

# Transformations of *N*-(2-Acylaryl)benzamides and Their Analogs under the Camps Cyclization Conditions

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**Abstract**—*N*-(2-Acylaryl)benzamides and analogous *N*-substituted furan-2-, thiophene-2-, and cyclopropane-carboxamides in the systems EtONa–EtOH, EtONa–THF, and *t*-BuOK–*t*-BuOH undergo Camps cyclization to 2-aryl-, 2-hetaryl-, and 2-cyclopropylquinolin-4(1*H*)-ones with high yields. The same substrates in the system *t*-BuOK (5 equiv)–THF are converted mainly to the corresponding *N*-(2-hydroxyaryl) amides as a result of oxidative transformation of the acyl fragment into hydroxy group.

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Biological activity of 2-phenylquinolin-4(1*H*)-one derivatives has been extensively studied over the past 20–25 years. As a result of these studies, many compounds of this series have been found to exhibit high cytotoxic [1–10], antibacterial [11–13], immunostimulating [14], antihepatitis [15], anti-HIV [16], and antibiotic activities [17, 18], so that a large series of new drugs have been designed and implemented in modern therapeutic practice.

The results obtained in the field of biological and medical studies stimulated further research aimed at synthesizing new derivatives of 2-phenylquinolin-4(1*H*)-ones with a view to revealing new kinds of their biological activity and improving therapeutic properties of drugs based on known 2-phenylquinolinones.

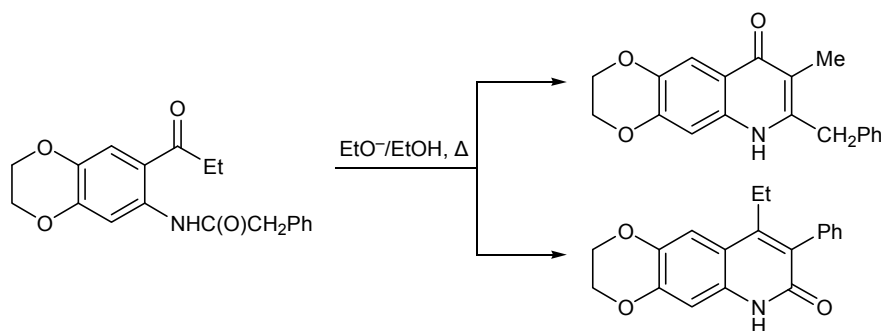
Nowadays, there are two synthetic approaches to 2-phenylquinolin-4(1*H*)-one derivatives, which are used most frequently by synthetic chemists. The first approach is based on the condensation of anilines with acylacetic acid esters, followed by high-temperature cyclization (Conrad–Limpach synthesis), and the second one implies the synthesis of *N*-(2-acylaryl)-substituted carboxylic acid amides and their base-catalyzed cyclization (Camps cyclization). The scope of the Conrad–Limpach synthesis of 2-phenylquinolinones has been studied in sufficient detail [1, 6, 7, 19–21], whereas the scope of the Camps cyclization is limited to base-catalyzed cyclization of only (2-acetylaryl)benzamides [4, 5, 22, 23], presumably

because of some difficulties related to the synthesis of initial 2-acylanilines.

We previously succeeded in developing methods for the synthesis of a number of difficultly accessible 2-acylanilines. For example, 2-propanoylanilines can be obtained from the corresponding (2-nitroaryl)cyclopropanes [24, 25], whereas 2-acylanilines containing various alkyl groups in the acyl fragment are available via Friedel–Crafts acylation and nitration of 1,2-dialkoxybenzenes with subsequent reduction of the corresponding 2-nitro-1-acylbenzenes [26–28]. Following the developed procedures, we have synthesized a variety of substituted quinolin-2-ones by intramolecular Knoevenagel condensation [29–31]. As we noted in [30], if the initial *N*-(2-acylaryl)amide contained two types of methylene components so that both Camps and Knoevenagel condensations were possible, only the latter occurred (Scheme 1). Taking this into account, we presumed that the Camps cyclization would be feasible if the amide part of initial *N*-(2-acylaryl)amide lacked active methylene group. In order to verify this assumption, we synthesized a large series of anilides containing an active methylene group only in the 2-acyl substituent (most of these compounds were not described previously) and studied their behavior under conditions of base-catalyzed Camps cyclization.

Initial 2-acetylanilides **1a**, **1b**, and **2a–2h**, 2-propanoylanilides **3a–3g**, **4**, **5a**, **5b**, and **6a–6i**, 2-butanoylanilides **7a–7c**, and 2-(phenylacetyl)anilides **8a–**

Scheme 1.



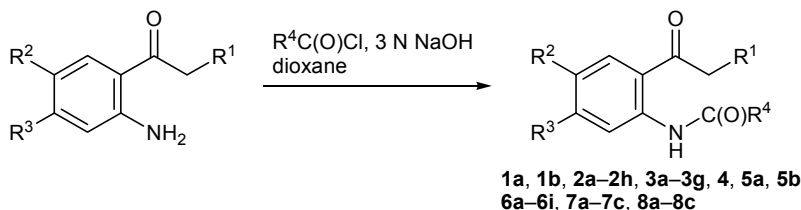
**8c** were synthesized by acylation of 2-acylanilines with the corresponding carboxylic acid chlorides according to the procedure reported in [30] (Scheme 2).

Analysis of published data on the synthesis of 2-arylquinolin-4-ones from *N*-(2-acylaryl)benzamides showed that base-catalyzed Camps cyclization of the latter can be accomplished by the action of sodium or potassium *tert*-butoxide [2, 4, 5, 22, 23] or weaker bases, sodium or potassium hydroxides [32, 33]. As we found previously [30, 31], *N*-(2-acylaryl)acetamides are readily converted to the corresponding quinolin-2-ones in the presence of sodium ethoxide which is a stronger base than NaOH or KOH

but weaker than sodium or potassium *tert*-butoxide. We anticipated that analogous conditions could be appropriate for the cyclization of *N*-(2-acylaryl)benzamides containing an active methylene group in the acyl substituent.

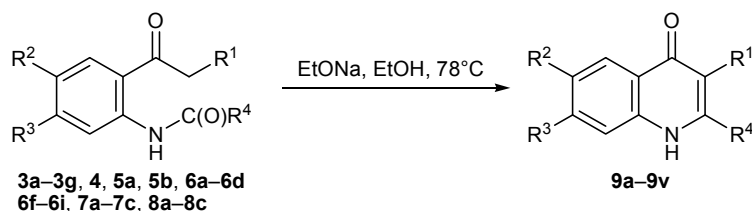
In fact, benzamides **3a–3g**, **4**, **5a**, **5b**, **6a–6d**, **6f–6i**, **7a**, and **8a–8c** possessing a propanoyl-, butanoyl-, or phenylacetyl substituent in the *ortho* position with respect to the amide fragment readily underwent cyclization to quinolin-4(1*H*)-ones **9a–9v** by the action of sodium ethoxide in ethanol (Scheme 3, Table 1). However, none of *N*-(2-acylaryl)benzamides **1a**, **1b**, and **2a–2h** was converted into 2-arylquinolinones

Scheme 2.



**1**,  $R^1 = R^2 = H$ ,  $R^3 = t\text{-Bu}$ ,  $R^4 = 4\text{-ClC}_6\text{H}_4$  (**a**),  $4\text{-BrC}_6\text{H}_4$  (**b**); **2**,  $R^1 = H$ ,  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = \text{cyclopropyl}$  (**a**),  $4\text{-MeC}_6\text{H}_4$  (**b**),  $3\text{-FC}_6\text{H}_4$  (**c**),  $4\text{-FC}_6\text{H}_4$  (**d**),  $2\text{-ClC}_6\text{H}_4$  (**e**),  $4\text{-ClC}_6\text{H}_4$  (**f**),  $3\text{-BrC}_6\text{H}_4$  (**g**),  $3\text{-IC}_6\text{H}_4$  (**h**); **3**,  $R^1 = \text{Me}$ ,  $R^2 = R^3 = H$ ,  $R^4 = \text{cyclopropyl}$  (**a**),  $3\text{-MeOC}_6\text{H}_4$  (**b**),  $4\text{-FC}_6\text{H}_4$  (**c**),  $4\text{-ClC}_6\text{H}_4$  (**d**),  $2\text{-BrC}_6\text{H}_4$  (**e**),  $4\text{-BrC}_6\text{H}_4$  (**f**), thiophen-2-yl (**g**); **4**,  $R^1 = \text{Me}$ ,  $R^2 = H$ ,  $R^3 = \text{Br}$ ,  $R^4 = \text{cyclopropyl}$ ; **5**,  $R^1 = \text{Me}$ ,  $R^2 = \text{Cl}$ ,  $R^3 = H$ ,  $R^4 = 4\text{-ClC}_6\text{H}_4$  (**a**),  $4\text{-BrC}_6\text{H}_4$  (**b**); **6**,  $R^1 = \text{Me}$ ,  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = 4\text{-MeC}_6\text{H}_4$  (**a**), cyclopropyl (**b**),  $2\text{-FC}_6\text{H}_4$  (**c**),  $2\text{-BrC}_6\text{H}_4$  (**d**),  $3\text{-BrC}_6\text{H}_4$  (**e**),  $4\text{-BrC}_6\text{H}_4$  (**f**),  $3\text{-IC}_6\text{H}_4$  (**g**), furan-2-yl (**h**), thiophen-2-yl (**i**); **7**,  $R^1 = \text{Et}$ ,  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = 4\text{-MeC}_6\text{H}_4$  (**a**),  $3\text{-BrC}_6\text{H}_4$  (**b**),  $4\text{-O}_2\text{NC}_6\text{H}_4$  (**c**); **8**,  $R^1 = \text{Ph}$ ,  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = \text{cyclopropyl}$  (**a**),  $4\text{-MeC}_6\text{H}_4$  (**b**),  $4\text{-ClC}_6\text{H}_4$  (**c**).

Scheme 3.



**9**,  $R^1 = \text{Me}$ ,  $R^2 = R^3 = H$ ,  $R^4 = \text{cyclopropyl}$  (**a**),  $3\text{-MeOC}_6\text{H}_4$  (**b**),  $4\text{-FC}_6\text{H}_4$  (**c**),  $4\text{-ClC}_6\text{H}_4$  (**d**),  $2\text{-BrC}_6\text{H}_4$  (**e**),  $4\text{-BrC}_6\text{H}_4$  (**f**), thiophen-2-yl (**g**);  $R^2 = H$ ,  $R^3 = \text{Br}$ ,  $R^4 = \text{cyclopropyl}$  (**h**);  $R^2 = \text{Cl}$ ,  $R^3 = H$ ,  $R^4 = 4\text{-ClC}_6\text{H}_4$  (**i**),  $4\text{-BrC}_6\text{H}_4$  (**j**);  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = 4\text{-MeC}_6\text{H}_4$  (**k**), cyclopropyl (**l**),  $2\text{-FC}_6\text{H}_4$  (**m**),  $2\text{-BrC}_6\text{H}_4$  (**n**),  $4\text{-BrC}_6\text{H}_4$  (**o**),  $3\text{-IC}_6\text{H}_4$  (**p**), furan-2-yl (**q**), thiophen-2-yl (**r**);  $R^1 = \text{Et}$ ,  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = 4\text{-MeC}_6\text{H}_4$  (**s**);  $R^1 = \text{Ph}$ ,  $R^2R^3 = \text{OCH}_2\text{CH}_2\text{O}$ ,  $R^4 = \text{cyclopropyl}$  (**t**),  $4\text{-MeOC}_6\text{H}_4$  (**u**),  $4\text{-ClC}_6\text{H}_4$  (**v**).

