SYNTHESIS OF PYRIMIDIN-4-ONES FROM DIPHENYLCYCLOPROPENONE AND AMIDOXIMES

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We have already reported that 2-aryl-5,6-diphenylpyrimidin-4-ones (3) were easily obtained from diphenylcyclopropenone (1) and aryl amidoximes (2) (Chem. Letters, 1979, 1213). Simple tri- and tetrasubstituted pyrimidin-4-ones (5 or 6), to our surprise, have been scarecely known so far in the literatures. Then we planned to synthesize these heterocycles in the same manner by the use of readily available N-substituted amidoximes (4). Treatment of 1 with 4 in refluxing toluene afforded 1H-pyrimidin-4-ones (5), not the isomeric 3H-pyrimidin-4-ones (6), regioselectively in moderate yields as shown in the Table. Assignment of the structure and some reactions of 5 will be described.

R	R′	Yield(%)	Mp (°C)
C_6H_5	H	37	206-207
H	H	77	254-257
4-MeO-C_6H_4	H	46	180-181
4-Me-C_6H_4	H	64	188-189
C_6H_5	C_6H_5	76	298-300