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Cite this: DOI: 10.1039/c0xx00000x

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ARTICLE TYPE

Copper-Mediated Cross-Coupling Approach for the Synthesis of 3-Heteroaryl Quinolone and Related Analogues

Sanghye Shin, Yechan Kim, Kiho Kim, and Sungwoo Hong*

Received (in XXX, XXX) Xth XXXXXXXXX 20XX, Accepted Xth XXXXXXXXX 20XX

DOI: 10.1039/b000000x

An efficient and practical method for the direct cross-coupling between quinolones and a range of azoles was developed via copper-mediated C–H functionalization. This synthetic strategy provides a convenient access to a variety of C3-heteroaryl quinolones, quinolinone, nalidixic acid, uracil, pyridone and chromone derivatives, which are prominent structural motifs in many biologically active compounds.

Introduction

The family of quinolones constitutes an important class of naturally occurring compounds and privileged medicinal scaffolds.¹ The characteristics of the substituents on a quinolone core profoundly affect the biological activity. Among them, 3-heteroaryl substituted quinolones have been extensively investigated because of their promising biological properties including antitumor, antiviral, and antibacterial activities.² Although significant synthetic contributions were made to introduce a range of heteroaryl group into the quinolone core, the existing condensation route is often limited by a modest substrate scope, harsh conditions, multiple steps, or low chemical yield.² In this regard, the development of a more straightforward synthetic route to varied heteroaryl-substituted quinolones would be highly desirable for the construction of scaffold focused chemical libraries.

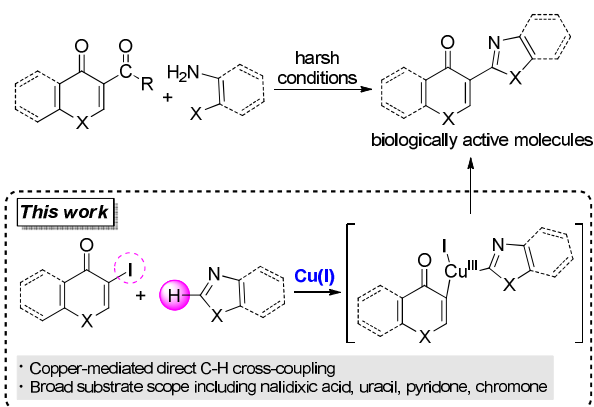
In recent years, substantial advances have been made toward direct arylation and alkenylation of various azoles through direct

transition metal-catalyzed functionalization of C–H bonds in heterocycles.³ Despite advances in this type of cross-coupling, the applicable substrate scope of azole coupling partners remains limited to simple arenes.^{4,5} Inspired by the recent progress in copper-based cross-coupling reactions,⁵ we investigated the feasibility of the expeditious synthetic approach for the installation of azoles at the C3 position of quinolone scaffolds as depicted in Scheme 1. It is worth noting that transition metal-catalyzed cross-coupling reactions of azoles with enaminone or enolone systems are unprecedented. During these efforts, we established a copper-mediated protocol which would present opportunities for the efficient construction of heteroaryl-substituted quinolones and related scaffolds, and herein we report the details of this study.

Results and discussion

For the initial screening, *N*-benzyl-quinolone **1a** and benzothiazole (**2a**) were used as model substrates; representative screening data for the cross-coupling reaction are listed in Table 1. We were pleased to observe that the corresponding product **3a** was obtained under a catalytic system comprising both Pd(PPh₃)₄ and CuI (Table 1, entry 1). This approach allows for the direct installation of benzothiazole at the C-3 position of quinolone core. Further investigations of the reaction conditions revealed that the coupling reaction proceeded in the absence of a Pd catalyst, and the reaction conditions consisting of CuI, and Cs₂CO₃ in 1,4-dioxane at 110 °C allowed the isolation of 3-heteroaryl quinolone product **3a**, albeit only in 31% yield (entry 2). A systematic investigation of more reactive reaction conditions was conducted by testing various copper sources, bases, ligands, solvents, and temperatures. LiO^tBu proved to be the most efficient base while the more strongly basic KO^tBu gave no positive effect (entries 3–4 and 7). Among the Cu species screened, CuI was the most effective for promoting the reactions (entries 7–9). The choice of solvent was critical for the reaction efficiency, and the yield increased further to 65% in 1,4-dioxane (entries 5–7). Interestingly, variations in the amount of base present influenced the reaction outcomes, and a lower yield of isolated product **3a** was observed with excess of base, presumably as a result of decomposition of starting substrate (entries 7 and 10). After screening a variety of bases, we found that the use of 1.2 equiv LiO^tBu gave the best product yields with minimal by-product formation (entry 11). The loading of lower amounts of CuI

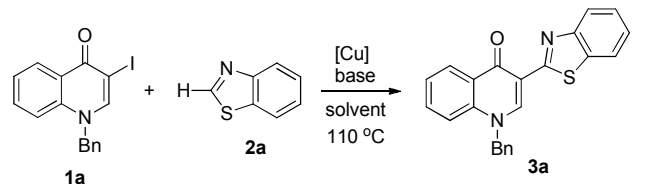
Conventional condensation route



Scheme 1 Synthetic strategy for construction of 3-heteroaryl quinolone motif via copper-mediated C–H cross-coupling.

(entries 12 and 13) resulted in lower conversion rates. Under the optimized reaction conditions, the cross-coupling of **1a** (1 equiv) and **2a** (1.5 equiv) in the presence of CuI (3 equiv), and LiO^tBu (1.2 equiv) in 1,4-dioxane at 110 °C, afforded the product **3a** in the highest yield (80%).

Table 1 Optimization of the coupling reaction of quinolone **1a** with benzothiazole (**2a**)^a

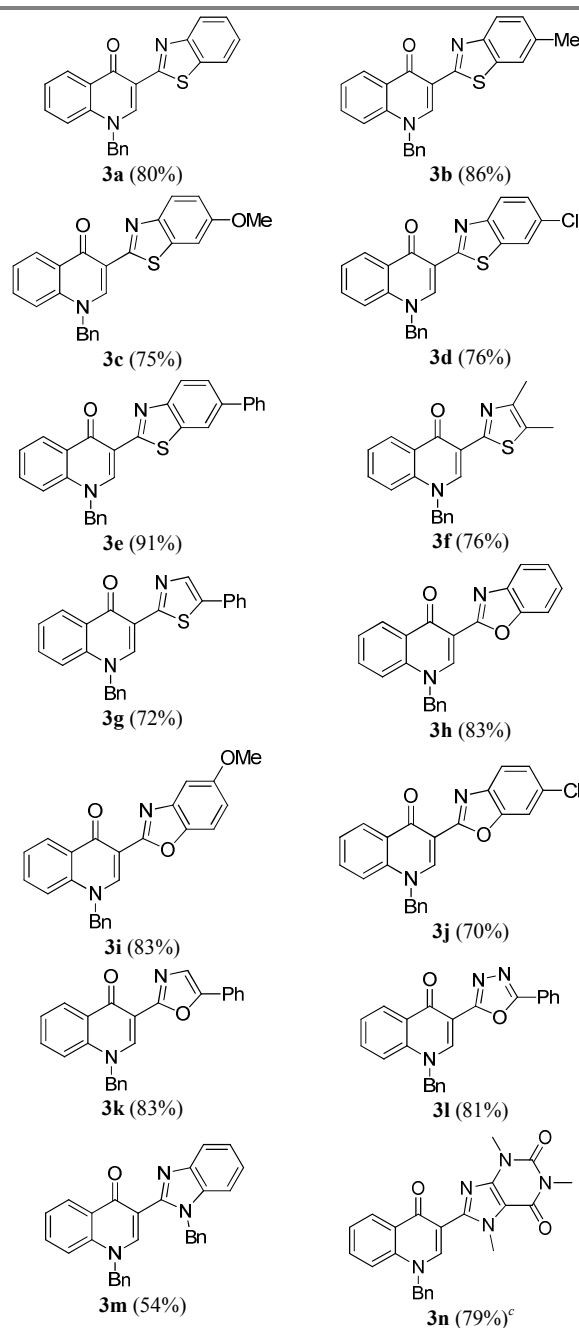
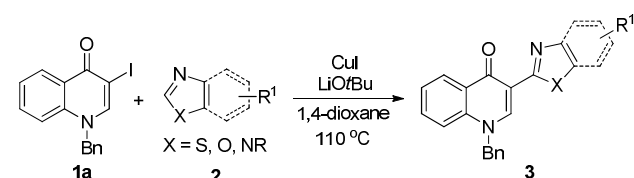


