CYCLE DE CONFÉRENCES DE CHIMIE

Avec le concours de : Université Clermont Auvergne
INP Clermont Auvergne

Jeudi 13 juin à 16 h

Amphi Rémi (site des Cézeaux)

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Université de Bretagne Occidentale, UMR 6521 CEMCA, Brest

Strategies for Matching Azachelators with Cationic Radiometals in Nuclear Medicine: Approaches and Justifications

Within the vast range of ligands, the family of **tetraazamacrocycles** stands out for the efficiency and versatility of their metal chelation. These ligands are easily functionalized with *N*-appended coordinating arms to match the coordination sphere of targeted metals, making them ideal for numerous radionuclides (e.g., ^{64}Cu, ^{68}Ga, ^{89}Zr, ^{111}In, ^{166}Ho, ^{177}Lu, $^{213/212}$Bi, ^{149}Tb, ^{90}Y, ^{67}Cu, ^{212}Pb) in safe radiopharmaceuticals.

Copper, in particular, garners significant interest due to isotopes suitable for radiotherapy (^{67}Cu) and PET imaging (^{64}Cu). As a divalent cation, copper prefers donor atoms such as amines and carboxylates, forming complexes with high coordination numbers. Chelators suitable for Cu(II) radiopharmaceuticals yield thermodynamically stable and inert complexes, preventing transchelation by biological ligands or bioreductants. They also exhibit good water solubility and fast metal complexation.

Expanding beyond copper, the chelation of lanthanides offers significant promise for both therapeutic and diagnostic applications due to their favorable nuclear properties. Lanthanides such as ^{161}Tb and ^{177}Lu (and ^{90}Y, considered as a lanthanide analog) are particularly notable for their use in targeted radionuclide therapy and imaging, leveraging similar coordination chemistry principles.

To enable site-specific delivery of radiation, an appropriate conjugation group must be introduced into the chelator structure (without affecting its properties) to allow its grafting on a biovector (typically a peptide or an antibody). The chelate Designing such chelators involves numerous challenges in organic and coordination chemistry.

This presentation will detail our work on the complexation of metals relevant to nuclear medicine, from the synthesis and study of metal chelators to their bioapplications. Special focus will be given to the complexation of **^{90}Y, ^{161}Tb, ^{177}Lu, ^{64}Cu, and ^{67}Cu**: ligand **synthesis, conjugation, complexation, radiolabeling and in vivo/in vivo studies will be presented**.

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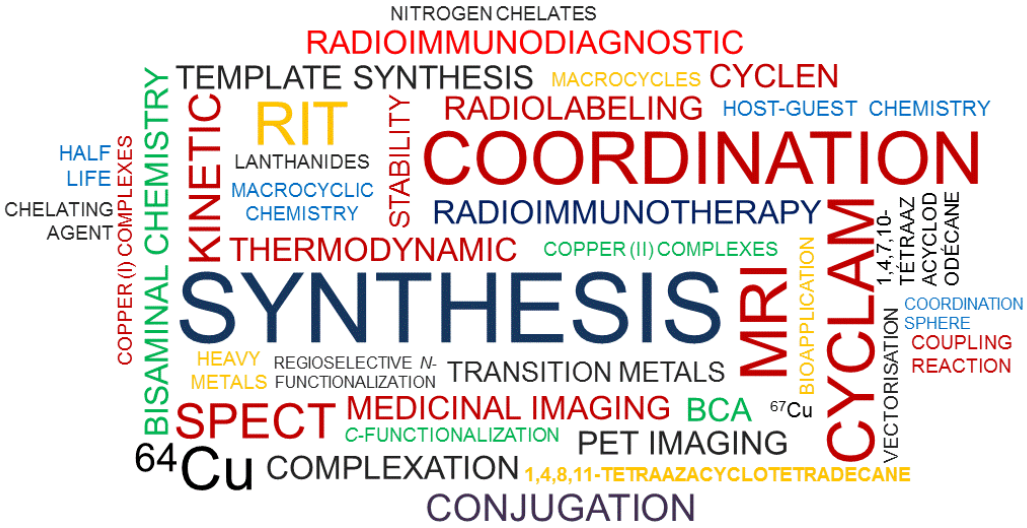
NITROGEN CHELATES
RADIOIMMUNODIAGNOSTIC
TEMPLATE SYNTHESIS
MACROCYCLES
CYCLEN
RADIOLABELING
HOST-GUEST CHEMISTRY
RIT
COORDINATION
LANTHANIDES
MACROCYCLIC CHEMISTRY
RADIOIMMUNOTHERAPY
THERMODYNAMIC
COPPER (II) COMPLEXES
SYNTHESIS
HEAVY METALS
REGIOSELECTIVE N-FUNCTIONALIZATION
TRANSITION METALS
SPECT
MEDICINAL IMAGING
BCA
67Cu
C-FUNCTIONALIZATION
PET IMAGING
64Cu
COMPLEXATION
1,4,8,11-TETRAAZACYCLOTETRADECANE
CONJUGATION
HALF LIFE
CHELATING AGENT
COPPER (I) COMPLEXES
BISAMINAL CHEMISTRY
KINETIC
STABILITY
MRI
BIOAPPLICATION
CYCLAM
VECTORISATION
1,4,7,10-TÉTRAAZ ACYCLOD ODÉCANE
COORDINATION SPHERE
COUPLING REACTION