DIETHYL 1-ACYLAZIRIDINE-2,2-DICARBOXYLATES

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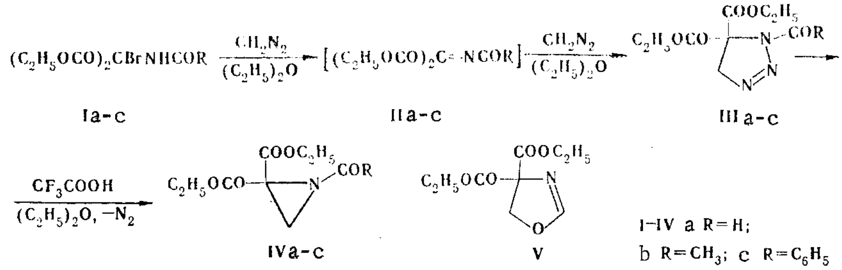
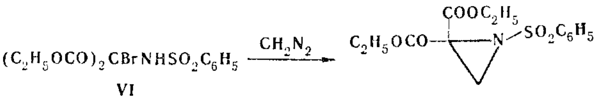
The direction of the reaction of diazomethane with N-acetylimines is determined to a considerable extent by the character of the substituents attached to the azomethine carbon atom. Thus trifluoroacetaldehyde N-benzoylimine forms 1-benzoyl-2-(trifluoromethyl)aziridine [1], whereas hexafluoroacetone N-benzoylimine forms 2-phenyl-4,4-bis(trifluoromethyl)-oxazoline [2]. Replacement of the CF_3 group by a methoxycarbonyl group leads to the primary formation of oxazolidines even in the case of aldimines [3]. However, the presence of two ester groups should have excluded the formation of the corresponding aziridines.

However, we were able to accomplish the synthesis of 1-acylaziridine-2,2-dicarboxylic acid diesters via the scheme



The intermediate formation of N-acylimines was confirmed by the dehydrobromination of Ib, c with triethylamine and the synthesis from them of the corresponding triazolines. Triazolines IIIa-c are relatively stable in solutions; the acidic decomposition of IIIb and IIIc proceeds smoothly to give arizidines (in ∿90% yields). An equimolar mixture of aziridine IVa and oxazolidine V (in an overall yield of ∿65%) is formed in the case of triazoline IIIa.

The use of an α-arenesulfonamido-α-bromomalonic ester in the reaction with diazomethane leads to the one-step quantitative formation of the corresponding aziridines as a consequence of the low stabilities of the intermediate triazolines and the absence of the possibility of 1,4 cycloaddition of methylene.



The reaction is the optimal method for the synthesis of this class of compounds.

The composition of the synthesized compounds were confirmed by the results of elementary analysis, while the structures were confirmed by the IR and PMR spectra. Thus in the case of aziridine IVa (an oil with n_D^{18} 1.4585) signals at 8.5 (1H, s, HCO), 4.27 (4H, q, J = 7.5 Hz, OCH_2), 2.7 (2H, s, NCH_2), and 1.3 ppm (6H, t, J = 7.5 Hz, CH_3) are observed in the PMR spectrum (CCl_4), whereas signals at 8.07 (1H, s, HCN), 4.27 (4H, q, J = 7.5 Hz, ethoxy group CH_2), 4.15 (2H, s, ring CH_2), and 1.5 ppm (6H, t, J = 7.5 Hz, CH_3) are observed in the spectrum of oxazoline + V (an oil with n_D^{18} 1.4725).

LITERATURE CITED

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