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# Innovative Technologies and Quality Evaluation of Oral Sustained-Release and Controlled-Release Preparations

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**Abstract**: This paper systematically explores the innovative technologies and quality evaluation system of oral sustained-release and controlled-release preparations. By combing the principles and limitations of traditional preparation technologies, it focuses on expounding novel innovative technologies such as ion-exchange resin, microsphere, and 3D printing, along with their application examples, and analyzes the advantages and disadvantages of each technology in drug release control. Meanwhile, it elaborates on the principles and applications of quality evaluation methods, including in vitro release rate test, in vivo bioavailability and bioequivalence evaluation, and in vitro-in vivo correlation evaluation, and illustrates the importance of quality evaluation in the research, development, and quality control of preparations through specific cases. In addition, it discusses the impact of innovative technologies on quality evaluation and proposes strategies for balancing innovation and quality control. The research shows that innovative technologies drive the development of oral sustained-release and controlled-release preparations, and a scientific quality evaluation system is crucial for ensuring the safety and effectiveness of preparations. The coordinated development of these two aspects helps to enhance the clinical application value of preparations.

**Keywords**: Oral sustained-release and controlled-release preparations; Innovative technologies; Quality evaluation; Drug release; Bioequivalence

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## 1. Introduction

In the field of modern medicine, oral administration, as the most common method of drug administration, is convenient and has high patient compliance. However, common oral preparations have problems such as large fluctuations in blood drug concentration, frequent medication administration, and low drug utilization rate. Oral sustained-release and controlled-release preparations, through special preparation technologies, can control the release rate and time of drugs in the body, maintain the blood drug concentration within the effective therapeutic

concentration range for a long time, reduce the frequency of medication administration, lower the toxic and side effects of drugs, and improve patient compliance and therapeutic effects[1]. With the continuous development of medical technologies, innovative technologies for oral sustained-release and controlled-release preparations are constantly emerging. At the same time, a scientific and reasonable quality evaluation system is essential to ensure the safety, effectiveness, and quality stability of preparations. In-depth research on the innovative technologies and quality evaluation of oral sustained-release and controlled-release preparations is of great significance for promoting the development of this field and improving the level of drug treatment.

# 2. Innovative technologies of oral sustained-release and controlled-release preparations

## 2.1. Traditional preparation technologies

### 2.1.1. Technologies for controlling dissolution rate

Technologies for controlling the dissolution rate aim to delay the dissolution rate of drugs in the gastrointestinal tract by methods such as making drugs into salts or esters with low solubility, forming insoluble salts with macromolecular compounds, and controlling the particle size of drugs. For example, penicillin is made into procaine penicillin, which has reduced solubility and is slowly released in the body, prolonging the action time of the drug. However, this technology has certain limitations. The release rate of drugs is greatly affected by the gastrointestinal environment (such as pH value and enzyme activity), which may lead to unstable drug release [2].

### 2.1.2. Technologies for controlling diffusion process

Technologies for controlling the diffusion process mainly include two types: reservoir-type and matrix-type. In reservoir-type preparations, drugs are wrapped in a polymer membrane, and the drugs are released into the surrounding medium through the diffusion of the membrane. In matrix-type preparations, drugs are dispersed in a polymer matrix material, and the drugs are released through the pores of the matrix. This technology can control the release rate of drugs to a certain extent. However, it is difficult to precisely control the drug release, and the degradation of the matrix material may affect the stability of drug release.

### 2.1.3. Technologies for coordinating diffusion and dissolution

Technologies for coordinating diffusion and dissolution usually involve wrapping the drug core with a film containing soluble pore-forming materials. When the drug is released, the water in the medium penetrates into the film, dissolves the pore-forming materials to form pores, and the drug is released through the pores. Meanwhile, the dissolution of the film also affects the drug release rate. Although this technology can achieve sustained and controlled drug release to a certain extent, the preparation process is relatively complex, and the performance requirements for materials are high. In practical applications, there are problems such as high costs [3].

## 2.2. Novel innovative technologies

### 2.2.1. Ion-exchange resin technology

Ion-exchange resins are a class of polymer compounds with ion-exchange functions. In oral sustained-release and controlled-release preparations, drugs form drug-resin complexes with ion-exchange resins through ionic bonds. In

the gastrointestinal tract, the complexes undergo ion-exchange reactions with the ions in the gastrointestinal tract to release the drugs. For example, methylphenidate hydrochloride binds to ion-exchange resins and exchanges with sodium ions in the gastrointestinal tract to achieve slow drug release [4]. This technology has advantages such as less influence of the gastrointestinal pH value on drug release and the ability to prepare liquid formulations, which are convenient for children and elderly patients. However, it has limitations such as limited drug loading capacity and slow release rate.

