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Routes Of Drug Administration – Advantages And Limitations

1Yogeshwari, 2Ashwini, 3Dr.Shiva Uchekar

1Student, 2student, 3assistant professor

1SND college of pharmacy,

2SND college pf pharmacy,

3SND college of pharmacy

Abstract

In pharmacology, the route of administration is the pathway by which a medication is introduced into the body to achieve a therapeutic effect. The choice of a specific route depends on the physical and chemical properties of the drug, the desired site of action (local or systemic), the condition of the patient (e.g., unconscious or vomiting), and the rapidity of the response required. This review classifies these routes into systemic and local categories, detailing their specific advantages, disadvantages, and recent advancements in delivery systems.

1. Introduction

For a drug to exert its pharmacological effect, it must reach its site of action. The route of administration significantly influences the bioavailability of the effective drug. Routes are generally classified into two main categories: **Systemic Routes**, where the drug enters the bloodstream to affect the body, and **Local Routes**, where the drug is applied to a specific area for localized action.

2. Systemic Routes: Enteral Administration

Enteral administration involves the gastrointestinal (GI) tract and includes oral, sublingual, and rectal routes.

2.1 Oral Route

The oral route is the most common, convenient, and acceptable method of administration, comprising about 60% of existing small-molecule drug products.

- **Advantages:** It is safe, inexpensive, painless, and allows for self-administration without the need for sterilization or special supplies like needles.
- **Limitations:** The onset of action is slow, making it unsuitable for emergencies. It is not suitable for unconscious or uncooperative patients, or those with severe vomiting. Furthermore, drugs

may be destroyed by digestive juices (e.g., insulin) or undergo a significant **first-pass effect** in the liver, where the drug is metabolized before reaching systemic circulation.

2.2 Sublingual and Buccal Routes

In the sublingual route, the drug is placed under the tongue, while in the buccal route, it is placed between the gums and cheek.

- **Advantages:** These routes offer a quick onset of action and bypass hepatic first-pass metabolism. They allow for rapid absorption through the mucosa and the action can be terminated by spitting out the dosage form.
- **Limitations:** These routes are unsuitable for bitter, irritating, or lipid-insoluble drugs. Only small doses can be administered, and high molecular weight drugs are not well absorbed.

2.3 Rectal Route

Drugs are administered into the rectum as suppositories or enemas.

- **Advantages:** This route is useful for children, unconscious patients, or those experiencing nausea and vomiting. It avoids the digestive juices of the upper GI tract and partially bypasses first-pass metabolism.
- **Limitations:** Absorption can be irregular, slow, or erratic. It may cause rectal inflammation and is often considered inconvenient or embarrassing for the patient.

3. Systemic Routes: Parenteral Administration

The term "parenteral" implies delivering drugs by injection, avoiding the intestine. This is often the route of choice for emergencies.

3.1 Intravenous (IV)

The drug is injected directly into the vein lumen (e.g., antecubital vein) as a bolus or infusion.

- **Advantages:** Provides 100% bioavailability and immediate action. It allows for the administration of large volumes and highly irritating drugs (as they are diluted by blood). It is suitable for unconscious patients and allows for precise dose titration.
- **Limitations:** It requires strict aseptic conditions and trained personnel. It is painful, invasive, and carries risks such as thrombophlebitis, venous thrombosis, and necrosis if extravasation occurs. Once administered, the effect is largely irreversible.

3.2 Intramuscular (IM)

The drug is injected into large muscles like the deltoid, gluteus maximus, or triceps.

- **Advantages:** Absorption is faster than the subcutaneous route due to higher vascularity. It allows for the administration of depot preparations (oily solutions or suspensions) for sustained effect.
- **Limitations:** Injections can be painful and may cause nerve damage or abscesses. Self-administration is difficult, and the volume of drug administered is limited (maximum 5-10 ml).

3.3 Subcutaneous (SC)

The drug is injected into the adipose tissue beneath the dermis.

- **Advantages:** Provides smooth, prolonged absorption and is suitable for self-administration (e.g., insulin). It allows for the use of implants and depot preparations.
- **Limitations:** Only small volumes (<2 ml) can be administered. Drugs causing irritation cannot be used as they may cause necrosis or sloughing of tissue.

3.4 Other Parenteral Routes

- **Intra-arterial:** Used for localized effects in specific organs (e.g., anticancer drugs in limb malignancies) to minimize systemic toxicity. It is risky and requires great skill.
- **Intra-articular:** Injection into the joint space (e.g., corticosteroids for arthritis). It increases local bioavailability but requires strict sterility to avoid cartilage injury.
- **Intrathecal:** Injection into the subarachnoid space (CSF) for anesthesia or pain management, bypassing the blood-brain barrier.
- **Intradermal:** Injection into the skin layers, primarily for allergy testing or vaccines like BCG.

4. Inhalation Route

Drugs are delivered to the respiratory tract as aerosols or gases.

- **Advantages:** Provides rapid absorption due to the large surface area of the alveoli and localizes the drug effect to the lungs (useful for asthma/COPD). It reduces systemic side effects compared to oral administration.
- **Limitations:** May cause local irritation, coughing, or bronchospasm. Proper technique is required for effective delivery.

5. Topical and Transdermal Routes

5.1 Topical

Application to skin or mucous membranes (eyes, nose, vagina) for local action.

- **Advantages:** Painless, non-invasive, and avoids first-pass metabolism. It provides high local concentration with reduced systemic toxicity.
- **Limitations:** Drugs may not penetrate deep tissues effectively, and there is a chance of local skin irritation.

5.2 Transdermal

Application of patches to the skin for **systemic** absorption.

- **Advantages:** Provides constant, prolonged drug release (1-7 days) and bypasses the first-pass effect. Improved patient compliance and the ability to terminate action by removing the patch.
- **Limitations:** Restricted to lipophilic drugs; may cause contact dermatitis or local irritation.

6. Recent Advances in Drug Delivery

Newer technologies aim to improve stability, bioavailability, and targeting:

- **Microspheres and Nanoparticles:** Allow for controlled release and targeting of drugs.

- **Liposomes and Niosomes:** Vesicular systems that can entrap drugs to enhance uptake and reduce toxicity (e.g., for chemotherapy).
- **Novel Devices:** Include **Ocusert** (for glaucoma), **Progestasert** (intrauterine device), and computerized miniature pumps for precise drug release.

Conclusion

While the oral route remains the most common due to convenience, parenteral routes are vital for emergencies and drugs with poor oral bioavailability. Specialized routes like transdermal and inhalation offer unique benefits for specific therapeutic goals. The development of novel delivery systems continues to optimize the efficacy and safety of drug administration.