

Novel Sugar-incorporated N-heterocyclic Carbene (NHC) Gold(I) Complexes as Potential Anticancer Agents

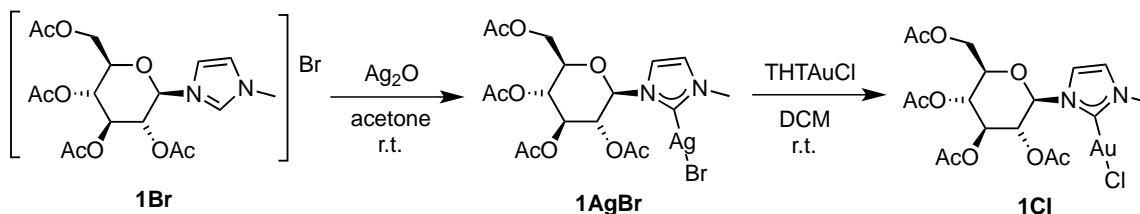
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New metal complexes containing anticancer drugs are one of the major interests in bioinorganic or bioorganometallic medicinal chemistry. The development of novel metallodrugs is shifting to the use of non platinum central atoms coordinating different organic ligands in order to overcome the drawbacks (e.g. resistance, side effects) of the platinum antitumor agents. [1]. Gold(I) complexes show a very promising antiproliferative effects, but they are remarkable oxidizing properties. In order to reduce this character, in the last years, several studies have been reported based on gold(I) N-heterocyclic carbenes (NHCs) in vitro and in a few cases also in vivo [2]. Within this frame we have designed new gold(I) complexes based on sugar incorporated N-heterocyclic carbene. The presence of the sugar moiety allows to tune the lipophilicity behavior of the complexes.

The complexes have been synthesized according to the scheme reported below. After the preparation of the NHC ligand, in the first step the corresponding Ag-complex (**1AgBr**) was prepared from Ag₂O, to act as starting materials for transmetalation. The reaction of **1AgBr** with gold(I) precursor, THTAuCl (THT = tetrahydrothiophene), in dichloromethane at room temperature overnight afford to gold complex. The compound was identified by NMR and RX. Starting from **1Cl**, the cationic gold derivatives were prepared adding phosphorous and sulphur based neutral ligands, in the presence of silver tetrafluoroborate, as a chloride abstractor. The ligands have been selected in order to modulate electronic and hydrophilic complexes properties. The compounds will be investigated in screening on human cell line.



References

1. Ott I., *Coord. Chem. Rev.*, **2009**, 253, 1670-1681
2. Zou, T.T.; Lum, C.T.; Chui, S.S. Y.; Che, C. M. *Angew. Chem., Int. Ed.* **2013**, 52, 2930-2933.