**Table 1.** Yields of 2-aryl(hetaryl-, cyclopropyl)quinolin-4(1*H*)-ones **9a–9v** in the Camps cyclization of *N*-(2-acylaryl) amides

Initial amide	Reaction time, h	Quinolin-4(1 <i>H</i> )-one	Yield, %	Initial amide	Reaction time, h	Quinolin-4(1 <i>H</i> )-one	Yield, %
<b>3a</b>	7	<b>9a</b>	93	<b>6b</b>	17	<b>9l</b>	88
<b>3b</b>	7	<b>9b</b>	98	<b>6c</b>	17	<b>9m</b>	88
<b>3c</b>	7	<b>9c</b>	86	<b>6d</b>	17	<b>9n</b>	93
<b>3d</b>	17	<b>9d</b>	91	<b>6f</b>	17	<b>9o</b>	86
<b>3e</b>	17	<b>9e</b>	77 <sup>a</sup>	<b>6g</b>	17	<b>9p</b>	84
<b>3f</b>	17	<b>9f</b>	87	<b>6h</b>	5	<b>9q</b>	98
<b>3g</b>	10	<b>9g</b>	85	<b>6i</b>	10	<b>9r</b>	92
<b>4</b>	7	<b>9h</b>	46 <sup>b</sup>	<b>7a</b>	17	<b>9s</b>	48 <sup>d</sup>
<b>5a</b>	7	<b>9i</b>	83 <sup>c</sup>	<b>8a</b>	5	<b>9t</b>	100
<b>5b</b>	10	<b>9j</b>	81	<b>8b</b>	5	<b>9u</b>	100
<b>6a</b>	10	<b>9k</b>	92	<b>8c</b>	5	<b>9v</b>	100

<sup>a</sup> Calculated on the reacted amide.<sup>b</sup> Apart from quinolin-4(1*H*)-one, 54% of 5-bromo-2-propanoylaniline was formed as a result of amide bond cleavage.<sup>c</sup> The reaction was carried out using 2 equiv of EtONa.<sup>d</sup> 52% of the initial amide was recovered.

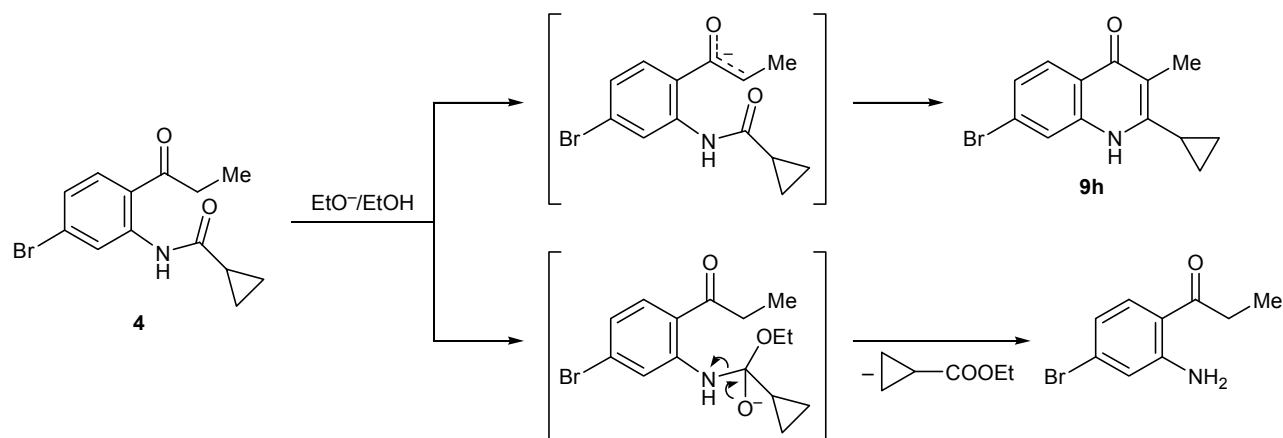
under these conditions, and the initial compounds were quantitatively recovered from the reaction mixtures.

As follows from the data in Table 1, the cyclization of phenylacetyl derivatives **8a–8c** was much easier than the cyclization of propanoyl-substituted analogs, while the latter were more reactive in the Camps cyclization than *N*-(2-butanoylphenyl)benzamides (cf. the data for **6a** and **7a**).

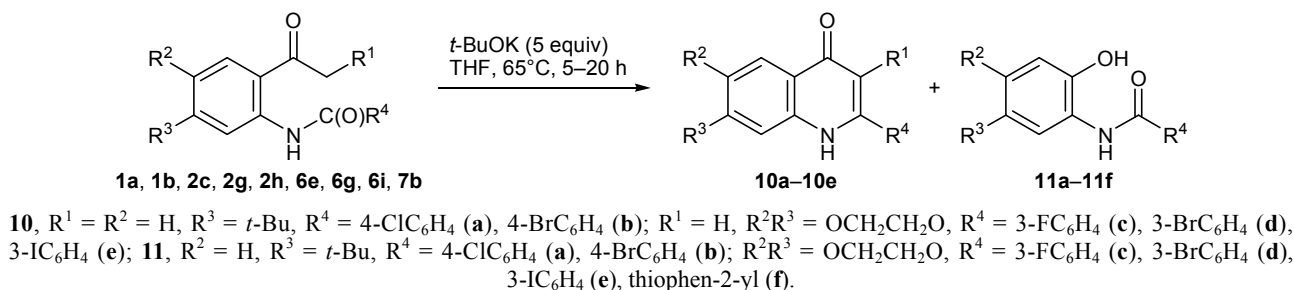
While studying the behavior of *N*-(2-acylaryl)-benzamides under standard cyclization conditions, we found that the cyclization of some substrates was accompanied by some other transformations. For example, the treatment of **4** with sodium ethoxide in ethanol gave a mixture of quinolinone **9h** and

5-bromo-2-propanoylaniline, the latter resulting from cleavage of the amide bond (Scheme 4).

Dichloro-substituted benzamide **5a** was converted into quinolinone **9i** together with an appreciable amount of a product in which one chlorine atom was replaced by ethoxy group. This undesirable side reaction can be avoided by carrying out the cyclization of **5a** with the use of 2 equiv of EtONa (Table 1). We failed to obtain the corresponding quinolin-4-one from 2-butanoyl-substituted 4-nitrobenzamide **7c**. The reaction at elevated temperature led to the formation of a complex mixture of products, presumably due to reduction of the nitro group), whereas no reaction occurred at 20°C for at least 30 h.

**Scheme 4.**

Scheme 5.



Since *N*-(2-acetylaryl)benzamides **1a**, **1b**, and **2a–2h** neither cyclized nor changed under the above conditions, we tried to effect their cyclization under the conditions reported in [22]. According to [22], *N*-(2-acetyl-4,6-dimethoxyphenyl)benzamide was converted to the corresponding 3-unsubstituted 2-phenylquinolin-4(1*H*)-one by the action of 5 equiv of potassium *tert*-butoxide in THF. In fact, by treatment of **1a**, **1b**, **2c**, **2g**, and **2h** with 5 equiv of *t*-BuOK in THF we obtained 3-unsubstituted quinolinones **10a–10e**, but in all cases their yields were lower than the yields of compounds **11a–11e** resulting from the transformation of the acetyl group in the initial benzamide into hydroxy group (Scheme 5, Table 2). Propanoyl derivatives **6e**, **6g**, and **6i** and butanoyl analog **7b** almost failed to undergo Camps cyclization under the same conditions, whereas oxidative transformation products **11d**, **11e**, and **11f** were formed in high yields (Scheme 5, Table 2). These findings indicated that the newly observed transformation of *N*-(2-acylaryl)benzamides into *N*-(2-hydroxyaryl)benzamides **11a–11f** is general and that this reaction is facilitated for substrates in which the acyl-substituted benzene ring also bears alkoxy groups.

The structure of **11a–11f** was confirmed by spectral data (see Experimental), as well as by alkylation of **11d** with diazomethane, which afforded 3-bromo-(7-methoxy-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (**12**) (Scheme 6).

We also studied the effects of the base, solvent, and reactant ratio on the formation of *N*-(2-hydroxyaryl)benzamides **11**. For this purpose, we compared the behavior of some *N*-(2-acylaryl)benzamides under the

action of 5 equiv of EtONa in THF (*a*), 5 equiv of *t*-BuOK in *t*-BuOH (*b*), and 2 equiv of *t*-BuOK in THF (*c*). *N*-(2-Acetylaryl)benzamide **2e** in systems *a* and *b* was converted only to the Camps cyclization product **10f** (Scheme 7). Propanoyl derivatives **3d**, **6c**, **6f**, and **6h** behaved similarly, and the corresponding 2-arylquinolin-4(1*H*)-ones were formed (Table 3). By contrast, *N*-(2-acylaryl)benzamide **2e** remained unchanged in the presence of 2 equiv of *t*-BuOK in THF (*c*), whereas propanoylanilides **6f** and **6h** in the same system were converted into quinolinones as easily as in systems *a* and *b* (Table 3).

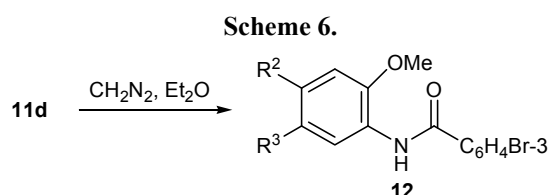
Thus, the examined *N*-(2-acylaryl)benzamides in the systems EtONa–EtOH, EtONa–THF, *t*-BuOK–*t*-BuOH, and *t*-BuOK–THF (substrate-to-base ratio 1:2) readily undergo Camps cyclization to the corresponding quinolinones, while potassium *tert*-butoxide (5 equiv) in THF promotes mainly oxidative elimination of the 2-acyl group. Obviously, in the latter case, due to steric hindrances in *N*-(2-acylaryl)benzamides

**Table 2.** Cyclization of *N*-(2-acylaryl) amides by the action of potassium *tert*-butoxide in THF

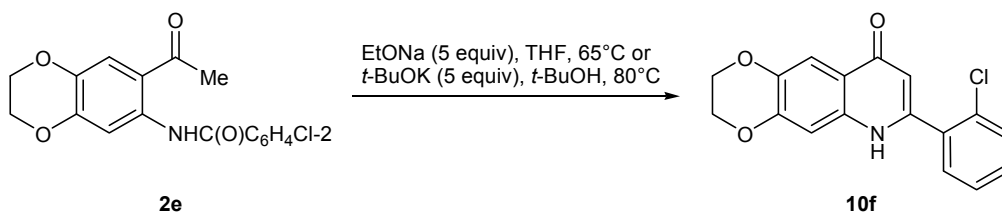
Initial amide	Reaction time, h	Yield, %	
		quinolinone	amidophenol
<b>1a</b>	20	<b>10a</b> , 40	<b>11a</b> , 59
<b>1b</b>	20	<b>10b</b> , 44	<b>11b</b> , 55
<b>2c</b>	10	<b>10c</b> , 10 <sup>a</sup>	<b>11c</b> , 86
<b>2g</b>	10	<b>10d</b> , 8 <sup>a</sup>	<b>11d</b> , 81
<b>2h</b>	20	<b>10e</b> , 36	<b>11e</b> , 48 <sup>b</sup>
<b>6e</b>	7.5	<b>9w</b> , traces	<b>11d</b> , 82 <sup>b</sup>
<b>6g</b>	7.5	<b>9p</b> , traces	<b>11e</b> , 74 <sup>b</sup>
<b>6i</b>	5	<b>9r</b> , traces	<b>11f</b> , 95
<b>7b</b>	7.5	–	<b>11d</b> , 84 <sup>b</sup>

<sup>a</sup> Identified by the 3-H, 5-H, and 8-H signals of the quinoline fragment in the <sup>1</sup>H NMR spectra of the reaction mixture.

