Journal of Fluorine Chemistry, 26 (1984) 533–534

Received: July 9, 1984; accepted: July 18, 1984

PRELIMINARY NOTE

Electrophilic Fluorination of Aryl Tin and Aryl Mercury Derivatives

MARTIN R. BRYCE, RICHARD D. CHAMBERS and STEPHEN T. MULLINS

Department of Chemistry, University Science Laboratories, South Road, Durham, DH1 3LE (U.K.)

ANN PARKIN

Beecham Pharmaceuticals, Biosciences Research Centre, Epsom, Surrey, KT18 5XQ (U.K.)

SUMMARY

Cleavage of aryl-tin and aryl-mercury derivatives with CF_3OF occurs particularly readily and the mercury derivatives give the corresponding arylfluorine compounds in especially high yield.

There is intense interest in methods for selective fluorination of compounds of biological significance and processes for mild selective fluorination of aromatic systems have been a focus of particular attention. Reagents for so-called 'electrophilic fluorination' have demonstrated the opportunities for mild fluorination of aromatic systems as alternatives to more traditional robust methods. It is well known that organo-tin, -mercury and -thallium derivatives of aromatic systems are cleaved by electrophilic reagents with particular ease, the organometallic moiety being an excellent leaving group in this context. So far, little application of this concept to 'electrophilic fluorination' has been made, although the formation of fluorobenzene from reaction of $PhSnBu_3$ with fluorine has been reported [1]. In the light of a recent communication [2], which reports cleavage of organo-mercury compounds with CH_3COOF, we report here some of our own findings on cleavage of organo-tin and -mercury compounds with CF_3OF.

0022-1139/84/$3.00

Fluorinations were carried out by bubbling CF_3OF through dilute solutions of the organometallic derivative in $CHCl_3$ or CH_2Cl_2 for 0.5 The insoluble organometallic products were removed by filtration to leave a solution of the fluoroaromatic product. Results are shown in the Table.

TABLE

Starting Material	Product	Yield
Ph_4Sn	PhF	22%
Me_3SnPh	PhF	50%
Ph_2Hg	PhF	83%
$MeOC_6H_4HgOAc$	p-FC_6H_4OMe	86%

There are two important points to stress: (a) the yields are very high for cleavage of the mercury compounds; (b) cleavage of ArHgOAc also occurs in high yield. We, with others, [2] are also well aware of the fact that direct mercuration of a variety of activated aromatic compounds is well known and, therefore, this combination of techniques provides a potentially very important new route to a range of selectively monofluorinated aromatic compounds.

We thank S.E.R.C. for a CASE award (to S.T.M.).

1 M.J. Adam, J.M. Berry, L.D. Hall, B.D. Pate and T.J. Ruth, Canad. J. Chem., 61 (1983) 658.

2 G.W.M. Visser, B.W. v. Halteren, J.D.M. Herscheid, G.A. Brinkman and A. Hoekstra, J. Chem. Soc. Chem. Comm., (1984) 655.