Yield: 57%. M.p. 177–179 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 3.82 (s, 3 H), 7.33 (ddd, J = 1.6, 6.6, 7.0 Hz, 1 H), 7.44–7.60 (overlapping, 2 H), 7.60–7.78 (overlapping, 2 H), 8.00 (dd, J = 1.6, 8.0 Hz, 1 H) ppm. ^{13}C NMR: δ = 29.9 (q), 115.6 (d), 118.9 (s), 122.6 (d), 122.8 (d), 124.8 (d), 129.4 (d), 131.1 (s), 133.6 (d), 139.0 (s), 142.2 (s), 160.1 (s) ppm. IR: $\tilde{\nu}$ = 1658 cm^{-1}. $C_{12}H_9NOS$ (215.28): calcd. C 66.95, H 4.21, N 6.51; found C 66.86, H 4.11, N 6.39.

8-Chloro-5-methyl-5*H*-thieno[2,3-*c*]quinolin-4-one (5bb): Yield: 56%. M.p. 208–210 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 3.82 (s, 3 H), 7.40 (d, J = 8.8 Hz, 1 H), 7.51 (dd, J = 2.2, 8.8 Hz, 1 H), 7.68 (d, J = 5.2 Hz, 1 H), 7.81 (d, J = 5.2 Hz, 1 H), 7.96 (d, J = 2.2 Hz, 1 H) ppm. ^{13}C NMR: δ = 29.9 (q), 116.8 (d), 119.7 (s), 122.3 (d), 124.1 (d), 128.2 (s), 129.1 (d), 131.3 (s), 133.8 (d), 137.3 (s), 140.8 (s), 158.4 (s) ppm. IR: $\tilde{\nu}$ = 1659 cm^{-1}. $C_{12}H_8ClNOS$ (249.72): calcd. C 57.72, H 3.23, N 5.61; found C 57.61, H 3.09, N 5.78.

5,8-Dimethyl-5*H*-thieno[2,3-*c*][1,8]naphthyridin-4-one (5bc): Yield: 49%. M.p. 185–187 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 2.45 (s, 3 H), 3.82 (s, 3 H), 7.66 (d, J = 5.1 Hz, 1 H), 7.77 (d, J = 5.1 Hz, 1 H), 8.00 (s, 1 H), 8.40 (s, 1 H) ppm. ^{13}C NMR: δ = 18.2 (q), 36.1 (q), 113.6 (s), 122.3 (d), 127.8 (s), 131.4 (s), 132.6 (d) 133.7 (d), 140.0 (s), 143.4 (d), 149.1 (s), 159.0 (s) ppm. IR: $\tilde{\nu}$ = 1660 cm^{-1}. $C_{12}H_{10}N_2OS$ (230.29): calcd. C 62.59, H 4.38, N 12.16; found C 62.57, H 4.37, N 12.31.

5-Methyl-5*H*-thieno[3,2-*c*]quinolin-4-one (9aa): Yield: 68%. M.p. 141–143 °C (diisopropyl ether). ^{1}H NMR: δ = 3.83 (s, 3 H), 7.34 (ddd, J = 1.6, 7.7, 8.0 Hz, 1 H) 7.40 (d, J = 5.2 Hz, 1 H), 7.44 (dd, J = 1.6, 8.0 Hz, 1 H), 7.53 (ddd, J = 1.0, 7.7, 7.8 Hz, 1 H), 7.75 (d, J = 5.2 Hz, 1 H), 7.86 (dd, J = 1.6, 7.8 Hz, 1 H) ppm. ^{13}C NMR: δ = 29.8 (q), 115.5 (d), 118.4 (s), 122.8 (d), 124.6 (d), 125.1 (d), 126.9 (d), 129.7 (d), 131.2 (s), 137.9 (s), 145.7 (s), 159.1 (s) ppm. IR: $\tilde{\nu}$ = 1659 cm^{-1}. $C_{12}H_9NOS$ (215.28): calcd. C 66.95, H 4.21, N 6.51; found C 66.83, H 4.27, N 6.72.

8-Chloro-5-methyl-5*H*-thieno[3,2-*c*]quinolin-4-one (9ab): Yield: 60%. M.p. 210–212 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 3.51 (s, 3 H), 7.05–7.24 (overlapping, 3 H), 7.32–7.53 (overlapping, 2 H) ppm. ^{13}C NMR: δ = 29.8 (q), 117.0 (d), 119.1 (s), 123.5 (d), 126.1 (d), 126.6 (d), 128.5 (s), 129.4 (d), 131.5 (s), 136.2 (s), 143.9 (s), 158.4 (s), ppm. IR: $\tilde{\nu}$ = 1660 cm^{-1}. $C_{12}H_8ClNOS$ (249.72): calcd. C 57.72, H 3.23, N 5.61; found C 57.67, H 3.35, N 5.53.