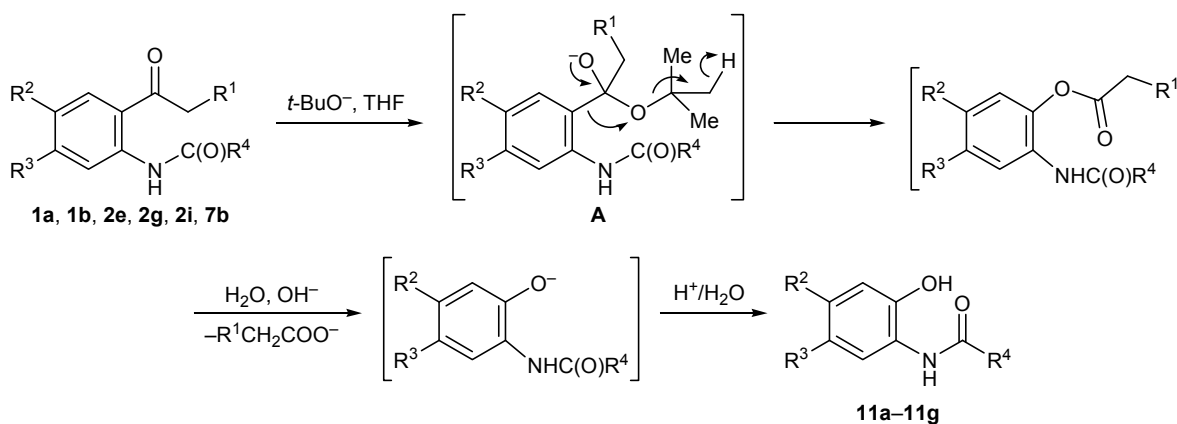
<sup>b</sup> 6-[(Arylcabonyl)amino]-2,3-dihydro-1,4-benzodioxine was isolated in addition to *N*-(2-hydroxyaryl)benzamide.



Scheme 7.



Scheme 8.



and high concentration of potassium *tert*-butoxide in the reaction medium, the addition of *tert*-butoxide ion to the acyl carbonyl group becomes preferred. Intermediate adduct **A** (Scheme 8) is likely to be responsible for the transformation of **1a**, **1b**, **2e**, **2g**, **2i**, and **7b** into *N*-(2-hydroxyaryl) amides **11a–11f**.

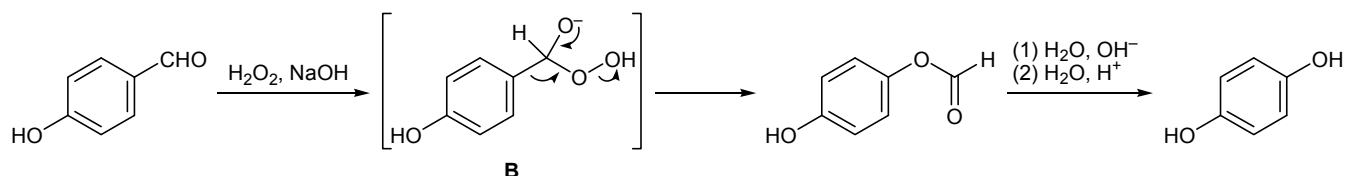
The transformations of aldehyde group in benzaldehydes and of acyl group in acylbenzenes into hydroxy group have been reported. For example, benzaldehydes were converted into the corresponding phenols by treatment with hydrogen peroxide in alkaline medium (Scheme 9, Dakin reaction [34–36]),

and acylbenzenes were oxidized to phenols with peroxy acids (Scheme 10; Baeyer–Villiger oxidation [37–39]). It is seen that that adducts **B** and **C** mediating the formation of phenols from 4-hydroxybenzaldehyde and acetophenone (Schemes 9, 10) are structurally similar to those assumed as intermediates in the transformation of acylanilides by the action of *t*-BuOK in THF (adducts **A**; Scheme 8). Presumably, migration of the aryl residue in **A** to the oxygen atom linked to the *tert*-butyl group is energetically favorable; therefore, the described transformation of the acyl group in *N*-(2-acylaryl) amides to hydroxy group

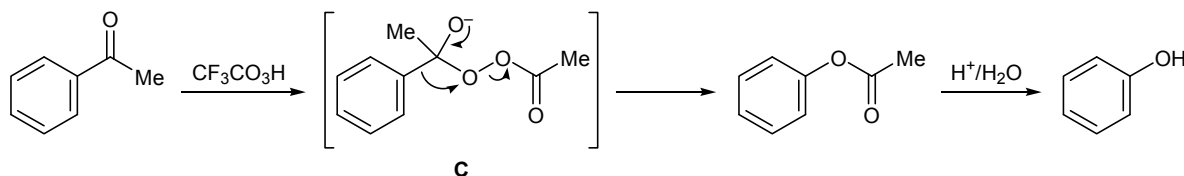
Table 3. Cyclization of *N*-(2-acylaryl)benzamides **2e**, **3d**, **6c**, **6f**, and **6h** by the action of bases

Initial amide	Reaction conditions	Reaction time, h	2-Arylquinolin-4(1 <i>H</i> )-one	Yield, %
<b>2e</b>	<i>a</i> : EtONa (5 equiv), THF, 65°C	12	<b>10f</b>	81
<b>3d</b>	<i>a</i>	12	<b>9d</b>	100
<b>6h</b>	<i>a</i>	10	<b>9q</b>	95
<b>2e</b>	<i>b</i> : <i>t</i> -BuOK (5 equiv), <i>t</i> -BuOH, 80°C	21	<b>10f</b>	92
<b>6c</b>	<i>b</i>	7	<b>9m</b>	98
<b>6f</b>	<i>b</i>	7	<b>9o</b>	91
<b>2e</b>	<i>c</i> : <i>t</i> -BuOK (2 equiv), THF, 65°C	10	<b>10f</b>	Traces
<b>6f</b>	<i>c</i>	8	<b>9o</b>	88
<b>6h</b>	<i>c</i>	8	<b>9g</b>	98

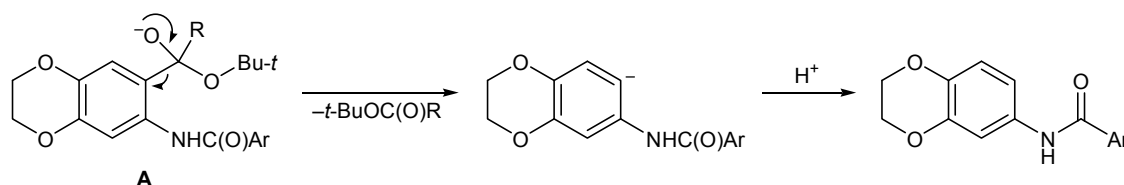
Scheme 9.



Scheme 10.



Scheme 11.



can be regarded as a process analogous to the Dakin reaction of aldehydes and Baeyer–Villiger oxidation of acylbenzenes.

Possible intermediacy of adducts **A** (Scheme 8) in the oxidative reactions of *N*-(2-acylaryl)benzamides is confirmed by the formation of *N*-(2,3-dihydro-1,4-benzodioxin-6-yl) amides from **2h**, **6e**, **6g**, and **7b** (Table 2). Apart from migration of the aryl anion in **A** to the oxygen atom, leading to the formation of *N*-(2-hydroxyaryl) amides **11e–11f**, heterolytic dissociation of the  $\text{C}_{\text{arom}}\text{--C}^{\alpha}$  bond in **A** can occur with elimination of the corresponding neutral *tert*-butyl carboxylate molecule (Scheme 11).

Thus, the systems  $\text{EtONa}\text{--EtOH}$ ,  $\text{EtONa}\text{--THF}$ ,  $t\text{-BuOK}\text{--}t\text{-BuOH}$  (substrate-to-base ratio 1:5), and  $t\text{-BuOK}\text{--THF}$  (substrate-to-base ratio 1:2) ensure successful cyclization of *N*-(2-acylaryl)benzamides and analogous *N*-substituted hetarene- and cyclopropane-carboxamides to 2-aryl-, 2-hetaryl-, and 2-cyclopropyl-quinolin-4(1*H*)-ones. Unlike the above systems, *N*-(2-acylaryl)benzamides react with 5 equiv of *t*-BuOK in THF to give mainly *N*-(2-hydroxyaryl)benzamides.

## EXPERIMENTAL

The  $^1\text{H}$  NMR spectra were recorded on a Varian VXR-400 spectrometer at 400 MHz; the chemical shifts were measured relative to the residual proton signal of the deuterated solvent. The IR spectra were

recorded in mineral oil on a UR-20 spectrometer. The elemental analyses were obtained on a Vario-11 CHN analyzer. The melting points were determined on an Electrothermal Model 1A9100 digital melting point apparatus.

Initial 2-acylanilines were synthesized as described in [24–28].

***N*-(2-Acylaryl) amides 1a, 1b, 2a–2h, 3a–3g, 4, 5a, 5b, 6a–6i, 7a–7c, and 8a–8c (general procedure).** 2-acylaniline, 0.01 mol, was dissolved in 25 mL of dioxane, 0.01 mol of the corresponding acid chloride (solid acid chlorides were dissolved in anhydrous dioxane) and 0.01 mol of sodium hydroxide (a 3 N solution) were simultaneously added dropwise. The mixture was stirred for 1–1.5 h at 20°C and poured into 150 mL of water, and the precipitate was filtered off, washed with water, dried in air, and recrystallized. Compounds **2a** [40], **3e**, **6a**, **6c**, and **6h** [27] were described previously. The  $^1\text{H}$  NMR spectra of **1a**, **1b**, **2b–2h**, **3b**, **3c**, **3g**, **5a**, **5b**, **6d–6g**, **6i**, and **7a–7c** were recorded in  $\text{DMSO-}d_6$ , and of **3a**, **3d**, **3f**, **4**, **6b**, and **8a–8c**, in  $\text{CDCl}_3$ .

***N*-(5-*tert*-Butyl-2-propanoylphenyl)-4-chloro-benzamide (1a).** Yield 81%, mp 163–164°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.33 s [9H,  $\text{C}(\text{CH}_3)_3$ ], 2.67 s (3H, CH<sub>3</sub>), 7.31 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.7, 8.0$  Hz), 7.69 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.2$  Hz), 7.96 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.2$  Hz), 8.04 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 8.77 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.7$  Hz), 12.44 s (1H, NH).

