

## SYNTHESIS OF FLUORINATED CARBOHYDRATES BY THE REACTION OF ACETYL HYPOFLUORITE WITH GLYCALs

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Fluorinated carbohydrates have continued to attract much interest principally because of the use of [ $^{18}\text{F}$ ] 2-deoxy-2-fluoro-D-glucopyranose ([ $^{18}\text{F}$ ] 2FDG), a proven glucose analogue, as an imaging agent in studies of regional cerebral glucose metabolism by positron emission tomography (PET). Previous synthetic routes have included the electrophilic addition to tri-O-acetyl-D-glucal of trifluoromethyl hypofluorite ( $\text{CF}_3\text{OF}$ ), of elemental fluorine or of xenon difluoride and fluoride displacement on an anhydro sugar. All of these methods have disadvantages, resulting in either low product yields, isomeric product mixtures and/or difluorinated compounds. These approaches have further disadvantages in the context of [ $^{18}\text{F}$ ]-radiolabelling in that, all of the above reagents, with the exception of  $\text{F}_2$ , are difficult to produce with  $^{18}\text{F}$ . While the use of [ $^{18}\text{F}$ ]  $\text{F}_2$  has become routine in the production of [ $^{18}\text{F}$ ] 2FDG only about 10% of the  $^{18}\text{F}$  used is incorporated in the desired product.

Prompted by the recent report of a simple preparation of acetyl hypofluorite ( $\text{CH}_3\text{CO}_2\text{F}$ ) from  $\text{F}_2$ , we have investigated the reaction of this electrophilic fluorinating reagent with tri-O-acetyl-glucal, and also with the galacto analogue. In each case a high yield (78% and 84% respectively) of the 2-deoxy-2-fluoro products, corresponding to cis-addition of the hypofluorite to the sugar ring, has been isolated.

## FLUORINATION OF TETRALIN WITH POTASSIUM TETRAFLUORO-COBALTATE(III)

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Fluorination of tetralin over  $\text{KCoF}_4$  at 270-300° gave in addition to hexadecafluorobicyclo[4,4,0]deca-1(6)-ene, tetradecafluorobicyclo[4,4,0]deca-1(6) 3(4)-diene and 3H-pentadecafluorobicyclo[4,4,0]deca-1(6)-ene already described<sup>(1)</sup> several new compounds. These were identified as 9-H-tridecafluorobicyclo[4,4,0] deca-1(6),3(4)-diene, perfluorotetralin, 3,8- and 3,9-dihydrotetradecafluorobicyclo[4,4,0] deca-1(6)-ene and 3H-undecafluorobicyclo[4,4,0] deca-1(6), 2(3),4(5)-triene. The use of  $^{13}\text{C}$  n.m.r. in structural determination and the mechanism of the fluorination will be discussed.

1 P.L. Coe, R.M. Habib, J.C. Tatlow, J. Fluorine Chem. 5 (1975) 19.