

of KBr), ν/cm^{-1} : 2980 (C—H); 2595 (B—H); 1760, 1720 (C=O). ^1H NMR (C_6D_6), δ : 0.30 (t, 3 H, CH_2CH_3 , $J = 7.1$ Hz); 3.28 (q, 2 H, CH_2CH_3 , $J = 7.1$ Hz); 3.59 (d, 1 H, CH—CB, $J = 1$ Hz); 3.94 (d, 1 H, CH—CH, $J = 1$ Hz); 7.1–7.5 (m, 9 H, Ar).

3-Carboethoxy-4-(isopropyl-*o*-carboranyl)-3,4-dihydrocoumarin (3b). A. Compound **3b** was prepared from 1-isopropyl-2-lithium-*o*-carborane **1a** (0.01 mol) and compound **2** (0.01 mol) similarly to **3a**, procedure A, yield 3.2 g (79 %), m.p. 188–189 °C (benzene–hexane).

B. Compound **3b** was prepared from 1-isopropyl-2-bromomagnesium-*o*-carborane **1b** (0.01 mol) and compound **2** (0.01 mol) similarly to **3a**, procedure B, yield 2.26 g (56 %). Found (%): C, 50.21; H, 6.77; B, 26.39. $\text{C}_{17}\text{H}_{28}\text{B}_{10}\text{O}_4$. Calculated (%): C, 50.49; H, 6.93; B, 26.73.

IR (5 mg/600 mg of KBr), ν/cm^{-1} : 2982 (C—H); 2600 (B—H); 1760, 1722 (C=O). ^1H NMR (C_6D_6), δ : 0.45 (t, 3 H, CH_2CH_3 , $J = 7.1$ Hz); 0.87 (d, 6 H, $(\text{CH}_3)_2\text{CH}$, $J = 7$ Hz); 2.21 (m, 1 H, $(\text{CH}_3)\text{CH}$, $J = 7.1$ Hz); 4.07 (s, 2 H, CH—CH); 6.4–6.8 (m, 4 H, Ar).

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Cyclocondensation of benzylideneanilines with 2-(thiazolyl-4)cyclohexane-1,3-dione hydrochlorides. A novel reaction in the series of Schiff's bases

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The formation of a pyridine ring through condensation of various β -di- and β,β' -tricarboxyl compounds with amines, enamines, or azomethines has acquired wide use in preparing derivatives of both pyridine itself and a number of fused heterocyclic compounds incorporating pyridine rings.^{1–3} However, no data concerning synthetic application of 2-hetaryl(aryl)-1,3-dicarbonyl compounds have been published in the literature.

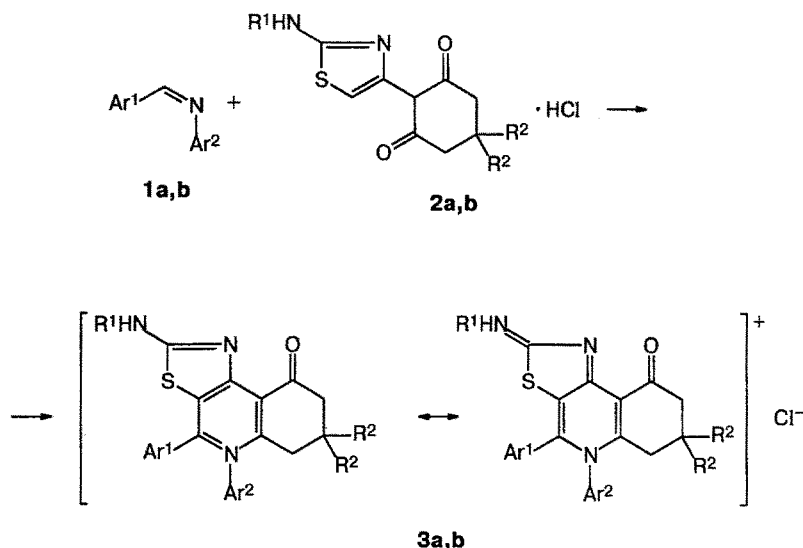
While continuing studies on reactions of Schiff's bases with β -dicarbonyl compounds and their enol derivatives,^{4–6} we found that benzylideneanilines react with 2-thiazolyl derivatives of cyclic 1,3-diketones to give thiazolo[c]quinoline derivatives.

