SYNTHESIS OF PYRIMIDINES FROM PERFLUORO-2-METHYL-2-PENTENE AND AMIDINES

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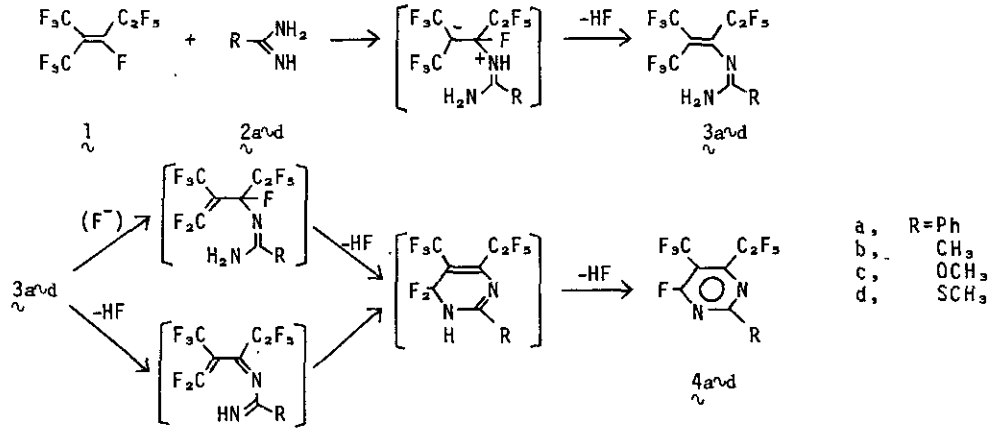
From the view point of biochemical interest, many heterocyclic compounds having fluorine atom(s) or fluoroalkyl substituents have been synthesized. Perfluoroolefin is one of the origins useful to incorporate the fluorine atom or fluorine containing moiety into the molecule. In the present study, we wish to report the reaction of perfluoro-2-methyl-2-pentene (1) with a series of amidines (2) as 1,3-bidentate nucleophiles and the synthesis of pyrimidines.

Typical reaction procedure is as follows: into a suspension of benzamidine hydrochloride and finely pulverized Na_2CO_3 in dioxane, 1 was added dropwise under cooling at 0°C. The reaction system was allowed to warm up to room temperature, stirred for 3 h, and heated to reflux for another 3 h. After work-up, colorless fine needles were obtained (4a, mp 67-68°C, 87%). By another reaction run similar to the above, but proceeded at 0°C, another compound as colorless fine needles were produced (3a, mp 65-68°C, 63%).

From the elemental and spectral analyses, the structure of 3 and 4 were characterized. The reaction scheme was postulated as follows:



Although the cyclization of 3 was accerelated by the presence of CsF, the reaction route can not be specified at present.

Fluorine atom attached on the aromatic ring was easily substituted by another nucleophiles.