

P-007 Amphiphilic dendrimers for encapsulation of transition metal Complexes

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INTRODUCTION AND OBJECTIVES

The D-xylose and L-arabinose, derived from renewable agricultural resources, are building blocks of choice for the formation of various molecules with a broad spectrum of application. In a first approach, from the pentoses, we have prepared single-chain or bicatenar surfactants (Muzart 2001, Estrine 2004, Estrine 2005, Hadad 2006, Damez 2007, Pradeau 2008, Bouquillon 2010, Deleu 2011). Recently, we have chosen to valorize these sugars *via* the preparation of silicon- or phosphorus-based glycodendrimers amphiphilic having a chiral surface (Camponovo 2009, Hadad 2009, Gatard 2011). Thus, these compounds possess the particularity to behave as micelles for a possible use in biphasic enantioselective catalysis or encapsulation field.

MATERIALS AND METHODS

PolyPropylenelmines (PPIs) and glycerol carbonate were used as starting materials. Classical methods of organic synthesis were employed (see conditions on figure 1). The purification of glycerodendrimers was realized by precipitation or dialysis experiments. Analyses were performed by NMR, DOSY NMR, IR, mass spectroscopy, elemental analysis, ICP AES and relaxivity experiments.

RESULTS AND DISCUSSION

The modification of nitrogen-based dendrimers (Balieu 2010, Balieu 2011) was performed by grafting hydrophilic entities derived from glycerol carbonate. The syntheses were realized with good to excellent yields and 5 generations of glycerodendrimers were prepared (figure 1).

After fully characterization of them, considering the dendrimer sizes (Figure 2), it was evident to study the capacity of complexes encapsulation of only GD-PPI-4 and GD-PPI-5.

In order to investigate the container properties of the GD-PPI glycerodendrimers, their encapsulation ability was tested towards various metal chelates (figure 3).

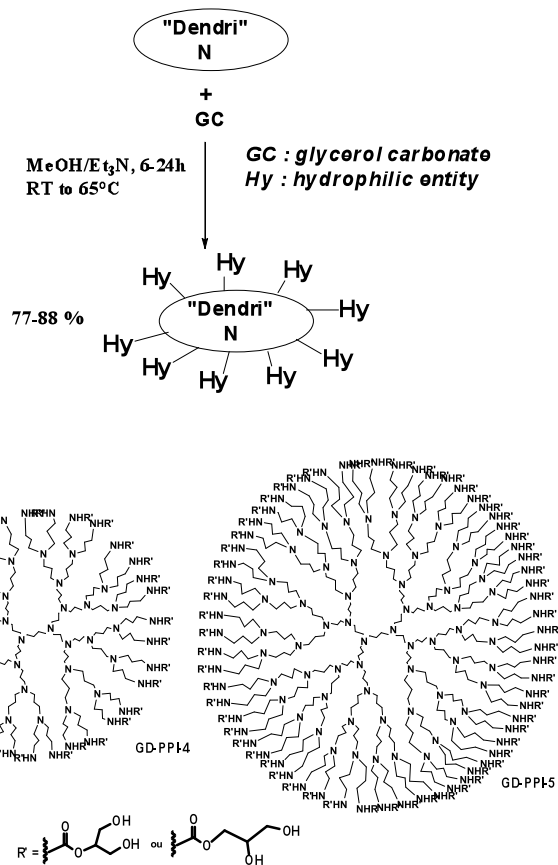


Figure 1: Synthesis of glycerodendrimers

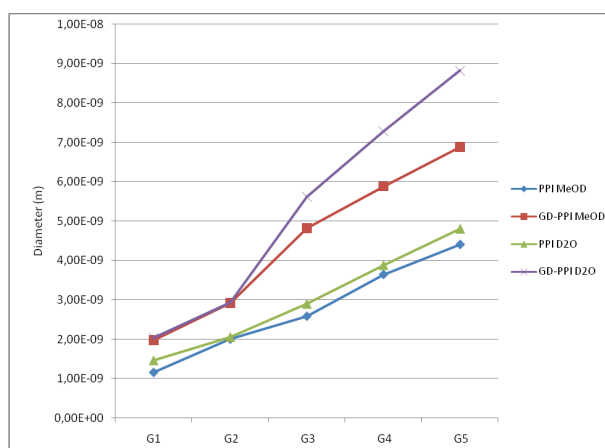


Figure 2: Dendrimer size evaluated by DOSY experiments

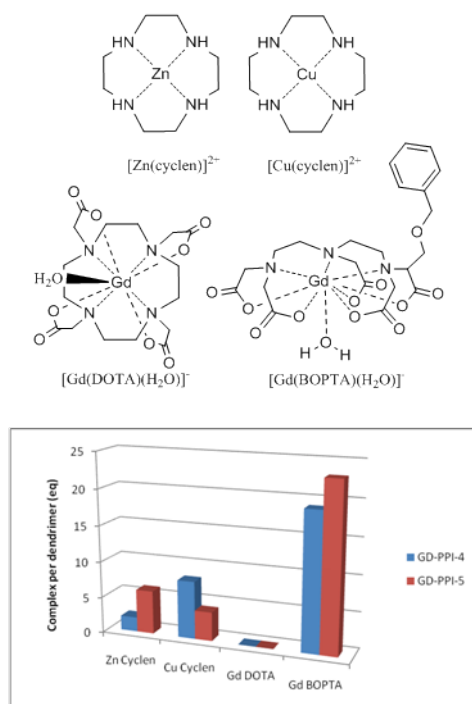


Figure 3: Encapsulation results

Dendrimer's cytotoxicity was tested using MRC5 fibroblasts and as expected, the grafting hydrophilic entities led to a decrease in their toxicity.

CONCLUSIONS

PolyPropyleneImines (PPIs) functionalized by glycerol-based entities were synthesized and fully characterized. Showing low cytotoxicity against MRC5 fibroblasts, their encapsulation capacities were evaluated towards copper, zinc and gadolinium complexes. T1 measurements were performed to determine the relaxivity of the encapsulated GdBOPTA in dendrimers of fourth and fifth generation (GD-PPI-4 and GD-PPI-5). Comparison of the GdBOPTA relaxivity and the relaxivity of GdBOPTA loaded dendrimers showed a slight increase of the gadolinium chelate relaxivity.

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