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BOOK OF ABSTRACTS

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Lindqvist polyoxovanadate-peptides conjugates for cancer cell targeting

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As reported in the literature, some polyoxometalates (POMs) exhibit anticancer activity: they are able to interfere with cellular redox processes, compete with ATP synthesis and inhibit different enzymes.[1] However, despite their interest, mostly related to the low cost of the drug candidates, POMs show low selectivity for cancer cells and turn to be too toxic.

In this communication, the antitumor activity and the selectivity of hybrid derivatives of the Lindqvist hexavanadate will be discussed.

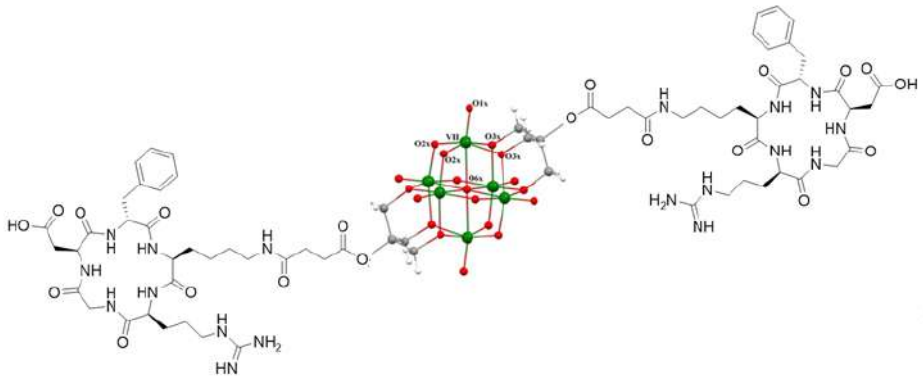

Figure 1: The hybrid organic-inorganic Lindqvist Hexavanadate $\{V_6O_{13}[(OCH_2)_3CCH_2OR]_2\}^{2-}$ used for peptide grafting (R=spacer + cyclopeptide).

The aim of the work is to explore the POMs' cytotoxicity when combined with suitable peptides (bombesin or RGD derivatives, Fig. 1), covalently attached to the POM. Spacers were also introduced to inhibit the interactions between the peptide and the POM, and avoid POM-induced undesired folding of the native peptide.[2] The synthesis and a combined 2D NMR, Circular Dichroism (CD) and Transmission Electron Microscopy (TEM) investigation will be presented to highlight the interplay between the two domains and the structural features of the most promising drug candidates, for which an increased biological activity, with respect to peptide-free POM, was finally assessed.

References

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