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UNEXPECTED FORMATION OF 2,4-QUINAZOLINEDIONE IN THE REACTION OF α-CYANO-β-DIMETHYLAMINOCROTONAMIDE WITH ETHYL ANTHRANILATE

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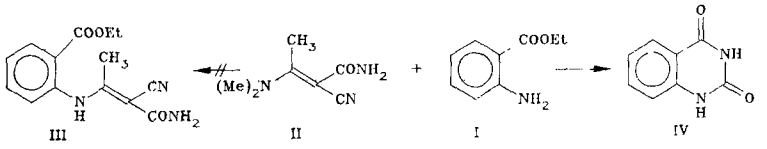
When aromatic amines are reacted with enamines in the presence of acetic acid, transamination reaction with the formation of N-arylenamines is observed [1].

However, when we attempted to carry out the reaction of ∿0.03 mole of ethyl anthranilate (I) with ∿0.01 mole of the enaminoamide α-cyano-β-dimethylaminocrotonamide (II) in 15 ml of acetic acid with boiling for 5 h, instead of α-cyano-β-(N-ethoxycarbonylphenyl)aminocrotonamide (III) we unexpectedly obtained 2,4-quinazolinedione (IV) with a 50% yield and mp 350°C (from DMFA), which was identical to a sample obtained by a back synthesis according to the method in [2].



The formation of compound IV may be a consequence of the elimination of HNCO from enaminoamide II under these conditions followed by its addition of amino ester I at the amino group and the subsequent irreversible cyclization to bicycle IV. Such elimination of HNCO was previously postulated for N-carbamidoamidines with the general formula RRNC=N–$CONH_2$ upon heating [3]. The data from the elemental analysis of compound IV for C, H, and N and the molecular weight (mass-spectrometrically) correspond to the calculated values.

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