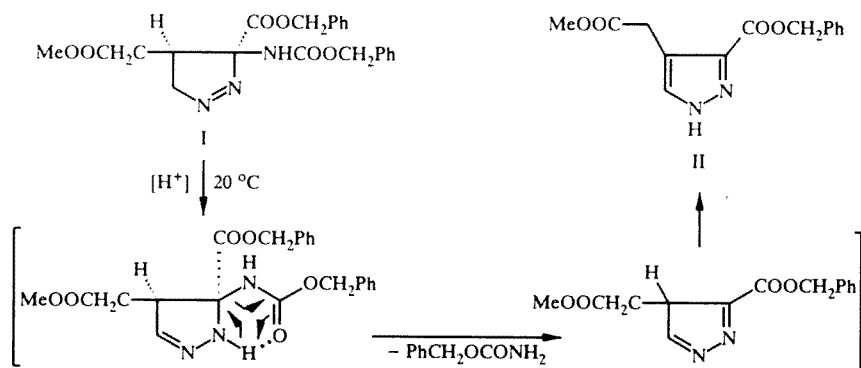


CLEAVAGE OF THE BENZYLOXYCARBAMOYL GROUP IN 4-METHOXYCARBONYLMETHYL-3-BENZYLOXYCARBONYLAMINO-3-BENZYLOXYCARBONYL-1-PYRAZOLINE

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We found that the pyrazoline (I) reacts with trifluoroacetic acid to give a high yield of the diester (II). The benzyloxycarbonyl group is cleaved during the reaction together with the amino group, and is released as benzyl carbamate.



The boiling of the diester (II) in concentrated hydrochloric acid leads to the hydrochloride of the previously described [1] 3-carboxypyrazol-4-ylacetic acid (III).

1(H)-3-Benzyloxycarbonyl-4-methoxycarbonylmethylpyrazole (II). ($C_{14}H_{14}N_2O_4$). The yield is 85%. The mp is 89°C (from water). The PMR spectrum [300 MHz, $(CD_3)_2CO$] is as follows: 3.59 ppm (3H, s, OCH_3), 3.82 ppm (2H, s, $\underline{CH_2}COOCH_3$), 5.34 ppm (2H, s, CH_2Ph), 7.3-7.5 ppm (5H, m, Ph), and 7.71 ppm (1H, s, 5-H).

Hydrochloride of 3-Carboxypyrazol-4-ylacetic Acid (III). ($C_6H_6N_2O_4 \cdot HCl$). The yield is 95%. The temperature of decomposition is 243-244°C. The PMR spectrum (300 MHz, $DMSC-D_6$) is as follows: 3.67 ppm (2H, s, $\underline{CH_2}COOH$) and 7.63 ppm (1H, s, 5-H).

The data of the elemental analysis of the compounds (II) and (III) correspond with the calculated data.

REFERENCES

1. S. Corsano, L. Capito, and M. Bonamico, *Ann. Chim. (Rome)*, **48**, 140 (1958).