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# EFFICIENT METHOD FOR THE MICROWAVE SYNTHESIS OF 4-STYRYLQUINOLINES

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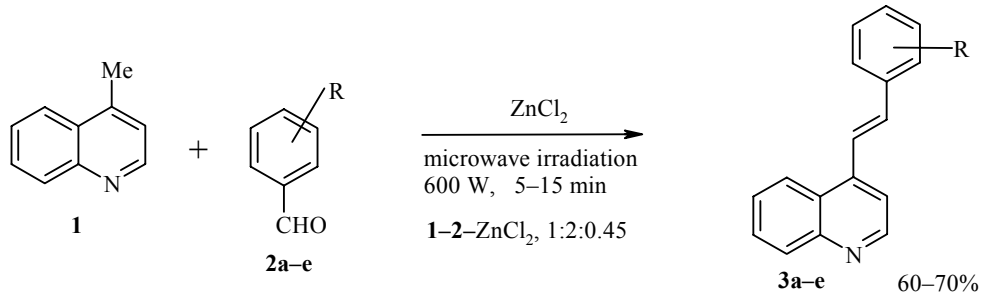
The traditional methods for the preparation of 4-styrylquinolines require prolonged heating of the reagents and lead to a mixture of products, low yield of the desired styrylquinolines, and laborious procedures for the separation and purification of these products. Furthermore, the isolation of 4-styrylquinolines is complicated by photocyclization to give benzo[*f*]phenanthridines [1]. In previous work [2], the condensation of quinaldine with aromatic aldehydes in the presence of acetic anhydride for the synthesis of 2-styrylquinoline derivatives was carried out using microwave irradiation, which permitted shortening of the reaction time and a reduction in the amount of side products formed.

In the present work, we have shown that the rate of condensation of lepidine **1** with various aromatic aldehydes is also enhanced by the action of microwave irradiation but the reaction is best carried out in the absence of solvent using catalytic amounts of $ZnCl_2$. The proposed method permits us to obtain various 4-styrylquinolines with yield up to 70%, to reduce the reaction time to 5-15 min, and to avoid laborious procedures for product isolation.




**2, 3 a** R = 4-$NO_2$, **b** R = 4-$Me_2N$, **c** R = 4-OH, **d** R = 2-OH, **e** R = 4-F

**4-(4-Nitrostyryl)quinoline (3a)** was obtained in 69% yield as yellow crystals with mp 229-231°C (ethanol–chloroform) (mp 227-229°C [3]).

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**4-(4-Dimethylaminostyryl)quinoline (3b)** was obtained in 60% yield as orange crystals with mp 139°C (hexane) (mp 139-140°C [4]).

**4-(4-Hydroxystyryl)quinoline (3c)** was obtained in 61% yield as yellow crystals with mp 244-246°C (dec.) (ethanol) (mp 248-249°C [5]).

**4-(2-Hydroxystyryl)quinoline (3d)** was obtained in 66% yield as light-yellow crystals with mp 215°C (dec.) (ethanol) (mp 215°C [5]).

**4-(4-Fluorostyryl)quinoline (3e)** was obtained in 58% yield as white crystals with mp 103°C (aqueous acetone). IR spectrum, ν, $cm^{-1}$: 3050, 3035, 1590, 1510, 833, 758 (Ar), 1220 (CF), 1633 (C=C), 968 (out-of-plane δ *trans* HC=CH). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 7.13 (2H, t, $J_{HF}$ = 8.6, H-*o*); 7.33 (1H, d, *J* = 16.1, CH=CH); 7.55-7.85 (6H, m, 2H-*m*, CH=CH, H-3, H-6, H-7); 8.22 (2H, d, *J* = 8.6, H-5, H-8); 8.91 (1H, d, *J* = 4.9, H-2). Mass spectrum, *m/z*: 250.102 $[M+H]^+$. Calculated: M 249.09. Found, %: C 81.96; H 4.79; N 5.63. $C_{17}H_{12}FN$. Calculated, %: C 81.91; H 4.85; N 5.62.

IR spectrum was recorded on a Spectrum BX-2 spectrometer in KBr pellet.

$^1$H NMR spectrum taken on a Bruker DPX 200 spectrometer at 200 MHz in $CDCl_3$ with TMS as the internal standard.

Mass spectrum was obtained on a custom-made ESI-TOF spectrometer.

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