Abstract 015

FULLY AUTOMATED SYSTEM FOR THE PRODUCTION OF [^{123}I] AND [^{124}I]-IODINE LABELLED PEPTIDES AND ANTIBODIES.

P. Bedeschi[a], S. Bosi[a], M. Montroni[a], G.Brini[b], S.Caria[b], M.Fulvi[b], G. Calisesi[b]

a Comecer, Castel Bolognese (RA), Italy

a Nuclear Specialists Associated, Ardea (Roma), Italy.

Radiolabelled amino acids, peptides and monoclonal antibodies are certainly a useful non-invasive diagnostic tools to detect malignant tumours, infectious and inflammatory lesions[1,2]. In combination with the potential of Positron Emission Tomography (PET), the aim of the present study was to develop a fully automated system for the radiolabelling of these new tracers, that avoids any direct manipulation by operators from target production and recovery, to synthesis and purification of the final product.

Nowadays radionuclides used for PET-imaging are generally short-lived isotopes, such as [^{18}F]-fluorine ($t_{1/2}$ = 110 min), but recently the growing need for alternative positron emitters focuses the attention on the long-lived radiohalogen [^{124}I]-iodine ($t_{1/2}$ = 4.17 d). [^{124}I]-Iodine, is a suitable radionuclide for both diagnostic, such as Positron Emission Tomography and therapeutic applications, it decays by positron emission (23.3%) and electron capture (76.7%). Its long half-life permits this isotope to be imaged for more than 4 days, which makes it possible to study the labeled molecule over a longer time period. Furthermore the promising clinical aspect of [^{124}I]-iodine leads research institution and commercial company seeking to produce multi-millicurie quantities for distribution purposes[3], that means a wider geographical area.

A variety of radioiodination methods is supported by a large amount of literature[4,5], preferentially a radioiodine atom is incorporated in a vinylic or aromatic moiety, due to the high strength of the carbon-iodine bond. Therefore, the radioiodination is often implemented by nucleophilic or electrophilic substitution and is more or less predicted by the structural feature of the molecule[6]. Obviously this kind of chemistry is applicable to any iodine isotopes, therefore in addition to [^{124}I]-iodine, our attention is focused on [^{123}I]-iodine too.

[^{123}I]-Iodine has a half-life of 13.2 h, decays by electron capture and its medium energy (E_γ = 159 keV) is ideal for planar imaging and for Single Photo Emission Computed Tomography (SPECT), a lower cost diagnostic tool compared to PET.

The production of both [^{123}I] and [^{124}I]-iodine radionuclides is based on a low-energy (p, n) reaction at a small-sized (14 MeV) cyclotron, using TeO_2-target technology and dry distillation

[1] Journal of Labelled Compdounds & Radiopharmaceuticals, 2008, 51, 48-53
[2] International Journal of Cancer, 19991, 47, 3, 344-347
[3] Applied Radiation and Isotopes, 2007, 65, 407-412
[4] Bolton, 2002; Glaser et al., 2003; Adam & Wilbur, 2005
[5] Bioconjugate Chem., 1990, 1, 154-161
[6] Journal of Labelled Compounds and Radiopharmaceuticals, 2005, 48, 241-257

method of radioiodine separation[7,8,9,10]. The collected radioiodide is then delivered to a fully-automated module for the product labeling. The module is built with the concepts of the "disposable cassette", so all the components that get in contact with the product are disposable; this structure avoids the module contamination. Finally the labeled compounds are allowed to pass through an HPLC purification system connected at the end of the synthesis module. The figure 1 below shows a schematic illustration of the fully automated process.

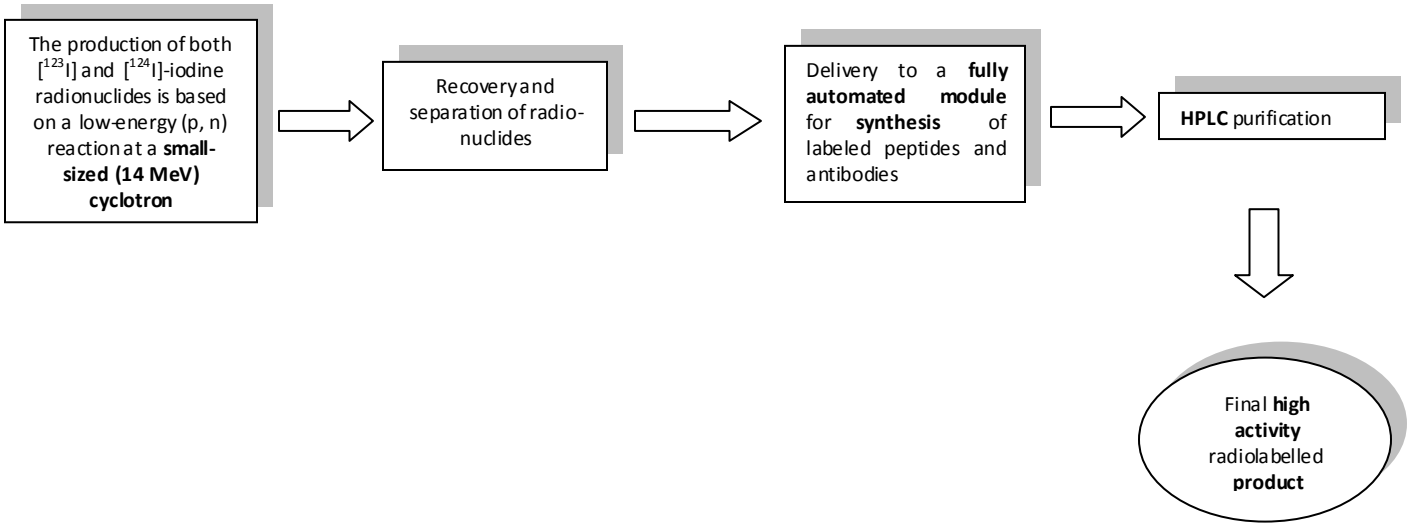

Figure 1 Schematic illustration of the fully automated system

In conclusion we develop a fully automated system for the high activity production of iodo-labelled peptides and monoclonal antibodies, high-lived pharmaceuticals for PET and SPECT imaging. Due to the automated process applied from the radio-isotopes production and separation to the synthesis and purification of the final products, the operators are completely shielded from radiation. The use of [^{123}I] and [^{124}I]-iodine, medium and high -lived radionuclides permits longer term studies and a wider geographically distribution.

[7] Applied Radiation and Isotopes, 2003, 58, 69-78
[8] Radiochim. Acta, 2000, 88, 169-173
[9] Applied Radiation and Isotopes, 2007, 65, 407-412
[10] Journal of Radioanalytical & Nuclear Chemistry, 1996, 213, 2, 135-142