SYNTHESIS OF 2-QUINOLONES FROM ISATIN AND DIKETENE

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We have shown that substituted 2-quinolones are formed in the reaction of isatins with diketene in an alkaline medium.

The reaction is more general in character than the Halberkann synthesis [1], since it makes it possible to synthesize 2-quinolones with an acetyl group in the 3 position and an alkyl residue attached to the nitrogen atom. The structures of the compounds obtained follow unambiguously from the mass-spectral data (50 and 17 eV). For example, the molecular ion of $1,2-dihydro-2-oxo-3-acetylquinoline-4-carboxylic acid undergoes fragmentation with the loss of <math>CH_3$, CO, and CO_2 .

We used this method to obtain 1,2-dihydro-2-oxo-3-acetylquinoline-4-carboxylic acid [43%, mp 288-289°C (from methanol)], 1,2-dihydro-2-oxo-3-acetyl-6-methylquinoline-4-carboxylic acid [47%, mp 280-281°C (from n-propyl alcohol)], and 1,2-dihydro-1-methyl-2-oxo-3-acetylquinoline-4-carboxylic acid [8%, mp 245-247°C (from ethyl acetate-hexane)].

Testing of the compounds obtained with respect to wine yeasts (S. vini, S. oviformis), pellicular yeasts (C. vini), and lactate bacteria (L. brevis, L. oenos) demonstrated the absence of fungicidal and bactericidal properties.

LITERATURE CITED

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