Found, %: C 68.79, 68.87; H 5.85, 5.92; N 4.26, 4.38.  $C_{19}H_{20}ClNO_2$ . Calculated, %: C 69.18; H 6.11; N 4.25.

**4-Bromo-*N*-(5-*tert*-butyl-2-propanoylphenyl)-benzamide (1b).** Yield 77%, mp 148–149°C (from EtOH).  $^1H$  NMR spectrum,  $\delta$ , ppm: 1.32 s [9H,  $C(CH_3)_3$ ], 2.67 s (3H,  $CH_3$ ), 7.30 d.d (1H,  $H_{arom}$ ,  $J = 1.7, 8.0$  Hz), 7.82 d (2H,  $H_{arom}$ ,  $J = 8.2$  Hz), 7.88 d (2H,  $H_{arom}$ ,  $J = 8.2$  Hz), 8.03 d (1H,  $H_{arom}$ ,  $J = 8.0$  Hz), 8.77 d (1H,  $H_{arom}$ ,  $J = 1.7$  Hz), 12.43 s (1H, NH). Found, %: C 60.38, 60.58; H 5.09, 5.18; N 3.68, 3.81.  $C_{19}H_{20}BrNO_2$ . Calculated, %: C 60.97; H 5.39; N 3.74.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-4-methylbenzamide (2b).** Yield 89%, mp 181–182°C (from EtOH).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.39 s (3H,  $CH_3$ ), 2.61 s (3H,  $CH_3$ ), 4.29 m and 4.36 m (2H each,  $OCH_2CH_2O$ ), 7.38 d (2H,  $H_{arom}$ ,  $J = 8.0$  Hz), 7.60 s (1H,  $H_{arom}$ ), 7.82 d (2H,  $H_{arom}$ ,  $J = 8.0$  Hz), 8.30 s (1H,  $H_{arom}$ ), 12.52 s (1H, NH). Found, %: C 68.98, 69.21; H 5.19, 5.32; N 4.32, 4.51.  $C_{18}H_{17}NO_4$ . Calculated, %: C 69.44; H 5.51; N 4.50.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-3-fluorobenzamide (2c).** Yield 83%, mp 163–164°C (from EtOH– $CHCl_3$ ).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.62 s (3H,  $CH_3$ ), 4.30 m and 4.37 m (2H each,  $OCH_2CH_2O$ ), 7.49 d.t (1H,  $H_{arom}$ ,  $J = 1.7, 8.0$  Hz), 7.62 s (1H,  $H_{arom}$ ), 7.63–7.69 m (2H,  $H_{arom}$ ), 7.76 d (1H,  $H_{arom}$ ,  $J = 7.2$  Hz), 8.22 s (1H,  $H_{arom}$ ), 12.51 s (1H, NH). Found, %: C 64.31, 64.53; H 4.14, 4.26; N 4.27, 4.38.  $C_{17}H_{14}FNO_4$ . Calculated, %: C 64.76; H 4.48; N 4.44.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-4-fluorobenzamide (2d).** Yield 87%, mp 205–206°C (from EtOH– $CHCl_3$ ).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.61 s (3H,  $CH_3$ ), 4.29 m and 4.36 m (2H each,  $OCH_2CH_2O$ ), 7.43 d.d (2H,  $H_{arom}$ ,  $J = 7.5, 7.6$  Hz), 7.62 s (1H,  $H_{arom}$ ), 7.99 d.d (2H,  $H_{arom}$ ,  $J = 4.1, 7.6$  Hz), 8.23 s (1H,  $H_{arom}$ ), 12.51 s (1H, NH). Found, %: C 64.26, 64.39; H 4.21, 4.31; N 4.19, 4.41.  $C_{17}H_{14}FNO_4$ . Calculated, %: C 64.76; H 4.48; N 4.44.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-2-chlorobenzamide (2e).** Yield 91%, mp 193–194°C (from EtOH– $CHCl_3$ ).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.55 s (3H,  $CH_3$ ), 4.31 m and 4.38 m (2H each,  $OCH_2CH_2O$ ), 7.40–7.51 m (5H,  $H_{arom}$ ), 8.32 s (1H,  $H_{arom}$ ), 12.11 s (1H, NH). Found, %: C 61.03, 61.21; H 3.98, 4.11; N 4.18, 4.27.  $C_{17}H_{14}ClNO_4$ . Calculated, %: C 61.55; H 4.25; N 4.22.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-4-chlorobenzamide (2f).** Yield 78%, mp 190–191°C

(from EtOH).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.61 s (3H,  $CH_3$ ), 4.28 m and 4.35 m (2H each,  $OCH_2CH_2O$ ), 7.62 s (1H,  $H_{arom}$ ), 7.65 d (2H,  $H_{arom}$ ,  $J = 8.2$  Hz), 7.93 d (2H,  $H_{arom}$ ,  $J = 8.2$  Hz), 8.24 s (1H,  $H_{arom}$ ), 12.53 s (1H, NH). Found, %: C 61.22, 61.31; H 4.12, 4.18; N 4.13, 4.21.  $C_{17}H_{14}ClNO_4$ . Calculated, %: C 61.55; H 4.25; N 4.22.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-3-bromobenzamide (2g).** Yield 92%, mp 154–155°C (from EtOH– $CHCl_3$ ).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.62 s (3H,  $CH_3$ ), 4.30 m and 4.37 m (2H each,  $OCH_2CH_2O$ ), 7.56 t (1H,  $H_{arom}$ ,  $J = 8.1$  Hz), 7.62 s (1H,  $H_{arom}$ ), 7.84 d.d (1H,  $H_{arom}$ ,  $J = 1.3, 8.1$  Hz), 7.91 d.d (1H,  $H_{arom}$ ,  $J = 1.3, 8.1$  Hz), 8.05 d (1H,  $H_{arom}$ ,  $J = 1.3$  Hz), 8.20 s (1H,  $H_{arom}$ ), 12.49 s (1H, NH). Found, %: C 53.88, 53.94; H 3.41, 3.52; N 3.69, 3.75.  $C_{17}H_{14}BrNO_4$ . Calculated, %: C 54.26; H 3.75; N 3.72.

***N*-(7-Acetyl-2,3-dihydro-1,4-benzodioxin-6-yl)-3-iodobenzamide (2h).** Yield 73%, mp 184–185°C (from EtOH– $CHCl_3$ ).  $^1H$  NMR spectrum,  $\delta$ , ppm: 2.60 s (3H,  $CH_3$ ), 4.28 m and 4.36 m (2H each,  $OCH_2CH_2O$ ), 7.39 t (1H,  $H_{arom}$ ,  $J = 8.0$  Hz), 7.59 s (1H,  $H_{arom}$ ), 7.89 d.d (1H,  $H_{arom}$ ,  $J = 1.6, 8.0$  Hz), 7.98 d.d (1H,  $H_{arom}$ ,  $J = 1.6, 8.0$  Hz), 8.19 s (1H,  $H_{arom}$ ), 8.21 t (1H,  $H_{arom}$ ,  $J = 1.6$  Hz), 12.48 s (1H, NH). Found, %: C 47.68, 47.77; H 3.01, 3.11; N 3.28, 3.40.  $C_{17}H_{14}INO_4$ . Calculated, %: C 48.24; H 3.33; N 3.31.

***N*-(2-Propanoylphenyl)cyclopropanamide (3a).** Yield 71%, mp 56–57°C (from EtOH).  $^1H$  NMR spectrum,  $\delta$ , ppm: 0.87 m (2H), 1.08 m (2H), and 1.67 m (1H) ( $C_3H_5$ ), 1.24 t (3H,  $CH_2CH_3$ ,  $J = 7.3$  Hz), 3.08 q (2H,  $CH_2CH_3$ ,  $J = 7.3$  Hz), 7.09 d.t (1H,  $H_{arom}$ ,  $J = 1.3, 8.1$  Hz), 7.52 d.t (1H,  $H_{arom}$ ,  $J = 1.6, 8.2$  Hz), 7.91 d (1H,  $H_{arom}$ ,  $J = 8.2$  Hz), 8.74 d (1H,  $H_{arom}$ ,  $J = 8.1$  Hz), 12.02 s (1H, NH). Found, %: C 71.53, 71.68; H 6.68, 6.81; N 6.34, 6.48.  $C_{13}H_{15}NO_2$ . Calculated, %: C 71.86; H 6.96; N 6.45.

**3-Methoxy-*N*-(2-propanoylphenyl)benzamide (3b).** Yield 79%, mp 91–92°C (from EtOH).  $^1H$  NMR spectrum,  $\delta$ , ppm: 1.12 t (3H,  $CH_2CH_3$ ,  $J = 6.8$  Hz), 3.18 q (2H,  $CH_2CH_3$ ,  $J = 6.8$  Hz), 3.88 s (3H,  $OCH_3$ ), 7.18 d.d (1H,  $H_{arom}$ ,  $J = 1.5, 8.0$  Hz), 7.22 t (1H,  $H_{arom}$ ,  $J = 8.0$  Hz), 7.49–7.58 m (3H,  $H_{arom}$ ), 7.65 t (1H,  $H_{arom}$ ,  $J = 8.0$  Hz), 8.11 d (1H,  $H_{arom}$ ,  $J = 8.0$  Hz), 8.69 d (1H,  $H_{arom}$ ,  $J = 8.0$  Hz), 12.46 s (1H, NH). Found, %: C 71.67, 71.81; H 5.74, 5.82; N 4.79, 4.88.  $C_{17}H_{17}NO_3$ . Calculated, %: C 72.06; H 6.05; N 4.94.

**4-Fluoro-*N*-(2-propanoylphenyl)benzamide (3c).** Yield 76%, mp 126–127°C (from EtOH).  $^1H$  NMR

spectrum,  $\delta$ , ppm: 1.12 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.6$  Hz), 3.26 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.6$  Hz), 7.26 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 7.46 d.d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.1$ , 8.1 Hz), 7.67 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 8.04 d.d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 4.8$ , 8.1 Hz), 8.25 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 8.64 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 12.29 s (1H, NH). Found, %: C 70.32, 70.54; H 4.89, 5.01; N 5.14, 5.21.  $\text{C}_{16}\text{H}_{14}\text{FNO}_2$ . Calculated, %: C 70.83; H 5.20; N 5.16.

**4-Chloro-*N*-(2-propanoylphenyl)benzamide (3d).** Yield 78%, mp 112–113°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.28 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.8$  Hz), 3.14 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.8$  Hz), 7.18 d.t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.3$ , 8.2 Hz), 7.52 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.4$  Hz), 7.63 d.t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.4$ , 8.2 Hz), 8.01 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.4$ , 8.2 Hz), 8.04 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.4$  Hz), 8.94 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.3$ , 8.2 Hz), 12.79 s (1H, NH). Found, %: C 66.12, 66.31; H 4.65, 4.72; N 4.77, 4.85.  $\text{C}_{16}\text{H}_{14}\text{ClNO}_2$ . Calculated, %: C 66.78; H 4.90; N 4.87.

