1,4,7,10-tetraazaciclododecane-1-(6-amino)hexanoic-4,7,10-triacetic acid: A new DOTA-based chelator for medical imaging

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DOTA(1,4,7,10-tetraazaciclododecane-1,4,7,10-tetraacetic acid)-based chelators (N4O4 denticity) can complex a variety of metal ions, with different coordination chemistry and high kinetic and thermodynamic stability. In medicinal chemistry there is a significant effort to conjugate these chelators to different types of biomolecules in order to increase their biospecificity which is crucial in diagnosis and treatment procedures [1]. In this work a new bifunctional DOTA-based chelator was prepared (Figure 1) by reacting a 6-amino-2-bromohexanoic acid derivative obtained from lysine with a 1,4,7,10-tetraazaciclododecane, followed by alquilation with \( t \)-butyl bromoacetate to give the tetra-alquilated pro-chelator. Due to the presence of an amino group this compound can be easily conjugated with biomolecules such as peptides and complexed with metal ions such as Gd(III) (MRI applications) or Ga(III) (PET and nuclear scintigraphy) without decreasing the kinetic and thermodynamic stability in comparison to those of the analogous DOTA chelates.

Figure 1 - 1,4,7,10-tetraazaciclododecane-1-(6-amino)hexanoic-4,7,10-triacetic acid.

\textbf{Acknowledgements:} FCT-Portugal and FEDER/COMPETE through CQ-UM and PhD grant of A. Fontes (SFRH/BD/63676/2009).

\textbf{References}