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LETTER TO THE EDITOR

Synthesis of quinolines *via* acid-catalyzed cyclodehydration of 2-(tosylamino)chalcones

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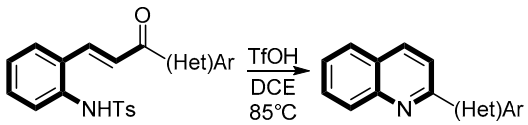


The acid-catalyzed cyclodehydration of (*E*)-3-[(2-tosylamino)phenyl]-1-(het)arylprop-2-en-1-ones to 2-substituted quinolones was investigated. The reaction proceeds *via* the key step of (*E*,*Z*)-isomerization with subsequent intramolecular cyclization affording the target compounds in high yields.

Keywords: 2-(het)arylquinolines, aldol condensation, cyclodehydration, (*E*,*Z*)-isomerization, Friedlaender synthesis, intramolecular cyclization.

Quinoline skeleton is presnt in many natural and synthetic drugs (quinine, mefloquine, chloroquine, imiquimod) and other biologically active substances (e.g., herbicide quinmerac), organocatalysts and ligands for catalysis (cinchonine, cinchonidine, quinidine), dyes, and other valuable compounds (Fig. 1).[1] It is therefore not surprising that the development of new and modification of existing methods of synthesis of quinolines is an important and urgent task.[2]

The classical Friedlaender, Skraup, Doebner–Miller, Conrad–Limpach, Pomeranz–Fritsch, Combes reactions and other approaches are used to synthesize quinolines.[3] Despite the development of new approaches to the formation of the quinoline skeleton, Friedlaender reaction remains one of the most common and widely used methods for the synthesis of quinolines. This is an acid- or base-catalyzed condensation of *o*-acylarylamines with carbonyl compounds containing an active methylene group.[4] However, the mechanism of the Friedlaender reaction is still a matter of discussion. To date, two alternative paths for the formation of quinolines have been proposed. These differ by the sequence of the C(3)–C(4) and C(2)–N(1) bonds formation (Scheme 1). Path *a* involves the formation of imine **I**[1] *via* the reaction of a ketone with amino group of aminocarbonyl compound. The subsequent intramolecular aldol condensation leads to the formation of hydroxyimine

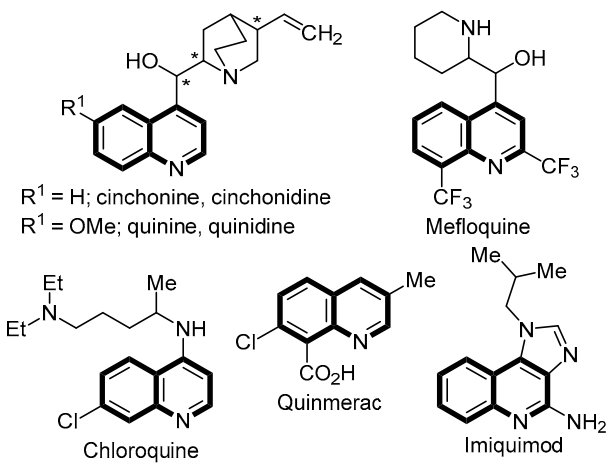
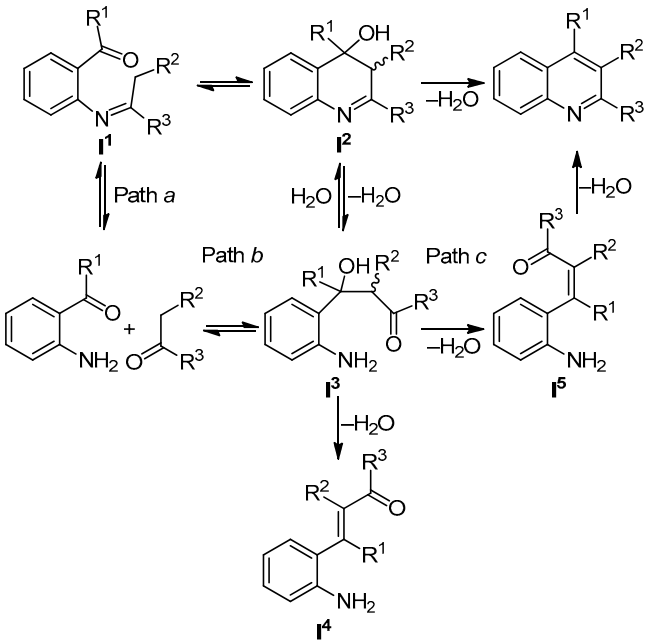


