DISSERTATIONES

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The Preparation of Some Biologically Interesting Indolic Compounds by a Modified Fischer Synthesis

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In order to obtain 3,5-disubstituted indoles, compounds of biological interest, the condensation of arylhydrazines with substituted acetals was investigated. It was found that *p*-substituted phenylhydrazine hydrochlorides react smoothly with amino-, acylamino-, *N,N*-dialkylamino-, *N,N*-cycloalkylamino- and *N*-alkyl-*N*-arylamino-butanal diethyl acetals respectively into the corresponding indole compounds. The reaction was carried out in 25% acetic acid at 80°. In many cases the crude reaction products were purified by chromatography over alumina, and the obtained 3,5-disubstituted indoles isolated as hydrochlorides picrates or oxalates.

By this way a series of serotonin and melatonin analogues, metabolites and antimetabolites were prepared mostly in high yields (35—85%).

This modified Fischer indole synthesis which is carried out under very mild conditions was studied in more details. The isolation of the intermediate arylhydrazones was tried, and it was found that in all cases where the indole formation was successful the intermediate arylhydrazone could not be isolated. Further, the influence of the substituents in phenylhydrazine and/or acetal molecules was discussed.

It was also found that under the same conditions 4-benzylthiobutanal diethyl acetal condenses with *o*- and *p*-substituted phenylhydrazine hydrochlorides into the corresponding indolylthioethers.

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1. The Preparation of Some Biologically Interesting Indolic Compounds by a Modified Fischer Synthesis

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Butanal, 4-acylamino-, diethyl acetal
— , 4-*N*-alkyl-*N*-arylamino-, diethyl acetal
— , 4-amino-, diethyl acetal
— , 4-benzylthio-, diethyl acetal
— , 4-*N*,*N*-cycloalkylamino-, diethyl acetal
— , 4-*N*,*N*-dialkylamino-, diethyl acetal
Fischer indole synthesis
Indole, 3-(2-benzylthioethyl)-5-substituted-,
— , 3,5-disubstituted-,
Phenylhydrazine, *p*-benzyloxy-, hydrochloride
— , *p*-methoxy-, hydrochloride