For example, boiling an equimolar mixture of benzylideneanilines (**1a,b**) with 2-(2-aminothiazolyl-4)cyclohexane-1,3-dione hydrochlorides (**2a,b**) in alcohols or glacial acetic acid yields little known thiazolo[c]quinoline hydrochlorides (**3a,b**), which are difficult to obtain.

These compounds are of much interest, first, as compounds possessing potential biological activity and, second, as intermediate compounds in synthesis of biologically active quinoline derivatives, including some quinoline alkaloids. There are weighty grounds to believe that this reaction is rather general and can be extended to acyclic 2-hetaryl-1,3-dicarbonyl compounds and used, for example, in the synthesis of thiazolo[c]pyridine derivatives. The mechanism of this reaction, the limits of its applicability, and optimization of its conditions are being currently studied.

IR spectra were recorded on a UR-20 instrument. UV spectra were measured on a Specord M-400 spectrophotometer.

Benzylideneanilines **1a,b** used in the study were prepared by the general procedure,⁷ and 2-(thiazolyl-4)cyclohexane-1,3-diones **2a,b** were synthesized by the procedure⁸ described by us previously. The course of the reactions was monitored by TLC on Silufol UV-254 plates using a 9:1 chloroform–



a: Ar¹ = Ar² = R¹ = Ph, R² = Me;
b: Ar¹ = MeO-4-C₆H₄, Ar² = Me-4-C₆H₄, R¹ = R² = H

methanol mixture as the eluent; the plates were visualized by UV irradiation or iodine vapor with subsequent heating to 250–300 °C. Melting points were determined using a Boetius hot-stage apparatus.

4H-6,6-Dimethyl-4-oxo-8,9-diphenyl-2-phenylamino-5,6,7-trihydrothiazolo[5,4-c]quinolinium chloride (3a). A mixture of benzylideneaniline **1a** (0.22 g) and diketone **2a** (0.44 g) in anhydrous ethanol (10 mL) was boiled for 5 h in an argon atmosphere. Then the reaction mixture was evaporated to dryness, and the residue was dissolved in 1 N HCl and extracted with chloroform. The extracts were thrown away, and the aqueous phase was saturated with sodium chloride and extracted with chloroform. The collected extracts were dried with sodium sulfate, filtered, and concentrated, and the residue was crystallized from a 3:5 ethanol–ether mixture to give 0.37 g (58.5 %) of bright yellow crystals of thiazoloquinoline **3a**, m.p. 332–334 °C. Found (%): C, 70.29; H, 5.07; Cl, 6.99; N, 8.17; S, 6.32. C₃₀H₂₆N₃OS · HCl. Calculated (%): C, 70.37; H, 5.12; Cl, 6.92; N, 8.21; S, 6.26. UV (EtOH), λ_{max}/nm: 203 (49075), 257 (20625), 283 (12090), 380 (13515). IR (KBr), ν/cm⁻¹: 3600–3250, 3100–2300, 1688, 1620–1595, 1562, 1500–1435, 1400, 1268, 1250, 1221, 761, 710.

4H-2-Amino-8-(4-methylphenyl)-9-(4-methoxyphenyl)-4-oxo-5,6,7-trihydrothiazolo[5,4-c]quinolinium chloride (3b). A suspension of diketone **2b** (0.31 g) in anhydrous ethanol (15 mL) was added to a solution of substituted benzylideneaniline **1b** (0.28 g) in anhydrous ethanol (15 mL), and the mixture was boiled in an argon flow until it became entirely homogeneous (~2.5–3 h). Then it was boiled for an additional 2 h, during which ethanol was gradually evaporated, until the volume of the reaction mixture was ~10 mL. As this took place, a crystalline solid began to precipitate. The resulting mixture was allowed to stand for 24 h at +5 °C to complete crystallization. The resulting compound was filtered off and

recrystallized from an ethanol–ether mixture (3:4) to give 0.22 g (40.2 %) of pale yellow crystals of **3b**, m.p. >300 °C (dec.). Found (%): C, 62.71; H, 4.97; Cl, 8.11; N, 9.49; S, 7.31. C₂₃H₂₆N₃O₂S · HCl. Calculated (%): C, 62.79; H, 5.04; Cl, 8.06; N, 9.55; S, 7.29. UV (EtOH), λ_{max}/nm: 240 (26240), 268.7 (20790), 325 (22155). IR (KBr), ν/cm⁻¹: 3600–2400, 1692, 1645–1600, 1515, 1500–1475, 1405, 1256, 1180, 1027, 845, 755.

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