STUDY OF REACTIVITY OF 3-FLUORO-2-OXO ACID DERIVATIVES. SYNTHESIS OF FLUORINATED ALCOHOLS, SCHIFF BASES, OXIMES, HYDRAZONES AND QUINOXALINE DERIVATIVES

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Ring opening of 2,3-epoxynitriles with hydrogen fluoride in pyridine gives 3-fluoro-2-hydroxynitriles in good yields. Oxidation of the fluorocyanhydrins by the Jones'reagent provides a good method of the preparation of fluoro pyruvic acid derivatives. These compounds have been found to be versatile intermediates leading to a variety of new classes of monofluorinated products, e.g. fluoroal-cohols, fluoro Schiff bases, oximes, hydrazones, such as:

$$\begin{array}{c} R_1 \\ R_2 \\ O \end{array} \xrightarrow{\text{CN}} \begin{array}{c} H \\ \text{FOH} \end{array} \xrightarrow{\text{R}_1 - \text{C} - \text{C} - \text{CO}_2 H} \xrightarrow{\text{R}_3 \text{NH}_2} \begin{array}{c} R_2 \\ R_1 - \text{C} - \text{C} - \text{CO}_2 H \\ \text{FOH} \end{array} \xrightarrow{\text{R}_1 - \text{C} - \text{C} - \text{CO}_2 H} \xrightarrow{\text{R}_3 \text{NH}_2} \begin{array}{c} R_1 \\ \text{FN-R}_3 \end{array}$$

We wished to convert these intermediates (e.g. Schiff bases, oximes and hydrazones) to the corresponding fluorinated amino acids.

When 2-keto-3-fluoro acid derivatives are treated with 0-phenylenediamine, different products could be isolated depending of the starting compounds. Thus when ethyl 2-keto-3-fluoro-3-methylbutanoate and ethyl 2-keto-3-fluoro-3-methylpentanoate react with 0-phenylenediamine, 3-fluoroalkyl-2-hydroxyquinoxalines are obtained.