Figure 1. Selected useful quinolines.

Scheme 1



Scheme 2

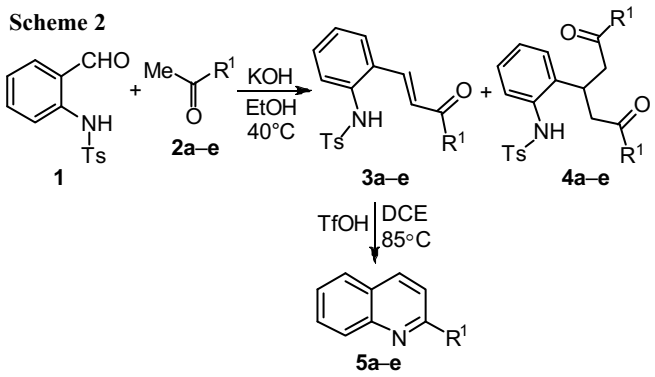


Table 1. Yields of chalcones **3a**–**e** and quinolines **5a**–**e**

R^1	Chalcone*	Yield, %	Quinoline**	Yield, %
Ph	**3a**	65	**5a**	90
4-$MeOC_6H_4$	**3b**	70	**5b**	70
4-MeC_6H_4	**3c**	68	**5c**	96
4-FC_6H_4	**3d**	56	**5d**	95
Thiophen-2-yl	**3e**	59	**5e**	87

* The reaction was conducted with 2.0 mmol loading of compound **1**.
** The reaction was conducted with 0.5 mmol loading of compound **3**.

I^2, aromatization of which gives the desired quinoline. The basis of path *b* is an initial aldol condensation forming an amino alcohol **I**^{3} followed by cyclodehydration producing quinolines *via* the same intermediate **I**^{2}.

Path *b* is considered to be less preferred due to the ease of formation of the α,β-unsaturated carbonyl compound **I**^{4} bearing (*E*)-configuration. Its conversion into a quinoline *via* (*E*,*Z*)-isomerization and subsequent cyclodehydration was previously considered unlikely. However, recently accumulated data on the formation of intermediates **I**^{3},[5] α,β-unsaturated carbonyl compounds **I**^{4} and **I**^{5},[6] as well as the possibility of their cyclodehydration to quinolines[7] suggest the possibility of quinoline formation *via* path *c*. In order to better understand the mechanism of Friedlaender synthesis, we studied the cyclodehydration of 2-(tosylamino)-chalcones to substituted quinolines.

The starting α,β-unsaturated ketones **3a**-**e** were obtained in 56–70% yields by base-catalyzed aldol condensation of 2-(tosylamino)benzaldehyde (**1**)[8] and the commercially available ketones **2a**–**e** (Scheme 2, Table 1). Moderate yields of products **3a**–**e** can be explained by a side reaction of the conjugate Michael addition of the starting ketone **2a**–**e** to enone **3a**–**e** to form pentane-1,5-dione **4a**–**e**.[9] As a result, when using an equimolar ratio of reagents incomplete conversion of aldehyde **1** is observed, while the use of an excess of ketone **2** makes diketone **4** the major product. In the case of acetophenone (**2a**) the by-product **4a** was isolated and characterized.