**4-Bromo-*N*-(2-propanoylphenyl)benzamide (3f).** Yield 84%, mp 117–118°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.24 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.2$  Hz), 3.11 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.2$  Hz), 7.15 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 7.60 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 7.65 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.1$  Hz), 7.93 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.1$  Hz), 7.98 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 8.92 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 12.76 s (1H, NH). Found, %: C 57.31, 57.42; H 3.95, 4.02; N 4.14, 4.23.  $\text{C}_{16}\text{H}_{14}\text{BrNO}_2$ . Calculated, %: C 57.84; H 4.25; N 4.22.

***N*-(2-Propanoylphenyl)thiophene-2-carboxamide (3g).** Yield 81%, mp 103–104°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.12 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.2$  Hz), 3.15 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.2$  Hz), 7.24 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 7.31 d.d (1H,  $\text{H}_{\text{Th}}$ ,  $J = 3.4$ , 4.8 Hz), 7.66 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 7.84 d (1H,  $\text{H}_{\text{Th}}$ ,  $J = 3.4$  Hz), 7.95 d (1H,  $\text{H}_{\text{Th}}$ ,  $J = 4.8$  Hz), 8.12 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 8.48 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.0$  Hz), 12.32 s (1H, NH). Found, %: C 64.48, 64.62; H 4.82, 4.88; N 5.26, 5.38.  $\text{C}_{14}\text{H}_{13}\text{NO}_2\text{S}$ . Calculated, %: C 64.84; H 5.05; N 5.40.

***N*-(5-Bromo-2-propanoylphenyl)cyclopropanecarboxamide (4).** Yield 77%, mp 104–105°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 0.91 m (2H), 1.09 m (2H), and 1.67 m (1H) ( $\text{C}_3\text{H}_5$ ); 1.23 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.2$  Hz), 3.05 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.2$  Hz), 7.21 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 2.0$ , 8.1 Hz), 7.77 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.1$  Hz), 9.01 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 2.0$  Hz), 12.07 s (1H, NH). Found, %: C 52.32, 52.41; H 4.38, 4.56; N 4.64, 4.72.  $\text{C}_{13}\text{H}_{14}\text{BrNO}_2$ . Calculated, %: C 52.72; H 4.76; N 4.73.

**4-Chloro-*N*-(4-chloro-2-propanoylphenyl)benzamide (5a).** Yield 89%, mp 147–148°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.07 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.4$  Hz), 3.12 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.4$  Hz), 7.67 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.2$  Hz), 7.70 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 2.1$ , 7.9 Hz), 7.93 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 8.2$  Hz), 8.06 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 2.1$  Hz), 8.42 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.9$  Hz), 11.98 s (1H, NH). Found, %: C 59.22, 59.34; H 3.81, 3.91; N 3.98, 4.16.  $\text{C}_{16}\text{H}_{13}\text{Cl}_2\text{NO}_2$ . Calculated, %: C 59.64; H 4.07; N 4.35.

**4-Bromo-*N*-(4-chloro-2-propanoylphenyl)benzamide (5b).** Yield 81%, mp 156–157°C (from EtOH– $\text{CHCl}_3$ ).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.14 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.8$  Hz), 3.11 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.8$  Hz), 7.57 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 2.1$ , 8.2 Hz), 7.69 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 7.85 d (2H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 8.00 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 2.1$  Hz), 8.67 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 8.2$  Hz), 12.34 s (1H, NH). Found, %: C 51.83, 51.96; H 3.27, 3.34; N 3.70, 3.83.  $\text{C}_{16}\text{H}_{13}\text{BrClNO}_2$ . Calculated, %: C 52.41; H 3.57; N 3.82.

***N*-(7-Propanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)cyclopropanecarboxamide (6b).** Yield 79%, mp 147–148°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 0.84 m (2H), 1.06 m (2H), 1.63 m (1H) ( $\text{C}_3\text{H}_5$ ); 1.21 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.5$  Hz), 2.96 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.5$  Hz), 4.25 m and 4.31 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.42 s (1H,  $\text{H}_{\text{arom}}$ ), 8.30 s (1H,  $\text{H}_{\text{arom}}$ ), 12.01 s (1H, NH). Found, %: C 65.01, 65.16; H 5.88, 6.03; N 4.94, 5.06.  $\text{C}_{15}\text{H}_{17}\text{NO}_4$ . Calculated, %: C 65.44; H 6.23; N 5.09.

**2-Bromo-*N*-(7-propanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (6d).** Yield 88%, mp 215–217°C (from EtOH– $\text{CHCl}_3$ ).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.01 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.6$  Hz), 3.03 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.6$  Hz), 4.31 m and 4.38 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.46 d.t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.7$ , 8.0 Hz), 7.54 d.t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.1$ , 7.9 Hz), 7.61 s (1H,  $\text{H}_{\text{arom}}$ ), 7.62 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.7$ , 7.9 Hz), 7.75 d.d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 1.1$ , 8.0 Hz), 8.13 s (1H,  $\text{H}_{\text{arom}}$ ), 11.88 s (1H, NH). Found, %: C 55.02, 55.21; H 3.91, 4.02; N 3.43, 3.56.  $\text{C}_{18}\text{H}_{16}\text{BrNO}_4$ . Calculated, %: C 55.40; H 4.13; N 3.59.

**3-Bromo-*N*-(7-propanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (6e).** Yield 92%, mp 188–189°C (from EtOH– $\text{CHCl}_3$ ).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.08 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.8$  Hz), 3.07 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 6.8$  Hz), 4.30 m and 4.37 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.58 t (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 7.64 s (1H,  $\text{H}_{\text{arom}}$ ), 7.85 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 7.92 d (1H,  $\text{H}_{\text{arom}}$ ,  $J = 7.8$  Hz), 8.06 s (1H,  $\text{H}_{\text{arom}}$ ), 8.19 s (1H,



$H_{\text{arom}}$ ), 12.48 s (1H, NH). Found, %: C 54.92, 55.11; H 3.84, 3.91; N 3.35, 3.47.  $C_{18}H_{16}BrNO_4$ . Calculated, %: C 55.40; H 4.13; N 3.59.

**4-Bromo-*N*-(7-propanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (6f).** Yield 94%, mp 224–225°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.07 t (3H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.7 Hz), 3.08 q (2H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.7 Hz), 4.31 m and 4.38 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.65 s (1H,  $H_{\text{arom}}$ ), 7.82 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.4 Hz), 7.87 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.4 Hz), 8.23 s (1H,  $H_{\text{arom}}$ ), 12.63 s (1H, NH). Found, %: C 54.96, 55.18; H 3.81, 4.03; N 3.46, 3.61.  $C_{18}H_{16}BrNO_4$ . Calculated, %: C 55.40; H 4.13; N 3.59.

**3-Iodo-*N*-(7-propanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (6g).** Yield 83%, mp 181–182°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.08 t (3H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.8 Hz), 3.05 q (2H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.8 Hz), 4.29 m and 4.36 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.41 t (1H,  $H_{\text{arom}}$ ,  $J$  = 8.1 Hz), 7.62 s (1H,  $H_{\text{arom}}$ ), 7.92 d (1H,  $H_{\text{arom}}$ ,  $J$  = 8.1 Hz), 7.99 d (1H,  $H_{\text{arom}}$ ,  $J$  = 8.1 Hz), 8.18 s (1H,  $H_{\text{arom}}$ ), 8.24 s (1H,  $H_{\text{arom}}$ ), 12.45 s (1H, NH). Found, %: C 48.83, 49.06; H 3.31, 3.48; N 2.96, 3.11.  $C_{18}H_{16}INO_4$ . Calculated, %: C 49.44; H 3.69; N 3.20.

***N*-(7-Propanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)thiophene-2-carboxamide (6i).** Yield 91%, mp 168–169°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.24 t (3H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.5 Hz), 2.99 q (2H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.5 Hz), 4.27 m and 4.35 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.15 d.d (1H,  $H_{\text{Th}}$ ,  $J$  = 3.5, 4.9 Hz), 7.46 s (1H,  $H_{\text{arom}}$ ), 7.51 d (1H,  $H_{\text{Th}}$ ,  $J$  = 4.9 Hz), 7.82 d (1H,  $H_{\text{Th}}$ ,  $J$  = 3.5 Hz), 8.43 s (1H,  $H_{\text{arom}}$ ), 12.75 s (1H, NH). Found, %: C 60.22, 60.31; H 4.51, 4.56; N 4.29, 4.38.  $C_{16}H_{15}NO_4S$ . Calculated, %: C 60.55; H 4.76; N 4.41.

***N*-(7-Butanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)-4-methylbenzamide (7a).** Yield 84%, mp 199–200°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 0.96 t (3H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 7.2 Hz), 1.67 sext (2H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 7.2 Hz), 2.40 s (3H, CH<sub>3</sub>), 2.96 t (2H, CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 7.2 Hz), 4.27 m and 4.34 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.34 d (2H,  $H_{\text{arom}}$ ,  $J$  = 7.8 Hz), 7.55 s (1H,  $H_{\text{arom}}$ ), 7.83 d (2H,  $H_{\text{arom}}$ ,  $J$  = 7.8 Hz), 8.34 s (1H,  $H_{\text{arom}}$ ), 12.57 s (1H, NH). Found, %: C 70.34, 70.41; H 6.03, 6.11; N 3.98, 4.07.  $C_{20}H_{21}NO_4$ . Calculated, %: C 70.78; H 6.24; N 4.13.

**3-Bromo-*N*-(7-butanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (7b).** Yield 93%, mp 150–151°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ ,

ppm: 0.93 t (3H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 7.1 Hz), 1.63 sext (2H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 7.1 Hz), 2.99 t (2H, CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 7.1 Hz), 4.29 m and 4.36 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.57 t (1H,  $H_{\text{arom}}$ ,  $J$  = 8.0 Hz), 7.61 s (1H,  $H_{\text{arom}}$ ), 7.82 d (1H,  $H_{\text{arom}}$ ,  $J$  = 8.0 Hz), 7.89 d (1H,  $H_{\text{arom}}$ ,  $J$  = 8.0 Hz), 8.04 s (1H,  $H_{\text{arom}}$ ), 8.18 s (1H,  $H_{\text{arom}}$ ), 12.48 s (1H, NH). Found, %: C 55.92, 56.17; H 4.15, 4.26; N 3.28, 3.41.  $C_{19}H_{18}BrNO_4$ . Calculated, %: C 56.45; H 4.49; N 3.47.

***N*-(7-Butanoyl-2,3-dihydro-1,4-benzodioxin-6-yl)-4-nitrobenzamide (7c).** Yield 78%, mp 210–211°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.02 t (3H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.7 Hz), 1.72 sext (2H, CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.7 Hz), 3.01 t (2H, CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  $J$  = 6.7 Hz), 4.30 m and 4.38 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.52 s (1H,  $H_{\text{arom}}$ ), 8.21 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.4 Hz), 8.38 s (1H,  $H_{\text{arom}}$ ), 8.40 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.4 Hz), 12.85 s (1H, NH). Found, %: C 61.06, 61.32; H 4.63, 4.78; N 7.31, 7.45.  $C_{19}H_{18}N_2O_6$ . Calculated, %: C 61.61; H 4.90; N 7.57.

