Radiodrug for diagnostic/therapeutic use in nuclear medicine and radio-guided medicine.

KEYWORDS

- ❑ RADIOPHARMA-CEUTICAL
- ❑ RADIO-GUIDED SURGERY
- ❑ CANCER
- ❑ NUCLEAR MEDICINE
- ❑ THERAGNOSTICS

AREA

- ❑ PHARMACEUTICAL

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Patent Type
Patent for invention.

Co-Ownership
Sapienza Università di Roma 70%, Università Cattolica del Sacro Cuore 10%, Fondazione Policlinico Gemelli 20%.

Inventors
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Industrial & Commercial Reference
Pharmaceutical companies involved in the development and marketing of theragnostic radiopharmaceuticals for hospital use.

Time to Market
TRL_3; pre-clinical phase (animals) nearing completion; clinical phase (ex vivo and in vivo studies) will take at least 3-4 years; estimated TTM 5 years.

Availability
Cession, Licensing, Research, Development, Experimentation, Collaboration and Spin-off.

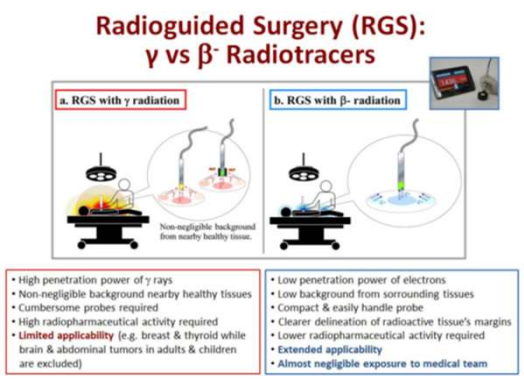

Fig. 1 Comparison of γ and β- emitting tracers in Radio-Guided Surgery (RGS).

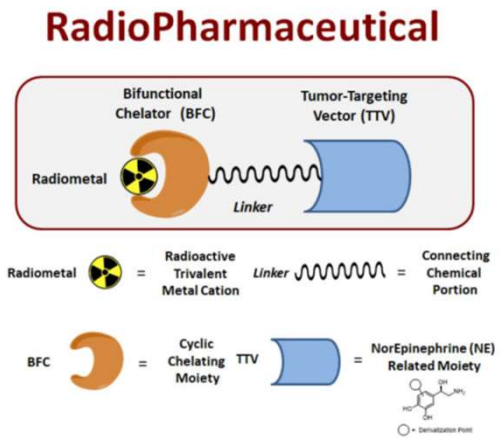

Fig. 2 Description of the Radio-Pharmaceutical (RP).

Abstract
The invention described in the present patent application is about a theragnostic radiopharmaceutical (RP) structurally related to the neurotransmitter norepinephrine which, because of this similarity, is the substrate of the axonal norepinephrine transporter (NET). Depending on the specific radiometal incorporated, this RP can be potentially useful both as a tracer for the surgical removal guided by beta minus radiation and for diagnostic imaging and radiometabolic therapy of the neuroendocrine tumors hypersecreting catecholamines that over-express the NET (pheochromocytomas, paragangliomas, neuroblastomas, etc.).

Pubblicazioni

- ❖ A novel radioguided surgery technique exploiting β- decays. Camillocci ES, Baroni G, Bellini F, Bocci V, Collamati F, Cremonesi M, De Lucia E, Ferroli P, Fiore S, Grana CM, Marafini M, Mattei I, Morganti S, Paganelli G, Patera V, Piersanti L, Recchia L, Russomando A, Schiariti M, Sarti A, Sciubba A, Voena C, Faccini R. Sci Rep. 2014 Mar 20;4:4401.

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Technical Description

The theragnostic RP described in the present patent application consists of 4 main portions: a pharmacophoric group which mimics the neurotransmitter norepinephrine (NE) and contributes to making the RP in its entirety as a preferential substrate of the NE transporter (NET), a connection unit to which a bifunctional chelating group is linked, and finally a trivalent radioactive metal cation. This RP has the ability to be preferably detected by tumor cells that over-express the NET, along with a low intrinsic toxicity. Depending on the radiometal (β^-, β^+, or γ emitter) chelated inside, the resulting RP can potentially be used for β^- radio-guided surgery, therapy, and imaging of neuroendocrine tumors with catecholamines hypersecretion.

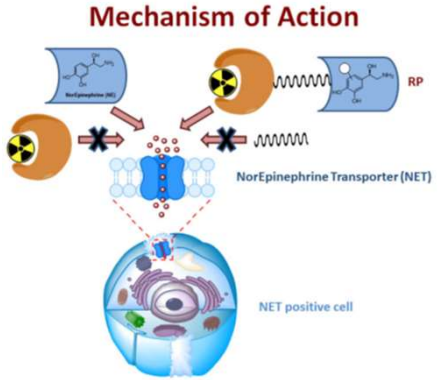

Fig.3 RP's mechanism of action.

Technologies & Advantages

The main advantage over the state of the art of the RP described in this patent application is that, if labeled with a pure β^- emitter such as $^{90}Y^{3+}$ and used in combination with the probe described in the application PCT/IT 2014/000025, potentially allows to extend the application field of radio-guided surgery (RGS) to neuroendocrine tumors which over-express the norepinephrine transporter (NET) for which until now the RGS has been substantially ineffective and never applied in Italy. A technological advantage of this RP over the MIBG (Meta-Iodo-Benzyl-Guanidine), the current gold standard among theragnostics used in tumors over-expressing the NET and the compounds described in patent WO 99/52861 A1, is that, since in the absence of a radiometal chelated inside the resulting molecule loses the ability to be a substrate of the NET, the RP object of this invention can potentially be used in theragnostics in the presence of a large excess of the unlabeled precursor. Therefore, even if prepared with simplified and fast procedures that exclude the always expensive final step of post-labeling purification, it can be formulated and used in preparations with low specific activity.

Applications

The theragnostic RP described in this industrial patent application may have different applications depending on the specific metal radionuclide incorporated. When the radiometal is represented by the cation $^{90}Y^{3+}$, the RP can potentially be used as a tracer for the surgical removal guided by β^- radiation of neuroendocrine tumors secreting catecholamines that over-express the NET (pheochromocytomas, paragangliomas, neuroblastomas, carcinoid cancers, thyroid marrow cancers, etc.). When the radiometal is $^{68}Ga^{3+}$ or $^{111}In^{3+}$, the RP can be used for PET or SPECT imaging of these tumors, respectively. Finally, when marked with $^{177}Lu^{3+}$ it can act as a proper theragnostic for radiometabolic therapy and for the simultaneous scintigraphy imaging of NET positive tumors.

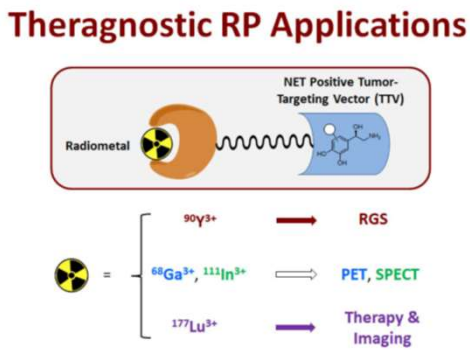

Fig.4 Theragnostic applications of RP.

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