Janice R Perussi et al., Madridge J Cancer Stud Res. 2016
http://dx.doi.org/10.18689/2640-5180.a1.003

madridge CONFERENCES

International
Cancer Study & Therapy Conference

April 4-6, 2016 Baltimore, USA

Chlorin derivatives sterically-prevented from self-aggregation in photo inactivation of tumor cells

Janice R Perussi[1], Irwin A Patiño[1] and **Kleber T de Oliveira[2]**
[1]Instituto de Química de São Carlos, Universidade de São Paulo, Brazil
[2]Departamento de Química, Universidade Federal de São Carlos, Brazil

A factor that limits the efficiency of most photosensitizers (PS) used in PDT is their tendency to self-aggregate due to the strong attractive interactions between π-systems of high conjugated molecules. Therefore, recent studies have focused on the development and efficacy of new photosensitizers. Chlorin derivatives present high absorption around 650-670 nm, strong ability to generate ROS and low cytotoxicity in the absence of light. In this study the synthesis of two chlorin through the Diels–Alder reaction of protoporphyrin IX dimethyl ester with an activated dienophile (1-(2-hydroxyethyl)-1H-pyrrole-2,5-dione) were performed. These new potential photosensitizers presented a special "L-shape" structure, and were sterically prevented from self-aggregation in solution. Singlet oxygen quantum yield of chlorin CHL-OH-A and CHL-OH-B were determined as Φ_{Δ}= 0.49±0.04 and Φ_{Δ}= 0.43±0.02, respectively, when compared with methylene blue (Φ_{Δ}= 0.52). Photo bleaching studies showed low photodegradation (~10%) after irradiation at the Soret band for 10 min at 50mW. The Log P of the CHL-OH-A and CHL-OH-B were also measured as 1.20±0.04 and 1.17±0.03, respectively, thus revealing these compounds as amphiphilic molecules. The cell viability studies with neutral red in tumoral Hep-2 cells after irradiation at 660 nm, showed IC_{50} of 7.26±0.77(A) and 6.05±0.73nmolL^{-1}(B) at doses 3 Jcm^{-2} while at 6 Jcm^{-2} were 3.78±0.33 and 3.33±0.24nmolL^{-1} respectively. Moreover, fluorescence microscopy images using ethidium bromide and acridine orange showed a high percentage of apoptotic cells (90%) at the low concentration (10nmolL^{-1}), suggesting these new compounds as potential candidates to photosensitizers.

Acknowledgments: FAPESP and CAPES.

Biography:

Janice Rodrigues Perussi is a Professor and researcher at the University ofSão Paulo, Brazil. She has experience with photosensitizers on the following topics: physical-chemical properties, photodynamic properties, cytotoxicity, type of cell death, intracellular accumulation, etc.