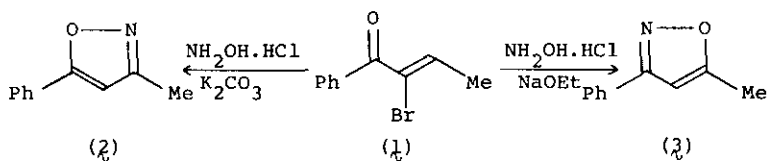


SELECTIVE PREPARATION OF UNSYMMETRIC ISOXAZOLE FROM ENONES

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We recently succeeded in preparation of unsymmetric isoxazoles from α -bromo-enones with hydroxylamine. When the mixture of hydroxylamine hydrochloride and an equivalent amount of potassium carbonate in ethanol was stirred for an hour, and α -bromo-enone (1) was then added in this mixture, 3-methyl-5-phenylisoxazole (2) was obtained regiospecifically. On the other hand, using sodium ethoxide as a base under the similar conditions, 3-phenyl-5-methylisoxazole (3) was obtained regiospecifically.



Similarly, we carried out the reaction of other enones, such as β -substituted benzalacetone derivatives (4) and β -substituted crotonophenone derivatives (5), with hydroxylamine hydrochloride. The ratio of two products (2/3) was changed with changing of substituents on β -position of enones and the bases using as a catalyst.

For example, β -alkoxycrotonophenone (5a) gave mainly compound 2 by using potassium carbonate as a base. But from the same starting materials, compound 3 was mainly obtained by using sodium ethoxide.

The mechanism of this reaction was also discussed.

