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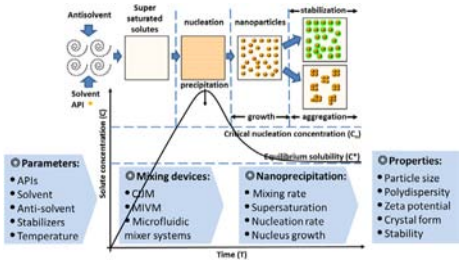
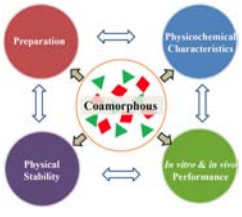
Special Column: Enhancement of Dissolution and Oral Bioavailability of Poorly Water-Soluble Drugs

Reviews





☆Invited for Special Column

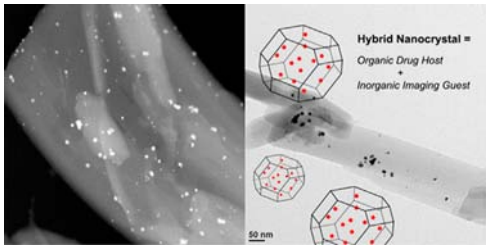
Original Articles

Acta Pharmaceutica Sinica B, 9 (2019) 128

Preparation and characterization of multimodal hybrid organic and inorganic nanocrystals of camptothecin and gold ☆

Christin P. Hollis[a], Alan K. Dozier[b], Barbara L. Knutson[c], Tonglei Li[d]

[a]*Department of Pharmaceutical Sciences, University of Kentucky, Lexington, KY 40506, USA*
[b]*Electron Microscopy Center, University of Kentucky, Lexington, KY 40506, USA*
[c]*Department of Chemical Engineering and Material Sciences, University of Kentucky, Lexington, KY 40506, USA*
[d]*Department Industrial & Physical Pharmacy, College of Pharmacy, Purdue University, West Lafayette, IN 47907, USA*



Gold atoms and clusters were integrated physically into the crystal lattices as defects of camptothecin nanocrystals to achieve potential applications of concurrent bioimaging and anticancer therapy.

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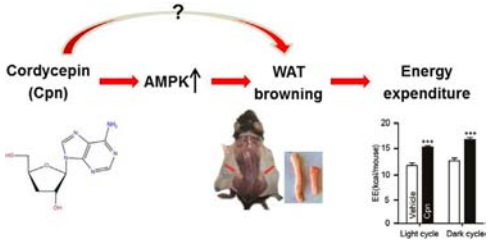
Cordycepin promotes browning of white adipose tissue through an AMP-activated protein kinase (AMPK)-dependent pathway

Guihong Qi[a], Yue Zhou[a], Xiaopo Zhang[b], Jiaqi Yu[a], Xin Li[a], Xiaoxue Cao[a], Chongming Wu[a], Peng Guo[a]

[a]*Pharmacology and Toxicology Research Center, Institute of Medicinal Plant Development, Chinese Academy of Medical Sciences & Peking Union Medical College, Beijing 100193, China*
[b]*School of Pharmaceutical Science, Hainan Medical University, Hainan 571199, China*



Cordycepin increases energy expenditure *via* promoting white adipose tissue browning in mice, in which AMPK activation may play an important role.

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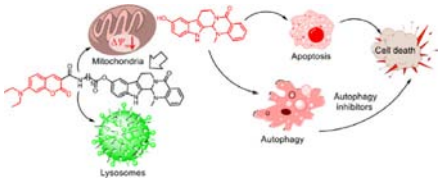
Novel fluorescent probes of 10-hydroxyevodiamine: autophagy and apoptosis-inducing anticancer mechanisms

Shuqiang Chen, Guoqiang Dong, Shanchao Wu, Na Liu, Wannian Zhang, Chunquan Sheng

School of Pharmacy, Second Military Medical University, Shanghai 200433, China



Novel fluorescent probes were designed to elucidate the antitumor mode of action of 10-hydroxyevodiamine, which was proven to be distributed in the mitochondria and lysosomes and acted by autophagy and apoptosis mechanisms.

