

Improved Routes to 21st Century Medicines

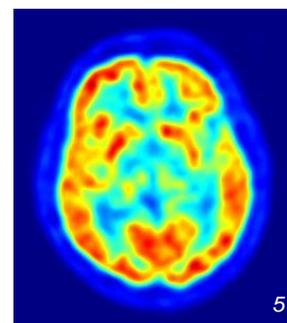
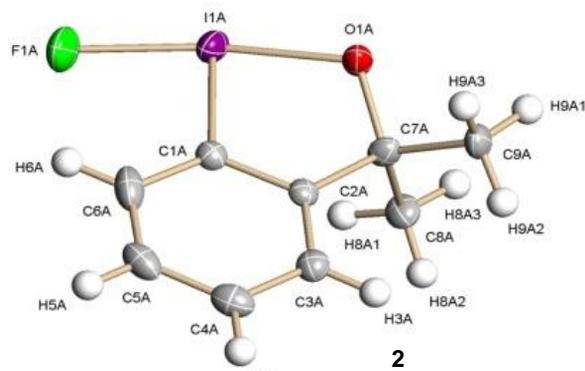
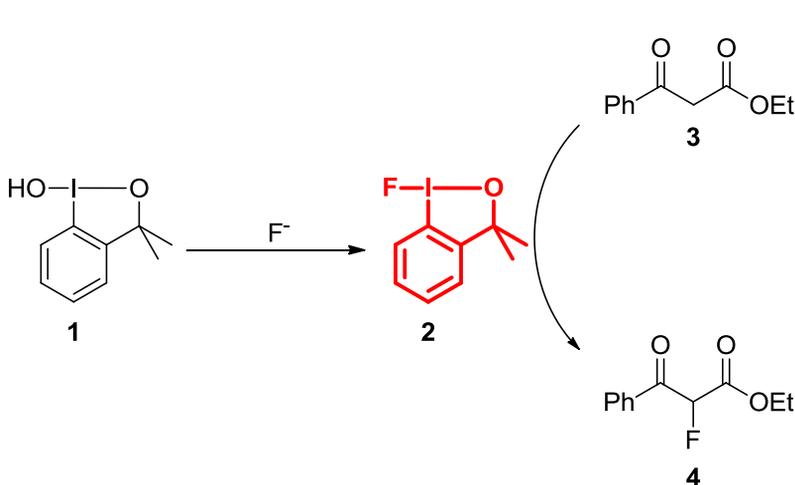
Gemma C. Geary, Eric. G. Hope, A. M. Stuart, Department of Chemistry,
University of Leicester, University Road, Leicester, LE1 7RH
gcg2@le.ac.uk

Fluorine is an element found in group 7 of the periodic table, also known as the **halogens**. Other members of group 7 include chlorine and iodine. The salt form, fluoride, is known to help to prevent tooth decay and is found in most **toothpastes**. Fluorine can have several beneficial effects when incorporated into **drug molecules** such as increased **stability**, altered **lipophilicity** and increased **activity**. A large proportion of the best selling drugs contain at least one fluorine atom. These include the antidepressant, **Prozac**, and the cholesterol lowering drug, **Lipitor**. Fluorine is also found in many **anaesthetics** as well as the **anticancer** drug 5-fluorouracil.

18.998403	9
1681.0	3.98
F	-1
Fluorine	
1s ² 2s ² 2p ⁵	1



The easiest way to incorporate fluorine into a molecule is using the **fluoride anion** which is **cheap** and **easily available**. This is not appropriate however for all types of molecules and the reagents required for these transformations are much more **expensive** and often **dangerous** to use. We have developed a new reagent (**2**) for this purpose which is derived from the **fluoride anion** and is therefore much more **easily accessible** than currently available reagents.¹ It is **very easy to prepare**, can be made on a **large scale** and does not require any specialised equipment or procedures. In preliminary investigations, the new reagent has proved to be **efficient in the fluorination of dicarbonyl compounds**.



In the future, we would like to broaden the range of substrates which can be fluorinated with the new reagent. This could then be expanded to **improve the synthesis of existing drug molecules** in order to make them more cost effective. The **synthesis of new pharmaceutical agents** may be possible. We would also like to use the new fluorinating reagent for **medical imaging**.