Entry	M (equiv)	Base (equiv)	Solvent	Yield (%) ^b
1	Pd(PPh ₃) ₄ (0.025) / CuI (2.0)	Cs ₂ CO ₃ (2.0)	1,4-dioxane	51%
2	CuI (2.0)	Cs ₂ CO ₃ (2.0)	1,4-dioxane	31%
3	CuI (2.0)	K ₃ PO ₄ (2.0)	1,4-dioxane	31%
4	CuI (2.0)	KO ^t Bu (2.0)	1,4-dioxane	trace
5	CuI (2.0)	LiO ^t Bu (2.0)	DCE	15%
6	CuI (2.0)	LiO ^t Bu (2.0)	DME	44%
7	CuI (2.0)	LiO ^t Bu (2.0)	1,4-dioxane	65%
8	CuCl (2.0)	LiO ^t Bu (2.0)	1,4-dioxane	21%
9	CuBr (2.0)	LiO ^t Bu (2.0)	1,4-dioxane	15%
10	CuI (3.0)	LiO ^t Bu (1.5)	1,4-dioxane	75%
11	CuI (3.0)	LiO^tBu (1.2)	1,4-dioxane	80%
12	CuI (0.1)	LiO ^t Bu (1.5)	1,4-dioxane	41%
13	CuI (1.0)	LiO ^t Bu (1.5)	1,4-dioxane	60%

^aReactions were conducted with quinolone (0.1 mmol), benzothiazole (0.15 mmol), CuX, and base in solvent (1 mL) at 110 °C for 20 h under N₂. ^bIsolated yield. DCE = 1,2-dichloroethane, DME = 1,2-dimethoxyethane

To diversify the 3-heteroaryl quinolone derivatives, the coupling reaction studies were extended to include a range of the azole substrates. The protocol was found to be applicable to the reactions of the synthetically useful azole-based substrates, and Table 2 outlines the substrate scope of the cross-coupling reaction under the optimized reaction conditions. A broad range of azoles, including variously substituted benzothiazoles (**3a-3e**), thiazoles (**3f** and **3g**), benzoxazoles (**3h** and **3i**), oxazole (**3k**), oxadiazole (**3l**), and imidazole (**3m**) efficiently coupled with quinolone **1a** to afford the corresponding coupling products in good yields. Notably, caffeine reacted as a coupling partner with similar efficiencies under the slightly modified conditions (DMF, 140 °C) to afford the corresponding product **3n** in 79% yield.

Table 2 Copper-mediated direct cross-coupling of quinolone with various azoles^a

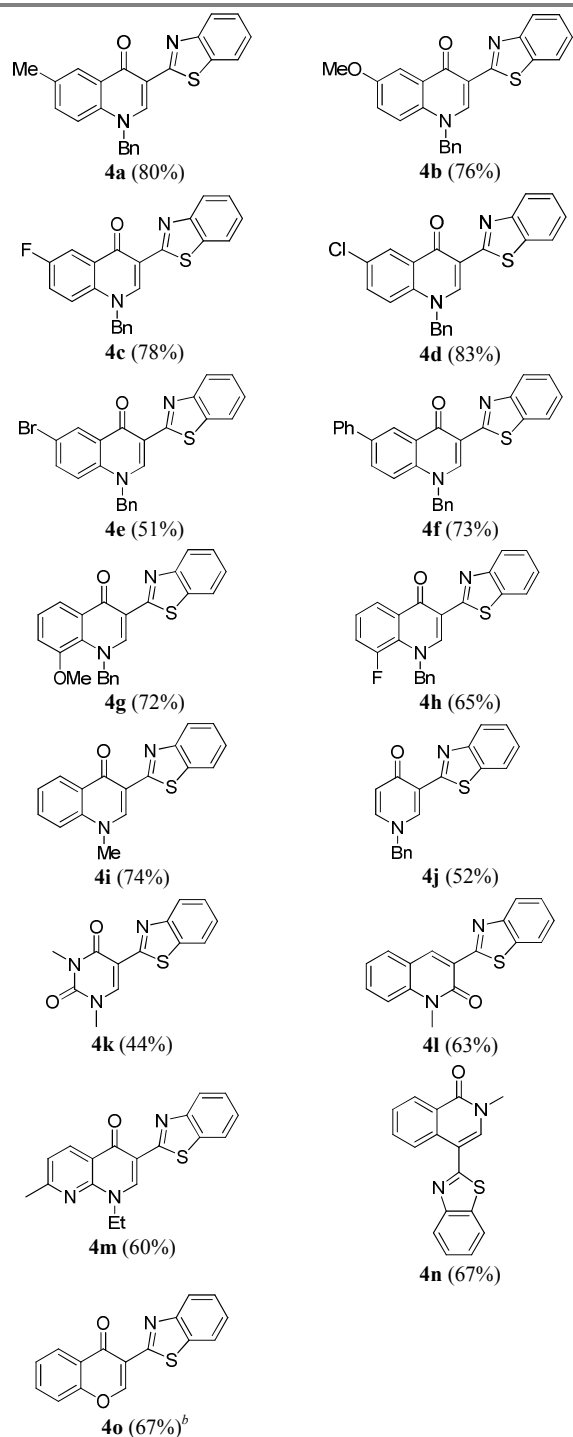


^aReactions were conducted with quinolone (0.1 mmol), azole (0.15-0.2 mmol), CuI (0.3 mmol), and LiO^tBu (0.12-0.2 mmol) in 1,4-dioxane (1 mL) at 110 °C for 20 h under N₂. ^bQuinolone (0.1 mmol), caffeine (0.2 mmol), CuI (0.3 mmol), and LiO^tBu (0.2 mmol) in DMF (1 mL) at 140 °C for 20 h under N₂. Isolated yield.

