Antitumor performance regulation of drug-conjugated gold nanoparticles: Structure-efficacy relationship studies

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Drug-conjugated nanoparticle is a uniquely structured drug delivery system. In each conjugate, the nanoparticle acts as a core to crosslink more than 100 prodrug molecules and prodrug is composed of its parent drug molecules covalently connected on the surface of nanoparticles *via* proper spacers. This system can be seen the assembly of prodrugs in the nanoscale and show the advantages of both prodrug and nanoparticles. To investigate the structure-efficacy relationship of this system, gold nanoparticles (GNPs) and Doxorubicin (Dox) are selected as the demonstrations to construct Dox-conjugated GNPs. Polyethylene glycol (PEG) is used as the spacer to improve the solubility, biocompatibility, and body circulation of the system. Here, the position of Dox, size of gold core, and molecular weight of PEG are adjusted to regulate the *in vitro* properties and *in vivo* performance of Dox-conjugated GNPs systematically.

Conclusion & Significance: According to the structure-efficacy relationship studies of Dox-conjugated GNPs, it allows to reveal the internal mechanism that guides therapy of tumor treatment, explore physicochemical and material science approaches to improve the therapy efficacy of nanoconjugates, and promote the theoretical and practical researches of nanoparticle-based drug delivery system as the candidate for tumor treatment.