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Towards the elaboration of BODIPY-gold(I) theranostics for medical applications

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Since the pioneer discovery of cisplatin for biological applications by Rosenberg in the 1960's, metal complexes have become the most currently investigated and used class of compounds in cancer chemotherapy. Gold-based derivatives gave very promising results as anticancer agents. One challenging question is to understand their mechanism of action in order to improve the efficiency while limiting their side effects. One elegant way to manage this issue consists in attaching a fluorophore on the complexes to be able to track them in vitro. Thus, we recently developed three metal-containing BODIPY-phosphine compounds based on Ru(II), Os(II) and Au(I). This first series of complexes showed promising results: interesting IC₅₀ in several cancer cell lines, especially for the Au derivative. Additionally, we succeeded in following the compounds in vitro by optical imaging. In a second part, we decided to modify the physicochemical properties of gold(I) complex for it to be suitable for in vivo studies in small animals. First, the absorption and emission wavelengths of the compounds were shifted to the near infrared region (in the "therapeutic window") by extension of the conjugation of the BODIPY core. In parallel, we investigated the possibility to introduce small biovectors on the gold center for targeting selectively cancer cells. The synthesis and the photophysical studies, and the biological studies of the different targeted systems will be presented and discussed.

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