SYNTHESIS OF TETRASUBSTITUTED PHTHALOCYANINES AND SEPARATION OF THE POSITIONAL ISOMERS

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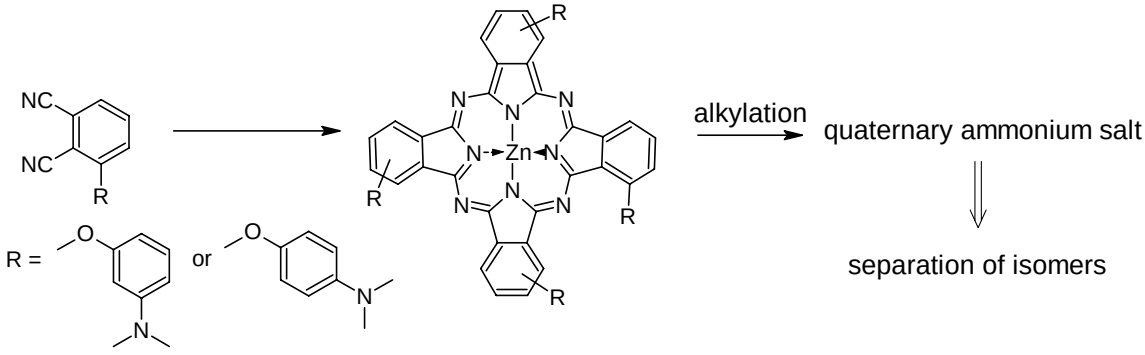
Photodynamic Therapy (PDT) could represent a very attractive alternative to antibiotic treatment of infectious diseases, especially in the case of localized infections [1].

A primary focus of Molteni R&D department in this field concerns the development of new phthalocyanine (Pcs) based photosensitizers suitable for PDT inactivation of microorganisms [2,3]. Currently a tetrasubstituted cationic Pc (named RLP068), as a mixture of 3 positional isomers is starting phase 1 clinical trials while another tetrasubstituted cationic Pc (MRLP164) as a mixture of 4 isomers, is being evaluated pre-clinically in terms of safety profile.

The synthesis of these two new molecules has been optimised in such a way to obtain always the same ratio of isomers, however the separation of mixtures was considered crucial to verify the chemical and physical properties of each single isomer as well as their biological activities and toxicities. In this presentation, for the first time, a method for the total separation of constitutional isomers of the two tetrasubstituted Pcs in a preparative scale (10-100 mg) is reported.



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