***N*-[7-(Phenylacetyl)-2,3-dihydro-1,4-benzodioxin-6-yl]cyclopropanamide (8a).** Yield 81%, mp 130–131°C (from EtOH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 0.81 m (2H), 1.03 m (2H), 1.59 m (1H) ( $C_3H_5$ ); 4.23 m and 4.31 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 4.25 s (2H, CH<sub>2</sub>Ph), 7.24–7.38 m (5H,  $H_{\text{arom}}$ ), 7.53 s (1H,  $H_{\text{arom}}$ ), 8.33 s (1H,  $H_{\text{arom}}$ ), 11.92 s (1H, NH). Found, %: C 70.81, 70.93; H 5.43, 5.48; N 3.96, 4.11.  $C_{20}H_{19}NO_4$ . Calculated, %: C 71.20; H 5.68; N 4.15.

**4-Methoxy-*N*-[7-(phenylacetyl)-2,3-dihydro-1,4-benzodioxin-6-yl]benzamide (8b).** Yield 92%, mp 211–212°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 3.87 s (3H, OCH<sub>3</sub>), 4.26 m and 4.34 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 4.28 s (2H, CH<sub>2</sub>Ph), 6.98 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.8 Hz), 7.28–7.31 m (3H,  $H_{\text{arom}}$ ), 7.34–7.39 m (2H,  $H_{\text{arom}}$ ), 7.58 s (1H,  $H_{\text{arom}}$ ), 8.02 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.8 Hz), 8.57 s (1H,  $H_{\text{arom}}$ ), 12.57 s (1H, NH). Found, %: C 71.03, 71.21; H 4.98, 5.11; N 3.33, 3.41.  $C_{24}H_{21}NO_5$ . Calculated, %: C 71.45; H 5.25; N 3.47.

**4-Chloro-*N*-[7-(phenylacetyl)-2,3-dihydro-1,4-benzodioxin-6-yl]benzamide (8c).** Yield 95%, mp 193–194°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.27 m and 4.36 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 4.28 s (2H, CH<sub>2</sub>Ph), 7.27–7.33 m (3H,  $H_{\text{arom}}$ ), 7.35–7.39 m (2H,  $H_{\text{arom}}$ ), 7.46 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.3 Hz), 7.59 s (1H,  $H_{\text{arom}}$ ), 7.98 d (2H,  $H_{\text{arom}}$ ,  $J$  = 8.3 Hz), 8.54 s (1H,  $H_{\text{arom}}$ ), 12.67 s (1H, NH). Found, %: C 67.21, 67.42; H 4.09, 4.26; N 3.27, 3.38.  $C_{23}H_{18}ClNO_4$ . Calculated, %: C 67.73; H 4.45; N 3.44.

**Cyclization of *N*-(2-acylaryl) amides 1a, 1b, 2a–2h, 3a–3g, 4, 5a, 5b, 6a–6i, 7a, 7b, and 8a–8c to 2-aryl-, 2-hetaryl-, and 2-cyclopropylquinolin-4(1*H*)-ones (general procedure).** Amide 1–8, 1 mmol, was added to a solution of 5 mmol of sodium ethoxide in 30 mL of ethanol or anhydrous THF (*a*), 5 mmol of potassium *tert*-butoxide in 30 mL of *tert*-butyl alcohol (*b*), or 2 mmol of potassium *tert*-butoxide in 30 mL of anhydrous THF (*c*). The mixture was refluxed for a time indicated in Tables 1 and 3, cooled to 20°C, and poured into 180 mL of cold water. The precipitate was filtered off, washed with water until neutral washings, dried, and recrystallized. The cyclization conditions and yields are given in Tables 1 and 3. The <sup>1</sup>H NMR spectra of the products were recorded from solutions in DMSO-*d*<sub>6</sub>.

**2-Cyclopropyl-3-methylquinolin-4(1*H*)-one (9a).** mp 314–316°C (from EtOH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 0.99 m (2H), 1.06 m (2H), 2.15 m (1H) (C<sub>3</sub>H<sub>5</sub>); 2.11 s (3H, CH<sub>3</sub>), 7.22 d.t (1H, H<sub>arom</sub>, *J* = 1.2, 7.8 Hz), 7.54 d.t (1H, H<sub>arom</sub>, *J* = 1.2, 7.8 Hz), 7.65 d (1H, H<sub>arom</sub>, *J* = 7.8 Hz), 8.04 d (1H, H<sub>arom</sub>, *J* = 7.8 Hz), 10.59 s (1H, NH). Found, %: C 77.98, 78.06; H 6.28, 6.36; N 6.87, 6.97. C<sub>13</sub>H<sub>13</sub>NO. Calculated, %: C 78.36; H 6.57; N 7.03.

**2-(3-Methoxyphenyl)-3-methylquinolin-4(1*H*)-one (9b).** mp 226–228°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 2944, 1639, 1585, 1540, 1472. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.91 s (3H, CH<sub>3</sub>), 3.83 s (3H, OCH<sub>3</sub>), 7.10–7.13 m (3H, H<sub>arom</sub>), 7.29 m (1H, H<sub>arom</sub>), 7.48 t (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 7.59–7.62 m (2H, H<sub>arom</sub>), 8.12 d (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 11.59 s (1H, NH). Found, %: C 76.62, 76.80; H 5.41, 5.52; N 5.14, 5.26. C<sub>17</sub>H<sub>15</sub>NO<sub>2</sub>. Calculated, %: C 76.96; H 5.70; N 5.28.

**2-(4-Fluorophenyl)-3-methylquinolin-4(1*H*)-one (9c).** mp 312–314°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 2938, 1637, 1588, 1548, 1478. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.88 s (3H, CH<sub>3</sub>), 7.27–7.32 m (1H, H<sub>arom</sub>), 7.41 d.t (2H, H<sub>arom</sub>, *J* = 2.4, 8.1 Hz), 7.59–7.65 m (4H, H<sub>arom</sub>), 8.12 d (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 11.59 s (1H, NH). Found, %: C 75.64, 75.79; H 4.63, 4.80; N 5.31, 5.46. C<sub>16</sub>H<sub>12</sub>FNO. Calculated, %: C 75.87; H 4.78; N 5.53.

**2-(4-Chlorophenyl)-3-methylquinolin-4(1*H*)-one (9d).** mp 258–261°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 3288, 2935, 1630, 1610, 1515, 1469. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.86 s (3H, CH<sub>3</sub>), 7.29 m (1H, H<sub>arom</sub>), 7.54–7.67 m (6H, H<sub>arom</sub>), 8.11 d (1H, H<sub>arom</sub>, *J* = 8.1 Hz), 11.63 s (1H, NH). Found, %: C 70.78, 70.91; H 4.31, 4.41; N 4.99, 5.08. C<sub>16</sub>H<sub>12</sub>ClNO. Calculated, %: C 71.24; H 4.49; N 5.19.

**2-(2-Bromophenyl)-3-methylquinolin-4(1*H*)-one (9e).** mp 281–282°C (from EtOH–CHCl<sub>3</sub>). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 2935, 1632, 1580, 1545, 1481. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.72 s (3H, CH<sub>3</sub>), 7.31 t (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 7.48–7.64 m (5H, H<sub>arom</sub>), 7.85 d (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 8.14 d (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 11.76 s (1H, NH). Found, %: C 60.68, 60.84; H 3.52, 3.70; N 4.29, 4.36. C<sub>16</sub>H<sub>12</sub>BrNO. Calculated, %: C 61.17; H 3.85; N 4.46.

**2-(4-Bromophenyl)-3-methylquinolin-4(1*H*)-one (9f).** mp 267–268°C (from EtOH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.87 s (3H, CH<sub>3</sub>), 7.29 t (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 7.53 d (2H, H<sub>arom</sub>, *J* = 8.2 Hz), 7.57–7.68 m (2H, H<sub>arom</sub>), 7.78 d (2H, H<sub>arom</sub>, *J* = 8.2 Hz), 8.12 d (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 11.60 s (1H, NH). Found, %: C 60.58, 60.72; H 3.53, 3.66; N 4.31, 4.46. C<sub>16</sub>H<sub>12</sub>BrNO. Calculated, %: C 61.17; H 3.85; N 4.46.

**3-Methyl-2-(thiophen-2-yl)quinolin-4(1*H*)-one (9g).** mp 221–222°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 3278, 2936, 1638, 1610, 1580, 1469. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 2.05 s (3H, CH<sub>3</sub>), 7.29 d.d (1H, H<sub>Th</sub>, *J* = 3.7, 5.2 Hz), 7.51 d.d (1H, H<sub>Th</sub>, *J* = 1.1, 3.9 Hz), 7.87 d.d (1H, H<sub>Th</sub>, *J* = 1.1, 5.2 Hz), 7.31 d.t (1H, H<sub>arom</sub>, *J* = 1.4, 8.0 Hz), 7.61 d.t (1H, H<sub>arom</sub>, *J* = 1.4, 8.0 Hz), 7.63 d.d (1H, H<sub>arom</sub>, *J* = 1.4, 8.0 Hz), 8.11 d.d (1H, H<sub>arom</sub>, *J* = 1.4, 8.0 Hz), 11.58 s (1H, NH). Found, %: C 69.31, 69.54; H 4.32, 4.69; N 5.67, 5.72. C<sub>14</sub>H<sub>11</sub>NOS. Calculated, %: C 69.68; H 4.60; N 5.81.

**2-Cyclopropyl-7-bromo-3-methylquinolin-4(1*H*)-one (9h).** mp 307–309°C (from EtOH–CHCl<sub>3</sub>). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 3270, 2930, 1638, 1590, 1550, 1472. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 0.97 m (2H), 1.08 m (2H), 2.16 m (1H) (C<sub>3</sub>H<sub>5</sub>), 2.09 s (3H, CH<sub>3</sub>), 7.35 d.d (1H, H<sub>arom</sub>, *J* = 1.7, 8.4 Hz), 7.89 d (1H, H<sub>arom</sub>, *J* = 1.7 Hz), 7.94 d (1H, H<sub>arom</sub>, *J* = 8.4 Hz), 10.57 s (1H, NH). Found, %: C 55.76, 55.83; H 4.09, 4.16; N 4.86, 5.01. C<sub>13</sub>H<sub>12</sub>BrNO. Calculated, %: C 56.13; H 4.35; N 5.04.

**6-Chloro-2-(4-chlorophenyl)-3-methylquinolin-4(1*H*)-one (9i).** mp 287–289°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 2942, 1635, 1610, 1578, 1475. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.87 s (3H, CH<sub>3</sub>), 7.60 d (2H, H<sub>arom</sub>, *J* = 8.2 Hz), 7.62–7.68 m (4H, H<sub>arom</sub>), 8.05 d (1H, H<sub>arom</sub>, *J* = 1.7 Hz), 11.75 br.s (1H, NH). Found, %: C 62.71, 62.92; H 3.42, 3.48; N 4.43, 4.52. C<sub>16</sub>H<sub>11</sub>Cl<sub>2</sub>NO. Calculated, %: C 63.18; H 3.65; N 4.61.

