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IMIDAZOLES FROM N-ARYL-N'-CHLOROBENZAMIDINES AND SILYL ENOL ETHERS

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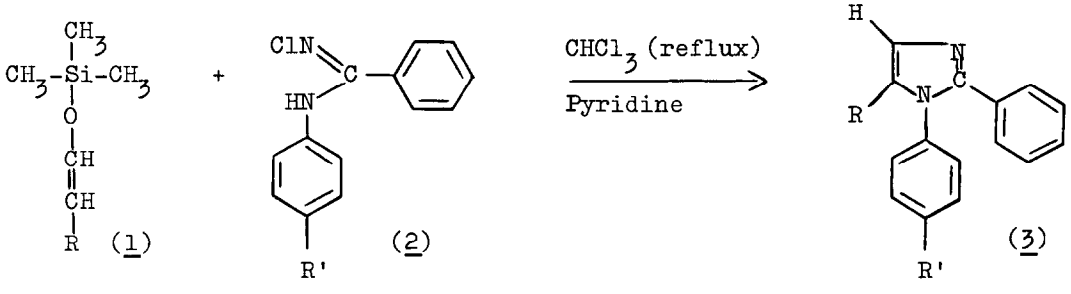
The reaction between N-aryl-N'-chloroamidines and enamines of general formula R-CH=CH-N< has been recently studied as a possible synthetic entry to the imidazole ring.[1,2,3] However, good yields could be obtained only for R=aryl, while for R=alkyl this method displayed of no preparative interest. Moreover, 4- and 5- unsubstituted imidazole derivatives cannot be synthesized in this way because of the unavailability in pure form of the starting vinyl amines (R=H).[4]

We now report a succesful synthetic approach to 1,2-arylimidazole (3, R=H) and 5-alkyl-1,2-arylimidazole derivatives (3, R=alkyl) starting from N-aryl-N'-chlorobenzamidines (2) and silyl enol ethers (1).



The reaction was carried out in boiling chloroform (12-24 h) and in the presence of an equimolecular amount of dry pyridine. The imidazoles were in all cases isolated and purified by standard procedures.

The table summarizes the products synthesized in this preliminary study.[5]

Table

3	R	R'	Yield [%]	M.p. [from]
a	H	H	55	82 (lit.[7] 80-1)[i-propylether]
b	CH_3	H	65	119 [i-propylether]
c	C_2H_5	H	70	104 [i-propylether]
d	C_3H_7	H	65	110 [i-propylether]
e	n-C_5H_{11}	H	60	84 [petrol ether]
f	CH_3	CH_3	65	85 [i-propylether]
g	CH_3	F	65	107 [i-propylether]
h	C_2H_5	F	70	115 (lit.[2] 114) [i-propylether]
i	C_2H_5	Br	75	158 [i-propylether]

In each case we observed the formation of only the 5-alkyl substituted isomer in accord with the expected regiospecificity of the reaction.[2]

We are currently extending our studies to the behaviour of silyl enol ethers disubstituted at the β position and will report these results in a full paper.

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