New Synthesis of 2,3-Diarylacridin-9(10*H*)-ones and (*E*)-2-Phenyl-4-styrylfuro[3,2-*c*]quinolines

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Abstract: A new synthesis of 2,3-diarylacridin-9(10*H*)-ones and (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines is described. This was accomplished by the Heck reaction of (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones with styrene, leading to (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones, which when heated at high temperatures, cyclise in two different ways. Electrocyclisation and further in situ oxidation leads to 2,3-diarylacridin-9(10*H*)-ones and tautomerisation, cyclisation by nucleophilic addition and further in situ oxidation produces (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines.

Key words: (*E*)-2-styrylquinolin-4(1*H*)-ones, (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones, (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones, 2,3-diarylacridin-9(10*H*)-ones, (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines, Heck reaction, electrocyclisation

Acridin-9(10*H*)-ones and furoquinolines are heterocyclic compounds widely distributed in plants of the *Rutaceae* family.[1] Potential applications have been found for some of them such as being antineoplastic agents[2] and antimalarial drugs.[3] Acridin-9(10*H*)-ones have also presented other pharmacological properties, such as anticancer,[4–6] antimicrobial, antiviral, mutagenic, and cytotoxic activities.[7–17] Certain acridin-9(10*H*)-one derivatives have been used as fluorescence probes and as analytical tools in biomimetic chemistry.[18–26] The quinoline nucleus is found in many pharmacologically active antibacterial, anti-inflammatory, antiasthmatic, and antihypertensive agents and also in tyrosine kinase inhibitors.[27–30] Additionally, other quinoline derivatives, including furoquinolines and 2-styrylquinolines, have shown in vitro activity against cutaneous and visceral leishmaniasis, African trypanosomiasis, and Chagas disease.[30]

Acridin-9(10*H*)-ones are commonly prepared by the acid-induced ring closure of *N*-phenyl anthranilic acids, themselves usually obtained from the Ullmann condensation of anilines with *ortho*-halogen-substituted benzoic or naphthoic acids[2,3b,c,5,10,14,31–34] or by the condensation of 3-amino-2-naphthalenecarboxylic acid with phloroglucinol.[15a,24,33,35] Other methods involve the intermolecular nucleophilic coupling of arynes with *ortho*-aminobenzoates and subsequent intramolecular nucleophilic cyclisation,[36] and the anionic N-Fries rearrangement of *N*-carbamoyl diarylamines to anthranilamides followed by cyclisation with triflic anhydride.[37]

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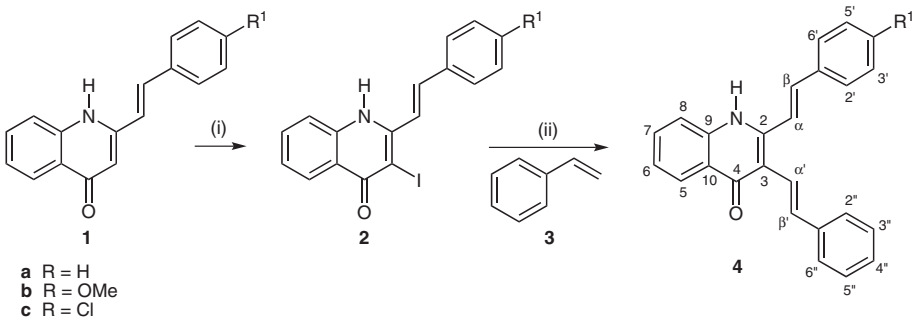
Several methods for the synthesis of linear furo[2,3-*b*]quinolines[38] have been reported but, in contrast, synthesis of the isomeric angular furo[3,2-*c*]quinolines has received much less attention.[38b,39] Among the methods reported for the synthesis of furoquinoline derivatives, a common strategy involves the construction of a quinoline ring possessing an appropriate carbon chain at the C-3 position. This is then transformed to the furan ring depending on the presence of an oxygen substituent at the C-2 or C-4 positions to give linear or angular furoquinolines, respectively. A major drawback of this protocol is that, once the quinoline ring has been constructed, it is difficult to incorporate a carbon chain at C-3 through electrophilic aromatic substitution.[38]

In the present communication we report a new synthetic route to novel 2,3-diarylacridin-9(10*H*)-ones and (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines. The approach involves a Heck cross-coupling reaction of (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones with styrene leading to the formation of (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones which are then converted to 2,3-diarylacridin-9(10*H*)-ones and furo[3,2-*c*]quinolines (Schemes 1 and 2).

