SYNTHESIS OF 1,2,4-TRIAZINE DERIVATIVES
——— INTRODUCTION OF ALKYL AND ARYL GROUPS TO THE 5-POSITION OF 1,2,4-TRIAZINES ———

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Site-selective synthesis of as-triazine derivatives having different alkyl or aryl groups at the 5- and 6-positions was accomplished by the following three methods.

1) When 1-acylhydrazones of 1-alkyl-2-arylglyoxal were treated with ammonium acetate in ethanol, 6-alkyl-5-aryl-as-trazines were obtained selectively.
2) The reaction of 5-chloro-6-alkyl-as-triazines with ethylidene triphenylphosphorane followed by the hydrolysis of the resulting as-triazinylphosphorane with eq. sodium carbonate gave 6-alkyl-5-ethyl-as-triazines. Through this route, as-triazines with two different alkyl groups are synthesized satisfactorily.
3) Grignard reagents smoothly reacted with as-triazines whose 5-position is free to give 5-substituted 2,5-dihydro-as-triazines. The dihydro compounds readily underwent aromatization by treatment with potassium ferricyanide or potassium permanganate.

Among these three methods, the last one appears to have the wildest applicability for the synthesis of the desired as-triazines. In addition to the above, pharmaceutical behavior of the 5,6-disubstituted as-triazines thus synthesized was described briefly.