

"Bifunctional Chelating Agent for ^{225}Ac " (1) and "Synthesis of a novel bifunctional chelating agent for ^{225}Ac complexation" (2)

Description

The two inventions relate to immunotherapy, the treatment of cancers with radio labelled antibodies. This technique utilises radionuclides in association with antibodies or peptides specific to antigens expressed on tumorous cells. To bind a radionuclide to a vector, it is necessary to use bifunctional agents with two specific binding sites. Consequently, the inventions describe three bifunctional chelating agents for metals and especially for actinides and lanthanides, and even more specifically for ^{225}Ac . Three bifunctional vectors — macrocycle ligands of the type polyaza polycarboxylic or polyphosphonic — their method of synthesis, and their uses are disclosed in the inventions.

These ligands are useful for radiolabelling proteins with radioactive metals and are used in radioimmunoimaging and/or radioimmunotherapy. The ligands firmly link actinium to proteins, minimise metal release, and permit a highly selective delivery of particles to targeted sites in vivo, avoiding thereby collateral damages to non-tumorous cells. The utilisation of ^{225}Ac allows efficient destruction of tumour cells while sparing normal cells (short range of α -particles ($<100\mu\text{m}$) and high energy transfer).

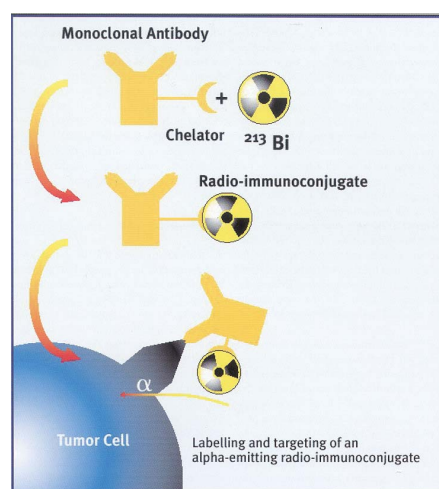
Besides immunotherapy applications, the ligands can also be used to bind ^{225}Ac to any biological or non-biological structure for different kind of applications. They can also be used alone to serve as detoxification agents or grafted on a chromatographic column to purify or concentrate any solution containing ^{225}Ac . Finally the ligands can also be used in the process of separation of minor actinides or lanthanides in nuclear waste or to separate specific groups of metals in high level waste.

Areas of application

- Radioimmunotherapy
- Radioimmunoimaging
- Detoxification agents
- Chromatography
- Extraction techniques

Innovative aspects and main advantages

- High affinity for Actinide and Lanthanide, ^{225}Ac in particular.
- Possibility to use the ligand for other applications



Stages of development

Priority date: 13/09/2001 (1); 14/03/2000 (2).

Patents granted: US7045606 & NZ531301 (1); U90544, AU2001242453, NZ520903, & US7132513 (2).

Patents are pending in: AU CA EP IL JP NO for patent 1
CA EP NO JP for patent 2

Scientific Contact

Dr. Christos Apostolidis
European Commission
Joint research Centre, ITU
D-76125 Karlsruhe, Germany
Tel: (+49) 72 47951 389
Email address: Christos.apostolidis@ec.europa.eu

Licensing Contact

Intellectual Property and Scientific Collaboration Unit
DG JRC - European Commission
B-1049 Brussels, Belgium
Email: JRC-TechTransfer@ec.europa.eu
Reference: file n°2675