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De novo design and synthesis of water-soluble gold(I) compounds: Structure–antitumor activity considerations

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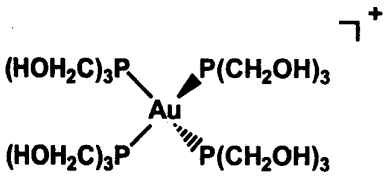
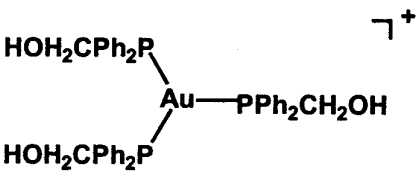
Research in fundamental main group chemistry (and their coordination chemistry with specific transition metal precursors) is central to the design and development of transition metal-based compounds that meet certain structure–catalytic/biological activity relationships. Our recent studies have demonstrated that hydroxymethyl phosphine ligands (HMP) possess unique ligating characteristics in that they transmit several desirable properties to the coordinated transition metal compounds. Their coordination also brings about kinetic inertness, over a wide range of *p*H, in aqueous media [1,2]. Gold compounds have been implicated for potential use in cancer therapy. However, there is no gold compound yet available for clinical use because of the pronounced kinetic instability of these compounds under physiological conditions. In the quest for designing therapeutically useful gold compounds, we have succeeded in the design and development of a series of gold(I) compounds via coordination with HMP ligands. As shown in structures **A** and **B**, subtle changes in ligand environments induce dramatic changes in the geometry around the Au(I) center. *In vitro* and *in vivo* studies using **A** have provided conclusive evidence that it inhibits growth of tumour cells derived from human colon, gastric and prostate carcinomas. New strategies in ligand design, coordination chemistry with coinage metals (Au and Ag) and structure-biological activity considerations are presented.



(A)



(B)

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2. Gali H, Karra S R, Reddy V S and Katti K V 1999 *Angew. Chem. Int. Ed.* **38** 2020