

Special Thematic Issue for Current Drug Delivery

Bioactive molecules based nano-formulations for therapy and Drug development

Guest Editors: Dr. Meiwang Chen, Dr. Liangzhu Feng, Dr. Xingjie Liang

Scope of the Thematic Issue:

In the past decades, a large variety of bioactive molecules including biomacromolecules (e.g., therapeutic antibodies, enzyme, nucleic acid, *etc.*) and small molecules (e.g., bioactive metabolites, natural compounds, other bioactive functional materials, *etc.*) have been uncovered to be potent for the treatment of different diseases. The discovery of new bioactive molecules as lead compounds and the old ones with novel therapeutic indications supply not only numerous information on mechanisms of diseases, but also potential candidates with obvious advantage in conventional drugs. Among them, biomacromolecules have several advantages over chemical drugs due to their high activity and unique selectivity, revealing numerous potential physiological functions in antibacterial, antidiabetics, anti-cancer, immunomodulation, reversing neurodegeneration, *etc.* Notably, increasing nanomaterials have shown unexpected potential bioactive function and are likely to be applied in disease treatment, for example, graphene oxide is deemed as a promising therapeutic material because of inducing autophagy. However, their therapeutic efficacies are often restricted by the limited bioavailability resulted from a series of inherent defects (e.g., limited stability, poor water solubility, lacking targeted delivery ability) and biological barriers (e.g., the adsorption of serum proteins, mucosal surfaces, polarized monolayers) imposed on their way to the lesion.

With rapid development of numerous strategies in nanomedicine, these bioactive molecules have been formulated with various nanoscale delivery systems *via* non-covalent encapsulation, covalent conjugation or as the building blocks to achieve enhanced therapeutic effect. Nanoformulations exhibit inimitable properties (for example, size, morphology and targeting ligand), influencing the behavior of bioactive molecules and the nanocarriers *in vivo* including interactions with serum proteins, blood circulation, biodistribution without losing integrity and activity of molecules before reaching the action site. Especially, different types of stimuli-responsive nanoscale delivery systems with specific selectivity via suitable chemical design allow on-demand drug delivery, tailored release and spatial, temporal dosage control, which have been considered as potential carriers for effective delivery of those bioactive molecules. Stimuli-responsive nanoscale delivery systems can be sensitive to extracorporeal physical stimuli (e.g., temperature, light, ultrasound, magnetic and electric pulse) or endogenous biological stimuli (e.g., pH, glutathione concentration, reactive oxygen species, ionic strength and certain enzymes), making it feasible to control bioactive molecules release for biomedical application. Therefore, bioactive molecules based nanoformulations with enhanced therapeutic efficacies and reduced toxicity will shed light on the treatment of related diseases.

This special issue covers the latest progresses and perspectives in the elaborate design and construction of bioactive molecules based nanoformulations for the therapy and drug development. Inspired by the rich clinical experience of applying Traditional Chinese Medicine (TCM), many bioactive molecules with well-defined molecular structure and therapeutic properties have been extracted and used as a powerful weapon for the treatment of corresponding diseases. Notably, Chen *et al.* summarizes the recent exploration on the utilization of dihydroartemisinin, delivered by suitable nanoscale systems, for the treatment of cancer and potential mechanisms of anti-tumor effects, apart from its original utilization for the treatment of Malaria. In addition, Wang *et al.* clearly elucidates the effect of carbon nanoparticles found in Mongolian medicine on the alleviation of acute gastric ulcer induced by ethanol and corresponding Fas/FasL pathway. Furthermore, Feng *et al.* reviews the latest progresses on the utilization of phenolic molecules at the building blocks for the construction of various nanoformulations for innovative cancer treatment. Wang *et al.* summarizes recent developments in berberine-based organic nanoparticles, showing significant promotion in antibacterial ability for addressing the challenge against multidrug-resistant *Staphylococcus aureus*. To be noted, an especial stress on bioactive functional materials, for example, graphene oxide, which can aggravate dextran sulfate sodium-induced colitis through inducing autophagy dysfunction, is put by Yu *et al.* Polydopamine (PDA) and the biomedical application of PDA-based materials have emerged for biosensing, drug delivery, tissue engineering and anti-bacteria. Reviews by Cao *et al.* has provided an extensive understanding of mesoporous

polydopamine nanoparticles and the efficacy of PDA nanoparticles for cancer treatment is highlighted.