The Heck reaction has become one of the most useful catalytic carbon–carbon bond-forming processes in organic synthesis in which an unsaturated halide (or triflate) reacts with olefins at high temperatures in the presence of a base and a catalytic amount of Pd(0) to form substituted olefins.[40] This reaction seems to be a good strategy to introduce a carbon chain at the C-3 position of the (*E*)-2-styrylquinolin-4(1*H*)-ones. We chose iodine as the halide substituent of the starting quinolones [(*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones **2**] since iodo derivatives are the most reactive substrates in the Heck reaction.

Attempts to perform 3-iodination of **1a** using iodine in the presence of triethylamine as base and dichloromethane as solvent led to the formation of **2a** in low yield (40%). However, (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones **2a–c** were obtained in high yields (82–95%)[41,42] by a recently reported method for the iodination of quinolin-4(1*H*)-ones,[43] involving the treatment of **1a–c** with iodine in the presence of sodium carbonate in dry THF at room temperature (Scheme 1). With these substrates in hand, an extensive investigation into the optimal conditions (different temperatures, catalysts, ligands, solvents, bases, and reaction time) for the Heck reaction of (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-one **2a** with styrene (**3**) was carried out (Table 1). Based on these results we observed that ex-



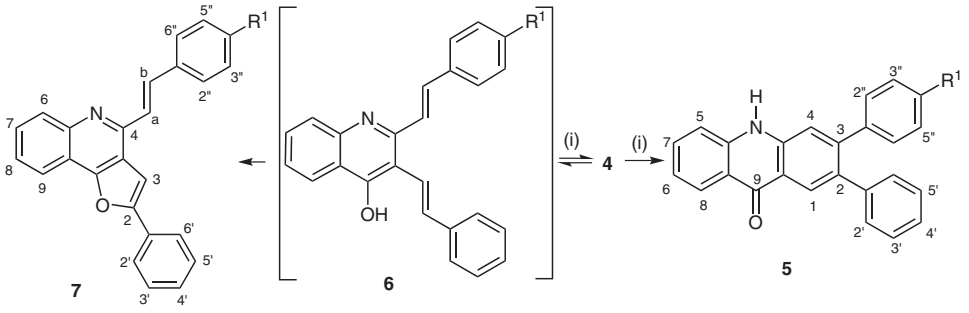
Scheme 1 *Reagents and conditions*: (i) I_2, Na_2CO_3, dry THF, r.t., N_2; (ii) Heck reaction conditions: Pd catalyst, ligand, base, solvent, N_2 (see Table 1).

tensive degradation occurs when the reaction is performed at 160 °C (not shown), tetrakistriphenylphosphine palladium(0) is the best catalyst, and the use of other catalyst such as $Pd(OAc)_2$ and $PdCl_2$ proved to be unsuccessful (entries 1–5, Table 1). We also found 5 mol% to be the optimal amount of catalyst (entries 1 and 2, Table 1). Phosphines such as Ph_3P or $P(o\text{-tolyl})_3$ are commonly used as ligands in the Heck reaction but we showed that the reaction could also be performed in the absence of ligand when using tetrakistriphenylphosphine palladium(0) as catalyst, the expected product being obtained in better yield (entry 13, Table 1). This was a great improvement in the synthesis of **4a** since the presence of phosphines often hamper the isolation and the purification of the product, and, obviously, the ligand-free synthesis becomes less expensive. Among the solvents currently used in Heck reactions (e.g., DMF, NMP, and acetonitrile), acetonitrile proved to be the most appropriate one since the isolation and purification of (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-one **4a** was easier and the obtained yield was better (entries 7–12, Table 1). Triethylamine proved to be the most suitable base, and the reaction can be performed in NMP or acetonitrile at 100 °C or reflux, respectively, leading to the formation of (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-one **4a**[44,45] in moderate to good yields (53–62%). When we extended the established conditions to the Heck reaction of (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones derivatives **2b**,**c** with styrene (**3**) similar results were obtained (entries 16–20, Table 1).

