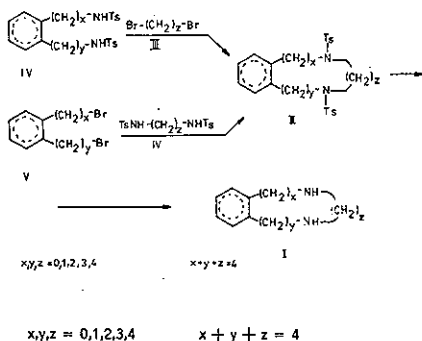


PO 30

SYNTHESIS OF HEXAHYDROBENZODIAZOCINES

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1,2,3,4,5,6-Hexahydrobenzodiazocines (I) were obtained in good yields by detosylation of the corresponding N,N'-ditosylbenzodiazocines II with an equimolar amount of powdered sodium metal in xylene. Ditosyl derivatives II were prepared by condensation of either α,ω -dibromoalkanes (III) with N,N'-ditosylalkyl-diamines (IV), or 1,2-bis(bromalkyl)benzenes (V) with N,N'-ditosyl- α,ω -diaminoalkanes (VI)¹.



The above mentioned procedure affords better yields of the products I, which are less contaminated with by-products, than that according to ². Compounds I are intermediates for the synthesis of new substances displaying an interesting biological activity.

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PO 31

SYNTHESIS OF HYPECORINE AND HYPECORININE ANALOGS FROM 3,4-DIHYDROPAVERINE

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Reaction of 2'-hydroxymethyl-2-methyl-3,4-dihydropapaverinium and α -oxo-2'-hydroxymethyl-2-methyl-3,4-dihydropapaverinium salts with hydroxide ions give cyclic pseudobases, analogs of the alkaloids hypecorine and hypecorinine. Derivatives of 2-methyl-papaverinium salt formed pseudobases by addition of hydroxide ions to immonium bond. Biogenetic conclusions are given.

PO 32

SYNTHESIS OF TRISUBSTITUTED ETHYLENES DERIVED FROM 5-NITRO-2-FURYLNITROMETANE

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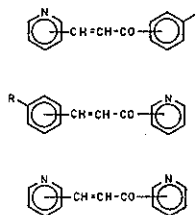
1-Nitro-1-(5-nitro-2-furyl)-2-substituted ethylenes were prepared by condensation of 5-nitro-2-furylnitrometane (A) with aromatic and heterocyclic aldehydes in a mixture of acetic acid and benzene, catalyzed by ammonium acetate, or by reaction of A with azomethine of the corresponding aldehyde in acetic acid. The product have E-configuration, except of 1-nitro-1-(5-nitro-2-furyl)-2-(5-nitro-2-furyl) ethylene, and are formed by a syn-elimination reaction. Their IR, UV and ¹H-NMR spectra are interpreted.

PO 33

SYNTHESIS AND STRUCTURE OF AZACHALCONES

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Azachalcones are nitrogen analogues of chalcone. The most appropriate method for the synthesis of chalcones is the Claisen-Schmidt condensation of acetophenones and aromatic aldehydes. This method is the only one which can be used for preparation of azachalcones. This synthesis enables us to prepare various types of azachalcones



The aromatic α,β -unsaturated ketones and their heterocyclic analogues are characterised by several kinds of structural isomerism (cis-trans isomery, s-cis, s-trans conformation) which depends on the different arrangement of the carbonyl group and the olefinic double bond in relation to the single bond which connected both types of double bonds. In the case of the heterocyclic analogues of chalcones (azachalcones) there is a probability of an additional isomerism. This kind of rotational isomerism differ from the position of the heteroatom and the carbonyl oxygen, which can be on the same or on the opposite side of single bond joining the heterocycle and the carbonyl group. This problem was studied on the basis of interpretation of the UV, IR and NMR spectra. The results confirmed the structure of the prepared compounds and enabled to estimate their stereostructure. The prepared azachalcones are trans-isomers with the carbonyl group in the s-cis position to the double bond which is in the vicinity. From the possible conformers are the 2'-azachalcones anti-periplanar, in the case of 3'-azachalcones is the syn-periplanar conformation more probable.