SELECTIVE $N_{(2)}$-ALKYLATION OF TETRAZOLES BY OLEFINES

A. O. Koren' and P. N. Gaponik

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Addition of N-unsubstituted tetrazoles to compounds with an activated double bond can occur in organic solvent to give a mixture of the $N_{(1)}$- and $N_{(2)}$-substituted tetrazoles [1-3].

We have recently described the selective $N_{(2)}$-alkylation of tetrazoles by secondary and tertiary alcohols in concentrated H_2SO_4 [4]. In agreement with the proposed mechanism of this reaction, the protonated tetrazole ring is attacked by the carbocation formed upon protonation and dehydration of the alcohol. It is known that carbocations are also obtained upon protonation of olefines [5]. Thus it was expected that tetrazoles could be selectively alkylated at ring position 2 by olefines in strong acid.

In fact, reaction of tetrazole and 5-phenyltetrazole with propylene, isobutylene, and cyclohexene in 95% H_2SO_4 at ~20°C gives only the 2-isopropyl-, 2-*tert*-butyl-, and 2-cyclohexyl derivatives in high yield.

To a solution of the 5-R-tetrazole (25 mmoles) in 95% H_2SO_4 (15-20 ml) there were added dropwise cyclohexene (27 mmoles) or they were passed through propylene or isobutylene (1 liter, ~50 mmoles) at a rate of 1-2 bubbles per sec. Immediately after the finish of the reaction the mixture was poured into iced water (100 g) and worked up as in [4]. The isomeric homogeneity of the products was determined using TLC and PMR spectroscopy. The physical and spectral characteristics of the 2-alkyltetrazoles were identical to those reported previously [4]. The yield of the 2-isopropyltetrazole was 75, the 2-tert-butyltetrazole 80, the 2-cyclohexyltetrazole 9.5 and the 2-cyclohexyl-5-phenyltetrazole 100%.

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