The next step in our strategy was the electrocyclisation of (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones **4a–c** followed by in situ oxidation of the adduct, in refluxing 1,2,4-trichlorobenzene, to give 2,3-diarylacridin-9(10*H*)-ones **5a–c** (Scheme 2). Under these conditions acridin-9(10*H*)-ones **5a–c**[46,47] were obtained in low yields (16–37%) together with (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines **7a–c**[48] as the main product (35–66%). The formation of these furo[3,2-*c*]quinolines can be envisaged by a tautomerisation of structures **4a–c** to **6a–c** which, after a nucleophilic attack of the hydroxyl oxygen atom to the β-position of the 3-styryl group and further in situ oxidation, leads to **7a–c**.

We tried to modify the optimised experimental conditions in order to evaluate their effect on the regioselectivity of the reaction. Using chloranil to promote the in situ oxidation of the formed intermediates the yield of **5a–c** and **7a–c** did not improve, and using DDQ as oxidant in refluxing decalin as solvent led to degradation of starting material. Likewise, the use of nitrobenzene as solvent and oxidant did not improve the yield of the obtained compounds.

In order to improve the yield of acridin-9(10*H*)-ones **5a–c** we carried out the electrocyclisation of **4a** in refluxing 1,2,4-trichlorobenzene in the presence of iodine (10% mol equiv) and *p*-toluenesulfonic acid (1 mol equiv). Iodine may play a role in the isomerization of double bonds of **4a** with subsequent cyclisation into **5a**.[49] The acid medium was used to displace the tautomerism depicted in Scheme 2 to the quinolone structure **4a** which can undergo electrocyclisation and in situ oxidation to give **5a**. Under these conditions, 38% of the desired acridin-9(10*H*)-one **5a** and 41% of (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinoline **6a** were obtained; meaning that we were not able completely to circumvent the tautomerisation reaction referred to above.



Scheme 2 *Reagents and conditions*: (i) 1,2,4-trichlorobenzene (TCB), reflux, N_2 or TCB, I_2 (10%), PTSA (1 mol equiv), reflux, N_2.

Table 1 Reaction Conditions[a] and Yields in the Heck Reaction of (*E*)-3-Iodo-2-styrylquinolin-4(1*H*)-ones **2a–c** with Styrene (**3**)

Entry	Compd	Catalyst (equiv)	Base/solvent	Temp (°C)	Time (h)	Yield **4** (%)
1	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/NMP	100	6	53
2	**2a**	$Pd(PPh_3)_4$ (0.08)	Et_3N/NMP	100	6	15
3	**2a**	$Pd(OAc)_2$ (0.05)	Et_3N/NMP	100	8	–
4	**2a**	$Pd(OAc)_2$ (0.05)	Et_3N/NMP	r.t. 160	24 2	– –
5	**2a**	$PdCl_2$ (0.05)	Et_3N/NMP	100	6	–
6	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/DMF	100	6	9
7	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/MeCN	reflux	6	31
8	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/NMP	130	3	14
9	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/NMP	100	6	40
10	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/DMF	130	3	41
11	**2a**	$Pd(OAc)_2$ (0.05)	Et_3N/NMP	130	3	13
12	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/MeCN	reflux	5	60
13	**2a**	$Pd(PPh_3)_4$ (0.05)	Et_3N/MeCN	reflux	4	62
14	**2a**	$Pd(PPh_3)_4$ (0.05)	K_2CO_3/NMP	100	6	28
15	**2a**	$Pd(PPh_3)_4$ (0.05)	NaOAc/NMP	130	4	–
16	**2b**	$Pd(PPh_3)_4$ (0.05)	Et_3N/NMP	100	3	67
17	**2b**	$Pd(PPh_3)_4$ (0.05)	Et_3N/MeCN	reflux	4	65
18	**2c**	$Pd(PPh_3)_4$ (0.05)	Et_3N/NMP	100	6	60
19	**2c**	$Pd(PPh_3)_4$ (0.05)	Et_3N/MeCN	reflux	4	45
20	**2c**	$Pd(PPh_3)_4$ (0.05)	Et_3N/MeCN	reflux	4	58

[a] Reaction conditions: 0.1 equiv of the ligand Ph_3P was used in entries 1–8 and 14–17, P(*o*-tolyl)$_3$ in entries 9–12 and 18 and no ligand in entries 13, 17, and 20.

