Organic & Biomolecular Chemistry

RSC Publishing

PAPER

View Article Online
View Journal

Cite this: DOI: 10.1039/c2ob26659h

A novel sulfonated prosthetic group for [^{18}F]-radiolabelling and imparting water solubility of biomolecules and cyanine fluorophores†‡

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Synthesis and some applications of a novel [^{18}F]-fluorinated prosthetic group based on the promising sultone radiochemistry and suitable for the labelling of amine-containing (bio)chemical compounds are described. The combined sequential use of two easy and efficient conjugation reactions namely the fluoride ring-opening of a 1,3-propanesultone moiety and the aminolysis of an *N*-hydroxysuccinimidyl ester is the key component of this original radiolabelling strategy. The mild reaction conditions and the release of a free sulfonic acid moiety as a result of the [^{18}F]-induced sultone ring-opening reaction, both make this [^{18}F]-conjugation method suitable for the radiofluorination of fragile and hydrophobic biomolecules and fluorophores, particularly by making the separation of the targeted [^{18}F]-tagged sulfonated compound from its starting precursor easier and thus faster. The ability of this unusual prosthetic group to readily introduce the radioisotope within complex (bio)molecular architectures has been demonstrated by (1) the preparation of the first [^{18}F]-labelled cyanine 5.5 (Cy 5.5) dye, a suitable precursor for the construction of hybrid positron emission tomography/near-infrared fluorescence (PET/NIRF) imaging probes and (2) the radiolabelling of a biologically relevant peptide bearing a single lysine residue.

Received 22nd August 2012,
Accepted 2nd November 2012

DOI: 10.1039/c2ob26659h

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