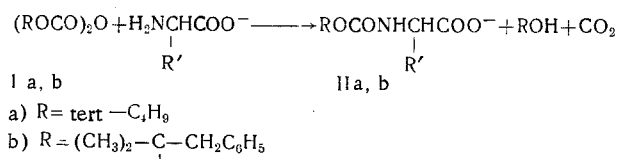


THE ACYLATION OF AMINO ACIDS BY *tert*-ALKYL PYROCARBONATES

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The acylation of amino acids with pyrocarbonates has been studied by a number of authors [1, 2] and has found practical application in biochemistry [3]. It has been found [2] that pyrocarbonates react very readily with the amino groups of amino acids in aqueous organic solutions at $\text{pH} > 7$ with the quantitative formation of *N*-alkoxycarbonyl derivatives. The reaction of *tert*-alkyl pyrocarbonates (Ia, b) with amino acids has not previously been studied. We have found that when di-*tert*-alkyl pyrocarbonates (Ia, b) are stirred with solutions of triethylammonium or sodium salts of amino acids in aqueous isopropanol (1:1) a reaction begins after a short induction period (3-5 min) and is accompanied by the spontaneous heating of the reaction mixture. *N*-*tert*-Alkoxycarbonyl derivatives of amino acid salts (IIa, b) are formed.



The yields of *N*-*tert*-alkoxycarbonyl derivatives of the amino acids are high and are determined only by the losses on isolation. The constants of the *N*-*tert*-butyloxycarbonyl derivatives obtained (BOC-glycine, -L-alanine, -L-leucine, -L-phenylalanine, and -L-proline) correspond to those given in the literature.

N-Benzyl-isopropylloxycarbonyl derivatives of amino acids (IIb) (BPOC-amino acids) have now been prepared for the first time. BPOC-glycine was obtained with a yield of 57%, mp 108-109°C; BPOC-L-leucine with a yield of 63%, mp 93-95°C, $[\alpha]_D^{20} - 26 \pm 1^\circ$ (c 1; C₂H₅OH). BPOC-L-proline is an oil.

The elementary analyses corresponded to the calculated figures.

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