Based on this last result, a stronger acid was used with the intention of shifting the equilibrium completely to the formation of the oxo form **4** and consequently avoid the formation of **6**. However, using trifluoroacetic acid (1 + 0.5 mol equiv) instead of *p*-toluenesulfonic acid (1 mol equiv) we obtained, after seven hours of reaction, 39% of **7a**, 26% of **5a** and degradation products.

The electrocyclization of derivatives **4b**,**c** under the optimized conditions of refluxing 1,2,4-trichlorobenzene in the presence of iodine (10% mol equiv) and *p*-toluenesulfonic acid (1 mol equiv), led to a significant increase in the yield for compounds **5b**,**c** (Table 2).

Table 2 Reaction Conditions and Yields in the Synthesis of 2,3-Diarylacridin-9(10*H*)-ones **5a–c** and (*E*)-2-Phenyl-4-styrylfuro[3,2-*c*]quinolines **7a–c**

Conditions	Compound	R	Time (h)	Yield of **5** (%)	Yield of **7** (%)
TCB[a] reflux	**a**	H	24	22	66
TCB reflux	**b**	OMe	24	37	35
TCB reflux	**c**	Cl	24	16	51
TCB reflux, I_2 (10%), PTSA (1 equiv)	**a**	H	7	38	41
TCB reflux, I_2 (10%), PTSA (1 equiv)	**b**	OMe	4.5	35	44
TCB reflux, I_2 (10%), PTSA (1 equiv)	**c**	Cl	5	40	56

[a] TCB = 1,2,4-Trichlorobenzene; PTSA = *p*-toluenesulfonic acid.

All the synthesised compounds have been characterized by NMR, MS, and elemental analysis. The most noticeable features in the ^{1}H spectra of (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-ones **2a–c** are the absence of the singlet corresponding to the resonance of H-3 which confirms the substitution at this position and the singlet due to the resonance of the NH proton at δ_H = 11.88–11.99 ppm for **2a–c**. The coupling constants $^3J_{H\alpha-H\beta}$ = ca. 16 Hz indicates a *trans* configuration for the vinylic system. The resonances assigned to H-β/C-β (d, δ_H = 7.50–7.55 ppm/δ_C = 135.8–137.1 ppm) appear at high frequency values than those of H-α/C-α (d, δ_H = 7.28–7.43 ppm/δ_C = 123.9–127.2 ppm) due to the mesomeric deshielding effect of the carbonyl group. The assignment of proton resonances in the spectra of (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones **4a–c** is not easy because almost all the signals appear in the aromatic region of the spectrum due to the symmetry of the molecules, except the resonance of H-5 which appears as a double doublet or in some cases as a doublet at higher frequencies (δ_H = 8.16–8.17 ppm) due to the anisotropic and mesomeric deshielding effect of the carbonyl group. Based on the connectivities found in the HMBC and HSQC spectra of **4a–c** it was possible to assign the resonances of H-α, H-β, H-α′ and H-β′. The coupling constants of these two vinylic systems (*J* = ca. 16 Hz) indicate their *trans* configurations.

The main characteristics in the ^{1}H NMR spectra of 2,3-diarylacridin-9(10*H*)-ones **5a–c** are the resonances of H-1 and H-4, which appear as two singlets, at δ_H = 8.16–8.20 and 7.52–7.56 ppm, respectively. H-1 appears at higher frequency values due to the anisotropic and mesomeric deshielding effect of the carbonyl group.