Encouraged by the successful results, we next investigated the generality of this coupling reaction by extending it to a variety of quinolone and related substrates, as illustrated in Table 3. The present process was amenable to the presence of a variety of functional groups. For example, a relatively broad range of functional groups (e.g., methyl, methoxy, fluoro, chloro, bromo, and phenyl groups) on the quinolone core were compatible with the cross-coupling conditions and provided moderate to good yields (**4a-4i**). Substitution of the electron-donating or -withdrawing group on the quinolone core had little effect on the reaction efficiency. Expanding the scope from the bicyclic

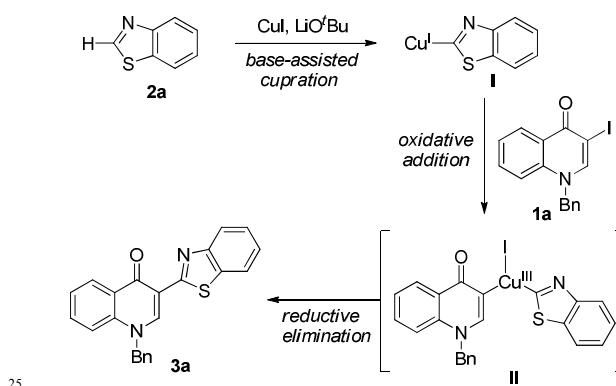
quinolone core to a monocyclic enaminone or uracil system was also possible, leading to the formation of **4j** and **4k**. For broad utility, we next investigated a series of experiments designed to explore the potential applicability to a series of heterocycles including quinolinone,⁶ nalidixic acid,⁷ pyridone⁸ and chromone,⁹ demonstrating that the reaction is highly flexible with respect to the substrate type to afford **4l**, **4m**, **4n**, and **4o** in modest to good yields.

Table 3 Copper-mediated direct cross-coupling of various quinolones or related scaffolds with benzothiazole^a



^aReactions were conducted with substrate (0.1 mmol), benzothiazole (0.15 mmol), CuI (0.3 mmol), and LiO^tBu (0.12-0.15 mmol) in 1,4-dioxane (1 mL) at 110 °C for 20 h under N₂. ^bSubstrate (0.1 mmol), benzothiazole (0.3 mmol), CuI (0.5 mmol), and LiO^tBu (0.3 mmol) in 1,4-dioxane (1 mL) at 100 °C for 20 h under N₂. Isolated yield.

We proposed a plausible mechanism for the cross-coupling between *N*-benzyl-quinolone **1a** and benzothiazole (**2a**) (Scheme 2). The reaction is initiated by the base-assisted cupration of benzothiazole (**2a**).¹⁰ The Cu species **I** would then react with **1a** through the oxidative addition to afford copper species of higher oxidation state,¹¹ and the subsequent reductive elimination of **II** provides the desired coupled product **3a**.



Scheme 2 Plausible reaction pathway

Conclusions

In summary, we developed an efficient and versatile method for the copper-mediated direct cross-coupling reactions of a range of azoles with quinolones, offering a promising alternative to classical procedures. This synthetic strategy was suitable for the swift construction of a variety of C3-heteroaryl quinolones, quinolinone, nalidixic acid, uracil, pyridone and chromone derivatives, which are prominent structural motifs in many biologically active compounds. Further studies toward broadening the scope to include related heterocycles and the development of catalytic variants are currently underway.

Experimental

General Methods and Materials

Unless stated otherwise, reactions were performed in flame-dried glassware under a positive pressure of nitrogen using freshly distilled solvents. Analytical thin layer chromatography (TLC) was performed on precoated silica gel 60 F₂₅₄ plates and visualization on TLC was achieved by UV light (254 and 354nm). Flash column chromatography was undertaken on silica gel (400-630 mesh). ¹H NMR was recorded on 400 MHz and chemical shifts were quoted in parts per million (ppm) referenced to the appropriate solvent peak or 0.0 ppm for tetramethylsilane. The following abbreviations were used to describe peak splitting patterns when appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublet. Coupling constants, *J*, were reported in hertz unit (Hz). ¹³C NMR was recorded on 100 MHz and was fully decoupled by broad band proton decoupling. Chemical shifts were reported in ppm referenced to the center line of a triplet at 77.0 ppm of

chloroform-*d*. Mass spectral data were obtained by using EI method. Commercial grade reagents and solvents were used without further purification except as indicated below. 1,2-dichloroethane and 1,2-dimethoxyethane were distilled from calcium hydride. Unless otherwise stated, all commercial reagents and solvents were used without additional purification.

General Procedure (GP) for synthesis of 3-heteroaryl quinolones. Quinolone derivative (0.1 mmol), heteroarene (0.15-0.2 mmol), CuI (0.3 mmol) and LiO^tBu (0.12-0.2 mmol) were combined in 1,4-dioxane (1 mL) under N₂. The reaction mixture was heated to 110 °C with vigorous stirring. The reaction was monitored by TLC using ethyl acetate and toluene = 1:7 as the mobile phase. When starting material was disappeared, the reaction mixture was diluted with CH₂Cl₂ and the residue was extracted with aqueous NH₄Cl and aqueous NaHCO₃ (3 × 30 mL). The organic layer was dried over MgSO₄. After removal of solvent, the residue was purified by flash chromatography (ethyl acetate and toluene = 1:7) on silica gel to give desired product.

3-(benzo[d]thiazol-2-yl)-1-benzylquinolin-4(1H)-one (3a). Compound **3a** was prepared (29.4 mg, 80% yield) according to GP from quinolone derivative (0.1 mmol). mp 214-216 °C. white solid. ¹H NMR (400 MHz, DMSO-*d*6) δ 9.55 (d, *J* = 0.8 Hz, 1H), 8.44 – 8.39 (m, 1H), 8.14 – 8.09 (m, 1H), 7.96 (dt, *J* = 8.0, 0.7 Hz, 1H), 7.78 (ddd, *J* = 8.7, 1.4, 0.6 Hz, 1H), 7.76 – 7.70 (m, 1H), 7.50 (dtd, *J* = 8.3, 6.9, 1.2 Hz, 2H), 7.41 – 7.35 (m, 1H), 7.35 – 7.31 (m, 2H), 7.31 – 7.25 (m, 3H), 5.90 (s, 2H). ¹³C NMR (100 MHz, DMSO-*d*6) δ 173.8, 161.9, 151.7, 145.5, 139.2, 136.6, 135.5, 133.2, 129.4, 128.3, 127.1, 126.9, 126.7, 126.5, 125.7, 124.5, 122.4, 121.8, 118.6, 113.4, 56.4. HRMS (ESI⁺) *m/z* calcd. for C₂₃H₁₆N₂NaOS⁺ [M+Na]⁺: 391.0876, found: 391.0868.

