PO 14

2-ALKYLTHIO-6-AMINOBENZOTHIAZOLES

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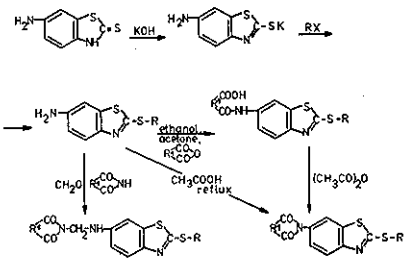
2-Alkylthio-6-aminobenzothiazoles are of interest not only as reactive intermediates, but they have also shown high degree of antimicrobial activity (1). Therefore, it has seemed prospective to synthesize them on a larger scale for both purposes.
Nineteen S-alkyloted derivatives of 6-amino-2-mercaptobenzothiazole have been synthesized and tested for antimycobacterial activity against Mycobacterium (M.) tuberculosis $H_{37}R_v$, M. kansasii, M. avium, M. fortuitum and M. bovis. Most of the new 2-alkylthio-6-aminobenzothiazoles are efficient against tuberculosis mycobacteria, the best of them being those with alkyls containing 5—9 carbon atoms (2).

2-Alkylthio-6-aminobenzothiazoles have been used as intermediates for the synthesis of Mannich bases and dicarboxylic acid monoamides and imides. Mannich reaction of 2-alkylthio-6-aminobenzothiazoles with dicarboximides gives monoderivatives in accordance with previous experience (3,4). 2-Alkylthio-6-aminobenzothiazoles react with dicarboxylic acid anhydrides according to the solvent used in reaction. In ethanol, or in his mixture with acetone, the reaction product is dicarboxylic acid monoamide. In acetic acid at reflux temperature the same components react to dicarboxylic acid imide. (However, imide formation can be prevented by too slight solubility of dicarboxylic acid monoamide in acetic acid at reflux temperature). Dicarboxylic acid imides can be prepared from the corresponding monoamides by cyclization in acetic anhydride too.



Mannich bases, where dicarboxylic acid imides were used as H-active component, are not efficient against tuberculosis mycobacteria, as well as dicarboxylic acid monoamides. Efficiency of 2-alkylthio-6-dicaroximidobenzothiazoles strongly varies according to R and R^1 (5).

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PO 15

SYNTHESIS OF SUBSTITUTED HYDRAZIDES OF α,β-DISUBSTITUTED ACRYLIC ACIDS AS WELL AS OF 1-ARYLAMINO-2,4-DISUBSTITUTED 2-IMIDAZOLINE-5-ONES

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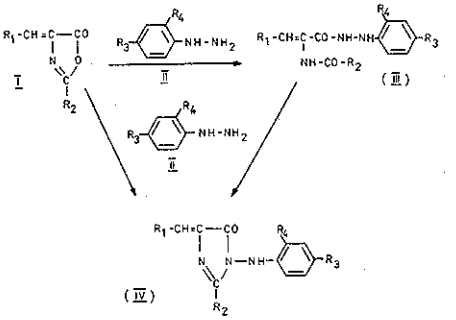
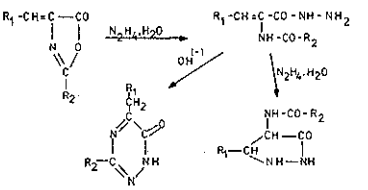
Under reaction of unsaturated 2-aralkyl-4-aralkylidene-5-oxazolones I with phenylhydrazine as well as with p-nitrophenylhydrazine, 2,4-dinitrophenylhydrazine, p-brom-, p-chlor- and p-jodphenylhydrazine II corresponding substituted hydrazides of α,β-disubstituted acrylic acids (III) were prepared. Further on the substances (III) were cyclized onto the respective 1-substituted arylamino-2-aralkyl-4-aralkyliden-2-imidazoline-5-ones (IV), which were succesfully prepared even in the one-stop reaction, namely by direct recyclization of unsaturated substituted oxazolones I using the same substituted hydrazines under different reaction conditions.

The identity of substances (IV), prepared with both methods, was proved by the IR spectra as well as by mixed melting points and by analysis. The structure of substituted imidazolinones (IV) was stated also by means of ^{1}H-NMR spectra. (See the schema 1).

The reaction course following the scheme 1 differs from that given with the same unsaturated azlactones with the hydrazine hydrate, in which the transient hydrazines of substituted acrylic acids may be — depending on the given reaction conditions — cyclized either onto the 3,5-disubstituted 6-oxo-1,6-dihydro-1,2,4-triozines[1,2] or onto the 3,4-disubstituted pyrozolidine-5-ones[3,4]. (See the scheme 2).



Scheme 1



Scheme 2

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