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RECYCLIZATION OF ALKOXYISOXAZOLIDINES

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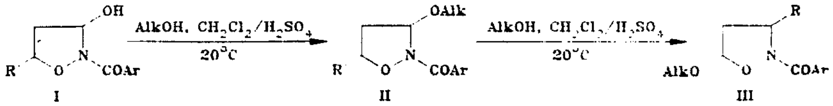
The hydroxyl group of 2-acyl-3-hydroxyisoxazolidines I, which has semi-animal character, is readily substituted by the action of alcohols in the presence of an acid catalyst [1]. An increase in the reaction time leads to isomerization of the 2-acyl-3-alkoxyisoxazolidines II into the 5-alkoxyderivatives III in quantitative yield, the presence of a methyl group in position 5 of the isoxazolidine ring slowing down the isomerization from 10-30 mins in the case of compound IIa up to several hours for compound IIb.



I-III a R=H; b R=CH$_3$

PMR spectra show that only compound IIb with trans-arrangement of the methyl and methoxy groups undergoes recyclization, stereospecifically forming the cis-isomer IIIb.

Isomerization is accelerated in the presence of nucleophiles (water, alcohols) but occurs also in their absence at a slower rate.

Apparently isomerization can also proceed as an intermolecular process one of the stages of which is attack by a nucleophile, a role which can be played by the O atom of the ring, at the semi-aminal C$_{(3)}$ atom with exchange by hydroxamic acid fragments between the two molecules of isoxazolidine.

LITERATURE CITED

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