detected the highest level of atypically formed spermatozoa.

Conclusions: Due to the research it is possible to judge that azithromycin has a negative impact on sperm motility and quantity of spermatozoa. E-mail: barannikovsv97@gmail.com

**DETERMINATION OF ENTRAPMENT EFFICIENCY OF CELASTROL NANOSTRUCTURED LIPID CARRIER BY MICROCOLUMN CENTRIFUGATION**

**Bei Zhang1, Xue-Ying Yan***

School of Pharmacy, Heilongjiang University of Chinese Medicine, P.R.China

*Corresponding author: Xue-Ying Yan, Address: Heping Road 24, Harbin 150040, School of Pharmacy, Heilongjiang University of Chinese Medicine, P.R.China, E-Mail: 1196774372@qq.com

Abstract: Celastrol, the traditional treatment of rheumatoid drugs, is a major component of Tripterygium wilfordii, and is now found to have strong broad-spectrum antitumor activity[1]. NLC have evolved from solid lipid nanoparticles, is a novel nano-drug delivery system that can significantly improve the bioavailability of liposoluble drugs, avoid leakage of encapsulated drugs during storage, increase the stability of the drug delivery system, and better control the release of drugs freed Effect, with a long cycle and slow release effect[2]. The encapsulation efficiency is an important evaluation index for NLC. The time required is short, the sample is small and the dilution factor is small, therefore, microcolumn centrifugation is often used for the separation and determination of entrapment efficiency of NLC. The researcher used dextran gel (Sephadex G-50) microcolumn centrifugation and high performance liquid chromatography (HPLC) method to determine the entrapment efficiency of celastrol NLC carrier,and achieved good results.

Key Words: Microcolumn centrifugation; Celastrol; NLC; Entrapment efficiency; HPLC

Objective Explore the optimal method of separation of NLC and free drug by microcolumn centrifugation , establish the method of determining the entrapment efficiency of celastrol NLC.

Materials and methods Instrument : Micro gel column(Self made), HPLC (Waters e2695), Sephadex G- 50 , Centrifuge(Beckman Co. Allegra 64R). Reagents:Distearin(Shyuanye Co.), Isopropyl myristate, Celastrol( Pufei De Biotech Co.), Pluronic F-68, Vitamin E-TPGS(Shyuanye Co.).

The treated Sephadex G-50 was loaded into a syringe to prepare the microgel column. The prepared blank NLC was added to the prepared microgel column to measure the recovery of blank NLC. The solution of Celastrol was added to the prepared microgel column to measure the adsorption capacity of the gypsum on the microgel column. The physical mixture of Tripterygium NLC and Celastrol aqueous solution was added to the prepared microgel column to determine the separation ability of the microgel column to the physical mixture. The Celastrol NLC was added to the prepared microgel column, and the entrapment efficiency of Celastrol NLC was determined.

Results and conclusions The HPLC conditions for the determination of Celastrol were H2O: CH3OH = 10: 90, the detection wavelength was 426 nm, and the standard curve equation was y = 14718x - 1516.1 (R2 = 0.9995).

Precise amount of 0.1ml celastrol NLC and 0.1ml 0.05mg / ml celastrol solution, mixed, added to the prepared micro-column. Add 0.2ml PBS buffer each time and then centrifuge, repeat the operation 20 times, collecting each eluent, placed in 2ml volumetric flask, add methanol to make the demulsification and constant volume to the scale. The content of celastrol in the eluate was determined by HPLC, and the elution curve was plotted by the results.

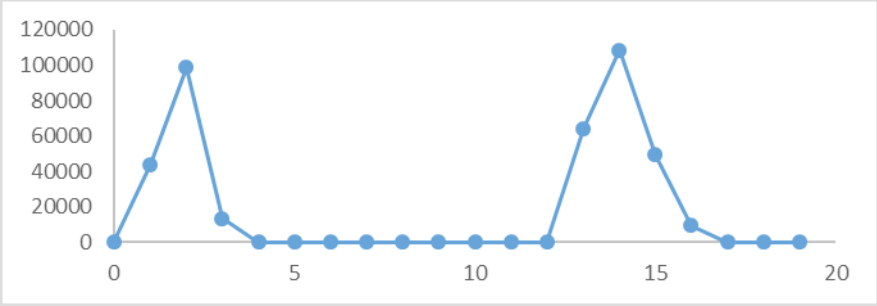


Fig. The elution curve of the physical mixture of celastrol NLC and celastrol solution, the abscissa is the elution time, and the ordinate is the peak area.

Precision extraction of 0.2ml celastrol NLC, adding micro-column and elution, combined with the first five times the eluent, placed in 2ml volumetric flask, add methanol to make the demulsification and constant volume to the scale. And then take 0.2ml celastrol NLC, placed in 2ml volumetric flask, add methanol to break the milk and set the volume to the scale. The content of celastrol was determined by HPLC, and then the entrapment efficiency was calculated. The elution was repeated three times and the entrapment efficiencies were 85.38%, 83.53%, 83.84% and RSD% = 1.18, the entrapment efficiency is stable and favorable.