1-benzyl-3-(6-methylbenzo[d]thiazol-2-yl)quinolin-4(1H)-one (3b). Compound **3b** was prepared (32.9 mg, 86% yield) according to GP from quinolone derivative (0.1 mmol). mp 234-236 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.28 (s, 1H), 8.66 – 8.58 (m, 1H), 7.84 (d, *J* = 8.3 Hz, 1H), 7.79 – 7.74 (m, 1H), 7.57 (ddd, *J* = 8.6, 7.1, 1.6 Hz, 1H), 7.44 (ddd, *J* = 8.0, 7.1, 1.0 Hz, 1H), 7.41 – 7.37 (m, 1H), 7.36 – 7.26 (m, 4H), 7.21 – 7.16 (m, 2H), 5.55 (s, 2H), 2.49 (s, 3H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.6, 161.0, 149.4, 144.0, 138.9, 136.0, 134.5, 134.2, 132.6, 129.3, 128.5, 127.9, 127.4, 127.3, 126.0, 125.1, 121.4, 121.0, 116.8, 114.5, 57.7, 21.6. HRMS (ESI⁺) *m/z* calcd. for C₂₄H₁₈N₂NaOS⁺ [M+Na]⁺: 405.1032, found: 405.1038.

1-benzyl-3-(6-methoxybenzo[d]thiazol-2-yl)quinolin-4(1H)-one (3c). Compound **3c** was prepared (29.8 mg, 75% yield) according to GP from quinolone derivative (0.1 mmol). mp 240-242 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.34 (s, 1H), 8.61 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.58 (ddd, *J* = 8.6, 7.0, 1.7 Hz, 1H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.43 – 7.38 (m, 3H), 7.37 – 7.26 (m, 2H), 7.22 – 7.16 (m, 2H), 7.08 (dd, *J* = 8.9, 2.6 Hz, 1H), 5.56 (s, 2H), 3.89 (s, 3H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.4, 160.1, 157.3, 148.1, 144.2, 138.9, 136.6, 134.4, 132.7, 129.3, 128.5, 127.5, 127.2, 126.1, 125.3, 121.6, 116.9, 116.1, 113.9, 103.8, 57.8, 55.9. HRMS (ESI⁺) *m/z* calcd. for C₂₄H₁₈N₂NaO₂S⁺ [M+Na]⁺: 421.0981, found: 421.0983.

1-benzyl-3-(6-chlorobenzo[d]thiazol-2-yl)quinolin-4(1H)-one (3d). Compound **3d** was prepared (30.6 mg, 76% yield) according to GP from quinolone derivative (0.1 mmol). mp 250-252 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.22 (s, 1H), 8.59 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.92 (d, *J* = 2.1 Hz, 1H), 7.82 (d, *J* = 8.7 Hz, 1H), 7.57 (ddd, *J* = 8.6, 7.0, 1.6 Hz, 1H), 7.44 (ddd, *J* = 8.1, 7.1, 1.0 Hz, 1H), 7.39 (dd, *J* = 8.7, 2.1 Hz, 2H), 7.37 – 7.27 (m, 3H), 7.18 (d, *J* = 6.3 Hz, 2H), 5.54 (s, 2H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.5, 162.3, 150.2, 144.0, 138.9, 137.2, 134.3, 132.6, 129.7, 129.3, 128.5, 127.4, 127.2, 126.5, 126.0, 125.1, 122.3, 121.1, 116.7, 114.2, 57.7. HRMS (ESI⁺) *m/z* calcd. for C₂₃H₁₅ClN₂NaOS⁺ [M+Na]⁺: 425.0486, found: 425.0493.

1-benzyl-3-(6-phenylbenzo[d]thiazol-2-yl)quinolin-4(1H)-one (3e). Compound **3e** was prepared (40.4 mg, 91% yield) according to GP from quinolone derivative (0.1 mmol). mp 277-279 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.30 (s, 1H), 8.68 – 8.61 (m, 1H), 8.18 (dd, *J* = 1.9, 0.6 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.65 (m, 3H), 7.59 (ddd, *J* = 8.6, 7.1, 1.7 Hz, 1H), 7.49 – 7.40 (m, 4H), 7.38 – 7.28 (m, 4H), 7.22 – 7.17 (m, 2H), 5.58 (s, 2H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.6, 162.2, 150.6, 144.2, 140.9, 138.9, 137.5, 136.5, 134.4, 132.6, 129.3, 128.8, 128.5, 127.5, 127.4, 127.3, 127.2, 126.0, 125.7, 125.2, 121.5, 119.9, 116.8, 114.4, 57.7. HRMS (ESI⁺) *m/z* calcd. for C₂₉H₂₀N₂NaOS⁺ [M+Na]⁺: 467.1189, found: 467.1210.

1-benzyl-3-(4,5-dimethylthiazol-2-yl)quinolin-4(1H)-one (3f). Compound **3f** was prepared (26.3 mg, 76% yield) according to GP from quinolone derivative (0.1 mmol). mp 164-167 °C. yellow solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.06 (s, 1H), 8.59 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.54 (ddd, *J* = 8.6, 7.1, 1.7 Hz, 1H), 7.40 (ddd, *J* = 8.0, 7.0, 1.0 Hz, 1H), 7.38 – 7.34 (m, 1H), 7.34 – 7.25 (m, 3H), 7.15 (dd, *J* = 7.8, 1.5 Hz, 2H), 5.51 (s, 2H), 2.40 (d, *J* = 0.8 Hz, 3H), 2.37 (d, *J* = 0.8 Hz, 3H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.0, 156.0, 145.9, 141.9, 138.7, 134.7, 132.2, 129.2, 128.3, 127.4, 127.0, 126.6, 125.9, 124.6, 116.6, 115.0, 57.4, 14.6, 11.2. HRMS (ESI⁺) *m/z* calcd. for C₂₁H₁₉N₂OS⁺ [M+H]⁺: 347.1213, found: 347.1194.

1-benzyl-3-(5-phenylthiazol-2-yl)quinolin-4(1H)-one (3g). Compound **3g** was prepared (28.4 mg, 72% yield) according to GP from quinolone derivative (0.1 mmol). mp 242-244 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.08 (s, 1H), 8.61 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.99 (s, 1H), 7.72 – 7.63 (m, 2H), 7.56 (ddd, *J* = 8.6, 7.0, 1.6 Hz, 1H), 7.47 – 7.36 (m, 4H), 7.36 – 7.25 (m, 4H), 7.17 (dd, *J* = 7.9, 1.7 Hz, 2H), 5.50 (s, 2H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.1, 159.7, 142.3, 138.8, 136.7, 134.5, 132.5, 132.2, 129.3, 129.1, 128.5, 127.8, 127.4, 127.0, 126.5, 126.0, 124.9, 116.7, 115.1, 57.6. HRMS (ESI⁺) *m/z* calcd. for C₂₅H₁₈N₂NaOS⁺ [M+Na]⁺: 417.1032, found: 417.1029.