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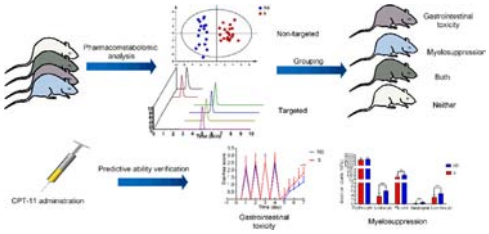
Pharmacometabolomic prediction of individual differences of gastrointestinal toxicity complicating myelosuppression in rats induced by irinotecan

Yiqiao Gao[a,b], Wei Li[a,b], Jiaqing Chen[a,b], Xu Wang[a,b], Yingtong Lv[a,b], Yin Huang[a,b], Zunjian Zhang[a,b], Fengguo Xu[a,b]

[a]*Key Laboratory of Drug Quality Control and Pharmacovigilance (Ministry of Education), State Key Laboratory of Natural Medicine, China Pharmaceutical University, Nanjing 210009, China*
[b]*Jiangsu Key Laboratory of Drug Screening, China Pharmaceutical University, Nanjing 210009, China*



Mass spectrometry-based non-targeted and targeted metabolomics were conducted in sequence to screen the exclusive biomarkers in predose serums. Based on the pharmacometabolomic analysis, two prediction models were constructed to predict gastrointestinal toxicity and myelosuppression of CPT-11 simultaneously, followed by verification of relevant chemotherapeutic toxicity evaluation indexes.

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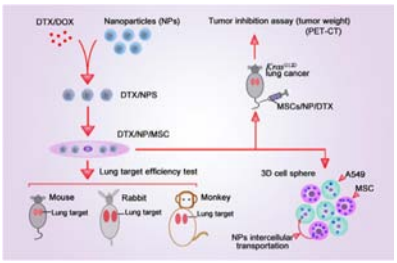
Efficient lung cancer-targeted drug delivery *via* a nanoparticle/MSC system

Xusheng Wang[a], Haiyan Chen[b], Xiaowei Zeng[a], Wenpeng Guo[c], Yu Jin[c], Shan Wang[d], Ruiyun Tian[d], Yanjiang Han[e], Ling Guo[f], Jimin Han[d], Yaojiong Wu[b,d], Lin Mei[a]

[a]*School of Pharmaceutical Sciences (Shenzhen), Sun Yat-sen University, Guangzhou 510275, China*
[b]*Tsinghua-Berkeley Shenzhen Institute (TBSI), Tsinghua University, Shenzhen 518055, China*
[c]*The First Affiliated Hospital of Shenzhen University (Shenzhen Second People's Hospital), Shenzhen 518000, China*
[d]*School of Life Sciences, Tsinghua University, Beijing 100084, China*
[e]*NanFang PET/CT Center, Nanfang Hospital, Southern Medical University, Guangzhou 510515, China*
[f]*Department of Biology and Shenzhen Key Laboratory of Cell Microenvironment, South University of Science and Technology of China, Shenzhen 518055, China*



In this study, mesenchymal stem cells (MSCs) were used as lung targeted delivery vehicles by loading nanoparticles that carrying anti-cancer drug. The high efficiency of lung targeting of MSCs was validated in different animals, and this system efficiently inhibited primary lung tumor growth with lower dose of anti-cancer drug.

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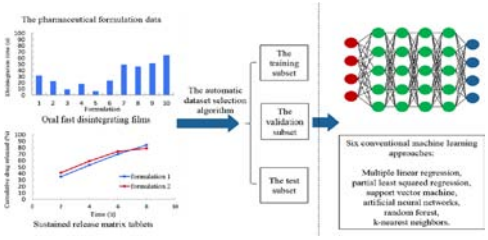
Deep learning for *in vitro* prediction of pharmaceutical formulations

Yilong Yang[a,b], Zhuyifan Ye[a], Yan Su[a], Qianqian Zhao[a], Xiaoshan Li[b], Defang Ouyang[a]

[a]*State Key Laboratory of Quality Research in Chinese Medicine, Institute of Chinese Medical Sciences (ICMS), University of Macau, Macau, China*
[b]*Department of Computer and Information Science, Faculty of Science and Technology, University of Macau, Macau, China*



Deep learning with the automatic data splitting algorithm and the evaluation criteria suitable for pharmaceutical formulation data was firstly developed for the prediction of pharmaceutical formulations.

