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2,2,2-TRIFLUOROACETAMIDINS AS NEW TRIFLUOROMETHYL BUILDING BLOCKS FOR FLUORINATED NITROGEN HETEROCYCLES

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2,2,2-Trifluoroacetimidoyl chlorides 1 are much more stable than the corresponding nonfluorinated chlorides because of the deactivation for hydrolysis by the strong electron-withdrawing fluorine atom and thus useful as synthetic blocks. They react smoothly with nucleophilics such as Grignard reagents, active methylene compounds, and primary amines. 2,2,2-Trifluoroacetamidines 2 are promising precursors for CF3-containing heterocycles as shown in the scheme. Preparations and reactions of 2 are discussed in details.

$$CF_{3} \stackrel{?}{\downarrow} N \stackrel{R}{\downarrow} R$$

K. Uneyama, O. Morimoto, and F. Yamashita, Tetrahedron Lett., 30, 4821 (1989) K. Uneyama, F. Yamashita, K. Sugimoto, and O. Morimoto, Tetrahedron Lett., 31, 2717 (1990)