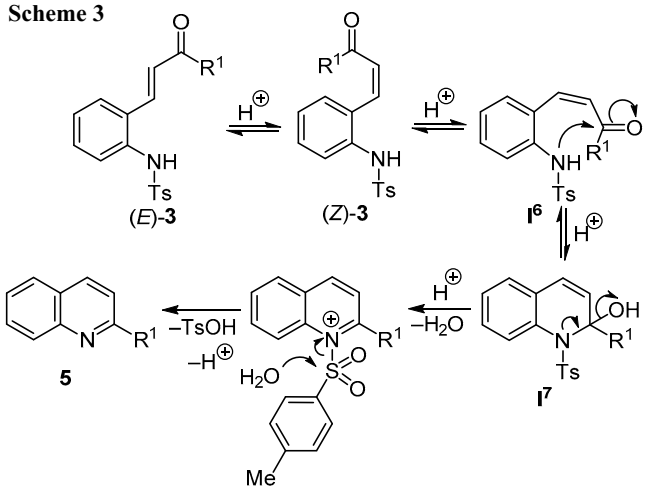
In order to convert the obtained α,β-unsaturated ketones **3a**–**e** to substituted quinolines, (*E*,*Z*)-isomerization is necessary. We hypothesized that this process can be carried out under acidic conditions, therefore, the next step of our research was to find the optimal reaction conditions using chalcone **3a** as the model compound. By varying the temperature and the amount of the acidic initiator we found that the maximum yield of the desired 2-phenylquinoline (**5a**) could be achieved upon heating the solution of chalcone **3a** in 1,2-dichloroethane (DCE) for 12 h at 85°C in the presence of 3 equiv of trifluoromethanesulfonic acid (TfOH).

We examined the scope of the cyclodehydration reaction using the optimized reaction conditions and found that all obtained chalcones are converted into the target quinolines in high or excellent yields (Scheme 2, Table 1). The slightly smaller (70%) yield of quinoline **5b** compared with the other products is probably due to the mesomeric effect in the *p*-methoxy-substituted chalcone **3b**, reducing the positive charge on the carbonyl carbon atom that decreases the reactivity of the carbonyl group. It should be noted that the reaction proceeds in high yield even in the case of enone **3e**, with the formation of 2-thienylquinoline **5e**.

The mechanism proposed for the cyclodehydration is an acid-catalyzed (*E*,*Z*)-isomerization of compound (*E*)-**3** leading to enone (*Z*)-**3**, which after rotation around the C–C bond assumes the reaction conformation **I**^{6}. Further nucleophilic attack of the *o*-amino group on the carbonyl carbon atom leads to the intermediate **I**^{7}. Subsequent aromatization *via* elimination of TsOH molecule, proceeding either stepwise or in concerted way, completes the formation of the desired quinoline **5** (Scheme 3).

According to density functional theory calculations (B3LYP/6-31G, Supporting information file) the isomer (*E*)-**3a** is by 5.4 kcal/mol lower in energy than isomer (*Z*)-**3a**. The formation of the intermediate **I**^{6} (R^1 = Ph) requires additional 4.0 kcal/mol. Further steps are, however, exothermic: the corresponding intermediate **I**^{7} is 2.9 kcal/mol more stable than intermediate **I**^{6}. Elimination of TsOH from intermediate **I**^{7} with the formation of quinoline **5a** occurs with the release of 15.9 kcal/mol. Consequently, the formation of quinoline **5a** from chalcone

Scheme 3



(*E*)-**3a** is an exothermic process, which is fully consistent with experimental data.

In conclusion, we have developed an efficient method for the synthesis of quinolines from (*E*)-3-[(2-tosylamino)-phenyl]-1-(het)arylprop-2-en-1-ones. The reaction takes place as a result of acid-catalyzed cyclodehydration comprising the key step of (*E*,*Z*)-isomerization, and leads to the desired products in high yields. It should be noted that, unlike the Friedlaender synthesis, the developed method allows to isolate the intermediate 2-(tosylamino)-chalcones which can be easily modified. These products may be subjected to the subsequent cyclodehydration reaction, thereby increasing the spectrum of the resulting quinolines. The precursor chalcones were prepared by condensation of 2-(tosylamino)benzaldehyde with readily available ketones.