3-(benzo[d]oxazol-2-yl)-1-benzylquinolin-4(1H)-one (3h). Compound **3h** was prepared (29.2 mg, 83% yield) according to GP from quinolone derivative (0.1 mmol). mp 210-212 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.88 (s, 1H), 8.62 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.77 – 7.68 (m, 1H), 7.65 – 7.60 (m,

1H), 7.60 – 7.53 (m, 1H), 7.46 – 7.40 (m, 1H), 7.35 (dd, $J = 7.7$, 5.9 Hz, 3H), 7.32 (dd, $J = 6.7$, 2.6 Hz, 3H), 7.22 – 7.17 (m, 2H), 5.48 (s, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.8, 161.1, 150.5, 146.7, 141.1, 139.1, 134.3, 132.7, 129.4, 128.6, 128.5, 128.0, 126.0, 125.3, 124.6, 124.5, 119.3, 116.6, 110.9, 109.0, 57.5. HRMS (ESI⁺) m/z calcd. for $\text{C}_{23}\text{H}_{16}\text{N}_2\text{NaO}_2^+$ [M+Na]⁺: 375.1104, found: 375.1093.

1-benzyl-3-(5-methoxybenzo[d]oxazol-2-yl)quinolin-4(1H)-one (3i). Compound **3i** was prepared (31.7 mg, 83% yield) according to GP from quinolone derivative (0.1 mmol). mp 204–206 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.77 (s, 1H), 8.57 (dd, $J = 8.0$, 1.6 Hz, 1H), 7.49 (ddd, $J = 8.6$, 7.0, 1.7 Hz, 1H), 7.44 (d, $J = 8.8$ Hz, 1H), 7.39 – 7.34 (m, 1H), 7.34 – 7.25 (m, 4H), 7.20 – 7.13 (m, 3H), 6.87 (dd, $J = 8.9$, 2.5 Hz, 1H), 5.44 (s, 2H), 3.82 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.7, 161.7, 157.2, 146.5, 145.1, 142.2, 138.9, 134.3, 132.6, 129.3, 128.5, 128.4, 127.8, 126.0, 125.1, 116.6, 112.8, 110.8, 109.0, 102.5, 57.4, 55.9. HRMS (ESI⁺) m/z calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_2\text{NaO}_3^+$ [M+Na]⁺: 405.1210, found: 405.1214.

1-benzyl-3-(6-chlorobenzo[d]oxazol-2-yl)quinolin-4(1H)-one (3j). Compound **3j** was prepared (27.1 mg, 70% yield) according to GP from quinolone derivative (0.1 mmol). mp 255–257 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.82 (s, 1H), 8.63 – 8.57 (m, 1H), 7.62 (dd, $J = 8.5$, 0.5 Hz, 1H), 7.59 – 7.57 (m, 1H), 7.57 – 7.53 (m, 1H), 7.42 (ddd, $J = 8.1$, 7.1, 1.0 Hz, 1H), 7.39 – 7.31 (m, 4H), 7.29 (dd, $J = 8.5$, 2.0 Hz, 1H), 7.21 – 7.17 (m, 2H), 5.47 (s, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.6, 161.6, 150.5, 146.6, 140.3, 139.0, 134.2, 132.8, 130.0, 129.4, 128.6, 128.4, 127.9, 126.0, 125.3, 125.1, 119.9, 116.6, 111.2, 108.5, 57.5. HRMS (ESI⁺) m/z calcd. for $\text{C}_{23}\text{H}_{15}\text{ClN}_2\text{NaO}_2^+$ [M+Na]⁺: 409.0714, found: 409.0716.

1-benzyl-3-(5-phenyloxazol-2-yl)quinolin-4(1H)-one (3k). Compound **3k** was prepared (31.4 mg, 83% yield) according to GP from quinolone derivative (0.1 mmol). mp 200–203 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.87 (s, 1H), 8.60 (dd, $J = 8.0$, 1.6 Hz, 1H), 7.81 – 7.73 (m, 2H), 7.56 (ddd, $J = 8.6$, 7.1, 1.6 Hz, 1H), 7.46 – 7.37 (m, 4H), 7.39 – 7.34 (m, 2H), 7.34 – 7.28 (m, 3H), 7.24 – 7.16 (m, 2H), 5.48 (s, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.6, 158.7, 150.9, 144.9, 139.0, 134.5, 132.4, 129.3, 128.8, 128.5, 128.3, 128.1, 127.6, 126.0, 124.8, 124.2, 122.4, 116.5, 109.8, 57.3. HRMS (ESI⁺) m/z calcd. for $\text{C}_{25}\text{H}_{18}\text{N}_2\text{NaO}_2^+$ [M+Na]⁺: 401.1260, found: 401.1248.

1-benzyl-3-(5-phenyl-1,3,4-oxadiazol-2-yl)quinolin-4(1H)-one (3l). Compound **3l** was prepared (30.7 mg, 81% yield) according to GP from quinolone derivative (0.1 mmol). mp 189–191 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.78 (s, 1H), 8.58 (dd, $J = 8.0$, 1.6 Hz, 1H), 8.17 (dd, $J = 7.5$, 2.2 Hz, 2H), 7.59 (ddd, $J = 8.6$, 7.1, 1.6 Hz, 1H), 7.53 – 7.46 (m, 3H), 7.44 (ddd, $J = 8.0$, 7.1, 0.9 Hz, 1H), 7.39 (d, $J = 8.5$ Hz, 1H), 7.38 – 7.28 (m, 3H), 7.22 – 7.16 (m, 2H), 5.47 (s, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 173.3, 164.8, 162.7, 145.6, 139.4, 134.2, 132.8, 131.4, 129.4, 128.9, 128.7, 128.2, 127.6, 127.1, 126.2, 125.3, 124.2, 116.7, 106.6, 57.4. HRMS (ESI⁺) m/z calcd. for $\text{C}_{24}\text{H}_{17}\text{N}_3\text{NaO}_2^+$ [M+Na]⁺: 402.1213, found: 402.1211.

1-benzyl-3-(1-benzyl-1H-benzo[d]imidazol-2-yl)quinolin-4(1H)-one (3m). Compound **3m** was prepared (23.8 mg, 54% yield) according to GP from quinolone derivative (0.1 mmol). pale yellow oil. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.54 (dd, $J = 8.1$, 1.6 Hz, 1H), 8.28 (s, 1H), 7.78 (d, $J = 7.0$ Hz, 1H), 7.55 (ddd, $J = 8.7$, 7.1, 1.6 Hz, 1H), 7.39 (ddd, $J = 8.1$, 7.1, 1.0 Hz, 1H), 7.32 (td, $J = 6.4$, 5.9, 3.1 Hz, 2H), 7.29 – 7.25 (m, 3H), 7.25 – 7.18 (m, 2H), 7.17 – 7.09 (m, 3H), 7.03 – 6.94 (m, 4H), 5.63 (s, 2H), 5.35 (s, 2H). ^{13}C NMR (100 MHz, DMSO-*d*6) δ 174.4, 162.8, 151.0, 148.3, 143.4, 139.6, 137.9, 136.7, 136.4, 133.1, 129.3, 128.9, 128.3, 127.7, 127.2, 126.8, 126.7, 125.1, 122.7, 122.2, 119.4, 118.2, 112.8, 111.1, 55.7, 47.9. HRMS (ESI⁺) m/z calcd. for $\text{C}_{30}\text{H}_{24}\text{N}_3\text{O}^+$ [M+H]⁺: 442.1914, found: 442.1932.

