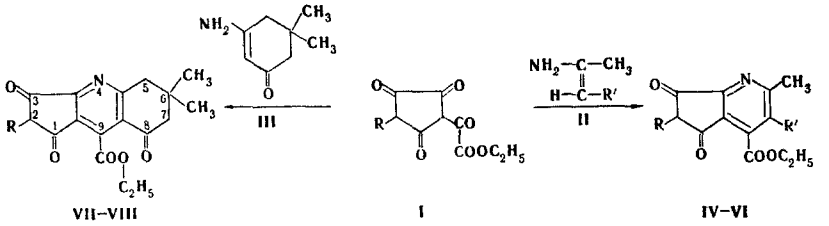
A NEW METHOD OF SYNTHESIZING 4-AZAINDAN-1,3-DIONE DERIVATIVES

A. Ya. Ozola, É. I. Stankevich, and G. Ya. Dubur

UDC 547.83.07

We have discovered a new one-stage method for the synthesis of 4-azaindan-1,3-dione derivatives (IV-VIII), starting from 3-ethoxalylcyclopentane-1,2,4-triones (I) and β-aminovinyl carbonyl compounds or their analogs (II, III).



VII–VIII I IV–VI

IV R = CH_3, R′ = $COOC_2H_5$; V R = C_6H_5, R′ = $COOC_5H_{11}$; VI R = CH_3, R′ = CN; VII R = CH_3; VIII R = C_6H_5

Hitherto, 4-azaindan-1,3-dione derivatives have been obtained by a laborious multistage synthesis (see, for example [1]). The cyclization that we propose takes place when the starting materials are boiled in ethanol for 3-4 h. The reaction products are isolated by chromatography on a column of Al_2O_3. Yield 24-31%. The following compounds have been obtained in this way: 6,7-di(ethoxycarbonyl)-2,5-dimethyl-4-azaindan-1,3-dione (IV, $C_{16}H_{17}NO_6 \cdot 1.5H_2O$*), 7-ethoxycarbonyl-5-methyl-6-pentyloxycarbonyl-2-phenyl-4-azaindan-1,3-dione (V, $C_{24}H_{25}NO_6 \cdot H_2O$), and 6-cyano-7-ethoxycarbonyl-2,5-dimethyl-4-azaindan-1,3-dione (VI, $C_{14}H_{12}N_2O_4 \cdot H_2O$), and also 9-ethoxycarbonyl-2,6,6-trimethyl-4-aza-5,6,7,8-tetrahydrobenz[*f*]-indan-1,3,8-trione (VII, $C_{18}H_{19}NO_5 \cdot 2H_2O$), and 9-ethoxycarbonyl-6,6-dimethyl-2-phenyl-4-aza-5,6,7,8-tetrahydrobenz[*f*]indan-1,3,8-trione (VIII, $C_{23}H_{21}NO_5 \cdot 2H_2O$). On melting, all these compounds decompose above 300°C.

The action of triethyloxonium tetrafluoroborate on (VII) and (VIII) has given 3-ethoxy-9-ethoxycarbonyl-2,6,6-trimethyl-4-aza-5,6,7,8-tetrahydrobenz[*f*]ind-2-ene-1,8-dione ($C_{20}H_{23}NO_5$, mp 105-106°C) and 3-ethoxy-9-ethoxycarbonyl-6,6-dimethyl-2-phenyl-4-aza-5,6,7,8-tetrahydrobenz[*f*]ind-2-ene-1,8-dione ($C_{25}H_{25}NO_5$, mp 201-203°C).

The conversion of compound (V) into the anhydride of the corresponding 6,7-dicarboxylic acid excludes the possibility that the isomeric 5-azaindan-1,3-dione is formed as a result of cyclization. This reaction and the tautomeric reactions of the compounds synthesized will be reported separately.

LITERATURE CITED

1. L. É. Neiland and G. Ya. Vanag, Khim. Geterotsikl. Soedin., 114 (1967).

*All the new compounds had satisfactory analyses.

Institute of Organic Synthesis, Academy of Sciences of the Latvian SSR, Riga. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 8, pp. 1147-1148, August, 1973. Original article submitted November 28, 1972.

© 1975 Plenum Publishing Corporation, 227 West 17th Street, New York, N.Y. 10011. No part of this publication may be reproduced, stored in a retrieval system, or transmitted, in any form or by any means, electronic, mechanical, photocopying, microfilming, recording or otherwise, without written permission of the publisher. A copy of this article is available from the publisher for $15.00.