Experimental

IR spectra were registered on an FSM-1202 spectrometer in petroleum jelly. ^{1}H and ^{13}C NMR spectra were acquired on a Bruker Avance III HD 400 spectrometer (400 and 100 MHz, respectively) at room temperature in $CDCl_3$ or DMSO-d_6. Chemical shifts were assigned relative to the signal of the solvent ($CDCl_3$ – 7.26 ppm, DMSO-d_6 – 2.50 ppm) or the central component of the solvent signal (for ^{13}C nuclei: $CDCl_3$ – 77.16 ppm, DMSO-d_6 – 39.52 ppm). Melting points were determined on a Stuart SMP30 apparatus. Elemental analysis was performed on a varioMICROcube CHNS-analyzer. TLC was performed on Sorbfil plates. Machery Nagel (40–63 μm) silica gel was used for chromatographic purification.

Synthesis of compounds 3a–e (General method). 40% Aqueous KOH solution (5 ml) was added dropwise to a solution of 2-(tosylamino)benzaldehyde (**1**) (550 mg, 2 mmol) and ketone **2a**–**e** (2 mmol) in EtOH (30 ml) at 40°C. The reaction mixture was stirred for 5 h, then poured into water (200 ml), and the mixture was extracted with ethyl acetate (3×30 ml). The combined organic fractions were washed with saturated aqueous NH_4Cl (3×10 ml), followed by water (3×30 ml), saturated aqueous NaCl (3×10 ml), and dried with anhydrous Na_2SO_4. The product was separated by column chromatography (eluent petroleum ether–CH_2Cl_2, 3:1). If necessary, the obtained product can be recrystallized from a appropriate solvent mixture.

4-Methyl-*N*-{2-[(*E*)-3-oxo-3-phenylprop-1-en-1-yl]phenyl}benzosulfamide (3a). Yield 490 mg (65%), white solid, mp 175–176°C (CH_2Cl_2) (mp 176–178°C[10a]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.05 (3H, s, CH_3); 6.78 (1H, br. s, NH); 6.95–7.02 (2H, m, H Ar); 7.07 (1H, d, ^3J = 15.5, =CH); 7.09–7.15 (1H, m, H Ar); 7.18–7.28 (1H, m, H Ar); 7.31–7.38 (3H, m, H Ar); 7.40–7.47 (4H, m, H Ar); 7.50 (1H, d, ^3J = 15.5, =CH); 7.76–7.84 (2H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.5; 124.8; 127.2; 127.3; 127.4 (2C); 127.5; 128.7 (2C); 128.9 (2C); 129.9 (2C); 131.0; 131.2; 133.2; 135.4; 136.3; 137.9; 139.0; 144.1; 190.0.

***N*-{2-[(*E*)-(4-Methoxyphenyl)-3-oxoprop-1-en-1-yl]phenyl}-4-methylbenzosulfamide (3b)**. Yield 570 mg (70%), pale-yellow solid, mp 158–159°C (CH_2Cl_2) (mp 155–157°C[10a]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.14 (3H, s, CH_3); 3.87 (3H, s, OCH_3); 6.92–6.97 (2H, m, H Ar); 7.08–7.13 (2H, m, H Ar); 7.18 (1H, d, ^3J = 15.4, =CH); 7.21–7.27 (1H, m, H Ar); 7.33–7.40 (1H, m, H Ar); 7.46–7.54 (2H, m, H Ar); 7.54–7.61 (3H, m, H Ar, NH); 7.73 (1H, d, ^3J = 15.4, =CH); 7.90–7.99 (2H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.4; 55.6; 114.1 (2C); 124.5; 127.2; 127.3; 127.4 (2C); 127.8; 129.8 (2C); 130.8; 131.0; 131.1 (2C); 131.3; 135.5; 136.3; 138.6; 143.9; 163.8; 188.4.

