0-71

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}F] 2-deoxy-2-fluoro-D-glucopyranose ([^{18}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 (CF_3OF), 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}F]-radiolabelling in that, all of the above reagents, with the exception of F_2, are difficult to produce with ^{18}F. While the use of [^{18}F] F_2 has become routine in the production of [^{18}F] 2FDG only about 10% of the ^{18}F used is incorporated in the desired product.

Prompted by the recent report of a simple preparation of acetyl hypofluorite (CH_3CO_2F) from 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.

0-72

FLUORINATION OF TETRALIN WITH POTASSIUM TETRAFLUORO-COBALTATE(III)

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Fluorination of tetralin over $KCoF_4$ 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[1] 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}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.