NUCLEOPHILIC ACYLATION OF HETEROAROMATICS WITH AROMATIC ALDEHYDES IN THE PRESENCE OF BENZIMIDAZOLIUM SALT

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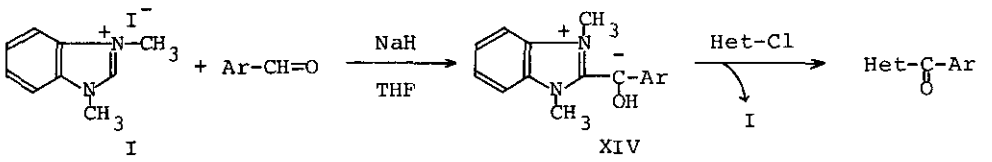
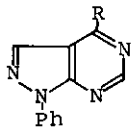
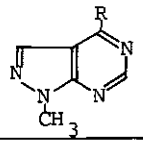
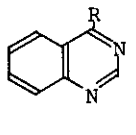
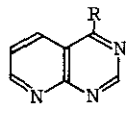
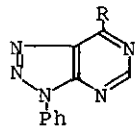
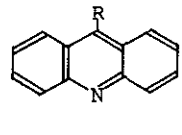
When a mixture of 1,3-dimethylbenzimidazolium iodide(I), aromatic aldehydes and 4-chloro-1-phenyl-1H-pyrazolo[3,4-d]pyrimidine(II) was refluxed in the presence of sodium hydride in tetrahydrofuran(THF), acylation took place, to give aryl 1-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl ketones(III). The same nucleophilic acylation was found to proceed in the reaction of 4-chloro-1-methyl-1H-pyrazolo[3,4-d]pyrimidine(IV), 4-chloroquinazoline(V), 4-chloropyrido[2,3-d]pyrimidine(VI), 7-chloro-3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidine(VII) and 9-chloroacridine(VIII), and the corresponding aryl 1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl ketones(IX), aryl 4-quinazolinyl ketones(X), aryl pyrido[2,3-d]pyrimidin-4-yl ketones(XI), aryl 3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidin-7-yl ketones(XII) and aryl 9-acridinyl ketones(XIII) were obtained in good yields.

It may be considered that the intermediate of this acylation may be activated aldehydes(XIV) as in benzoin condensation.This acylation is very useful for one-pot preparation of heteroaromatic ketones.



R- \ Het-						
-Cl	II	IV	V	VI	VII	VIII
-C-Ar (O)	III	IX	X	XI	XII	XIII