Radio-Metal Trioxo Complexes for Bioorthogonal Click Cycloaddition in Targeted Radionuclide Therapy and Imaging

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CONTACT

Donna M. Cyr
cyr@tia.ucsb.edu
tel: .

INVENTORS

- Stec, Grant J.
- Wilson, Justin J.

OTHER INFORMATION

KEYWORDS

Imaging, Cancer, Cancer Treatment, Radionuclide Therapy, Radionuclide, TRT, Targeted Radionuclide Therapy, Radiopharmaceutical, Radiobiological Research, Therapeutics, Rhenium, Technetium

CATEGORIZED AS

- **Biotechnology**
  - Health
- **Medical**
  - Disease: Cancer

RELATED CASES

2026-566-0

BACKGROUND

Targeted radionuclide therapy (TRT) is a highly effective method of cancer treatment that delivers radionuclides to malignant cells, introducing cellular damage that leads to cell death. Targeted and selective delivery is achieved in one of two ways: by leveraging the intrinsic biodistribution of the radiopharmaceutical or by attaching specific targeting vectors (e.g., antibodies and peptides) to the radiolabeled complexes. In the second approach, radiometals are chelated by bifunctional chelators comprising an organic chelator, to stably bind the radiometal, and a linker terminated with a reactive functional group to which the targeting vector is conjugated. The conjugation of the chelated radiometals plays a fundamental role in the targeted delivery and utility of the radiolabeled constructors, and improved compositions and methods for preparing complexes suitable for targeted radionuclide therapy and imaging are needed.

DESCRIPTION

Researchers at the University of California, Santa Barbara have formulated novel rhenium and technetium trioxo complexes formed directly from perrhenate and pertechnetate anions, designed for use in TRT and imaging. The complexes utilize simple tripodal chelators (Tp and Tpm*) and undergo highly efficient bioorthogonal click cycloaddition with strained alkenes (trans-cyclooctene) at room or physiological temperatures. This approach bypasses the need for complex bifunctional chelator synthesis by enabling the radiometal core to act as the reactive partner, facilitating rapid, stable conjugation to targeting vectors functionalized with strained alkenes. The resulting novel, radiolabeled complexes are thermally stable under aqueous conditions and allow selective delivery of radioactive isotopes (such as technetium-99m and rhenium-188) to targeted cells or subcellular components both in vitro and in vivo, supporting improved cancer diagnostics and therapy.

ADVANTAGES

- Facile synthesis from readily available radioactive precursors (perrhenate and pertechnetate anions)
- Rapid and bioorthogonal click chemistry occurring directly at the radiometal–trioxo core
- Avoids multistep synthesis of bifunctional chelators linked to organic reactive groups
- Operates efficiently at room or physiological temperatures
- Thermally stable complexes suitable for aqueous biological conditions
- Enables pretargeting strategies for in vivo selective radiolabel delivery
- Reduces radioactive decay loss by minimizing synthetic preparation time

APPLICATIONS

- Targeted radionuclide therapy for cancers
- Radiopharmaceutical imaging agents utilizing technetium-99m
- Pre-targeting strategies in precision oncology for selective radiolabel delivery
- In vitro subcellular radiobiological research and diagnostics
- Development of novel radiolabeled therapeutics with improved safety and efficacy profiles

PATENT STATUS

Patent Pending

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Picolinate-Based Acyclic Ligand for Rare Earth Extraction and Separation

University of California, Santa Barbara
Office of Technology & Industry Alliances
342 Lagoon Road, ,Santa Barbara,CA 93106-2055 |
https://www.tia.ucsb.edu
Tel: 805-893-2073 | Fax: 805.893.5236 | padilla@tia.ucsb.edu