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

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Introduction:
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. In comparison with conventional therapies, nanomedicine shows prominent clinical performance, with better therapeutic efficacy and less off-target toxicity. As an important component of nanomedicine, gold nanoparticle (GNP)-based nanodrugs have attracted considerable interest because of their excellent performance given by the unique structure. Although no pharmaceutical formulations of GNP-associated nanodrugs have been officially marketed yet, a substantial amount of research on this aspect is being carried out, producing numerous GNP-based drug delivery systems with potential clinical applications. In this review, we present an overview of our progress on GNP-based nanodrugs combined with other achievements in biomedical applications, including drug-conjugated GNPs prepared for disease treatments and specific tumour targeting, structure–efficacy relationship (SER) studies on GNP-conjugated nanodrugs, and therapeutic hybrid nanosystems composed of GNPs. In addition, we also put forward some proposals to guide future work in developing GNP-based nanomedicine. We hope that this review will offer some useful experience for our peers and GNP-based nanodrugs will be utilized in the clinic with further persistent efforts. 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

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