The most typical signals in the ^{1}H NMR spectra of compounds **7a–c** are the resonances of H-3 and H-9 at δ_H = 8.24–8.25 and 8.39–8.40 ppm, respectively. The higher frequency values of the H-9 resonances are due to the through-space magnetic deshielding effect of the heterocyclic oxygen atom; while those of the H-3 are due to the anisotropic deshielding effects of the neighbours vinyl and aryl systems, since the resonances due to C-3 appear at δ_C = 101.5–101.6 ppm. The coupling constant between protons H-α and H-β (*J* = ca. 16 Hz) indicates a *trans* configuration for the **7a–c** vinylic system.

In conclusion we have established a new methodology for the synthesis of new 2,3-diarylacridin-9(10*H*)-ones **5a–c** and (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines **7a–c**. This is a straightforward methodology which involves only three steps; the C-3 iodination of (*E*)-2-styrylquinolin-4(1*H*)-ones followed by the Heck reaction with styrene and finally the cyclisation–oxidation of the obtained (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones. Under certain experimental conditions, it is possible to control the yield of both compounds **5a–c** and **7a–c**, but (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines **7a–c** are always the main products. To the best of our knowledge, acridin-9(10*H*)-ones bearing aryl substituents are scarce and no natural or synthetic acridin-9(10*H*)-ones have been reported with a 2,3-diaryl substitution pattern.

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(41) **Optimized Experimental Procedure for the Synthesis of (*E*)-3-Iodo-2-styrylquinolin-4(1*H*)-ones 2a–c**
Na_2CO_3 (0.064 g, 0.61 mmol) and I_2 (0.15 g, 0.61 mmol) were added to a solution of the appropriate (*E*)-2-styrylquinolin-4(1*H*)-one **1a–c** (0.40 mmol) in anhyd THF (25 mL). The mixture was stirred, protected from the daylight (to avoid the *E*/*Z* isomerisation), at r.t. until complete consumption of the starting material (4–5 h) and then poured into an aq sat. solution of $Na_2S_2O_3$. The solid obtained was filtered, washed with H_2O and crystallised from EtOH. (*E*)-3-Iodo-2-styrylquinolin-4(1*H*)-ones **2a–c** were obtained as yellow solids (**2a**, 211.7 mg, 93%; **2b**, 201.7 mg, 82%; **2c**, 236.2 mg, 95%).

(42) **Analytical Data for (*E*)-3-Iodo-2-styrylquinolin-4(1*H*)-one (2a)**
Mp 194–197 °C. ^{1}H NMR (300.13 MHz, DMSO-d_6): δ = 7.39 (ddd, 1 H, *J* = 8.0, 6.8, 1.2 Hz, H-6), 7.43 (d, 1 H, *J* = 16.4 Hz, H-α), 7.45–7.57 (m, 3 H, H-3′,4′,5′), 7.55 (d, 1 H, *J* = 16.4 Hz, H-β), 7.70–7.76 (m, 3 H, H-7, H-2′,6′), 7.80 (d, 1 H, *J* = 8.4 Hz, H-8), 8.11 (dd, 1 H, *J* = 8.0, 1.2 Hz, H-5), 11.97 (s, 1 H, NH) ppm. ^{13}C NMR (75.47 MHz, DMSO-d_6): δ = 87.5 (C-3), 118.3 (C-8), 120.8 (C-10), 124.0 (C-6), 125.5 (C-5), 126.4 (C-α), 127.4 (C-2′,6′), 129.2 (C-3′,5′), 129.7 (C-4′), 132.3 (C-7), 135.0 (C-1′), 137.1 (C-β), 139.4 (C-9), 147.6 (C-2), 173.4 (C-4) ppm. MS (ESI$^+$): *m*/*z* (%) = 374 (100) [M + H]$^+$, 396 (12) [M + Na]$^+$, 769 (3) [2 M + Na]$^+$. Anal. Calcd (%) for $C_{17}H_{12}INO$ (373.19): C, 54.71; H, 3.24; N, 3.75. Found: C, 55.10; H, 3.17; N, 3.77.

