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INVITED LECTURE - Novel ¹⁸F-Radiochemistry

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Fluorine-18 is a widely used positron-emitting radionuclide in positron emission tomography (PET) because of its ideal decay characteristics. It decays by positron emission (97%) with a relatively low energy of maximum 0.635 MeV ensuring highest possible resolution. The half-life of 109.7 min permits extended syntheses of 18F-labelled radiopharmaceuticals and study protocols. Fluorine-18 is generated either as nucleophilic [18F]fluoride or as electrophilic [18F]fluorine gas ([18F]F2). The latter is generally obtained in carrier added form. This limits the attainable specific activity of 18F-labelled products generated by the electrophilic method. High specific activity, however, is often critical with PET imaging. Thus, the synthesis of no-carrier-added (n.c.a.) 18F-labelled products is practically limited to nucleophilic methods.
Therefore, novel 18F-radiochemistry focuses on more efficient routes for the introduction of [18F]fluoride into organic molecules. New methods are being developed for its introduction into electron rich aromatic rings, e.g. by using different types of iodonium salts or triarylsulfonium salts. Recently, the synthesis of a sophisticated palladium-based, electrophilic 18F-fluorinating reagent was described started from n.c.a. [18F]fluoride which allows the synthesis of electron rich [18F]fluoroaryl compounds. Concerning the 18F-labelling of molecules in aliphatic position new developments were made based on enzymatic 18F-fluorination, the use of ionic liquids and protic solvents acting as catalysts. The application of "click chemistry"and thiol-reactive labelling agents facilitate peptide and protein labelling with n.c.a. [18F]fluoride.
All the above mentioned methods make use of the conventional formation of a C-18F bond. The easier formation of phosphorous-, boron- or silicon-18F bonds led to a variety of new strategies for 18F-labelling of macromolecules.
In summary, current and advancing radiochemical methods and technologies will be presented which make use of n.c.a. [18F]fluoride in the preparation of 18F-labelled radiotracers for application with PET.

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