SYNTHESIS OF 2-AMINO-3-ARYL-4-QUINOLONES AND 2-(AMINOARYLMETHYLIDENE)-3-INDOLINONES FROM 2-ARYLIDENE-3-INDOLINONES

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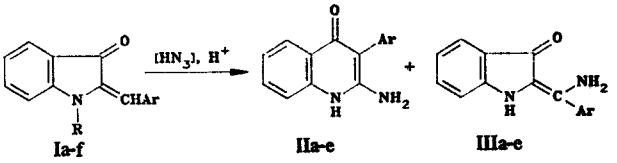
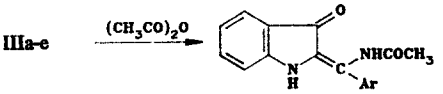
We found that 2-arylidene-3-indolinones comprises one more heterocyclic system which, together with indanones, indenones, and indenols [1], are transformed by the action of hydrazoic acid into quinoline derivatives. The reaction between 2-arylidene-3-indolinones (indogenins) Ia-f and sodium azide was carried in a 1:4 mixture of sulfuric and acetic acids to form a mixture of 2-amino-3-aryl-4-quinolones IIa-e and isomeric 2-(aminoarylmethylidene)-3-indolinones IIIa-e, which is readily separated because of the different solubilities of the compounds in dioxane. For quinolone IIa, mp 349-350°C, according to the data in [2], mp 345-349°C, for enamine IIIa, mp 228-230°C, M^+ 236. The yield of quinolones IIa-e is 45-67%, of enamines IIIa-e 50-30%.



Ia-f IIa-e IIIa-e



IVa-e

Ia-d R=$COCH_3$, f R=H; I–III a Ar=C_6H_5, b Ar=*i*-C_3H_7—C_6H_4, c Ar=*p*-NO_2—C_6H_4, d Ar=*p*-Br—C_6H_4, e Ar=*p*-Cl—C_6H_4

With increase in the concentration of sulfuric acid in its mixture with acetic acid, the yield of quinolones IIa-e decreases, and that of enamines IIIa-e increases. When a mixture of indogenins Ia,b and sodium azide is heated in methanol with a catalytic amount of acetic acid, only quinolones IIa,b are formed.

The structure of quinolones IIb-e and enamines IIIa-e, not yet described, was confirmed by the IR, PMR, and mass spectral data. Enamine IIIa and indogenin If have similar UV spectra, while enamines IIIa-e were identified as monoacetyl derivatives IVa-e.

Our method considerably broadens the possibilities of the synthesis of quinolones of type II, since substituents at the 3 position of the quinolinone ring can be readily varied. This is achieved at the stage of the synthesis of indogenins Ia-f from aldehydes and 1-acetyl-3-indolinone. With the method described in [2], these compounds are prepared from arylcyanoacetic esters, which are much less available than aldehydes, by cyclization of these esters with benzenesulfonates of arylamines.

LITERATURE CITED

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