### 2.2.2. Microsphere technology

Microsphere technology involves dissolving or dispersing drugs in polymer materials to prepare spherical particles with a particle size of 1- 250μm. The main preparation methods of microspheres include emulsification-solidification method and spray drying method. Taking leuprolide microspheres as an example, leuprolide is mixed with biodegradable polymer materials (such as poly (lactic-co-glycolic acid)) and prepared into microspheres through the emulsification-solidification method. After the microspheres enter the body, the drugs are slowly released with the degradation of the polymer materials, achieving long-acting sustained release. Microsphere technology can effectively control the drug release rate, reduce the frequency of drug administration, and improve drug stability. However, it has problems such as complex preparation processes and high costs [5].

### 2.2.3. 3D printing technology

The application of 3D printing technology in oral sustained-release and controlled-release preparations has become a research hotspot in recent years. Through computer-aided design (CAD) software, the three-dimensional structure of the preparation is designed, and then the drug and polymer materials are printed layer by layer using a 3D printer according to the design model. This technology can precisely control parameters such as the shape, size, and porosity of the preparation according to the characteristics of the drug and the individual needs of patients, achieving personalized customization and precise drug release. For example, multi-layer structures with different drug release rates can be prepared by adjusting the printing parameters. However, the application of 3D printing technology in oral preparations currently faces challenges such as limited printing materials, low production efficiency, and difficult quality control.

## 3. Quality evaluation of oral sustained-release and controlled-release preparations

### 3.1. Importance of quality evaluation

The quality evaluation of oral sustained-release and controlled-release preparations is a crucial link in ensuring the safety, effectiveness, and quality stability of preparations. A scientific and reasonable quality evaluation can ensure the consistency of preparations among different batches, ensure that the drug release behavior in the body meets expectations, and thus guarantee the safety of patient medication and therapeutic effects [6]. At the same time, quality evaluation is also an important basis in the preparation research and development process, which helps to optimize the formulation and process of preparations and improve the quality and performance of preparations.

### 3.2. Quality evaluation methods

#### 3.2.1. In vitro release rate test

The in vitro release rate refers to the rate and extent of drug release from sustained-release and controlled-release

preparations, which is one of the important indicators for evaluating the quality of oral sustained-release and controlled-release preparations. Commonly used release rate determination methods include the basket method, paddle method, and small cup method. When selecting the determination method, factors such as the dosage form of the preparation and the drug release mechanism need to be comprehensively considered. The selection of the release medium is also of great importance. Generally, aqueous media such as 0.1mol/L hydrochloric acid solution and pH 6.8 phosphate buffer solution are selected to simulate the gastrointestinal environment [7]. In addition, factors such as the pH value, ionic strength, and surface tension of the medium that affect drug release need to be considered. The rotation speed and instrument device also affect the drug release behavior, and reasonable selection should be made according to the characteristics of the preparation.

### 3.2.2. In vivo bioavailability and bioequivalence evaluation

Bioavailability refers to the rate and extent of drug absorption into the bloodstream after extravascular administration. For oral sustained-release and controlled-release preparations, bioavailability evaluation can be carried out by measuring the blood drug concentration-time curve and calculating parameters such as the area under the curve (AUC), time to peak concentration (Tmax), and peak concentration (Cmax). Bioequivalence means that different formulations of a drug have no significant differences in absorption rate and extent under the same test conditions when given the same dose. In the research and development of oral sustained-release and controlled-release preparations, bioequivalence tests are usually carried out, and the test preparation is compared with the reference preparation to determine whether they are bioequivalent. Bioequivalence evaluation is an important means to ensure the clinical effectiveness and safety of preparations.

