PREPARATION AND CHARACTERIZATION OF IMMUNOCONJUGATES AS AN INITIAL STEP FOR TARGETEDALPHATHERAPY

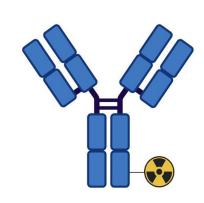
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The basic principle of targeted alpha therapy (TAT) is that the alpha-emitting radionuclide is attached to specifically designed biomolecules, formulated as conjugates from antibodies, peptides and other small molecules.



Monoclonal antibody as a vector to attached alpha radioisotope

The design and characterization of the immunoconjugates, stand as critical steps in ensuring the efficacy of treatment, especially when they are employed for labeling with radionuclides, encompassing both beta and alpha emitters.

Astatine-211 as an alpha emitter that has been of great interest in recent years can significantly provide the combined success of its cytotoxic power and the specificity of the targeting agent.

The preparation of the immunoconjugates involves several steps and procedures, starting with the purification of the antibody using methods such as ultracentrifugation or gel filtration.

This antibody can be derived either from a commercially available product or produced in the laboratory.

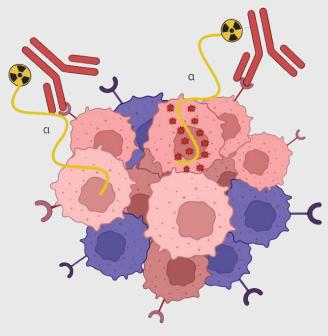
Different chelators or prosthetic groups are used for conjugation, with the choice depending on the selected target molecule. Characterization of the immunoconjugates is a crucial step to assess their quality and functionality.

Various analytical techniques could be employed to determine structural integrity and purity, including mass, Raman and infrared spectrometry, size exclusion chromatography, or electrophoresis.

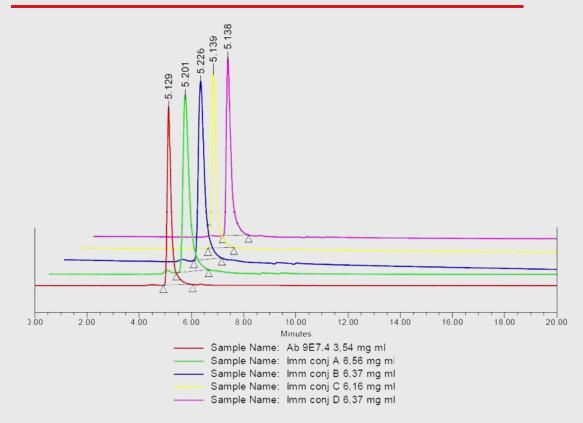
To enhance the stability of the product, further freezedrying processes can be conducted using established protocols.

Ongoing research in this field aims to establish protocols for preparing immunoconjugates and defining minimum quality criteria for these preparations.

This progress could represent a significant step forward for in-vivo examinations and holds promise for the future of cancer research treatment using alpha radiotherapy.



Graphical representation of cancer cell with expressed surface antigen - target for radioimmunoconjugates from mAb and alpha isotope astatine-211



Overlay chromatograms, size exclusion chromatography for immunoconjugate characterization

Further characterization of the immunoconjugates include:

- MALDI TOF analysis
- Electrophoretic analysis
- Vibrational spectroscopic analysis
- Stability of prosthetic group

$$\frac{\text{NaX}}{\text{X} = ^{125}\text{I or }^{211}\text{At}}$$

The nucleophilic single-step approach of radiolabeling with a tatine-211 or iodine-25

Control steps for prepared radioimmunoconjugates:

- Radiochemical yield
- Radiochemical purity
- Immunoreactivity

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