8-(1-benzyl-4-oxo-1,4-dihydroquinolin-3-yl)-1,3,7-trimethyl-1H-purine-2,6(3H,7H)-dione (3n). Compound **3n** was prepared (33.8 mg, 79% yield) according to GP from quinolone derivative (0.1 mmol). mp 291–294 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 8.53 – 8.47 (m, 1H), 8.24 (s, 1H), 7.60 (ddd, $J = 8.7$, 7.1, 1.7 Hz, 1H), 7.43 (ddd, $J = 8.1$, 7.1, 1.0 Hz, 1H), 7.40 – 7.35 (m, 2H), 7.35 – 7.29 (m, 2H), 7.19 (dd, $J = 8.0$, 1.5 Hz, 2H), 5.44 (s, 2H), 4.00 (s, 3H), 3.56 (s, 3H), 3.40 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 174.2, 155.3, 151.7, 148.7, 148.0, 147.0, 139.4, 134.3, 132.9, 129.4, 128.6, 127.5, 127.4, 126.1, 125.1, 116.6, 111.2, 108.9, 57.3, 34.2, 29.7, 28.0. HRMS (ESI⁺) m/z calcd. for $\text{C}_{24}\text{H}_{21}\text{N}_5\text{NaO}_3^+$ [M+Na]⁺: 450.1537, found: 450.1541.

3-(benzo[d]thiazol-2-yl)-1-benzyl-6-methylquinolin-4(1H)-one (4a). Compound **4a** was prepared (30.6 mg, 80% yield) according to GP from quinolone derivative (0.1 mmol). mp 192–194 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 9.32 (s, 1H), 8.39 (dd, $J = 1.6$, 0.7 Hz, 1H), 8.00 – 7.93 (m, 2H), 7.46 (ddd, $J = 8.3$, 7.1, 1.2 Hz, 1H), 7.39 – 7.34 (m, 2H), 7.34 – 7.25 (m, 4H), 7.17 (dd, $J = 7.9$, 1.5 Hz, 2H), 5.53 (s, 2H), 2.44 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 174.4, 162.2, 150.9, 143.9, 136.8, 135.6, 135.4, 134.5, 134.0, 129.2, 128.4, 127.1, 126.7, 126.0, 126.0, 124.1, 121.7, 121.3, 116.8, 113.7, 57.7, 21.0. HRMS (ESI⁺) m/z calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_2\text{NaO}_3^+$ [M+Na]⁺: 405.1032, found: 405.1042.

3-(benzo[d]thiazol-2-yl)-1-benzyl-6-methoxyquinolin-4(1H)-one (4b). Compound **4b** was prepared (30.3 mg, 76% yield) according to GP from quinolone derivative (0.1 mmol). mp 224–226 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 9.25 (s, 1H), 8.00 (d, $J = 3.0$ Hz, 1H), 7.99 – 7.93 (m, 2H), 7.46 (ddd, $J = 8.2$, 7.1, 1.3 Hz, 1H), 7.38 – 7.25 (m, 5H), 7.19 – 7.12 (m, 3H), 5.53 (s, 2H), 3.91 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 174.0, 162.2, 157.2, 151.5, 143.0, 135.8, 134.5, 133.3, 129.3, 128.6, 128.5, 125.9, 125.9, 124.0, 123.1, 121.7, 121.5, 118.5, 113.4, 106.5, 57.9, 55.8. HRMS (ESI⁺) m/z calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_2\text{NaO}_2\text{S}^+$ [M+Na]⁺: 421.0981, found: 421.0980.

3-(benzo[d]thiazol-2-yl)-1-benzyl-6-fluoroquinolin-4(1H)-one (4c). Compound **4c** was prepared (30.1 mg, 78% yield) according to GP from quinolone derivative (0.1 mmol). mp 244–246 °C. white solid. ^1H NMR (400 MHz, Chloroform-*d*) δ 9.25 (s, 1H),

8.22 (dd, $J = 8.7, 3.0$ Hz, 1H), 7.99 – 7.95 (m, 1H), 7.95 – 7.91 (m, 1H), 7.46 (ddd, $J = 8.3, 7.2, 1.3$ Hz, 1H), 7.40 – 7.30 (m, 5H), 7.29 – 7.24 (m, 1H), 7.16 (dd, $J = 8.0, 1.5$ Hz, 2H), 5.53 (s, 2H). ^{13}C NMR (100 MHz, Chloroform- d) δ 173.7, 161.6, 161.1, 158.6, 151.1, 144.1, 135.6, 135.3, 134.1, 129.4, 129.0, 128.9, 128.7, 126.1, 125.9, 124.3, 121.7, 121.6, 121.2, 121.0, 119.3, 119.2, 113.7, 112.3, 112.1, 58.1. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{23}\text{H}_{15}\text{FN}_2\text{NaOS}^+$ [M+Na] $^+$: 409.0781, found: 409.0774.

3-(benzo[d]thiazol-2-yl)-1-benzyl-6-chloroquinolin-4(1H)-one (4d). Compound **4d** was prepared (33.4 mg, 83% yield) according to GP from quinolone derivative (0.1 mmol). mp 268–270 °C. white solid. ^1H NMR (400 MHz, Chloroform- d) δ 9.12 (s, 1H), 8.47 (d, $J = 2.5$ Hz, 1H), 7.95 (dd, $J = 7.8, 1.0$ Hz, 1H), 7.87 (dd, $J = 8.1, 1.0$ Hz, 1H), 7.44 (ddd, $J = 8.3, 7.1, 1.2$ Hz, 1H), 7.39 (dd, $J = 9.1, 2.5$ Hz, 1H), 7.35 (dd, $J = 8.1, 1.1$ Hz, 1H), 7.30 (ddd, $J = 7.8, 7.0, 0.9$ Hz, 3H), 7.24 (dd, $J = 5.0, 4.1$ Hz, 1H), 7.16 – 7.07 (m, 2H), 5.47 (s, 2H). ^{13}C NMR (100 MHz, Chloroform- d) δ 173.3, 161.2, 151.4, 144.1, 137.1, 135.7, 134.0, 132.7, 131.4, 129.4, 128.6, 128.2, 126.7, 126.0, 125.9, 124.2, 121.7, 121.6, 118.5, 114.6, 57.9. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{23}\text{H}_{15}\text{ClN}_2\text{NaOS}^+$ [M+Na] $^+$: 425.0486, found: 425.0479.

3-(benzo[d]thiazol-2-yl)-1-benzyl-6-bromoquinolin-4(1H)-one (4e). Compound **4e** was prepared (22.8 mg, 51% yield) according to GP from quinolone derivative (0.1 mmol). mp 254–256 °C. white solid. ^1H NMR (400 MHz, Chloroform- d) δ 9.22 (s, 1H), 8.71 (d, $J = 2.3$ Hz, 1H), 7.97 (ddd, $J = 7.9, 1.3, 0.6$ Hz, 1H), 7.95 – 7.89 (m, 1H), 7.60 (dd, $J = 9.0, 2.4$ Hz, 1H), 7.46 (ddd, $J = 8.2, 7.1, 1.3$ Hz, 1H), 7.39 – 7.28 (m, 4H), 7.27 – 7.22 (m, 1H), 7.15 (dd, $J = 7.9, 1.5$ Hz, 2H), 5.51 (s, 2H). ^{13}C NMR (100 MHz, Chloroform- d) δ 173.2, 161.3, 151.1, 144.3, 137.6, 135.6, 135.5, 134.0, 130.0, 129.4, 128.7, 128.5, 126.1, 126.0, 124.3, 121.7, 121.6, 119.1, 118.7, 114.6, 57.9. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{23}\text{H}_{15}\text{BrN}_2\text{NaOS}^+$ [M+Na] $^+$: 468.9981, found: 468.9980.