5,8-Dimethyl-5*H*-thieno[3,2-*c*][1,8]naphthyridin-4-one (9ac): Yield: 49%. M.p. 189–190 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 2.38 (s, 3 H), 3.81 (s, 3 H), 7.36 (d, J = 5.2 Hz, 1 H), 7.68 (d, J = 5.2 Hz, 1 H), 7.74 (d, J = 1.4 Hz, 1 H), 8.32 (d, J = 1.4 Hz, 1 H) ppm. ^{13}C NMR: δ = 18.1 (q), 28.8 (q), 113.3 (s), 126.0 (d), 126.7 (d), 128.3 (s), 131.9 (d), 135.2 (s), 143.1 (s), 146.3 (s), 149.3 (d), 159.7 (s) ppm. IR: $\tilde{\nu}$ = 1659 cm^{-1}. $C_{12}H_{10}N_2OS$ (230,29): calcd. C 62.59, H 4.38, N 12.16; found C 62.47, H 4.37, N 12.11.

5-Methyl-5*H*-furo[3,2-*c*]quinolin-4-one (9ba):[24] Yield: 85%. M.p. 132–134 °C (diisopropyl ether). ^{1}H NMR: δ = 3.82 (s, 3 H), 7.09 (d, J = 2.0 Hz, 1 H), 7.34 (dd, J = 7.5, 7.6 Hz, 1 H), 7.41–7.63 (overlapping, 2 H), 7.66 (d, J = 2.0 Hz, 1 H), 8.04 (dd, J = 1.4, 7.5 Hz, 1 H) ppm. ^{13}C NMR: δ = 28.8 (q), 107.7 (d), 112.5 (s), 114.4 (s), 114.7 (d), 120.5 (d), 121.6 (s), 128.8 (s), 137.4 (d), 143.3 (d), 154.4 (d), 158.8 (s) ppm. IR: $\tilde{\nu}$ = 1660 cm^{-1}. $C_{12}H_9NO_2$ (199.21): calcd. C 72.35, H 4.55, N 7.03; found C 72.29, H 4.68, N 7.18.

8-Chloro-5-methyl-5*H*-furo[3,2-*c*]quinolin-4-one (9bb): Yield: 63%. M.p. 186–188 °C (CH$_2$Cl$_2$/diisopropyl ether). ^{1}H NMR: δ = 3.71 (s, 3 H), 7.02 (d, J = 1.6 Hz, 1 H), 7.31 (d, J = 9.0 Hz, 1 H), 7.42

(dd, J = 2.2, 9.0 Hz, 1 H), 7.60 (d, J = 1.6 Hz, 1 H), 7.83 (d, J = 2.2 Hz, 1 H) ppm. ^{13}C NMR: δ = 29.9 (q), 108.8 (d), 114.3 (s), 116.5 (s), 116.8 (d), 120.8 (d), 128.3 (s), 129.7 (d), 136.8 (s), 144.9 (d), 154.0 (s), 159.3 (s) ppm. IR: $\tilde{\nu}$ = 1659 cm^{-1}. $C_{12}H_8ClNO_2$ (233.66): calcd. C 61.69, H 3.45, N 5.99; found C 61.66, H 3.41, N 5.90.

5,8-Dimethyl-5*H*-furo[3,2-*c*][1,8]naphthyridin-4-one (9bc): Yield: 36%. M.p. 184–186 °C (CH_2Cl_2/diisopropyl ether). ^{1}H NMR: δ = 2.44 (s, 3 H), 3.85 (s, 3 H), 7.07 (s, 1 H), 7.64 (s, 1 H), 8.00 (s, 1 H), 8.40 (s, 1 H) ppm. ^{13}C NMR: δ = 18.2 (q), 28.9 (q), 108.5 (s), 108.7 (d), 116.8 (s), 127.7 (s), 129.3 (d), 145.1 (d), 147.1 (s), 149.0 (d), 153.7 (s), 159.9 (s) ppm. IR: $\tilde{\nu}$ = 1660 cm^{-1}. $C_{12}H_{10}N_2O_2$ (214.23): calcd. C 67.28, H 4.71, N 13.08; found C 67.40, H 4.66, N 13.19.

General Procedure for the Preparation of Fused Quinolones and Naphthyridones 5 and 9 under Microwave Irradiation: A suspension of the appropriate heteroamide (0.5 mmol), [Pd(PPh$_3$)$_4$] (59 mg, 0.05 mmol), and AcOK (75 mg, 0.77 mmol) in DMA (4 mL) was heated in a microwave oven (450 W) at 120 °C for 12 min for **4bc** and **8ac** and at 140 °C for 30 min for **4ac** and **8bc**. After cooling, the residue was diluted H_2O/NaCl (15 mL) and extracted with Et_2O. The organic layer was dried with Na_2SO_4 and evaporated under reduced pressure. The residue was chromatographed on a silica gel column with light petroleum ether/Et_2O (5:1) as eluent to give compounds **5** or **9**.

3,5,8-Trimethyl-3,5-dihydropyrrolo[2,3-*c*][1,8]naphthyridin-4-one (**5ac**): Yield: 90%.

5,8-Dimethyl-5*H*-thieno[2,3-*c*][1,8]naphthyridin-4-one (**5bc**): Yield: 92%

5,8-Dimethyl-5*H*-thieno[3,2-*c*][1,8]naphthyridin-4-one (**9ac**): Yield: 96%.

5,8-Dimethyl-5*H*-furo[3,2-*c*][1,8]naphthyridin-4-one (**9bc**): Yield: 91%.

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