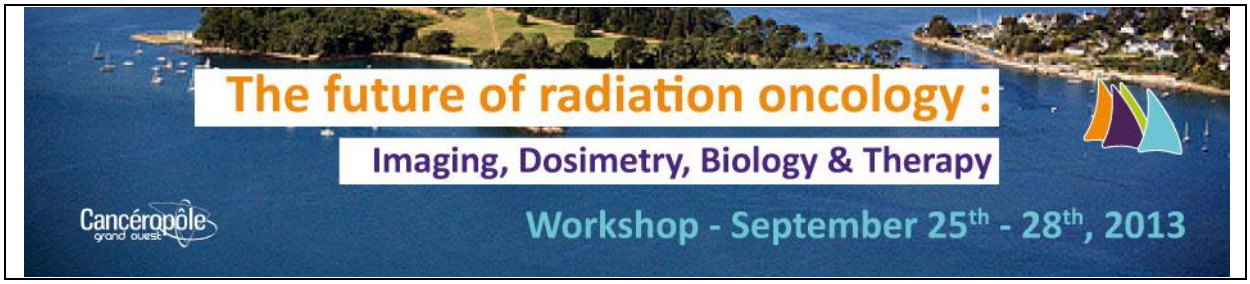

"Indium-111 Radiolabelled Peptide towards the Estrogen Receptor "

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Breast cancer remains a public-health issue, although a decline in mortality rate has been observed in recent years [1]. The implication of the estrogen receptor (ER) is well corroborated by the fact that about 60-70% of human breast cancers are ER-α positive. Despite the development of specific hormonal therapies, many patients become resistant to the endocrine treatment and develop metastasis by mechanisms that are still unclear [2].

In the last years there has been evidence that in addition to the classical genomic action, the ER is also involved in non-genomic responses that have proliferative and anti-apoptotic effects in cancer cells. The interaction between ER-α and the Src kinase that occurs upon stimulation by estradiol is known to elicit an acute signaling pathway that leads to increased DNA synthesis. To block this association a six amino acid ER-mimicking peptide, **pY-pep** (Ac-Leu-pTyr-Asp-Leu-Leu-NH_2) was described [3].

Radiometallated peptides has been pointed out as important tools to localize and treat cancer. Peptides that play important roles in specific pathological processes have been identified and radiolabelled by using a bifunctional chelator (BFC) that coordinates the metal and presents an adequate functionality for the coupling to the peptide [4].

In the present work, the **pY-pep** was synthesized in an automated synthesizer and coupled to a DTPA moiety using solid phase synthesis. The resulting conjugate (DTPA-pY-pep) was radiolabelled with Indium-111 (^{111}In) with high radiochemical yield and purity. The choice of ^{111}In was based on the radionuclide simultaneous emission of gamma-rays and Auger electrons, which gives the possibility of both diagnostic and therapeutic applications. The *in vitro* stability was assessed in PBS (pH=7.4), in the presence of excess of apo-transferrin, and in human serum at 37ºC. Further studies are underway to evaluate the potential of the ^{111}In-complex as imaging/therapeutic agent targeting the non-genomic ER action in cancer cells.

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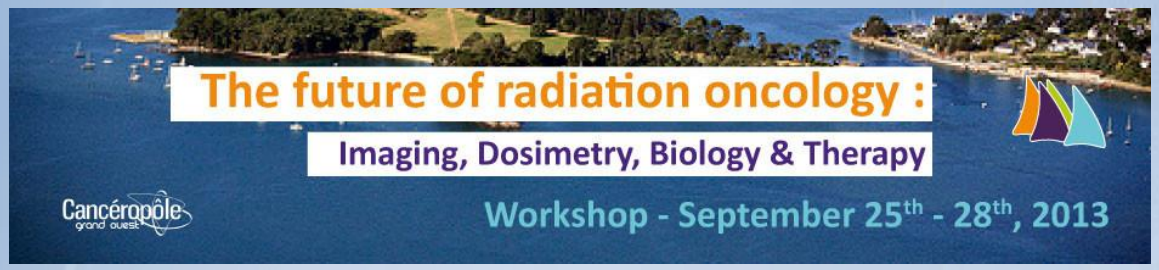

^{111}In Radiolabelled Peptide Towards the Estrogen Receptor

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