SYNTHESIS AND BIOLOGICAL EVALUATION AS PDT/BNCT AGENTS OF Zn(II)- AND Si(IV)-PHTHALOCYANINE DERIVATIVES BEARING BORON CLUSTERS

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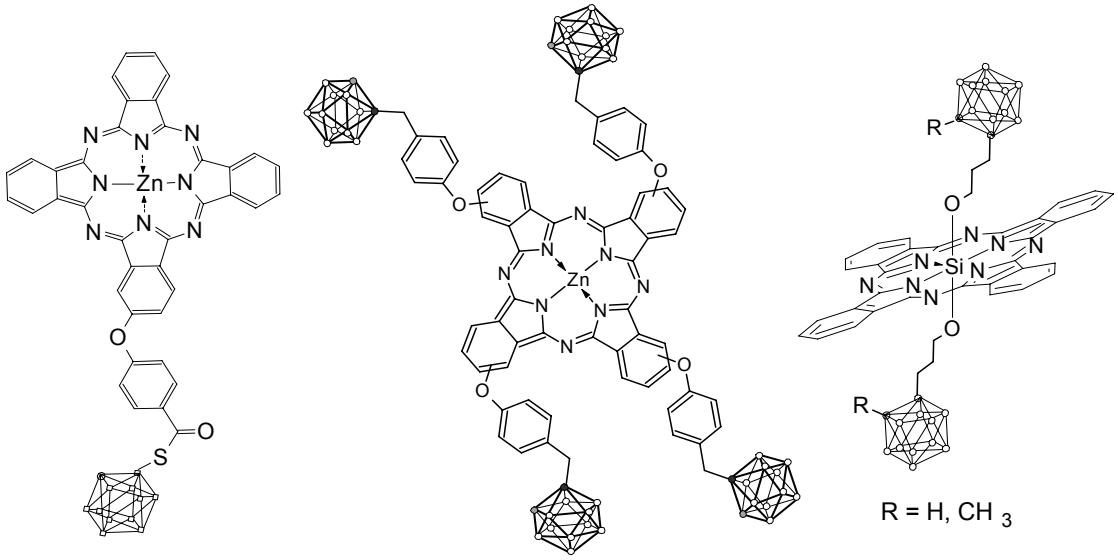
Photodynamic therapy (PDT) [1] and boron neutron capture therapy (BNCT) [2] are two binary therapeutic modalities, which are currently under investigation for the treatment of several kinds of malignancies. Both of them rely on the interaction of two relatively harmless factors: a photo- or radio-sensitising compound and an external radiation. PDT treatment consists in loading the target cells with a photosensitizer that is able to generate highly reactive species (mainly singlet oxygen) upon irradiation with light of the appropriate frequency. Similarly, BNCT is based on the interaction of the non radioactive ^{10}B nucleus and a thermal neutron. Due to their selectivity of accumulation in tumor over many normal tissues, phthalocyanines have recently been proposed as boron carriers to target tumoral tissue in BNCT treatment [3].



As a part of our current interest in the synthesis of phthalocyanines for biomedical applications, we undertook the synthesis of Zn(II)- and Si(IV)-phthalocyanine derivatives bearing one to four boron clusters, with the purpose of developing radio/photosensitizing agents for the treatment of tumors, by means of a combination of BNCT and PDT. *In vitro* and *in vivo* studies concerning the biological and photophysical activity of such compounds are also reported.

[1] MacDonald, I. J. and Dougherty, T.J. *J. Porphyrins Phthalocyanines* **2001**, *5*, 105-129
[2] Soloway, A. H. et al. *Chem. Rev.* **1998,** *98*, 1515-1562
[3] Bregadze, V.I. et al. *J. Porphyrins Phthalocyanines*, **2001**, *5*, 767-778