4-Methyl-*N*-{2-[(*E*)-(4-methylphenyl)-3-oxoprop-1-en-1-yl]phenyl}benzosulfamide (3c). Yield 532 mg (68%), white solid, mp 171–172 °C (CH_2Cl_2) (mp 171–172°C[10a]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.13 (3H, s, CH_3); 2.40 (3H, s, CH_3); 7.06–7.11 (2H, m, H Ar); 7.17 (1H, d, ^3J = 15.4, =CH); 7.21–7.28 (3H, m, H Ar); 7.33–7.39 (1H, m, H Ar); 7.45–7.50 (3H, m, H Ar, NH); 7.53–7.59 (2H, m, H Ar); 7.72 (1H, d, ^3J = 15.4, =CH); 7.80–7.86 (2H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.4; 21.8; 124.6; 127.2; 127.3; 127.4 (2C); 127.9; 128.9 (2C); 129.5 (2C); 129.8 (2C); 131.1; 131.3; 135.3; 135.5; 136.3; 139.0; 143.9; 144.1; 189.7.

***N*-{2-[(*E*)-(4-Fluorophenyl)-3-oxoprop-1-en-1-yl]phenyl}-4-methylbenzosulfamide (3d)**. Yield 442 mg (56%), white solid, mp 206–207°C (EtOH–1,4-dioxane). IR spectrum, ν, cm^{-1}: 3194, 1655, 1599, 1333, 1269, 1155. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.19 (3H, s, CH_3); 6.99–7.05 (1H, m, H Ar); 7.21–7.28 (2H, m, H Ar); 7.29–7.43 (4H, m, H Ar); 7.45–7.53 (2H, m, H Ar); 7.61 (1H, d, ^3J = 15.4, =CH); 7.87 (1H, d, ^3J = 15.4, =CH); 7.97–8.03 (1H, m, H Ar); 8.14–8.22 (2H, m, H Ar); 9.97 (1H, s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 20.7; 115.6 (2C, d, $^2J_{CF}$ = 21.8); 122.7; 126.6 (2C); 127.0; 127.5; 127.8; 129.5 (2C); 130.8; 131.3 (2C, d, $^3J_{CF}$ = 9.4); 131.9; 134.2 (d, $^4J_{CF}$ = 2.5); 135.8; 136.7; 139.7; 142.9; 164.9 (d, $^1J_{CF}$ = 251.3); 187.6. Found, %: C 66.87; H 4.71; N 3.34. $C_{22}H_{18}FNO_3S$. Calculated, %: C 66.82; H 4.59; N 3.54.

4-Methyl-*N*-{2-[(*E*)-3-oxo-3-(thiophen-2-yl)prop-1-en-1-yl]phenyl}benzosulfamide (3e). Yield 452 mg (59%), white solid, mp 202–203°C (EtOH–1,4-dioxane). IR spectrum, ν, cm^{-1}: 3269, 1653, 1595, 1328, 1273, 1159. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.19 (3H, s, CH_3); 6.99–7.06 (1H, m, H Ar); 7.22–7.28 (2H, m, H Ar); 7.23–

7.29 (1H, m, H thiophene); 7.32–7.36 (1H, m, H Ar); 7.36–7.39 (1H, m, H thiophene); 7.46–7.51 (2H, m, H Ar); 7.54 (1H, d, ^3J = 15.4, =CH); 7.86 (1H, d, ^3J = 15.4, =CH); 7.95–8.00 (1H, m, H Ar); 8.02–8.07 (1H, m, H Ar); 8.17–8.23 (1H, m, H thiophene); 9.99 (1H, s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.6; 122.8; 126.6 (2C); 127.0; 127.4; 127.8; 128.7; 129.5 (2C); 130.8; 131.8; 133.3; 135.2; 135.8; 136.6; 138.7; 143.0; 145.3; 181.3. Found, %: C 62.46; H 4.45; N 3.72. $C_{20}H_{17}NO_3S_2$. Calculated, %: C 62.64; H 4.47; N 3.65.