### 3.2.3. In vitro-in vivo correlation evaluation

In vitro-in vivo correlation refers to the correlation between the in vitro release behavior of preparations and the pharmacokinetic parameters in vivo. Establishing in vitro-in vivo correlation can predict the drug release behavior in vivo through in vitro release rate tests, to optimize the formulation and process of preparations and reduce the number of in vivo tests. In vitro-in vivo correlation mainly includes three types: A, B, and C. Type A correlation is the most ideal, which reflects the point-to-point relationship between the in vitro release time and the in vivo pharmacokinetic curve. Type B correlation is the relationship between the in vitro average release time and the in vivo mean residence time established through statistical moment analysis. Type C correlation is the single-point relationship between in vitro release parameters and in vivo pharmacokinetic parameters. In practical research, an appropriate type of in vitro-in vivo correlation should be selected according to the characteristics of the preparation for research.

## 3.3. Case analysis

Taking nifedipine sustained-release tablets as an example, in the in vitro release rate test, the paddle method is adopted, 0.1mol/L hydrochloric acid solution is used as the release medium, and the rotation speed is 50r/min. The drug release amount is determined by sampling at different time points. Through the analysis of the release curve, it is judged whether the drug release behavior of the preparation meets the design requirements. In the in vivo bioavailability and bioequivalence evaluation, healthy volunteers are selected for the test. The test preparation and the reference preparation are given respectively, the blood drug concentration-time curve is measured, and relevant pharmacokinetic parameters are calculated. The results show that there are no significant differences in parameters

such as AUC, Cmax, and Tmax between the test preparation and the reference preparation, indicating that they are bioequivalent. In the in vitro-in vivo correlation evaluation, by establishing the relationship between the in vitro release rate and the in vivo pharmacokinetic parameters, it is verified that the preparation has a good in vitro-in vivo correlation, providing strong support for the quality control and clinical application of the preparation.

# 4. The relationship between innovative technologies and quality evaluation

## 4.1. Impact of innovative technologies on quality evaluation

The application of novel innovative technologies has changed the drug release mechanism and release behavior of oral sustained-release and controlled-release preparations, and put forward new requirements for quality evaluation indicators and methods. For example, preparations prepared by 3D printing technology have unique three-dimensional structures, and their in vitro release behavior may be different from that of traditional preparations. Traditional release rate determination methods may not be able to accurately evaluate their release characteristics, and new evaluation methods and indicators need to be developed. For preparations prepared by ion-exchange resin technology, the drug release is affected by ion-exchange kinetics, and factors such as ion concentration and exchange rate need to be considered in quality evaluation.

## 4.2. Feedback of quality evaluation on innovative technologies

Quality evaluation results can provide important bases for the optimization and improvement of innovative technologies. Through the analysis of preparation quality evaluation data, problems in the application process of innovative technologies, such as unstable drug release and low bioavailability, can be found. In response to these problems, R&D personnel can adjust and optimize innovative technologies, improve the formulation and process of preparations, and enhance preparation quality. For example, in the application of microsphere technology, if the quality evaluation finds that the drug release rate of microspheres does not meet expectations, it can be optimized by adjusting the composition of polymer materials and the preparation process of microspheres [8].

## 4.3. Strategies for coordinated development

To achieve the coordinated development of innovative technologies and quality evaluation for oral sustained-release and controlled-release preparations, it is necessary to strengthen interdisciplinary cooperation and promote the cross-integration of disciplines such as pharmacy, materials science, and analytical chemistry [9]. In the research and development process of innovative technologies, the requirements of quality evaluation should be fully considered, and the concept of quality evaluation should be implemented throughout the preparation research and development process. At the same time, quality evaluation methods should be continuously innovated and improved to meet the development needs of novel innovative technologies. In addition, relevant laws, regulations, and standards should be established and improved to standardize the application of innovative technologies and quality evaluation behaviors, and ensure the quality and safety of oral sustained-release and controlled-release preparations [10].

# 5. Conclusion

This paper systematically studies the innovative technologies and quality evaluation of oral sustained-release and controlled-release preparations. In terms of innovative technologies, traditional preparation technologies have certain limitations, while novel innovative technologies such as ion-exchange resin, microsphere, and 3D printing show

unique advantages in drug release control, but they also face their own challenges. In terms of quality evaluation, methods such as in vitro release rate test, in vivo bioavailability and bioequivalence evaluation, and in vitro-in vivo correlation evaluation complement each other, forming a quality evaluation system for oral sustained-release and controlled-release preparations, which is crucial for ensuring preparation quality and clinical effectiveness. At the same time, innovative technologies and quality evaluation influence and promote each other, and their coordinated development helps to improve the overall level of oral sustained-release and controlled-release preparations.

## Disclosure statement

The author declares no conflict of interest.

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