3-(benzo[d]thiazol-2-yl)-1-benzyl-6-phenylquinolin-4(1H)-one (4f). Compound **4f** was prepared (32.4 mg, 73% yield) according to GP from quinolone derivative (0.1 mmol). mp 283–284 °C. white solid. ^1H NMR (400 MHz, Chloroform- d) δ 9.19 (s, 1H), 8.83 (d, $J = 2.2$ Hz, 1H), 8.01 – 7.96 (m, 1H), 7.95 – 7.90 (m, 1H), 7.76 (dd, $J = 8.8, 2.3$ Hz, 1H), 7.67 – 7.61 (m, 2H), 7.49 – 7.39 (m, 4H), 7.38 – 7.26 (m, 5H), 7.22 – 7.15 (m, 2H), 5.53 (s, 2H). ^{13}C NMR (100 MHz, Chloroform- d) δ 174.6, 162.2, 144.3, 139.1, 138.1, 138.0, 135.4, 134.4, 131.5, 129.4, 129.0, 128.6, 128.0, 127.5, 127.1, 126.2, 126.1, 125.2, 124.4, 121.8, 121.2, 117.6, 57.9. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{29}\text{H}_{20}\text{N}_2\text{NaOS}^+$ [M+Na] $^+$: 467.1189, found: 467.1206.

3-(benzo[d]thiazol-2-yl)-1-benzyl-8-methoxyquinolin-4(1H)-one (4g). Compound **4g** was prepared (28.7 mg, 72% yield) according to GP from quinolone derivative (0.1 mmol). mp 256–258 °C. white solid. ^1H NMR (400 MHz, Chloroform- d) δ 9.12 (s, 1H), 8.28 (dd, $J = 8.1, 1.4$ Hz, 1H), 8.00 – 7.92 (m, 2H), 7.45 (ddd, $J = 8.2, 7.2, 1.3$ Hz, 1H), 7.38 (t, $J = 8.0$ Hz, 1H), 7.34 (ddd, $J = 8.1, 7.2, 1.2$ Hz, 1H), 7.31 – 7.25 (m, 2H), 7.23 – 7.19 (m, 1H), 7.07 (ddd, $J = 9.2, 8.0, 1.3$ Hz, 3H), 5.90 (s, 2H), 3.69 (s, 3H). ^{13}C NMR (100 MHz, Chloroform- d) δ 173.9, 161.9,

150.1, 146.7, 137.3, 135.8, 130.3, 129.8, 128.7, 127.6, 125.8, 125.5, 125.5, 124.0, 121.6, 121.4, 119.7, 114.8, 113.9, 62.1, 56.2. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_2\text{NaO}_2\text{S}^+$ [M+Na] $^+$: 421.0981, found: 421.0991.

3-(benzo[d]thiazol-2-yl)-1-benzyl-8-fluoroquinolin-4(1H)-one (4h). Compound **4h** was prepared (25.1 mg, 65% yield) according to GP from quinolone derivative (0.1 mmol). mp 245–247 °C. white solid. ^1H NMR (400 MHz, DMSO- d_6) δ 9.36 (s, 1H), 8.29 (dd, $J = 8.0, 1.6$ Hz, 1H), 8.10 (dd, $J = 7.9, 1.1$ Hz, 1H), 7.96 (dd, $J = 8.2, 1.0$ Hz, 1H), 7.60 (ddd, $J = 14.8, 7.9, 1.7$ Hz, 1H), 7.56 – 7.45 (m, 2H), 7.43 – 7.36 (m, 1H), 7.40 – 7.30 (m, 2H), 7.28 (t, $J = 7.3$ Hz, 1H), 7.20 (dd, $J = 7.5, 1.5$ Hz, 2H), 5.91 (d, $J = 2.9$ Hz, 2H). ^{13}C NMR (100 MHz, DMSO- d_6) δ 172.8, 172.8, 161.3, 153.4, 151.8, 150.9, 147.3, 137.5, 135.7, 129.8, 129.2, 128.9, 128.8, 128.1, 126.5, 126.3, 126.2, 126.1, 124.6, 123.1, 123.0, 122.2, 122.0, 120.4, 120.2, 113.9, 60.5, 60.4. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{23}\text{H}_{15}\text{FN}_2\text{NaOS}^+$ [M+Na] $^+$: 409.0781, found: 409.0776.

3-(benzo[d]thiazol-2-yl)-1-methylquinolin-4(1H)-one (4i). Compound **4i** was prepared (21.6 mg, 74% yield) according to GP from quinolone derivative (0.1 mmol). mp 302–304 °C. white solid. ^1H NMR (400 MHz, DMSO- d_6) δ 9.25 (s, 1H), 8.45 – 8.40 (m, 1H), 8.06 (ddd, $J = 7.9, 1.3, 0.7$ Hz, 1H), 7.95 (ddd, $J = 8.1, 1.1, 0.6$ Hz, 1H), 7.87 – 7.83 (m, 2H), 7.57 (ddd, $J = 8.0, 4.6, 3.4$ Hz, 1H), 7.48 (ddd, $J = 8.2, 7.2, 1.3$ Hz, 1H), 7.36 (ddd, $J = 8.1, 7.2, 1.1$ Hz, 1H), 4.10 (s, 3H). ^{13}C NMR (100 MHz, DMSO- d_6) δ 173.7, 162.1, 151.9, 145.5, 140.1, 135.6, 133.1, 126.9, 126.5, 126.3, 125.4, 124.3, 122.1, 121.8, 117.9, 113.2, 41.6. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{17}\text{H}_{12}\text{N}_2\text{NaOS}^+$ [M+Na] $^+$: 315.0563, found: 315.0548.

3-(benzo[d]thiazol-2-yl)-1-benzylpyridin-4(1H)-one (4j). Compound **4j** was prepared (16.6 mg, 52% yield) according to GP from pyridone derivative (0.1 mmol). mp 218–220 °C. white solid. ^1H NMR (400 MHz, DMSO- d_6) δ 9.10 (d, $J = 2.3$ Hz, 1H), 8.13 – 8.06 (m, 1H), 7.99 (dd, $J = 7.5, 2.3$ Hz, 1H), 7.95 (d, $J = 8.1$ Hz, 1H), 7.49 (ddd, $J = 8.3, 7.1, 1.3$ Hz, 1H), 7.41 (d, $J = 4.3$ Hz, 4H), 7.40 – 7.31 (m, 2H), 6.55 (d, $J = 7.5$ Hz, 1H), 5.40 (s, 2H). ^{13}C NMR (100 MHz, DMSO- d_6) δ 174.3, 161.2, 151.5, 141.3, 141.2, 136.9, 135.7, 129.5, 128.8, 128.2, 126.5, 124.7, 122.4, 122.0, 120.8, 119.4, 59.5. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{19}\text{H}_{14}\text{N}_2\text{NaOS}^+$ [M+Na] $^+$: 341.0719, found: 341.0697.