***N*-[2-(1,5-Dioxo-1,5-diphenylpentan-3-yl)phenyl]-4-methylbenzosulfamide (4a)**. Yield 109 mg (11%), colorless transparent needles, mp 235–236°C (CH_2Cl_2). IR spectrum, ν, cm^{-1}: 3290, 1678, 1666, 1597, 1335, 1240, 1167. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 1.67 (3H, s, CH_3); 2.61 (2H, dd, ^2J = 18.4, ^3J = 6.4, CH_2); 3.33 (2H, dd, ^2J = 18.4, ^3J = 6.4, CH_2); 3.62–3.99 (1H, m, CH); 6.84–6.97 (2H, m, H Ar); 7.09–7.16 (1H, m, H Ar); 7.16–7.25 (2H, m, H Ar); 7.38–7.48 (4H, m, H Ar); 7.52–7.59 (4H, m, H Ar); 7.60–7.68 (1H, m, H Ar); 7.78–7.92 (4H, m, H Ar); 8.77 (1H, s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 20.7; 28.4; 45.1 (2C); 127.1; 127.3; 127.5 (2C); 127.6; 128.2 (4C); 128.6; 128.8 (4C); 129.6 (2C); 133.6 (2C); 134.2; 136.5 (2C); 137.5; 139.7; 143.2; 198.4 (2C). Found, %: C 72.56; H 5.21; N 2.68. $C_{30}H_{27}NO_4S$. Calculated, %: C 72.41; H 5.47; N 2.81.

Synthesis of compounds 5a–e (General method). TfOH (133 ml, 1.5 mmol) was added to a solution of enone **3a**–**e** (0.5 mmol) in 1,2-dichloroethane (0.5 ml). The reaction mixture was stirred at 85°C for 12 h, then after cooling 10% aqueous NaOH solution was added dropwise. The organic layer was separated, and the aqueous phase extracted with CH_2Cl_2 (2×2 ml). The combined organic fractions were washed with water (3×3 ml), followed by saturated aqueous NaCl (3×3 ml), and dried with anhydrous Na_2SO_4. The product was isolated by column chromatography (eluent petroleum ether–CH_2Cl_2, 4:1). If necessary, the obtained product can be recrystallized from a petroleum ether–CH_2Cl_2 mixture.

2-Phenylquinoline (5a). Yield 92 mg (90%), white solid, mp 84–85°C (mp 84–86°C[10b]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 7.42–7.61 (4H, m, H Ar); 7.69–7.77 (1H, m, H Ar); 7.82 (1H, d, ^3J = 8.4, H Ar); 7.87 (1H, d, ^3J = 8.4, H Ar); 8.11–8.28 (4H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 119.1; 126.4; 127.4; 127.6; 127.7 (2C); 128.9 (2C); 129.4; 129.8; 129.9; 136.9; 139.8; 148.5; 157.5.

2-(4-Metoxyphenyl)quinoline (5b). Yield 82 mg (70%), white solid, mp 123–124°C (mp 121–124°C[10b]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 3.89 (3H, s, OCH_3); 7.00–7.11 (2H, m, H Ar); 7.46–7.54 (1H, m, H Ar); 7.67–7.74 (1H, m, H Ar); 7.76–7.86 (2H, m, H Ar); 8.13–8.20 (4H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 54.8; 113.7 (2C); 117.9; 125.3; 126.4; 126.8; 128.4 (2C); 128.9; 129.0; 131.6; 136.1; 147.7; 156.3; 160.4.

