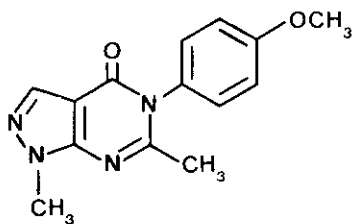
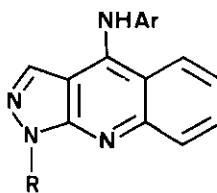


CONDENSED PYRAZOLES. SYNTHESIS OF PYRAZOLO[3,4-d]PYRIMIDIN-4-ONES AND PYRAZOLO [3,4-b] QUINOLIN-4-AMINES.

Erik B. Pedersen, Søren V. Nielsen and Peter Finlander. Department of Chemistry, DK-5230 Odense M, Denmark.



1



2

In a previous work it was found that the pyrazolopyrimidinone 1 was active against lymphocytic leukemia in mice. The aim of the present work was to improve the anticancer activity by replacing 1-CH₃ either with an aminomethyl or with a ribosyl group. It was also our intention to investigate the activity with no substituent present in the 6-position of the pyrazolopyrimidinone. 1,5-Dihydro-5-(methoxyphenyl)-4H-pyrazolo[3,4-d]pyrimidin-4-ones were prepared by reaction of the corresponding ethyl 5-aminopyrazole-4-carboxylates with triethyl orthoformate and amines. Ethyl N-(4-methoxyphenyl)formidate and N,N'-bis(4-methoxyphenyl)formamidine could also be used as the ring closure reagents.

Since pyrazolo[3,4-b]quinazolin-4-amines are known as inteferon inducing compounds and since the corresponding acridines (for example AMSA) are used in cancer therapy, we would also like to describe a new synthesis of 2 starting from ethyl 5-amino-1H-pyrazole-4-carboxylate.