

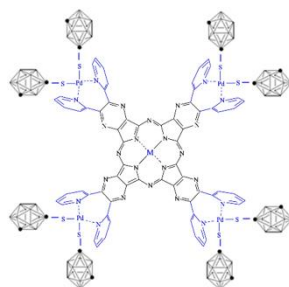
# PORPHYRAZINES CARRYING EXTERNALLY O-CARBORANEDITHIOLATE GROUPS AS POTENTIAL BIMODAL PDT/BNCT ANTICANCER AGENTS

**Andrea Angelucci<sup>1</sup>, Claudio Ercolani<sup>1</sup>, and Maria Pia Donzello<sup>1</sup>**

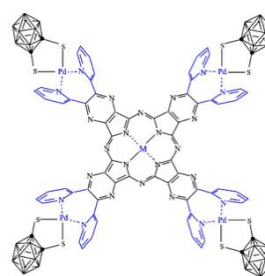
<sup>1</sup>Department of Chemistry – Sapienza University of Rome, P.le Aldo Moro 5, Rome, 00185, Italy, [andrea.angelucci@uniroma1.it](mailto:andrea.angelucci@uniroma1.it)

In our previous extensive studies the synthesis, physicochemical properties and photoactivity as anticancer drugs in photodynamic therapy (PDT) [1] were reported of pentanuclear octa(2-pyridyl)tetrapyrzazino porphyrazines complexes, carrying externally eight units of 1-thiolate-*m*-carborane (CBT) formulated as  $[\{Pd(CBT)_2\}_4Py_8TPyzPzZn] \cdot xH_2O$ , where  $Py_8TPyzPz$  = tetrakis-2,3-[5,6-di(2-pyridyl)pyrazino]porphyrazine and  $M = Mg^{II}(H_2O), Zn^{II}, Pd^{II}$  (Figure 1A) [2]. The photosensitizer activity for the generation of singlet oxygen,  $^1O_2$ , the main cytotoxic agent in PDT, was explored in DMF solution. These species have high quantum yield values ( $\Phi_\Delta = 0.6$ – $0.7$ ) which are higher than those obtained for the parent  $[(PdCl_2)_4Py_8TPyzPzZn]$ , and  $[Py_8TPyzPzZn]$  complexes. Moreover, the presence of high boron content can open perspectives for their use in Boron Neutron Capture Therapy (BNCT), an experimental radiotherapy studied against aggressive and penetrating cancerous pathologies [3].

In an extension of our work on new TPyzPzs it was thought interesting to open additional perspectives of application in a bimodal anticancer therapy PDT/BNCT. For this purpose new homo/eteropentanuclear tetrapyrzazino porphyrazines having externally four units of 1,2-dithiolate-*o*-carborane, formulated as  $[\{Pd(CBdT)\}_4Py_8TPyzPzZn] \cdot xH_2O$  (CBdT = 1,2-dithiolate-*o*-carborane;  $M = Mg^{II}(H_2O), Zn^{II}, Pd^{II}$ ) (Figure 1B), have been synthesized and characterized. The photosensitizer activity for the generation of singlet oxygen was explored for the  $Zn^{II}, Pd^{II}$  and  $Mg^{II}$  complexes in DMF. The high  $\Phi_\Delta$  value obtained for the  $Zn^{II}$  macrocycle (0.58) falls within the range 0.4–0.7 observed for numerous phthalocyanines and  $Zn^{II}$  porphyrazines. These results qualify the  $Zn^{II}$  complex  $[\{Pd(CBdT)\}_4Py_8TPyzPzZn]$  as an excellent photosensitizer for the generation of singlet oxygen and in addition its high boron content suggests the possibility to be used as bimodal anticancer agent (PDT/BNCT).



**Figure 1A**



**Figure 1B**

Key words: Porphyrazines, Photodynamic Therapy, Boron Neutron Capture Therapy, Bioinorganic Chemistry

## REFERENCES

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