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

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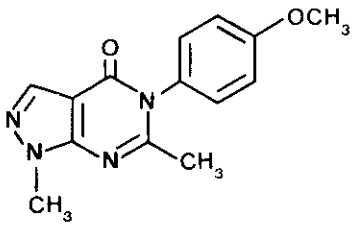

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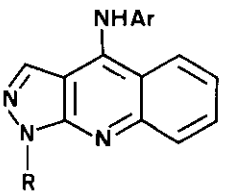

2

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-CH_3 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-carboxlates 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.