In this study, a method for the determination of the entrapment efficiency of celastrol NLC by microcolumn centrifugation was established. Sephadex G-50 microcolumn centrifugal separation of liposomes and free drugs is the principle of anti-molecular sieve action, large molecular weight of the material was first separated, and small molecular weight of the material was trapped in the Sephadex G-50 pores. The results showed that NLC and free drug separation effect was obvious, repeated experimental results show that the deviation is small, RSD <2.

References:

1. Kuchta K, Xiang Y, Huang S, et al. Celastrol, an active constituent of the TCM plant Tripterygium wilfordii Hook. f., inhibits prostate cancer bone metastasis[J]. Prostate cancer and prostatic diseases, 2017.

2. Poonia N, Kharb R, Lather V, et al. Nanostructured lipid carriers: versatile oral delivery vehicle[J]. Future Science OA, 2016, 2(3): FSO135.

## FUNCTIONAL MODIFICATION OF MESOPOROUS SILICA NANOPARTICLES AND ITS APPLICATION IN DRUG DELIVERY SYSTEM

**Bo-Le Ma1, Xue-Ying Yan***

School of Pharmacy, Heilongjiang University of Chinese Medicine, P.R.China

*Corresponding author: Xue-Ying Yan, Address: Heping Road 24, Harbin 150040, School of Pharmacy, Heilongjiang University of Chinese Medicine, P.R.China Email:523319985@qq.com

Abstract: The drug carrier based on mesoporous silica nanoparticles (MSNs) has been widely used in the fields of medicine and biology because of its advantages of stable structure, simple particle size and easy surface functionalization. According to different treatment purposes, the use of different functional modification method to achieve the purpose of slow release control, improve efficacy. In this paper, the functional modification of MSNs and its application in the drug delivery system were reviewed, the potential and application prospects of MSNs in drug delivery were provided.

Key words: mesoporous silica; functional modification; drug delivery system

1. Targeting modification

MSNs targeted modification can transport drugs to the target organ, so that the target organ enrichment, thereby reducing the side effects, improving drug treatment and bioavailability. Qu et al prepared mitochondrial target mesoporous silica nanoparticles (MSNP) with an average diameter of 68 nm and loaded a hydrophobic anticancer agent α-tocopherol succinate (α-TOS).The targeting of mitochondria is achieved by efficient mitochondrial targeting of the ligand trimethylphosphine (TPP) on the surface functionalization of MSNP. Experiments demonstrate the high anti-cancer efficiency of delivering α-TOS by targeting mitochondria to MSNP [1]. Hu et al prepared PDA coated with MSNs to construct a drug delivery system for glioma treatment. The results showed that MSN-DOX-PDA-NGR was used in intracranial tumor tissue in the accumulation of higher than the unmodified NPs [2].Chen et al prepared a protein-based MSNs targeted and controlled drug delivery system. In this system, the naturally occurring protein transferrin (Tf) is grafted on the surface of MSN by redox cleavable disulfide bonds as a blocking agent and targeting ligand. Demonstrating its ability to enhance intracellular accumulation and targeting of tumor cells in vitro [3].

2 .PH responsiveness modification

Because the normal tissue of the human body is different from the pH of the diseased tissue, the normal tissue pH is neutral and the pH of the tumor site is weakly acidic, so the drug carrier can be designed to be responsive to the release of pH within the tumor.

Liu et al prepared sericin coated mesoporous silica nanoparticles (SMSNs) for lysosomal delivery of DOX to overcome MDR and reduce systemic toxicity. The sericin was coated on the drug via a pH-sensitive imine bond.To prevents MSNs-encapsulated DOX from premieving in external environments. These DOX-loaded SMSNs not only effectively kill drug-resistant cells in vitro, but also significantly reduce the growth of DOX-resistant MCF-7 / ADR (breast cancer cell) tumors in preclinical animal models without frequent systemic toxicity [4]. Zhang et al prepared a controllable ligand-functionalized MSNs delivery system via a coordination key that responds to pH-responsive release of doxorubicin and prolongs the circulating time of the drug in the body. The resulting MSNs showed pH-responsive release properties, avoiding premature leakage of the drug in the circulation and achieving on-demand release within the tumor cells [5].

3. Conclusion

This paper describes the carrier functionalization in recent years and a variety of responsive release. At present, the functionalization of MSNs presents a “one base meritorious service” trend that is a MSNs substrate to achieve multiple functional modification, in the carrier application more and more attention. MSNs as a carrier in the field of biomedical application of great potential in the loading of Western medicine for the treatment of various diseases has been quite research, but the traditional Chinese medicine, especially Chinese medicine compound loading is rarely studied, it can be deep research to achieve effectiveness of MSNs Chinese medicine preparations.

References

1.Qu Q, Ma X, Zhao Y. Anticancer Effect of α-Tocopheryl Succinate Delivered by Mitochondria-Targeted Mesoporous Silica