Apart from utilizing the nanoscale delivery system for the targeted delivery of these small molecules, targeted delivery of the therapeutic enzymes for the effective treatment of chronic diseases is reviewed by Zhang *et al.* To further increase the selectivity of such nanoformulations, Wang *et al.* overviews the fabrication of biologically responsive nanosystems for targeted cardiovascular therapy/wound healing, while Liu *et al.* makes a comprehensive review on the utilization of pH responsive biomaterials for drug delivery, intended for effective cancer treatment by employing the acidic tumor microenvironment as the general target. An additional example for enhanced selectivity comes from the SP94-modified liposomes loaded with a norcantharimide derivative, N-14NCTDA and the improved targeting efficiency for hepatocellular carcinoma (HCC) attributed to the novel peptide SP94, specifically binding to HCC cells, is overviewed by Zhang *et al.* In recent years, numerous nanomedicines have advanced to clinical trials or to the market, representing a promising future in bioactive molecules based nanoformulations, which is analyzed by Wang *et al.*

Given that the important roles of these bioactive molecules, this theme issue intends to appraise the current facets of the design of suitable nanoscale delivery systems on improving the bioavailability of these bioactive molecules and thereby their therapeutic effects. These innovative bioactive molecules based nanoformulations offer new opportunities and promises for the future clinical translation of these bioactive molecules. We hope this issue will not only help researches involved in discovery and addressing delivery of bioactive molecules find motivative information for relevant work to some extent, but also eventually lead to success in modern drug development to further enhance human health.

Keywords: Drug delivery, nanoformulations, nanoscale delivery systems, nanomedicines, Polydopamine (PDA), Traditional Chinese Medicine (TCM).

Subtopics:

The subtopics to be covered within this issue are listed below:

1. Molecular mechanisms and nano-drug delivery of dihydroartemisinin for anticancer therapy
2. Phenolic molecules constructed nanoformulations for innovative cancer treatment
3. Mesoporous polydopamine nanoparticles for cancer therapy
4. Biologically responsive nanosystems targeting cardiovascular therapy
5. Graphene Oxide Aggravated Dextran Sulfate Sodium-induced Colitis Through Inducing Autophagy Dysfunction
6. Advanced systems for Enzyme delivery to treat chronic disease
7. An Overview of Nanomedicines Based on Polymer Nanotechnology in Clinical Trials and on the Market
8. Carbon nanoparticles in Mongolian medicine alleviate acute gastric ulcer induced by ethanol through regulating Fas/FasL pathway
9. *In vitro* and *in vivo* evaluation of SP94 modified liposomes loaded with N-14NCTDA, a norcantharimide derivative for hepatocellular carcinoma-targeting
10. Organic Nanoparticles Originated from Berberine for Addressing the Challenge against Multidrug-Resistant *S. Aureus*
11. pH-responsive biomaterials for drug delivery

Schedule:

✧ Manuscript submission deadline: 30th December 2020

Contacts:

Guest Editor: Dr. Meiwan Chen,

Affiliation: State Key Laboratory of Quality Research in Chinese Medicine, Institute of Chinese Medical Sciences, University of Macau, Macau 999078, China

E-mail: mwchen@umac.mo

Co-Guest Editor: Dr. Liangzhu Feng,

Affiliation: Jiangsu Key Laboratory for Carbon-Based Functional Materials & Devices, Institute of Functional Nano & Soft Materials (FUNSOM), Soochow University, 199 Ren'ai Road, Suzhou, 215123, Jiangsu, PR China

E-mail: lzfeng@suda.edu.cn

Co-Guest Editor: Dr. Xingjie Liang

Affiliation: Chinese Academy of Sciences (CAS) Key Laboratory for Biomedical Effects of Nanomaterials and Nanosafety, CAS Center for Excellence in Nanoscience, National Center for Nanoscience and Technology of China, Beijing, China

E-mail: liangxj@nanoctr.cn

Any queries should be addressed to cdd@benthamscience.net