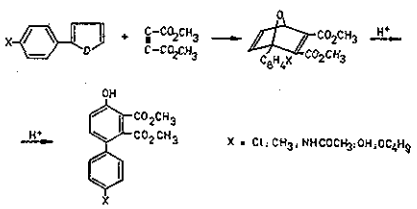
The presence of electron-donating substituents in the benzene ring of arylfuran favourably affects the above mentioned reaction.

Aryloxyfurans undergo the Diels-Alder reaction with dimethyl acetylenedicarboxylate, giving adducts, which can be transformed by the action of acids to 1-hydroxy-4-phenyloxydicarboxylic acids.



Thus, the synthesis of not readily available esters of hydroxycarboxylic acids of the biphenyl and diphenyloxide series has been realized.

PO 47

SYNTHESIS AND TRANSFORMATION OF THE DERIVATIVES OF PYRROLO(3,2-d)PYRIMIDINE-7-ALDEHYDE

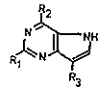
O. S. Kuptsova, N. E. Britikova, K. Yu. Novitskii

S. Ordzhonikidze All-Union Chemical-Pharmaceutical Research *Institute, Moscow, 119815, USSR*

The method of preparing pyrrolo(3,2-d)pyrimidine derivatives based on using of Vilsmeier reagent for pyrrolo cyclization in 5-amino-6-methylpyrimidines, has been found. 4-oxopyrrolo(3,2-d)pyrimidine-7-aldehyde (I), prepared in such a way from 4-oxo-5-amino-6-methylpyrimidine, was transformed to 4-chlorpyrrolo(3,2-d)pyrimidine (II).

In similar conditions during the cyclization of 2-phenyl-4-oxo-5-amino-6-methylpyrimidine the substitution of the oxo-group by chlorine to form 2-phenyl-4-chlorpyrrolo(3,2-d)pyrimidine-7-aldehyde (III) simultaneously proceeds. The nitril (IV) from the aldehyde (III) has been received.

The reaction of the nucleophilic substitution of the chlorine atom in compounds II and IV was investigated.



I. R_1 = H, R_2 = O, R_3 = CHO

II. R_1 = R_3 = H, R_2 = Cl

III. R_1 = C_6H_5, R_2 = Cl, R_3 = CHO

IV. R_1 = C_6H_5, R_2 = Cl, R_3 = C≡N

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NOVEL 2-BENZENESULFONAMIDO-4-AMINO-5-BENZYLPYRIMIDINES AND SOME OF THEIR REACTIONS

Koványiné Lax, Gy.[1]*; Benkó, P.[1]; Dinya, Z.[2]; Tóth-Martinez[3],

[1]EGYT Pharmacochemical Works, H-1475 Budapest, PF.100.

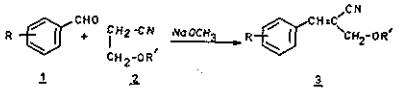
[2]University of K.L., H-4010 Debrecen, PF. 20.

[3]Medical University, H-4012 Debrecen, PF. 12.

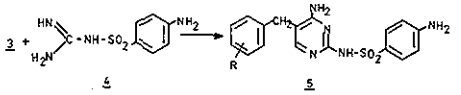
In the EGYT *Pharmacochemical Works* purposeful research has been continuing for years on the field of chemotherapy. One of these important trends is to produce compounds having antibacterial activity. It is well-known, that in the therapy Trimethoprim (2,4-diamino-5-[3',4',5'-trimethoxybenzyl]-pyrimidine) shows synergism with sulfonamides.

We wanted to synthesize modified pyrimidine compounds including the sulfonamide-part on the pyrimidine-ring.

In the present work, the synthesis and several reactions of the new pyrimidine derivatives (**5—7**) are studied. Thus the suitable compounds were produced by the following synthesis route:



1 2 3

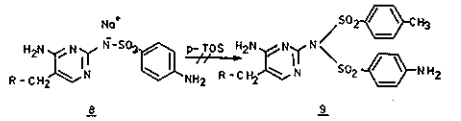


3 4 5

5 R = 3,4,5-MeO; **6** R = 3,4-MeO; **7** R = 3,4-methylenedioxy R' = alkyl

Substituted benzaldehydes (**1**) were condensed with 3-ethoxypropionitril (**2**) in presence of sodium-methoxide during 12 hours, at reflux temperature and gave 2-(subst.-benzylidene)-3-methoxypropionitril (**3**). **3** was cyclized with sulfoguanidin (**4**) in presence of sodium-methoxide, in an autoclave during 16 hours at 100 °C. In this way we obtained N1-(2-(4-amino-5-subst.benzyl)-pyrimidil)-p-aminobenzenesulfonamid (**5—7**).

The proton-activity of sulfonamid-NH- of our materials was studied. After preparing sodium salts of **5,6,7**, we live them reacted with p-toluenesulfonyl chloride (p-TOS) in organic solvents.



8 9

8a R = 3,4,5-trimethoxyphenyl; **8b** R = 3,4-dimethoxyphenyl; **8c** R = 3,4-methylenedioxyphenyl;

But the received sodium-salts (**8a,b,c**) were not able to react with p-TOS. The sodium-salts of **5,6,7** reacted *in situ with* acylation agents and so acyl-derivatives were prepared with lower yield enough.

The demethylation of Trimethoprim by 48% Hydrogen bromid is known from the literature (U.S.P. 3,684,810). This method was applied at **5—7** but we prepared only the starting materials.

The bacteriostatic mechanisms of sulfonamido-Trimethoprim combinations were examined. (Tóth-Martinez, B. Biochem. Pharm. Vol. 26. p.p. 451—456.) Our compounds have antibacterial activity and there are pure competitive inhibitors against p-aminobenzoylglutamate and quasi-irreversible competitive inhibitors against dihydrofolatreductase.