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(44) **Optimized Experimental Procedure for the Heck Reaction of (*E*)-3-Iodo-2-styrylquinolin-4(1*H*)-one 2a–c with Styrene: Synthesis of (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones 4a–c**
Styrene (138.8 μL, 1.6 mmol) was added to a mixture of the appropriate (*E*)-3-iodo-2-styrylquinolin-4(1*H*)-one **2a–c** (0.24 mmol), tetrakis(triphenylphosphine)palladium(0) (13.94 mg, 1.2×10^{-2} mmol), and Et_3N (33.4 μl, 0.24 mmol) in MeCN (6 mL). The mixture was heated at reflux until consumption of the starting material, which was confirmed by TLC (Table 1). The mixture was then poured into H_2O, extracted with $CHCl_3$, and dried over anhyd Na_2SO_4. The solvent was evaporated and the residue dissolved in CH_2Cl_2 and purified by TLC using a mixture of EtOAc–light PE (3:2) as eluent. The (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-ones **4a–c** were obtained as yellow solids in good yields (**4a**, 52.2 mg, 62%; **4b**, 55.0 mg, 65%; **4c**, 49.3 mg, 58%).

(45) **Analytical Data of (*E*,*E*)-2,3-Distyrylquinolin-4(1*H*)-one (4a)**
Mp 207–208 °C. ^{1}H NMR (500.13 MHz, DMSO-d_6): δ = 7.24 (t, 1 H, *J* = 7.6 Hz, H-4″), 7.32–7.39 (m, 5 H, H-6, H-8, H-2′,6′, H-α′), 7.41 (t, 1 H, *J* = 7.5 Hz, H-4′), 7.48 (t, 2 H, *J* = 7.5 Hz, H-3′,5′), 7.52 (d, 1 H, *J* = 16.4 Hz, H-β), 7.56 (d, 2 H, *J* = 7.6 Hz, H-2″,6″), 7.67 (dt, 1 H, *J* = 8.0, 1.2 Hz, H-7), 7.70 (d, 1 H, *J* = 16.4 Hz, H-α), 7.78 (t, 2 H, *J* = 7.6

Hz, H-3″,5″), 7.85 (d, 1 H, *J* = 16.0 Hz, H-β′), 8.17 (dd, 1 H, *J* = 8.1, 1.2 Hz, H-5), 11.69 (br s, NH) ppm. ^{13}C NMR (125.77 MHz, DMSO-d_6): δ = 115.4 (C-3), 118.6 (C-8), 121.3 (C-α), 122.4 (C-10), 123.1 (C-α′), 124.4 (C-6), 125.2 (C-5), 126.1 (C-2″,6″), 127.0 (C-4″), 127.5 (C-3″,5″), 128.7 (C-3′,5′), 129.0 (C-2′,6′), 129.2 (C-4′), 131.0 (C-β′), 131.6 (C-7), 135.7 (C-β,1′), 136.4 (C-1″), 138.6 (C-9), 145.5 (C-2), 175.9 (C-4). MS (ESI$^+$): *m/z* (%) = 350 (100) [M + H]$^+$. HRMS (ESI$^+$): *m/z* calcd for [$C_{25}H_{20}NO$ + H]$^+$: 350.15394; found: 350.15345.

(46) **Optimized Experimental Procedure for the Synthesis of 2,3-Diarylacridin-9(10*H*)-ones 5a–c and (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines 7a–c**
Iodine (1.82 mg, 7.15×10^{-3} mmol) and PTSA (1.36 mg, 7.15×10^{-2} mmol) were added to a solution of the appropriate (*E*,*E*)-2,3-distyrylquinolin-4(1*H*)-one **4a**–**c** (7.15×10^{-2} mmol) in 1,2,4-trichlorobenzene (3 mL), and the mixture was refluxed (see Table 2 for reaction time). After cooling the reaction mixture was purified by column chromatography using light PE as eluent to remove the 1,2,4-trichlorobenzene. Then, the mixture was removed from the column using CH_2Cl_2 as eluent and was purified by TLC using a mixture of EtOAc–light PE (3:2) as eluent. Two main compounds were isolated in each case: That with the lower R_f value corresponded to the 2,3-diarylacridin-9(10*H*)-ones **5a**–**c** which were isolated as yellow compounds in moderate yields (**5a**, 9.4 mg, 38%; **5b**, 8.7 mg, 35%; **5c**, 9.9 mg, 40%); and that with higher R_f value corresponded to (*E*)-2-phenyl-4-styrylfuro[3,2-*c*]quinolines **7a**–**c** obtained as yellow compounds also in moderate yields (**7a**, 10.2 mg, 41%; **7b**, 10.9 mg, 44%; **7c**, 13.9 mg, 56%).

