REACTION OF TRICHLOROMETHYL-N-HETEROAROMATICS WITH TRIPHENYLPHOSPHINE

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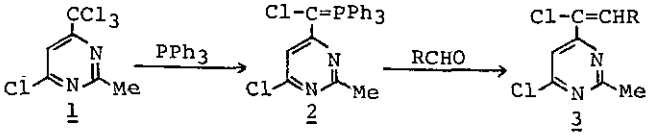
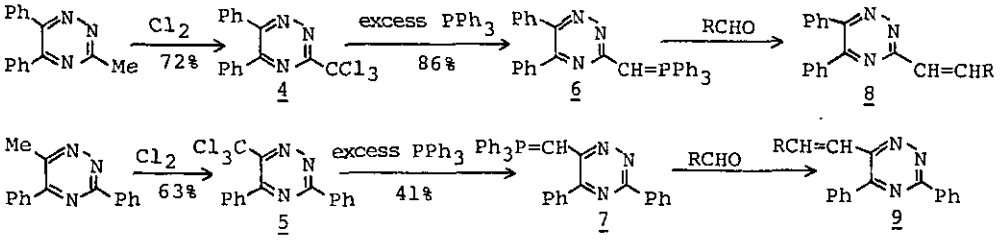
The reaction of 6-chloro-4-trichloromethyl-2-methylpyrimidine (1) with triphenylphosphine was reported[1] to give a chlorophosphorane (2) which was convertible to the chloroalkenylpyrimidines (3) by the Wittig reaction with aldehydes.



On the other hand, we have found[2] that the reaction of the 3-trichloromethyl- (4) and 6-trichloromethyl-as-triazine (5) with triphenylphosphine reductively resulted in the formation of the methylene-phosphoranes (6, 7) instead of the as-triazinylchlorophosphorane corresponding to 2. These phosphoranes (6, 7) smoothly reacted with various aldehydes including α,β-unsaturated aldehydes to give the alkenyl-as-triazines (8, 9) in good yields. This finding provides a general method for the preparation of as-triazine derivatives containing an alkenyl side chain, because of high availability of trichloromethyl-as-triazines.



In order to elucidate the difference of behavior on the phosphorane formation between trichloromethylpyrimidines and trichloromethyl-as-triazines, the reaction mechanism was exhaustively investigated, which will be discussed together with the preparative procedure of as-triazine derivatives.

REFERENCES

1) T. Kato, et al., J. Heterocyclic Chem., 16, 1575 (1979).

2) S. Konno, et al., Heterocycles, 19, 1869 (1982).