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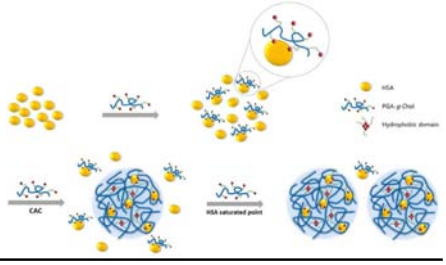
Interaction between human serum albumin and cholesterol-grafted polyglutamate as the potential carriers of protein drugs

Xiangxue Lyu[a], Qiufen Zhang[b], Dehai Liang[b], Yanbin Huang[a]

[a]*Key Laboratory of Advanced Materials (MOE), Department of Chemical Engineering, Tsinghua University, Beijing 100084, China*
[b]*College of Chemistry & Molecular Engineering, Peking University, Beijing 100871, China*



A physical picture is proposed for the interactions between proteins and hydrophobically-modified polypeptide, which can be a platform material for sustained release of protein drugs. The polymers form complexes with proteins *via* the hydrophobic interactions, and these protein-bound polymers self-assemble with other polymers to form nano-sized particles.

Short Communication

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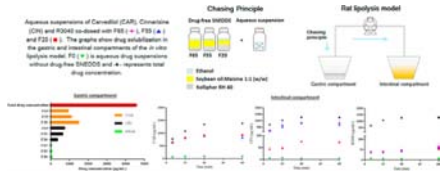
Exploring the utility of the Chasing Principle: influence of drug-free SNEDDS composition on solubilization of carvedilol, cinnarizine and R3040 in aqueous suspension ☆

Scheyla Daniela Siqueira Jørgensen[a], Thomas Rades[a], Huiling Mu[a], Kirsten Gräeser[b], Anette Müllertz[a]

[a]*Department of Pharmacy, Faculty of Health and Medical Sciences, University of Copenhagen, Copenhagen 2100, Denmark*
[b]*Roche Pharma Research and Development, Therapeutic Modalities, Roche Innovation Center Basel, F. Hoffmann-La Roche, Switzerland*



This study assessed the influence of the composition of drug-free SNEDDS co-dosed with aqueous suspensions of carvedilol, cinnarizine or R3040 on drug solubilization in a two-compartment *in vitro* lipolysis model.

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Cover story

Front

Insoluble azilsartan (AZL) was successfully loaded in biocompatible versatile γ-cyclodextrin metal-organic framework (CD-MOF). In a typical cage-like unit of CD-MOF, three AZL molecules formed a nanocluster inside the 1.7 nm sized cavity surrounded by six γ-CDs, whilst other three molecules of AZL were included by γ-CD pairs. AZL clusters formed in the confined spaces exhibit uniform size distributions which are hard to be achieved by conventional techniques. The strategy improved the bioavailability of pure AZL in Sprague–Dawley rats by 9.7-fold and 1.5-fold higher than that of AZL/γ-CD complex, respectively. The apparent solubility of AZL was enhanced by 340-fold after loading into CD-MOF, while only 9.4-fold increment was recorded when forming γ-CD inclusion complexes. Thus, the nanoclusters played a key role in the solubility and bioavailability enhancement of AZL/CD-MOF. The dual molecular mechanism of nanoclusterization and complexation in CD-MOF was demonstrated by molecular modeling and experimental characterizations.

Li Wu, Ruxandra Gref, and Jiwen Zhang

Back

Carrier-free nanocrystals offer numerous benefits for drug delivery concerning drug loading, stability and carrier associated side-effects. However, it is full of challenges to produce carrier-free nanocrystals with controllable size. A solution may stay supersaturated without forming any nuclei and become metastable. When nucleation is triggered directly from the metastable solution, it helps to produce homogeneous nuclei leading to uniform nanocrystals. With paclitaxel as a model drug, a wide range of metastable compositions are found to be applicable to prepare carrier-free paclitaxel nanocrystals with particle size smaller than 250 nm and PDI less than 0.25. The study demonstrates the importance of nucleation in producing organic nanocrystals and a novel preparation technique of high-quality pure drug nanocrystals.

Zongning Yin, Tonglei Li, and Yi Lu