(47) **Analytical Data of 2,3-Diphenylacridin-9(10*H*)-one (5a)**
Mp 283–284 °C. ^{1}H NMR (300.13 MHz, DMSO-d_6): δ = 7.15–7.17 (m, 2 H, H-2′,6′), 7.21–7.32 (m, 9 H, H-3′,4′,5′, H-2″,3″,4″,5″,6″, H-7), 7.55 (s, 1 H, H-4), 7.58 (d, 1 H, *J* = 8.0 Hz, H-5), 7.77 (ddd, 1 H, *J* = 8.0, 7.0, 1.1 Hz, H-6), 8.20 (s, 1 H, H-1), 8.26 (dd, 1 H, *J* = 8.0, 1.1 Hz, H-8), 11.92 (s, 1 H, NH) ppm. ^{13}C NMR (125.77 MHz, DMSO-d_6): δ = 117.5 (C-5), 118.9 (C-4), 119.6 (C-9a), 120.7 (C-7), 121.3 (C-8a), 126.1 (C-4′), 126.6 (C-8), 127.5 (C-4″), 127.6 (C-1), 128.1 (C-3″,5″), 128.2 (C-3′,5′), 129.3 (C-2′,6′), 129.6 (C-2″,6″), 133.5 (C-2), 133.6 (C-6), 140.1 and 140.2 (C-1′ and C-1″), 140.4 (C-4a), 141.0 (C-4b), 145.4 (C-3), 176.5 (C-9). HRMS (ESI$^+$): *m/z* calcd for [$C_{25}H_{18}NO$ + H]$^+$: 348.1383; found: 348.1384.

(48) **Analytical Data of (*E*)-2-Phenyl-4-styrylfuro[3,2-*c*]quinoline (7a)**
Mp 154–156 °C. ^{1}H NMR (300.13 MHz, DMSO-d_6): δ = 7.41 (t, 1 H, *J* = 7.0 Hz, H-4″), 7.48–7.55 (m, 1 H, H-4′), 7.53 (t, 1 H, *J* = 7.0 Hz, H-3″,5″), 7.61 (t, 2 H, *J* = 7.6 Hz, H-3′,5′), 7.70 (dd, 1 H, *J* = 7.7, 7.4 Hz, H-8), 7.78 (ddd, 1 H, *J* = 8.0, 7.7, 1.3 Hz, H-7), 7.89 (d, 1 H, *J* = 17.4 Hz, H-α), 7.91 (d, 2 H, *J* = 7.0 Hz, H-2″,6″), 8.08 (d, 1 H, *J* = 17.4 Hz, H-β), 8.11 (d, 2 H, *J* = 7.6 Hz, H-2′,6′), 8.15 (d, 1 H, *J* = 8.0 Hz, H-6), 8.25 (s, 1 H, H-3), 8.39 (dd, 1 H, *J* = 7.4, 1.3 Hz, H-9) ppm. ^{13}C NMR (125.77 MHz, DMSO-d_6): δ = 101.6 (C-3), 115.7 (C-9a), 119.8 (C-9), 120.9 (C-3a), 124.7 (C-2′,6′), 125.4 (C-α), 126.8 (C-8), 127.6 (C-2″,6″), 128.9, 129.06 and 129.12 (C-3″,4″,5″, C-1′, C-4′, C-7), 129.26 (C-3′,5′), 129.32 (C-6), 135.2 (C-β), 136.2 (C-1″), 145.0 (C-5a), 150.0 (C-4), 154.9 (C-2), 155.7 (C-9b) ppm. HRMS (ESI$^+$): *m/z* calcd for [$C_{25}H_{18}NO$ + H]$^+$: 348.1383; found: 348.1378.

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