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Estrogens-functionalized metal complexes: selective anticancer and antibacterial activity

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Many cancers (i.e. breast, prostate) show an overexpression of estrogens receptors on the cell membrane and estrogens can be used as delivery agents for metal-based drugs to selective target tumour tissues.[1] This strategy seems promising and some interesting examples with Pt(II) are reported.[2] Here we present the syntheses, characterization, DNA binding / cleavage properties and anticancer activity of a series of new Cu(II) complexes tethering estradiol and testosterone as targeting ligands. Preliminary results show that the presence of testosterone or estradiol selectively increases the anticancer activity *versus* tumour cells that overexpress the correspondent receptor (i.e.LNCap Human prostate adenocarcinoma for testosterone and MCF-7 for estradiol).
In parallel, we developed a new class of estrogens-Au(I) NHC-carbene complexes (Figure 1) that show high activity as antibacterial agents *versus* gram negative bacteria E. Coli. *In vivo* analyses on Galleria Mellonella larvae show, for the first time, that the presence of estrogens increased the antimicrobial activity of the metal based drugs. Larvae of G. Melonella (the greater wax moth) can be used to assess the phatogenity of microbial isolates and the therapeutic potential of antimicrobial drugs and have yield results that are comparable to those obtained using mammalian model.[3]

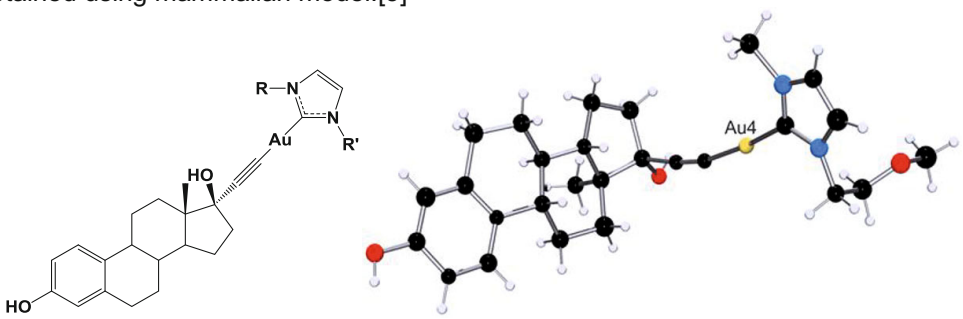

Figure 1. General structure of [Au(NHC-carbene)(estradiol)] (left) and X-Ray structure of [Au(MeMeOEtIm)(estradiol)] (right).

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References

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