Composing Rectal Dosage Forms, Part I

**GOALS AND OBJECTIVES**

Goal: To provide information and support for dosage forms that can be compounded and administered rectally.

Objectives: After reading and studying the article, the reader will be able to:

1. List at least five advantages to the rectal administration of drugs.
2. Describe the anatomy and physiology of the rectum.
3. Discuss the factors involved in drug release from different matrices administered rectally.
4. Discuss the characteristics of materials and aqueous admixed admixed rectally.
5. Describe the formulation variables that must be considered in composing rectal dosage forms.

**INTRODUCTION**

Rectal administration is not often the first route of choice; but it becomes a valid alternative when oral use is unacceptable. The advantages to rectal administration include the following.

- **Avoiding the stomach:** Certain drugs are not absorbed from the stomach. In those cases, rectal administration can be quite useful to enable the medication to reach its site of action in the intestines.
- **Avoiding the liver:** Some drugs, such as oral contraceptives, are metabolized in the liver. Oral administration of these drugs can lead to higher than desired concentrations of the active ingredient. Rectal administration can bypass this problem.
- **Avoiding the kidneys:** Some drugs, such as certain antihypertensive medications, may be excreted in the urine. Rectal administration can reduce the amount of these drugs that reach the kidneys.
- **Avoiding the GI tract:** Some drugs, such as antibiotics, may be destroyed by the stomach or the small intestine. Rectal administration can ensure that these drugs reach their site of action in the large intestine.

**REFERENCES**


**OINTMENTS**

- **Drug stability:** Avoiding the breakdown of certain drugs.
- **Drug absorption:** The rate and extent of drug absorption can be controlled.
- **Drug distribution:** The drug reaches the site of action more quickly.
- **Drug action:** The drug can be administered locally to a specific area of the body.
- **Drug side effects:** The drug can be administered to specific areas of the body where the side effects are desired.

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Bowel administration provides a rapid, and in many cases, more reliable source of drug action than oral administration. Absorption of the active ingredient is generally more predictable, the side effects often less, and the dosage failures can be more easily assessed, when bowel administration is used instead of the oral route.

Pharmacological Considerations
The rectum contains the last 5 inches of the large intestine, termed the rectum, and the anus. The wall of the rectum is divided into three distinct layers: the muscular coat, the mucous coat, and the submucous. The muscular coat of the rectum consists of three coats of circular and longitudinal muscle fibers. The diseases treated with rectal administration include hemorrhoids, proctitis, proctosigmoiditis, rectal abscesses, and rectal cancer.

The main site of absorption of drugs given by the rectal route is the colonic mucosa. The rate of absorption is determined by the rate of diffusion through this mucosa, which is affected by the colonic pH and the presence of food and gas in the colon.

Rectal mucosa has a rich blood supply, which enhances the absorption of drugs. The rate of absorption is also influenced by the presence of food and gas in the colon.

Rectal absorption is rapid and usually complete within 24 hours. The absorption rate is influenced by the colonic pH and the presence of food and gas in the colon.

Rectal administration is preferred for drugs that are poorly absorbed orally, for drugs that are irritant or toxic to the gastrointestinal tract, and for drugs that are more effective when administered locally.

Rectal formulations are designed to provide a localized effect in the rectum, sigmoid, or cecum. These formulations may include suppositories, enemas, microenemas, rectal tablets, and rectal foams.

Suppositories are solid dosage forms that are inserted into the rectum. They are made of a fatty or waxy substance that melts at body temperature and releases the active ingredient.

Enemas are liquid dosage forms that are administered by enema. They are used to cleanse the colon or to introduce a medicament into the rectum.

Microenemas are small-volume (usually less than 10 mL) enemas that are administered using a syringe or a microenema device. They are used to deliver a concentrated dose of medication to a specific site in the rectum.

Rectal tablets are solid dosage forms that are administered by rectal suppository. They are made of a waxy or fatty substance that melts at body temperature and releases the active ingredient.

Rectal foams are aerosol formulations that are applied to the rectum. They are used to deliver a medicament to the rectum and to the adjacent tissue.

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Drug release. The rate of release of an important in the form of a suppository is dependent on several factors. Among them is the solubility of the drug in the vehicle. If the drug is not soluble in the base, the dissolved drug may dissociate. If the drug is not soluble in the vehicle that is used, it may be necessary to add a solvent. In the case of the suppository base.

Drug storage requirements are especially important in the search of the suppository base. Other factors must also be con- sidered when selecting the suppository base including, but not limited to, solubility in the vehicle, physical properties, and cost. Cavities of the suppository base for which the drug is selected should be planed to be stable against the drug. However, the drug should be capable of being repelled at the site of absorption.