2-(4-Methylphenyl)quinoline (5c). Yield 105 mg (96%), pale-beige solid, mp 81–82°C (mp 82–83°C[10b]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.47 (3H, s, CH_3); 7.32–7.40 (2H, m, H Ar); 7.50–7.57 (1H, m, H Ar); 7.71–7.79 (1H, m, H Ar); 7.81–7.85 (1H, m, H Ar); 7.88 (1H, d, ^3J = 8.7, H Ar); 8.08–8.16 (2H, m, H Ar); 8.21 (1H, d, ^3J = 8.7, H Ar); 8.21–8.23 (1H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.4; 118.9; 126.2; 127.3; 127.5; 127.6 (2C); 129.7 (3C); 129.8; 136.8; 137.0; 139.6; 148.4; 157.4.

2-(4-Fluorophenyl)quinoline (5d). Yield 106 mg (95%), white solid, mp 93–94°C (mp 91–93°C[10b]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 7.18–7.25 (2H, m, H Ar); 7.49–7.58 (1H, m, H Ar); 7.69–7.78 (1H, m, H Ar); 7.78–7.87 (2H, m, H Ar); 8.09–8.28 (4H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 115.9 (2C, d, $^2J_{CF}$ = 21.6); 118.7; 126.5; 127.3; 127.6; 129.6 (2C, d, $^3J_{CF}$ = 8.4); 129.8; 129.9; 136.0 (d, $^4J_{CF}$ = 2.4); 137.1; 148.4; 156.4; 164.0 (d, $^1J_{CF}$ = 249.3).

2-(Thiophen-2-yl)quinoline (5e). Yield 92 mg (87%), white solid, mp 132–133°C (mp 127–128°C[10b]). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 7.11–7.20 (1H, m, H thiophene); 7.43–7.51 (2H, m, H thiophene, H Ar); 7.63–7.85 (4H, m, H thiophene, H Ar); 8.07–8.15 (2H, m, H Ar). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 117.8; 126.0; 126.2; 127.4; 127.6; 128.2; 128.7; 129.5; 129.9; 136.7; 145.5; 148.3; 152.5.

The Supporting information file containing ^{1}H and ^{13}C NMR spectra of the synthesized compounds and the results of the calculations of the intermediates in the synthesis of compound **5a** by B3LYP/6-31G is available at http://link.springer.com/journal/10593.

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References

1. (a) Michael, J. P. *Nat. Prod. Rep.* **2008**, *25*, 166. (b) Kaur, K.; Jain, M.; Reddy, R. P.; Jain, R. *Eur. J. Med. Chem.* **2010**, *45*, 3245. (c) Teixeira, C.; Vale, N.; Perez, B.; Gomes, A.; Gomes, J. R.; Gomes, P. *Chem. Rev.* **2014**, *114*, 11164. (d) Zheng, S.; Schienebeck, C. M.; Zhang, W.; Wang, H.-Y.; Tang, W. *Asian J. Org. Chem.* **2014**, *3*, 366. (e) Afzal, O.; Kumar, S.; Haider, M. R.; Ali, M. R.; Kumar, R.; Jaggi, M.; Bawa, S. *Eur. J. Med. Chem.* **2015**, *97*, 871. (f) Boratynski, P. J. *Mol. Diversity* **2015**, *19*, 385. (g) Shiro, T.; Fukaya, T.; Tobe, M. *Eur. J. Med. Chem.* **2015**, *97*, 397.
2. (a) Zheng, J.; Li, Z.; Huang, L.; Wu, W.; Li, J.; Jiang, H. *Org. Lett.* **2016**, *18*, 3514. (b) Zhang, L.; Chen, S.; Gao, Y.; Zhang, P.; Wu, Y.; Tang, G.; Zhao, Y. *Org. Lett.* **2016**, *18*, 1286. (c) Saunthwal, R. K.; Patel, M.; Verma, A. K. *Org. Lett.* **2016**, *18*, 2200. (d) Li, Y.; Li, K.; Gao, W. *Chem. Heterocycl. Compd.* **2016**, *52*, 200. [*Khim. Geterotsikl. Soedin.* **2016**, *52*, 200.] (e) Derabli, C.; Mahdjoub, S.; Boulcina, R.; Boumoud, B.; Merazig, H.; Debache, A. *Chem. Heterocycl. Compd.* **2016**, *52*, 99. [*Khim. Geterotsikl. Soedin.* **2016**, *52*, 99.] (f) Yi, X.; Xi, C. *Org. Lett.* **2015**, *17*, 5836. (g) Platonova, A. Y.; Poluikova, A. A.; Trofimova, O. A.; Glukhareva, T. V.; Morzherin, Y. Y. *Chem. Heterocycl. Compd.* **2014**, *50*, 1450. [*Khim. Geterotsikl. Soedin.* **2014**, 1576.] (h) Butin, A. V.; Tsiunchik, F. A.; Kostyukova, O. N.; Uchuskin, M. G.; Trushkov, I. V. *Synthesis* **2011**, 2629.

