Radiopharmaceutical compound and composition for Positron Emission Tomography (PET) imaging of interleukin-2 receptor positive cells, process for the preparation thereof, related kit and uses thereof.

	Priority Number	Scansione ESI TIC Frag=200.0V IL2
KEYWORDS	Patent Type Patent for invention.	<b>1</b> <sup>2</sup> <b>1</b> <sup>2</sup> <b>1</b> <sup>2</sup>
NUCLEAR MEDICI- NE IMAGING	<b>Ownership</b> Sapienza Università di Roma 100%.	0 2 4 6 8 10 12 14 16 18 20 22 24 26 28 Conteggi vs Tempo (min) Lista del picchi Picco Start RT Fine Altezza Area
<ul><li>T LYMPHOCYTES</li><li>ONCOLOGY</li><li>DIAGNOSIS</li></ul>	<b>Inventors</b> Signore Alberto, Galli Filippo.	1     16.7     17.1     18     12360860     3972563       Scansione ESI TIC (16.9-17.8 min, 55 scans) Frag       x10 <sup>5</sup> 2-
AREA	Industrial & Commercial Reference Pharmaceutical industries; Biomedical research centres; Hospital radiopharmacies.	1 1 1 1 1 1 1 1 1 1 1 1 1 1

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> EMAIL u brevetti@uniroma1.it End of TRL-5/Beginning of TRL-6. The radiopharmaceutical product has already been characterized in vitro and in vivo (preclinical). It is ready for human clinical trials (IND).

### Availability

Time to Market

Cession, Licensing, Research, Development, Experimentation and Collaboration.



# 1000

L2 (\*) and conjugated IL2 (\*\*). This graph proves that the difference in the mass is caused by the addition of a chelator after the conjugation step.



### Abstract

The proposed invention is a kit for the production ofa radiopharmaceutical detectable by positron emission tomography (PET) without the need to have access to a cyclotron. The invention finds application in the nuclear medicine imaging field for the non-invasive visualization of activated T lymphocytes in various pathologies (from autoimmune diseases to cancer). At the same time, it can be used in a pre-clinical phase for drug discovery, basic research or any other field involving activated T lymphocytes.

### Pubblicazioni

Markovic, S.N., Galli, F., Suman, V.J., Nevala, W.K., Paulsen, A.M., Hung, J.C., Gansen, D.N., Erickson, L.A., Marchetti, P., Wiseman, G.A., Signore, A. Non-invasive visualization of tumor infiltrating lymphocytes in patients with metastatic melanoma underaoina immune checkpoint inhibitor therapy: A pilot study (2018) Oncotarget, 9 (54), pp. 30268-30278. Diabetes Care. 2015;38:652.

Fig. 2 Results of the saturation binding assay of radiolabeled IL2 on activated T cells: the graph shows total binding (circles), unspecific binding (squares) and specific binding (triangles) to IL2 receptor.

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# **Technical Description**

The present invention refers to a radiopharmaceutical and to its chemical / pharmaceutical composition to be used by positron emission tomography (PET) for the imaging of activated T cells, including its preparation process, the formulation in the form of a kit and its uses. In particular, the present invention comprises a form of radiolabelled protein at room temperature and without the need for the use of a cyclotron or synthesis module. The invention includes the formulation of a kit that includes the precursor, to which it is sufficient to add the radioisotope to obtain the abovementioned radiopharmaceutical. ready to be used. It also includes the preparation process and the use of the radiopharmaceutical in the medical and diagnostic fields.



**Fig. 3** Results of the Immunoreactive fraction assay of radiolabeled IL2 on activated T-cells; The graph is an inverted plot from which the formula to calculate the %IRF has been extrapolated.

## Technologies & Advantages

Currently, there are no commercially available tools for selective in vivo detection of cells expressing the IL2 receptor by PET imaging. In addition, to bind a metal radioisotope to a protein stably, it is necessary to use a bifunctional chelator. This usually requires that the reaction is carried out at 95° C, causing protein denaturation. Therefore, these chelators cannot be used for large thermolabile proteins such as IL2.

The method described in the present invention overcomes these disadvantages, because it allows the synthesis of a radiopharmaceutical at room temperature and without the need for the use of an automated synthesis module.

The invention includes a kit containing everything necessary for the production of the radiopharmaceutical composed of IL2 radiolabelled with 68Ga, with high specific activity and receptor affinity, at low cost. The radiopharmaceutical can be injected without the need for further purification and would find application in all pathologies involving activated T lymphocytes, from autoimmune diseases to cancer.

# Applications

<u>Clinical field:</u> scintigraphic imaging of T lymphocytes activated for diagnosis and / or planning of the therapeutic approach in autoimmune diseases, atherosclerotic plaques, infections, cancer (immunotherapy).

<u>Preclinical field</u>: scintigraphic imaging of T lymphocytes activated for basic research, drug discovery, non-invasive follow-up of pathology models.



Fig. 4 Illustration of the contents of the kit.



Fig. 5 Radiopharmaceutical preparation prior to injection.



Fig.6 Scintigraphic image that shows T lymphocyte accumulation in an inflamed metastases.



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