

# Synthesis of triazacyclononane and novel N/C functionalized derivatives

triazacyclononane / chelating agent / radioelement / metals / chemistry / synthesis / medical imaging



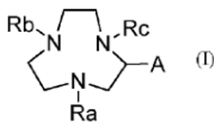
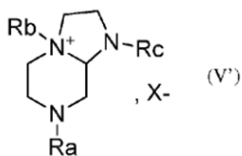
## CONTEXT

Polyazamacrocycles and their derivatives are nitrogenous macrocycles known for their sequestering properties against transition metals and heavy metals. Among these macrocyclic systems, the derivatives of the tridentate ligand 1,4,7-triazacyclononane (TACN) have very good chelating properties, making them suitable for use in many applications, from catalysis to chelation of radiometals for medical imaging and therapy.

## DESCRIPTION

The invention concerns the easy preparation of TACN and its N- and C-functionalized derivatives (formula I) via the key bicyclic intermediary (formula V'). The synthesis method developed by researchers at the Institute of Molecular Chemistry of the University of Burgundy is much more efficient than existing ones in terms of reaction conditions, yield, cost and production of waste and by-products.

In particular, the invention provides access to TACN's C-functionalized derivatives, which are important precursors of bifunctional chelating agents for the conjugation of biomolecules (peptides, antibodies, etc.) and the chelation of radioelements.



## COMPETITIVE ADVANTAGES

- More efficient synthesis process: fewer steps, no drastic conditions, less waste
- Access to a new family of bifunctional chelating agents (C-functionalized derivatives)
- More cost-effective synthesis than existing ones



## Markets & applications

**Pharmaceutical chemistry:**  
chelating agents for radioelements used in medical imaging (PET or SPECT) and/or radiotherapy

**Environmental chemistry:**  
treatment of contaminated effluents (toxic heavy metals and radioactive elements)



## Development stage

Synthesis of TACN and its C-functionalized derivatives at the scale of hundred grams; radiolabeling studies (<sup>68</sup>Ga and <sup>64</sup>Cu) and *in vivo* PET imaging on small animals (breast cancer models)



## Intellectual property

European patent issued (PCT of 21/11/2013); US patents issued (filed on 13/11/2013)



## Target partnership

Patent licensing

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