ONE-STEP SYNTHESIS OF PYRROLO[2,3-d]PYRIMIDIN-4-IMINES

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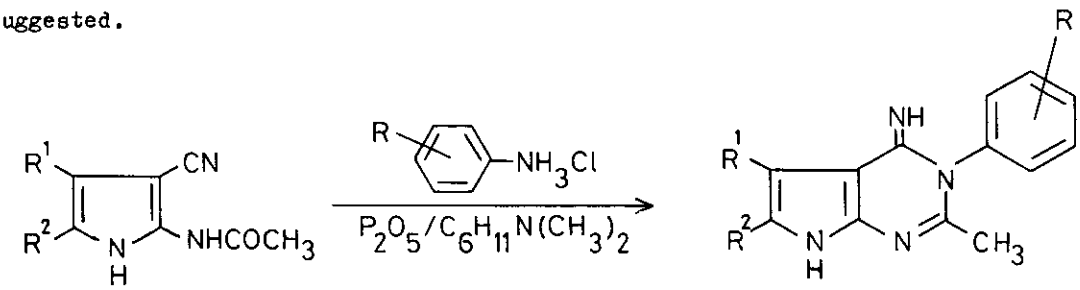
3-Aryl-3,7-dihydro-4H-pyrrolo[2,3-d]pyrimidin-4-imines 2 were obtained by treating 2-acetylamino-3-cyanopyrroles 1 with a mixture of phosphorus pentoxide, N,N-dimethylcyclohexylamine and an appropriate arylamine hydrochloride at 150-200°C for 1-2 hours. The importance of using absolutely anhydrous arylamine hydrochlorides is stressed. A possible reaction mechanism is also suggested.



1 2

a, $R^1 = CH_3$; $R^2 = H$

b, $R^1 = C_6H_5$; $R^2 = H$

c, $R^1 = CH_3$, $R^2 =$ iso-C_4H_9

d, $R^1 = CH_3$; $R^2 = CH_2C_6H_5$

R = H, 4-Cl, 2-F, 4-F, 3-CH_3, 4-CH_3, 4-C_2H_5, 4-n-C_4H_9, 2,4-Cl_2, 2,6-$(CH_3)_2$