International Journal of Medical Sciences

Preparation of RGD-modified Long Circulating Liposome Loading Matrine, and its in vitro Anti-cancer Effects

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Abstarc :

Aim: To prepare RGD-modified long circulating liposome (LCL) loading matrine (RGD-M-LCL) to improve the tumor-targeting and efficacy of matrine. **Methods:** LCL which was prepared with HSPC, cholesterol, DSPE-PEG2000 and DSPE-PEG-MAL was modified with an RGD motif confirmed by high performance liquid chromatography (HPLC). The encapsulation efficiency of RGD-M-LCL was also detected by HPLC. MTT assay was used to examine the effects of RGD-M-LCL on the proliferation of Bcap-37, HT-29 and A375 cells. The percentage of apoptotic cells and morphological changes in Bcap-37 cells treated with RGD-M-LCL were detected by Annexin-V-FITC/PI affinity assay and observed under light microscope, respectively. **Results**: Spherical or oval single-chamber particles of uniform sizes with little agglutination or adhesion were observed under transmission electronic microscope. The RGD motif was successfully coupled to the DSPE-PEG-MAL on liposomes, as confirmed by HPLC. An encapsulation efficiency of 83.13% was obtained when the drug-lipid molar ratio was 0.1, and the encapsulation efficiency was negatively related to the drug-lipid ratio in the range of 0.1~0.4, and to the duration of storage. We found that, compared with free matrine, RGD-M-LCL had much stronger *in vitro* activity, leading to anti-proliferative and pro-apoptotic effects against cancer cells (P<0.01). **Conclusion:** RGD-M-LCL, a novel delivery system for anti-cancer drugs, was successfully prepared, and we demonstrated that the use of this material could augment the effects of matrine on cancer cells *in vitro.*

Key Word :
Matrine, Liposomes, Cyclic arginine- glycine-aspartic acid, Drug delivery systems.

Volume 7, Number 4, - 2010