## QUANTITATIVE AND STEREOSELECTIVE MONOFLUORINATION OF B-HYDROXYESTERS BY PhPF4

A.I. AYI, M. REMLI et R. GUEDJ

Laboratoire de Chimie Structurale Organique Université de Nice, Parc Valrose, O6O34 Nice Cédex (France)

The monofluorination by subtitution of the hydroxyl group of the  $\beta$ -hydroxyesters of (o,m,p)-Z-C<sub>6</sub>H<sub>4</sub>-C(OH)R-CR'R"-CO<sub>2</sub>R"' structure (where Z = halogens,methyl, methoxy, nitro and H and R,R',R",R",H or alkyl) and 2,2,2-trichloroaryléthanols by the phenyltetrafluorophosphorane according to the following synthetic route

R-OH R-O-Si(CH<sub>3</sub>)<sub>3</sub> R-O-PF<sub>3</sub>C<sub>6</sub>H<sub>5</sub> R-F I II III IV

is described. The temperature  $\theta_{\circ}$  at which the intermediate alkoxyphosphorane is decomposed determines the nature (alkene, alkoxytrifluorophosphorane, monofluorinated compounds) of the products and their yield. Knowlege of this temperature  $\theta_{\circ}$  of erythro and threo isomers permits the selective fluorination of one of them in a mixture. Moreover, the action of the PhPF<sub>4</sub> with four couples of the isoleted pur diastereoisomers of the  $\beta$ -hydroxyesters C<sub>6</sub>H<sub>5</sub>-CHOH-CHR-CO<sub>2</sub>CH<sub>3</sub> shows the stereoselectivity of the reaction. The structure of the isomeric 2-alkyl 3-fluoro 3-phenyl proprionates has been determined unabiguously by <sup>1</sup>H and <sup>19</sup>F NMR analysis. The mecanisms of the reaction which leads to the products summerized in the table are discussed.

Alcools	Fluorures	Rdt
Z(0,m,p)-CH <sub>4</sub> -CH-CH <sub>2</sub> -CO <sub>2</sub> CH <sub>5</sub>	Z(o,m,p)-C <sub>6</sub> H <sub>4</sub> -CH-CH <sub>2</sub> -CO <sub>2</sub> Et	90-100 %
Z : H,F,Cl,Br,CH <sub>3</sub> ,CH <sub>3</sub> 0,NO <sub>2</sub>	Ê	
с <sub>6</sub> н <sub>5</sub> -сн-сн-со <sub>2</sub> сн <sub>3</sub> он к	C <sub>6</sub> H <sub>5</sub> -CH-CO <sub>2</sub> CH <sub>3</sub> F R	85-100 %
R : СН <sub>3</sub> ,С <sub>2</sub> Н <sub>5</sub> ,СН(СН <sub>3</sub> ) <sub>2</sub> ,С(СН <sub>3</sub> ) <sub>3</sub>		

- \* Préparation de B-fluoro esters B-aromatiques au moyen du phényltétrafluorophosphorane
  A.I. AYI, R. CONDOM, P.C. MARIA, T.N. WADE et R. GUEDJ, Tetrahedron Letters, N° 46, p. 4507-4510, 1978.
- \* Monofluoration quantitative par le phényltétrafluorophosphorane. Influence de la température A.I. AYI, R. CONDOM, T.N. WADE et R. GUEDJ, J. of Fluorine Chemistry, <u>14</u>, p. 437-454, 1979.