3. Li, J. J. *Name Reactions. A Collection of Detailed Mechanisms and Synthetic Applications*; Springer: Heidelberg, 2014, 5th ed., 598 p.
4. Marco-Contelles, J.; Perez-Mayoral, E.; Samadi, A.; Carreiras, M. C.; Soriano, E. *Chem. Rev.* **2009**, *109*, 2652.
5. (a) Majewicz, T. G.; Caluwe, P. *J. Org. Chem.* **1975**, *40*, 3407. (b) Jiang, B.; Dong, J.-J.; Jin, Y.; Du, X.-L.; Xu, M. *Eur. J. Org. Chem.* **2008**, 2693.
6. (a) Cho, I. S.; Gong, L.; Muchowski, J. M. *J. Org. Chem.* **1991**, *56*, 7288. (b) Hsiao, Y.; Rivera, N. R.; Yasuda, N.; Hughes, D. L.; Reider, P. J. *Org. Lett.* **2001**, *3*, 1101. (c) Yasuda, N.; Hsiao, Y.; Jensen, M. S.; Rivera, N. R.; Yang, C.; Wells, K. M.; Yau, J.; Palucki, M.; Tan, L.; Dormer, P. G.; Volante, R. P.; Hughes, D. L.; Reider, P. J. *J. Org. Chem.* **2004**, *69*, 1959. (d) Bag, S.; Tawari, N. R.; Degani, M. S.; Queener, S. F. *Bioorg. Med. Chem.* **2010**, *18*, 3187.
7. (a) Muchowski, J. M.; Maddox, M. L. *Can. J. Chem.* **2004**, *82*, 461. (b) Rahn, T.; Appel, B.; Baumann, W.; Jiao, H.; Borner, A.; Fischer, C.; Langer, P. *Org. Biomol. Chem.* **2009**, *7*, 1931. (c) Ramesh, C.; Lei, P. M.; Janreddy, D.; Kavala, V.; Kuo, C. W.; Yao, C. F. *J. Org. Chem.* **2012**, *77*, 8451. (d) Qu, F.; He, P.; Hu, R.-F.; Cheng, X.-H.; Wang, S.; Wu, J. *Synth. Commun.* **2015**, *45*, 2802. (e) Li, Y.; Feng, C.; Shi, H.; Xu, X. *Org. Lett.* **2016**, *18*, 324. (f) Neuhaus, J. D.; Morrow, S. M.; Brunavs, M.; Willis, M. C. *Org. Lett.* **2016**, *18*, 1562.
8. Fonseca, M. H.; Eibler, E.; Zabel, M.; König, B. *Tetrahedron: Asymmetry* **2003**, *14*, 1989.
9. Chithiravel, R.; Rajaguru, K.; Muthusubramanian, S.; Bhuvanesh, N. *RSC Adv.* **2015**, *5*, 86414.
10. (a) Kim, S.; Kang, K.-T.; Kim, S.-G. *Tetrahedron* **2014**, *70*, 5114. (b) Xi, L. Y.; Zhang, R. Y.; Zhang, L.; Chen, S. Y.; Yu, X. Q. *Org. Biomol. Chem.* **2015**, *13*, 3924.