5-(benzo[d]thiazol-2-yl)-1,3-dimethylpyrimidine-2,4(1H,3H)-dione (4k). Compound **4k** was prepared (11.9 mg, 44% yield) according to GP from pyrimidinedione derivative (0.1 mmol). mp 227–229 °C. white solid. ^1H NMR (400 MHz, Chloroform- d) δ 8.69 (s, 1H), 7.98 – 7.89 (m, 2H), 7.47 (ddd, $J = 8.3, 7.1, 1.3$ Hz, 1H), 7.36 (ddd, $J = 8.1, 7.2, 1.1$ Hz, 1H), 3.59 (s, 3H), 3.48 (s, 3H). ^{13}C NMR (100 MHz, Chloroform- d) δ 161.4, 159.8, 151.7, 150.8, 143.2, 135.5, 126.3, 124.6, 121.9, 121.6, 107.4, 37.8, 28.4. HRMS (ESI $^+$) m/z calcd. for $\text{C}_{13}\text{H}_{11}\text{N}_3\text{NaO}_2\text{S}^+$ [M+Na] $^+$: 296.0464, found: 296.0424.

3-(benzo[d]thiazol-2-yl)-1-methylquinolin-2(1H)-one (4l). Compound **4l** was prepared (18.4 mg, 63% yield) according to

GP from quinolone derivative (0.1 mmol). mp 249-251 °C. yellow solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.10 (s, 1H), 8.08 (dd, *J* = 8.2, 0.9 Hz, 1H), 7.97 (dd, *J* = 7.9, 0.9 Hz, 1H), 7.85 – 7.76 (m, 1H), 7.65 (ddd, *J* = 8.6, 7.2, 1.5 Hz, 1H), 7.50 (ddd, *J* = 8.3, 7.1, 1.3 Hz, 1H), 7.45 – 7.35 (m, 2H), 7.31 (ddd, *J* = 8.0, 7.2, 1.0 Hz, 1H), 3.87 (s, 3H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 161.8, 160.5, 152.1, 140.0, 137.8, 136.9, 132.1, 130.5, 126.1, 124.9, 123.4, 123.0, 122.6, 121.7, 120.1, 114.3, 30.0. HRMS (ESI⁺) *m/z* calcd. for C₁₇H₁₂N₂NaOS⁺ [M+Na]⁺: 315.0563, found: 315.0533.

3-(benzo[d]thiazol-2-yl)-1-ethyl-7-methyl-1,8-naphthyridin-4(1H)-one (4m). Compound **4m** was prepared (19.3 mg, 60% yield) according to GP from quinolone derivative (0.1 mmol). mp 273-275 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.25 (s, 1H), 8.70 (d, *J* = 8.1 Hz, 1H), 7.99 – 7.92 (m, 2H), 7.46 (ddd, *J* = 8.3, 7.1, 1.3 Hz, 1H), 7.34 (ddd, *J* = 8.1, 7.2, 1.1 Hz, 1H), 7.26 (d, *J* = 8.1 Hz, 1H), 4.61 (d, *J* = 7.2 Hz, 2H), 2.66 (s, 3H), 1.55 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.7, 162.9, 161.8, 151.1, 148.1, 143.0, 136.3, 135.5, 126.0, 124.1, 121.7, 121.5, 121.1, 119.6, 114.9, 46.9, 25.2, 15.4. HRMS (ESI⁺) *m/z* calcd. for C₁₈H₁₅N₃NaOS⁺ [M+Na]⁺: 344.0828, found: 344.0821.

4-(benzo[d]thiazol-2-yl)-2-methylisoquinolin-1(2H)-one (4n). Compound **4n** was prepared (19.6 mg, 67% yield) according to GP from quinolone derivative (0.1 mmol). mp 142-144 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 8.71 (ddd, *J* = 8.3, 1.2, 0.6 Hz, 1H), 8.51 (ddd, *J* = 8.1, 1.5, 0.6 Hz, 1H), 8.10 (ddd, *J* = 8.2, 1.2, 0.6 Hz, 1H), 7.90 (ddd, *J* = 8.0, 1.3, 0.6 Hz, 1H), 7.74 (ddd, *J* = 8.4, 7.1, 1.5 Hz, 1H), 7.71 (s, 1H), 7.56 (ddd, *J* = 8.2, 7.1, 1.2 Hz, 1H), 7.52 (ddd, *J* = 8.3, 7.2, 1.3 Hz, 1H), 7.41 (ddd, *J* = 8.0, 7.2, 1.2 Hz, 1H), 3.69 (s, 3H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 163.7, 162.1, 153.8, 135.9, 134.3, 134.0, 132.9, 128.1, 127.7, 126.4, 125.5, 125.4, 124.8, 123.1, 121.3, 111.9, 37.4. HRMS (ESI⁺) *m/z* calcd. for C₁₇H₁₂N₂NaOS⁺ [M+Na]⁺: 315.0563, found: 315.0551.

3-(benzo[d]thiazol-2-yl)-4H-chromen-4-one (4o). Compound **4o** was prepared (18.7 mg, 67% yield) according to GP from chromone derivative (0.1 mmol). mp 233-235 °C. white solid. ¹H NMR (400 MHz, Chloroform-*d*) δ 9.29 (s, 1H), 8.40 – 8.32 (m, 1H), 8.02 (ddd, *J* = 8.2, 1.2, 0.7 Hz, 1H), 7.97 (ddd, *J* = 8.0, 1.3, 0.7 Hz, 1H), 7.74 (ddd, *J* = 8.7, 7.1, 1.7 Hz, 1H), 7.57 (ddd, *J* = 8.5, 1.1, 0.5 Hz, 1H), 7.49 (dddd, *J* = 8.3, 7.2, 3.9, 1.2 Hz, 2H), 7.38 (ddd, *J* = 8.1, 7.1, 1.1 Hz, 1H). ¹³C NMR (100 MHz, Chloroform-*d*) δ 174.8, 158.6, 156.6, 155.9, 151.5, 136.0, 134.3, 126.3, 126.2, 126.2, 124.8, 123.8, 122.4, 121.6, 118.4, 118.2. HRMS (ESI⁺) *m/z* calcd. for C₁₆H₉NNaO₂S⁺ [M+Na]⁺: 302.0246, found: 302.0221.

Acknowledgements

This research was supported by National Research Foundation of Korea (NRF) funded by the Ministry of Education, Science and Technology (NRF-2010-0022179 and 2011-0016436) and the Institute for Basic Science (IBS).

Notes and references

- Center for Catalytic Hydrocarbon Functionalizations, Institute for Basic Science (IBS) and Department of Chemistry, Korea Advanced Institute of Science and Technology (KAIST), Daejeon, 305-701, Korea. Fax: (+82) 42-350-2810; Tel: (+82) 42-350-2811; E-mail: hongorg@kaist.ac.kr
- † Electronic Supplementary Information (ESI) available: See DOI: 10.1039/b000000x/
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