Artemisinins – from Chinese remedy to supramolecular systems

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Artemisia annua L. is a renowned medicinal plant, best known for its significant therapeutic uses and low toxicity. The plant is native to temperate Asia and most probably comes from China [1]. Varying with the plant's origin and cultivation region, different compounds can be found in various concentrations, the most important ones including artemisinin (ART) and dihydroartemisinin [2]. ART's official discovery dates in the 1970's when professor Tu Youyou, a Chinese scientist, managed to extract ART from *Artemisia annua* leaves and to prove its effectiveness against *Plasmodium falciparum*, the malaria causing parasite [3].

Over time, ART and its derivatives (artemether, artesunate and dihydroartemisinin), the so called *artemisinins*, have been proved effective not only in the treatment of malaria, but in oncology as well [4].

Despite their effectiveness and high importance in cancer research, the *artemisinins* have serious disadvantages regarding solubility, bioavailability and half-life [5]. Because of these aspects, the present research has been focused on the formation of cyclodextrin-guest inclusion complexes (Figure 1).

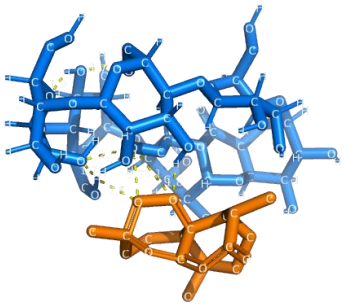

Figure 1. Inclusion complex formed between ART and α-cyclodextrin

ART and its derivative artesunate were used as guest molecules, while α-cyclodextrin, β-cyclodextrin, γ-cyclodextrin, (2-hydroxypropyl)-β-cyclodextrin, (2-hydroxypropyl)-γ-cyclodextrin, random methyl-β-cyclodextrin, heptakis(2,6-di-O-methyl)-β-cyclodextrin and heptakis(2,3,6-tri-O-methyl)-β-cyclodextrin were selected as hosts . The inclusion complexes, prepared in a 1:1 molar ratio, were then studied using thermal analysis (TG/DTG/HF), FTIR spectroscopy and molecular modelling. The last two techniques proved the formation of all complexes, while thermal analysis revealed the increased thermal stability of the active substances when formulated as inclusion complexes.

References

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