ERRONEOUS STRUCTURE OF 1-HYDROXY-2-ETHOXYCARBONYL-3-ETHYLAZIRIDINE

G. K. Kadorkina and R. G. Kostyanovskii

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It has been reported [1] that the product of the reaction of 3-nitro-2-pentenoic acid with triethyl phosphite followed by UV irradiation is 1-hydroxy-2-ethoxycarbonyl-3-ethylaziridine. This report has been cited in a monograph [2].

However, from the constants reported in [1] and its PMR spectrum, we have identified this compound as the ethylamide $EtNHCOCH_2COOEt$, obtained from malonic ester and ethylamine (in ether, 12 h at 20°C), bp 103°C (1 mm Hg), mp 37.5°C (pentane–ether, 1:1). PMR spectrum ($CDCl_3$), δ: 1.08 and 1.21 (each 3H, t, J = 7.1 Hz, CH_3), 3.18 (2H, s, CCH_2OC), 3.22 (2H, d.q, $^3J_{CHNH}$ = 5.6 Hz, NCH_2), 4.10 (2H, q, OCH_2), 7.22 ppm (1H, br., NH). The elemental analysis was in agreement with the calculated values. The homolog of this compound [1], from its PMR spectrum, is not the 1-hydroxyaziridine (cf. [3]), but the amide $PrNHCOCH_2COOEt$.

The information on 1-hydroxyaziridines is therefore restricted to the following observations. The structure of 1-hydroxy-2,2-bis(methanesulfonyl)aziridine has not been conclusively proved [4]. 1-Hydroxy-2,2-bis(trifluoromethyl)aziridine has been characterized unambiguously [5]. The synthesis of N-hydroxyaziridines by the ozonolysis of 1,2-dialkylaziridines has also been reported [6].

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