Pressure of Water. When preparing a suppository, the drug to be absorbed is placed in the mold. This is followed by the addition of water and compaction. If the drug is not soluble in the base, the base may be used to facilitate the dissolution of the drug. This is because the pressure of water is very high. This can usually be obtained by constant agitation of the mixture during processing and filling. Emulsions can be handled as a suspension or emulsion, and the drug may also be present in the vehicle. This can be obtained by the addition of emulsifiers and stabilizers for pharmaceutical use. Emulsions are considered to be unstable. The following examples of rectal suspensions have frequently been used for the treatment of hemorrhoids:

Rectal Aerosols. Rectal aerosols are similar to other dosage forms because they require the same primary function. However, they are different from other dosage forms because they require the same primary function.
FORMULATION VARIABLES

- Physical State: Active drug can be either a solid, liquid, or semisolid material. Eutectic mixtures are occasionally used to delay the rate of diffusion of a drug in the rectal route of administration. Chemical characteristics, including the characteristics of the drug, the dosage form, and the concentration of the drug, are all important in determining the delivery of the drug. After the dosage form has been administered, it is important to maintain the homogeneity of the drug product. The physical state of the drug is affected by the formulation and the environment. Emulsions can be handled more easily than suspensions or solutions when a "suspension" or "emulsion" is formed, this poses different challenges. The selection of a vehicle is dependent upon a number of physicochemical variables, including the characteristics of the drug, the dosage form, and the concentration of the drug.

- Viscosity: The vehicle can alter the manufacturing and compounding processes in ways that can affect the physical characteristics of the final product. In some cases, it may be desired to retain the drug in the rectal lumen, so that it will not be absorbed into the systemic circulation. The viscosity of the vehicle can alter the manufacturing and compounding processes in ways that can affect the physical characteristics of the final product. In some cases, it may be desired to retain the drug in the rectal lumen, so that it will not be absorbed into the systemic circulation.

- Presence of Water: When preparing nonaqueous rectal dosage forms, the presence of water in the formulation must be carefully controlled. In the presence of water, the drug may be water-soluble or water-insoluble. It is important to consider the effects of water on the physical characteristics of the drug product. The presence of water can affect the physical characteristics of the drug product. It is important to consider the effects of water on the physical characteristics of the drug product.

- pH: The pH of the medium may be determined by the characteristics of the drug, the dosage form, and the concentration of the drug. The pH of the medium may be determined by the characteristics of the drug, the dosage form, and the concentration of the drug. It can be shown that the pH of the medium may be determined by the characteristics of the drug, the dosage form, and the concentration of the drug. It can be shown that the pH of the medium may be determined by the characteristics of the drug, the dosage form, and the concentration of the drug. It can be shown that the pH of the medium may be determined by the characteristics of the drug, the dosage form, and the concentration of the drug. It can be shown that the pH of the medium may be determined by the characteristics of the drug, the dosage form, and the concentration of the drug.
Compounding Rectal Dosage Forms, Part I

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2. Describe the anatomy and physiology of the rectum.
3. Discuss the factors involved in drug release from different matrices administered rectally.
4. Describe the characteristics of enemas and aerosols administered rectally.
5. Describe the formulation variables that must be considered in compounding rectal dosage forms.

Introduction

Rectal administration is not the only route of drug delivery, but it is an excellent option for situations where other routes may be inadequate. The use of rectal drug administration reduces the problems associated with the oral route and offers advantages that may make it the route of choice in certain cases.

Advantages of Rectal Administration

1. Ease of administration: The rectal route is easy to administer, and patients often prefer it to other routes.
2. Absorption: The rectal route offers excellent absorption, allowing for a high percentage of the drug to be absorbed into the bloodstream.
3. Low cost: Rectal administration is generally less expensive than other routes of administration.
4. Discreetness: The rectal route allows for discreet administration, which is particularly important in certain situations.
5. Compliance: Patients may be more likely to follow a treatment regimen if it is administered rectally.

The importance of rectal administration cannot be overstated. It is a vital component of the treatment of many conditions, and the ability to compound and administer rectal dosage forms is an essential skill for any pharmacist.

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1. Professor Emeritus, University of Oklahoma College of Pharmacy
2. Current Practical Compounding Information for the Pharmacist

Drug Solubility and Rectal Dosage Forms

Drug solubility plays a crucial role in the effectiveness of rectal dosage forms. An understanding of drug solubility can help in the selection of appropriate excipients and carriers for compounding rectal dosage forms.

Factors Affecting Drug Solubility

1. Molecular size: Smaller molecules tend to have higher solubility due to their greater mobility.
2. Hydrophobicity: Hydrophobic molecules tend to have lower solubility due to their tendency to aggregate.
3. pH: The pH of the medium can affect the solubility of a drug.
4. Temperature: Increasing the temperature can increase the solubility of a drug.

Formulating Rectal Dosage Forms

Rectal dosage forms can be divided into several categories, each with its own unique characteristics and considerations. These categories include enemas, gels, ointments, and aerosols.

Enemas

Enemas are a form of rectal dosage that delivers a solution or suspension directly to the colon. They are often used to treat conditions such as hemorrhoids, worms, and constipation.

Gels

Gels are semisolid rectal dosage forms that are designed to deliver drugs to the rectal mucosa. They are often used to treat conditions such as hemorrhoids and constipation.

Ointments

Ointments are a type of rectal dosage form that delivers a drug to the rectal mucosa. They are often used to treat conditions such as hemorrhoids and constipation.

Aerosols

Aerosols are a form of rectal dosage that delivers a drug to the rectal mucosa as a fine mist. They are often used to treat conditions such as hemorrhoids and constipation.

References

1. Professor Emeritus, University of Oklahoma College of Pharmacy
2. Quest Educational Services Inc. is accredited by the Accreditation Council for Pharmacy Education as a provider of continuing pharmaceutical education.

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