**2-(4-Bromophenyl)-6-chloro-3-methylquinolin-4(1*H*)-one (9j).** mp 308–310°C (from EtOH–CHCl<sub>3</sub>). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 3340, 2948, 1630, 1608, 1560, 1471. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.90 s (3H, CH<sub>3</sub>),

7.43 d (2H,  $H_{\text{arom}}$ ,  $J = 8.3$  Hz), 7.48 d.d (1H,  $H_{\text{arom}}$ ,  $J = 2.4, 8.4$  Hz), 7.68 d (2H,  $H_{\text{arom}}$ ,  $J = 8.3$  Hz), 7.83 d (1H,  $H_{\text{arom}}$ ,  $J = 8.4$  Hz), 8.07 d (1H,  $H_{\text{arom}}$ ,  $J = 2.4$  Hz), 11.66 s (1H, NH). Found, %: C 54.79, 54.89; H 2.95, 3.16; N 3.91, 3.98.  $C_{16}H_{11}BrClNO$ . Calculated, %: C 55.12; H 3.18; N 4.02.

**8-Methyl-7-(4-methylphenyl)-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinoline-9(6*H*)-one (9k).** mp 310–311°C (from EtOH). IR spectrum,  $\nu$ ,  $\text{cm}^{-1}$ : 3380, 2940, 1636, 1600, 1472.  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.85 s (3H,  $\text{CH}_3$ ), 2.40 s (3H,  $\text{CH}_3$ ), 4.28 m and 4.33 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.03 s (1H,  $H_{\text{arom}}$ ), 7.36 d (2H,  $H_{\text{arom}}$ ,  $J = 8.1$  Hz), 7.40 d (2H,  $H_{\text{arom}}$ ,  $J = 8.1$  Hz), 7.45 s (1H,  $H_{\text{arom}}$ ), 11.23 s (1H, NH). Found, %: C 73.92, 74.26; H 5.41, 5.63; N 4.41, 4.52.  $C_{19}H_{17}NO_3$ . Calculated, %: C 74.25; H 5.57; N 4.56.

**7-Cyclopropyl-8-methyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9l).** mp >340°C (from EtOH– $\text{CHCl}_3$ ).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 0.92 m (2H), 1.01 m (2H), and 2.06 m (1H) ( $\text{C}_3\text{H}_5$ ); 2.05 s (3H,  $\text{CH}_3$ ), 4.26 m and 4.30 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.09 s (1H,  $H_{\text{arom}}$ ), 7.37 s (1H,  $H_{\text{arom}}$ ), 10.31 s (1H, NH). Found, %: C 69.39, 69.64; H 5.56, 5.65; N 5.21, 5.36.  $C_{15}H_{15}NO_3$ . Calculated, %: C 70.02; H 5.88; N 5.45.

**7-(2-Fluorophenyl)-8-methyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9m).** mp 315–317°C (from EtOH– $\text{CHCl}_3$ ). IR spectrum,  $\nu$ ,  $\text{cm}^{-1}$ : 3368, 2926, 1645, 1622, 1593, 1486.  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.78 s (3H,  $\text{CH}_3$ ), 4.30 m and 4.34 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.01 s (1H,  $H_{\text{arom}}$ ), 7.39–7.46 m (2H,  $H_{\text{arom}}$ ), 7.51 s (1H,  $H_{\text{arom}}$ ), 7.53–7.57 m (1H,  $H_{\text{arom}}$ ), 7.60–7.65 m (1H,  $H_{\text{arom}}$ ), 11.72 s (1H, NH). Found, %: C 69.01, 69.22; H 4.27, 4.35; N 4.44, 4.48.  $C_{18}H_{14}FNO_3$ . Calculated, %: C 69.45; H 4.53; N 4.50.

**7-(2-Bromophenyl)-8-methyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9n).** mp 329–331°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.67 s (3H,  $\text{CH}_3$ ), 4.30 m and 4.33 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 6.95 s (1H,  $H_{\text{arom}}$ ), 7.47 s (1H,  $H_{\text{arom}}$ ), 7.48–7.63 m (3H,  $H_{\text{arom}}$ ), 7.82 d (1H,  $H_{\text{arom}}$ ,  $J = 8.1$  Hz), 11.46 s (1H, NH). Found, %: C 57.58, 57.76; H 3.51, 3.62; N 3.48, 3.56.  $C_{18}H_{14}BrNO_3$ . Calculated, %: C 58.08; H 3.79; N 3.76.

**7-(4-Bromophenyl)-8-methyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9o).** mp 362–364°C (from EtOH– $\text{CHCl}_3$ ).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.83 s (3H,  $\text{CH}_3$ ), 4.30 m and 4.32 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.01 s (1H,  $H_{\text{arom}}$ ), 7.45 s (1H,  $H_{\text{arom}}$ ), 7.48 d (2H,  $H_{\text{arom}}$ ,  $J = 8.0$  Hz), 7.75 d (2H,  $H_{\text{arom}}$ ,  $J =$

8.0 Hz), 11.31 s (1H, NH). Found, %: C 57.69, 57.78; H 3.42, 3.58; N 3.58, 3.71.  $C_{18}H_{14}BrNO_3$ . Calculated, %: C 58.08; H 3.79; N 3.76.

**7-(3-Iodophenyl)-8-methyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9p).** mp 325–326°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 1.83 s (3H,  $\text{CH}_3$ ), 4.29 m and 4.34 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.01 s (1H,  $H_{\text{arom}}$ ), 7.35 t (1H,  $H_{\text{arom}}$ ,  $J = 8.1$  Hz), 7.45 s (1H,  $H_{\text{arom}}$ ), 7.55 d (1H,  $H_{\text{arom}}$ ,  $J = 8.1$  Hz), 7.88 m (1H,  $H_{\text{arom}}$ ), 7.91 d (1H,  $H_{\text{arom}}$ ,  $J = 8.1$  Hz), 11.32 s (1H, NH). Found, %: C 51.12, 51.31; H 3.06, 3.16; N 3.28, 3.31.  $C_{18}H_{14}INO_3$ . Calculated, %: C 51.57; H 3.37; N 3.34.

**7-(Furan-2-yl)-8-methyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9q).** mp 317–318°C (from EtOH). IR spectrum,  $\nu$ ,  $\text{cm}^{-1}$ : 3376, 2935, 1638, 1590, 1520, 1468.  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 2.15 s (3H,  $\text{CH}_3$ ), 4.22 m and 4.34 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 6.77 d.d (1H,  $H_{\text{Fu}}$ ,  $J = 2.1, 3.6$  Hz), 7.05 d (1H,  $H_{\text{Fu}}$ ,  $J = 3.6$  Hz), 7.98 d (1H,  $H_{\text{Fu}}$ ,  $J = 2.1$  Hz), 7.21 s (1H,  $H_{\text{arom}}$ ), 7.45 s (1H,  $H_{\text{arom}}$ ), 11.12 s (1H, NH). Found, %: C 67.52, 67.81; H 4.33, 4.67; N 4.76, 4.93.  $C_{16}H_{13}NO_4$ . Calculated, %: C 67.84; H 4.62; N 4.95.

**8-Methyl-7-(thiophen-2-yl)-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9r).** mp 298–299°C (from EtOH). IR spectrum,  $\nu$ ,  $\text{cm}^{-1}$ : 3246, 2942, 1648, 1608, 1540, 1471.  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 2.00 s (3H,  $\text{CH}_3$ ), 4.29 m and 4.34 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.06 s (1H,  $H_{\text{arom}}$ ), 7.44 s (1H,  $H_{\text{arom}}$ ), 7.27 d.d (1H,  $H_{\text{Th}}$ ,  $J = 3.9, 5.4$  Hz), 7.46 d (1H,  $H_{\text{Th}}$ ,  $J = 3.9$  Hz), 7.85 d (1H,  $H_{\text{Th}}$ ,  $J = 5.4$  Hz), 11.28 s (1H, NH). Found, %: C 63.85, 64.05; H 4.15, 4.30; N 4.51, 4.62.  $C_{16}H_{13}NO_3S$ . Calculated, %: C 64.21; H 4.38; N 4.68.

**8-Ethyl-7-(4-methylphenyl)-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9s).** mp 286–287°C (from EtOH).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 0.92 t (3H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.4$  Hz), 2.28 q (2H,  $\text{CH}_2\text{CH}_3$ ,  $J = 7.4$  Hz), 2.40 s (3H,  $\text{CH}_3$ ), 4.28 m and 4.32 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.01 s (1H,  $H_{\text{arom}}$ ), 7.34 s (4H,  $H_{\text{arom}}$ ), 7.45 s (1H,  $H_{\text{arom}}$ ), 11.20 s (1H, NH). Found, %: C 74.38, 74.51; H 5.71, 5.82; N 4.28, 4.34.  $C_{20}H_{19}NO_3$ . Calculated, %: C 74.75; H 5.96; N 4.36.

**7-Cyclopropyl-8-phenyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9t).** mp 383–385°C (sublimes). IR spectrum,  $\nu$ ,  $\text{cm}^{-1}$ : 3260, 2945, 1645, 1610, 1590, 1470.  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 0.85 m (2H), 0.96 m (2H), and 1.83 m (1H) ( $\text{C}_3\text{H}_5$ ), 4.28 m and 4.32 m (2H each,  $\text{OCH}_2\text{CH}_2\text{O}$ ), 7.17 s (1H,  $H_{\text{arom}}$ ), 7.24–7.30 m (3H,  $H_{\text{arom}}$ ), 7.34–7.39 m (2H,  $H_{\text{arom}}$ ), 7.40 s (1H,  $H_{\text{arom}}$ ), 10.22 s (1H, NH). Found, %:

C 74.62, 74.81; H 5.16, 5.33; N 4.21, 4.37. C<sub>20</sub>H<sub>17</sub>NO<sub>3</sub>. Calculated, %: C 75.22; H 5.36; N 4.39.

**7-(4-Methoxyphenyl)-8-phenyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9u).** mp 318–319°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 2934, 1635, 1610, 1572, 1471. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 3.73 s (3H, OCH<sub>3</sub>), 4.30 m and 4.35 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 6.85 d (2H, H<sub>arom</sub>, *J* = 8.4 Hz), 7.03 m (2H, H<sub>arom</sub>), 7.09–7.21 m (6H, H<sub>arom</sub>), 7.48 s (1H, H<sub>arom</sub>), 11.40 s (1H, NH). Found, %: C 74.62, 74.78; H 4.81, 4.97; N 3.48, 3.69. C<sub>24</sub>H<sub>19</sub>NO<sub>4</sub>. Calculated, %: C 74.79; H 4.97; N 3.63.

**7-(4-Chlorophenyl)-8-phenyl-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (9v).** mp 327–328°C (from EtOH). IR spectrum,  $\nu$ , cm<sup>-1</sup>: 2943, 1644, 1609, 1585, 1472. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.31 m and 4.35 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.01–7.04 m (2H, H<sub>arom</sub>), 7.10 s (1H, H<sub>arom</sub>), 7.11–7.19 m (3H, H<sub>arom</sub>), 7.28 d (2H, H<sub>arom</sub>, *J* = 7.8 Hz), 7.37 d (2H, H<sub>arom</sub>, *J* = 7.8 Hz), 7.49 s (1H, H<sub>arom</sub>), 11.56 s (1H, NH). Found, %: C 70.44, 70.61; H 3.91, 4.06; N 3.44, 3.51. C<sub>23</sub>H<sub>16</sub>ClNO<sub>3</sub>. Calculated, %: C 70.86; H 4.14; N 3.59.

