Novel Water soluble Alkyne Gold(I) as Anticancer Molecular Therapy

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Cisplatin is one of the most employed metallic complexes for cancer treatment. However, its effectiveness is hindered by toxic side effects and the occurrence of tumour resistance. Since its discovery, the interest in the research of new metallic coordination and organometallic complexes with anticancer applications has significantly increased. Specially for those compounds that would show a great efficacy, but also a good selectivity for cancerous cells and reduced side effects. Among the new non-platinum as potential anticancer drugs1, gold derivatives have gained increasing attention due to their generally strong tumour cell growth inhibiting effects and the observation that many of the compounds inhibit the enzyme thioredoxin reductase (TrxR)2,3.

![Figure 1. X-ray structure of [Au(C≡CPh-OMe)(P{NMe2}3)] and its luminiscence interaction with BSA](image)

The side effects of most of the new anticancerous complexes could be given due to their lipophilicity. [2] For this reason, in the design of new metallic drugs, a balance between hydrophilicity and lipophilicity is required to be water soluble and at the same time be able to pass through the phospholipid cell membrane [3]. Accordingly, water solubility of the drugs could provide such balanced relationship. The use of water-soluble phosphanes can lead to the synthesis of water soluble or partially soluble complexes.

Within this frame, here we include the synthesis of new water-soluble alkyne gold(I) derivatives with the phosphane P{NMe2}3 which being more water soluble than the PTA (1,3,5-triaza-7-phosphaadamantane) it translates this property to the complexes [Au(C≡CR)(P{NMe2}3)]. Different experiments have been done to test the stability of these complexes and their lipophilicity/hydrophilicity balance. Some of them have been screened for their antitumor activity against human colon cancer cell lines Caco2, as well as their apoptotic activity evaluation. Figure 1 collects the x ray structure and the interaction with BSA of some complexes will be presented as is showed in figure 1 for [Au(C≡CPh-OMe(P{NMe2}3)].

References

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