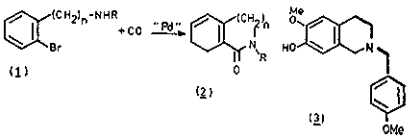
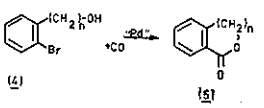
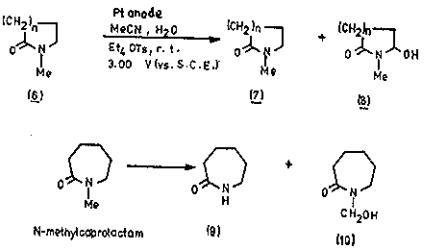




2. The anodic oxidation of some lactams

The anodic oxidation of N-methyllactams (6, n = 1,2) in acetonitrile with Et_4NOTs as an electrolyte gave N-methylimides (7, n = 1,2) and N-methylhydroxylactams (8, n = 1,2) in moderate yields. In a similar manner, the oxidation of N-methylcaprolactam (6, n = 3) afforded caprolactam (9) and N-hydroxymethylcaprolactam (10) in 22 and 19% yields, respectively. The last reaction is now being applied to a new synthesis of some aldehydes.



LE I 15

NOVEL 1,3,5-BENZOTRIAZEPINE SYNTHESES

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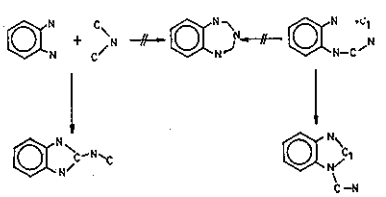
Seven-membered heterocycles such as 1,4-diazepines and triazepines are of great pharmacological interest. During the past several years, we have investigated the possibility of devising novel syntheses of the 1,3,5-benzotriazepine skeleton[1,2]. This heterocyclic system has yet scarcely been explored and only a very few authenticated derivatives of this system have been described. This is probably due to the fact that, the most obvious syntheses of benzotriazepines may alternatively lead to substituted benzimidazoles:



In order to minimize the danger of the formation of isomeric benzimidazole derivatives, an auxiliary ring was fused to the seven-membered ring.

Two simple, rational syntheses of condensed 1,3,5-benzotriazepines will be discussed. Some of the obtained products displayed CNS activity.

1) G. Doleschall, Gy. Hornyák, B. Ágai, Gy. Simig, J. Fetter and K. Lempert: Tetrahedron 32, 57 (1976).
2) B. Ágai, G. Doleschall, Gy. Hornyák, K. Lempert and Gy. Simig: Tetrahedron 32, 839 (1976).

LE I 16

SOME SUBSTITUTION DERIVATIVES OF ERGOLINE-I WITH PROLACTIN-INHIBITING ACTIVITIES

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By introduction of alkyl groups from alkyl halides in the dimethylformamide medium into the molecules of D-8-cyanomethylergoline-I and 6-norfestuclavine, respectively, prepared by a series of reactions from D-dihydroergotamine, the corresponding D-6-alkyl-8-cyanomethylergolines-I and 6-alkyl analogues of festuclavine were prepared. Some D-6-alkyl-8-cyanomethylergolines-I were also prepared by reacting sodium cyanide with D-6-alkyl-8-chlormethylergolines-I, obtained from D-6-alkyl-8-hydroxymethylergolines-I.

Some D-6-alkyl-8-cyanomethylergolines-I were converted to D-6-alkyl-8-ergolin-I-ylacetamides, either via the corresponding 8-carboxymethyl acids, their methyl esters, and their hydrazides, or by direct addition of water to the nitrile groups in the parent compounds in a heterogeneous biphasic pyridinic-aqueous medium in the presence of tetraalkylammonium bases.

1-Nitroso derivatives of D-6-alkyl-8-ergolin-I-ylacetamides were prepared by the action of excess nitrous acid upon the corresponding hydrazides of D-6-alkyl-8-ergolin-I-ylacetic acids and decomposition by aqueous ammonia of the intermediate 1-nitroso derivatives of azides of the acids.

The 6-alkyl analogues of festuclavine, D-8-cyanomethylergoline-I, and D-8-ergolin-I-ylacetamide produced marked antinidation and antilactation effects in biological tests. On introduction of the ethyl, propyl or allyl groups into the position 6 in the ergoline cycle the prolactin-inhibiting activities of the compounds were markedly enhanced in comparison with the activities of the corresponding 6-methyl derivatives.

LE I 17

THE SYNTHESIS OF GEMINALLY DISUBSTITUTED 4,5-DIHYDRO-6H-CANTHIN-6-ONES

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In the past decade some alkaloids of the eburnane group, e.g. vincamine 1, have attracted much interest, especially in view of their known biological activity. In continuation of our bro-