**7-*tert*-Butyl-2-(4-chlorophenyl)quinolin-4(1*H*)-one (10a).** <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.35 s [9H, C(CH<sub>3</sub>)<sub>3</sub>], 6.36 s (1H, H<sub>arom</sub>), 7.42 d (1H, H<sub>arom</sub>, *J* = 8.3 Hz), 7.58 d (1H, H<sub>arom</sub>, *J* = 8.3 Hz), 7.63 d (2H, H<sub>arom</sub>, *J* = 8.2 Hz), 7.76 s (1H, H<sub>arom</sub>), 8.03 d (2H, H<sub>arom</sub>, *J* = 8.2 Hz), 11.70 s (1H, NH). Found, %: C 72.63, 72.81; H 5.52, 5.71; N 4.24, 4.36. C<sub>19</sub>H<sub>18</sub>ClNO. Calculated, %: C 73.19; H 5.82; N 4.49.

**2-(4-Bromophenyl)-7-*tert*-butylquinolin-4(1*H*)-one (10b).** mp 253–255°C (from EtOH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.35 s [9H, C(CH<sub>3</sub>)<sub>3</sub>], 6.33 s (1H, H<sub>arom</sub>), 7.43 d.d (1H, H<sub>arom</sub>, *J* = 1.2, 8.3 Hz), 7.75–7.83 m (5H, H<sub>arom</sub>), 8.02 d (1H, H<sub>arom</sub>, *J* = 8.3 Hz), 11.69 s (1H, NH). Found, %: C 63.56, 63.71; H 4.81, 4.93; N 3.82, 3.88. C<sub>19</sub>H<sub>18</sub>BrNO. Calculated, %: C 64.05; H 5.09; N 3.93.

**7-(3-Iodophenyl)-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (10e).** mp 319–321°C (from EtOH–CHCl<sub>3</sub>). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.32 m and 4.35 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 6.19 s (1H, H<sub>arom</sub>), 7.18 s (1H, H<sub>arom</sub>), 7.35 t (1H, H<sub>arom</sub>, *J* = 7.9 Hz), 7.43 s (1H, H<sub>arom</sub>), 7.79 d.d (1H, H<sub>arom</sub>, *J* = 1.4, 7.9 Hz), 7.92 d (1H, H<sub>arom</sub>, *J* = 7.9 Hz), 8.13 d (1H, H<sub>arom</sub>, *J* = 1.4 Hz), 11.47 s (1H, NH). Found, %: C 54.10, 54.28; H 3.06, 3.14; N 3.35, 3.56. C<sub>17</sub>H<sub>12</sub>INO<sub>3</sub>. Calculated, %: C 54.71; H 3.24; N 3.75.

**7-(2-Chlorophenyl)-2,3-dihydro[1,4]-dioxino[2,3-*g*]quinolin-9(6*H*)-one (10f).** IR spectrum,  $\nu$ ,

cm<sup>-1</sup>: 3224, 2965, 1632, 1606, 1592, 1481. <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.37 m and 4.41 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 6.26 s (1H, H<sub>arom</sub>), 7.18 s (1H, H<sub>arom</sub>), 7.55–7.72 m (5H, H<sub>arom</sub>), 11.83 s (1H, NH). Found, %: C 64.71, 64.88; H 3.51, 3.67; N 4.32, 4.41. C<sub>17</sub>H<sub>12</sub>ClNO<sub>3</sub>. Calculated, %: C 65.08; H 3.86; N 4.47.

**Reaction of *N*-(2-acylaryl) amides 1a, 1b, 2c, 2g, 2h, 6e, 6g, 6i, and 7b with 5 equiv of potassium *tert*-butoxide in THF (general procedure).** Amide **1a**, **1b**, **2c**, **2g**, **2h**, **6e**, **6g**, **6i**, or **7b**, 1 mmol, was added to a suspension of 5 mmol of potassium *tert*-butoxide in 30 mL of anhydrous THF. The mixture was refluxed for a time indicated in Table 2, cooled to 20°C, and poured into 180 mL of water. In the reactions with **1a**, **1b**, **2e**, **2g**, and **2h**, the precipitate was filtered off, washed with water, dried, and recrystallized to isolate compounds **10a–10c**. The filtrate was acidified with 2 N aqueous HCl to pH 4–5, and the amorphous solid was filtered off, washed with water, dried, and purified by reprecipitation from a 2% solution of potassium hydroxide. We thus isolated compounds **11a–11e**. In the reactions with **6e**, **6g**, **6i**, and **7b**, the solution obtained after pouring the reaction mixture into water was acidified with 2 N aqueous HCl, and the amorphous solid (**11d**, **11e**, or **11f**) was separated, washed, and purified as described above. The <sup>1</sup>H NMR spectra of **11a–11f** were recorded from solutions in DMSO-*d*<sub>6</sub>, and the spectrum of **12** was measured in CDCl<sub>3</sub>.

***N*-(5-*tert*-Butyl-2-hydroxyphenyl)-4-chlorobenzamide (11a).** mp 251–252°C (from EtOH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.31 s [9H, C(CH<sub>3</sub>)<sub>3</sub>], 7.24 d (1H, H<sub>arom</sub>, *J* = 8.3 Hz), 7.67 d (2H, H<sub>arom</sub>, *J* = 8.0 Hz), 7.94 d (1H, H<sub>arom</sub>, *J* = 8.3 Hz), 7.97 d (2H, H<sub>arom</sub>, *J* = 8.0 Hz), 8.80 s (1H, H<sub>arom</sub>), 12.17 s (1H, NH). Found, %: C 70.51, 70.69; H 6.11, 6.17; N 4.63, 4.78. C<sub>17</sub>H<sub>18</sub>ClNO<sub>2</sub>. Calculated, %: C 70.95; H 6.30; N 4.87.

**4-Bromo-*N*-(5-*tert*-butyl-2-hydroxyphenyl)benzamide (11b).** mp 266–267°C (from EtOH). IR spectrum:  $\nu$  3411 cm<sup>-1</sup> (OH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 1.32 s [9H, C(CH<sub>3</sub>)<sub>3</sub>], 7.24 d.d (1H, H<sub>arom</sub>, *J* = 1.8, 8.3 Hz), 7.79 d (2H, H<sub>arom</sub>, *J* = 8.4 Hz), 7.87 d (2H, H<sub>arom</sub>, *J* = 8.4 Hz), 7.98 d (1H, H<sub>arom</sub>, *J* = 8.3 Hz), 8.80 d (1H, H<sub>arom</sub>, *J* = 1.8 Hz), 12.24 s (1H, NH). Found, %: C 58.17, 58.26; H 5.01, 5.07; N 3.79, 3.93. C<sub>17</sub>H<sub>18</sub>BrNO<sub>2</sub>. Calculated, %: C 58.63; H 5.21; N 4.02.

**3-Fluoro-*N*-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (11c).** mp 231–233°C (from EtOH). IR spectrum:  $\nu$  3392 cm<sup>-1</sup> (OH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.27 m and 4.34 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.47–7.52 m (2H, H<sub>arom</sub>), 7.60–7.68 m (2H, H<sub>arom</sub>), 7.73 d (1H, H<sub>arom</sub>, *J* = 8.0 Hz), 8.21 s (1H,

H<sub>arom</sub>), 12.11 s (1H, NH). Found, %: C 61.79, 61.92; H 3.92, 4.01; N 4.72, 4.78. C<sub>15</sub>H<sub>12</sub>FNO<sub>4</sub>. Calculated, %: C 62.28; H 4.18; N 4.84.

**3-Bromo-N-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (11d).** mp 248–249°C (from EtOH). IR spectrum:  $\nu$  3408 cm<sup>-1</sup> (OH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.28 m and 4.35 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.47 s (1H, H<sub>arom</sub>), 7.54 t (1H, H<sub>arom</sub>,  $J$  = 8.0 Hz), 7.83 d.d (1H, H<sub>arom</sub>,  $J$  = 1.1, 8.0 Hz), 7.89 d (1H, H<sub>arom</sub>,  $J$  = 8.0 Hz), 8.05 s (1H, H<sub>arom</sub>), 8.20 s (1H, H<sub>arom</sub>), 12.14 s (1H, NH). Found, %: C 50.88, 51.12; H 3.19, 3.28; N 3.86, 3.94. C<sub>15</sub>H<sub>12</sub>BrNO<sub>4</sub>. Calculated, %: C 51.45; H 3.45; N 4.00.

**N-(7-Hydroxy-2,3-dihydro-1,4-benzodioxin-6-yl)-3-iodobenzamide (11e).** mp 217–219°C (from EtOH). IR spectrum:  $\nu$  3340 cm<sup>-1</sup> (OH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.27 m and 4.34 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.37 t (1H, H<sub>arom</sub>,  $J$  = 8.0 Hz), 7.47 s (1H, H<sub>arom</sub>), 7.91 d.d (1H, H<sub>arom</sub>,  $J$  = 1.5, 8.0 Hz), 7.97 d (1H, H<sub>arom</sub>,  $J$  = 8.0 Hz), 8.20 s (1H, H<sub>arom</sub>), 8.23 d (1H, H<sub>arom</sub>,  $J$  = 1.5 Hz), 12.32 s (1H, NH). Found, %: C 44.81, 45.06; H 2.92, 2.98; N 3.41, 3.52. C<sub>15</sub>H<sub>12</sub>INO<sub>4</sub>. Calculated, %: C 45.36; H 3.04; N 3.53.

**N-(7-Hydroxy-2,3-dihydro-1,4-benzodioxin-6-yl)thiophene-2-carboxamide (11f).** mp 242–243°C (from EtOH). IR spectrum:  $\nu$  3420 cm<sup>-1</sup> (OH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 4.26 m and 4.34 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.24 m (1H, H<sub>Th</sub>), 7.68 d (1H, H<sub>Th</sub>,  $J$  = 3.9 Hz), 7.90 d (1H, H<sub>Th</sub>,  $J$  = 4.6 Hz), 7.47 s (1H, H<sub>arom</sub>), 8.14 s (1H, H<sub>arom</sub>), 12.08 s (1H, NH). Found, %: C 55.92, 56.11; H 3.71, 3.81; N 4.95, 5.01. C<sub>13</sub>H<sub>11</sub>NO<sub>4</sub>S. Calculated, %: C 56.31; H 4.00; N 5.05.

**3-Bromo-N-(7-methoxy-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (12).** mp 187–188°C (from EtOH). <sup>1</sup>H NMR spectrum,  $\delta$ , ppm: 3.94 s (3H, OCH<sub>3</sub>), 4.28 m and 4.34 m (2H each, OCH<sub>2</sub>CH<sub>2</sub>O), 7.39 t (1H, H<sub>arom</sub>,  $J$  = 8.0 Hz), 7.60 s (1H, H<sub>arom</sub>), 7.68 m (1H, H<sub>arom</sub>), 7.94 m (1H, H<sub>arom</sub>), 8.19 t (1H, H<sub>arom</sub>,  $J$  = 1.8 Hz), 8.47 s (1H, H<sub>arom</sub>), 11.94 s (1H, NH). Found, %: C 52.41, 52.58; H 3.64, 3.76; N 3.71, 3.82. C<sub>16</sub>H<sub>14</sub>BrNO<sub>4</sub>. Calculated, %: C 52.77; H 3.87; N 3.85.

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