Passage of Drugs into the Body

Drugs are introduced into the body by several routes. They may be

- Taken by mouth (orally)
- Given by injection into a vein (intravenously), into a muscle (intramuscularly), into the space around the spinal cord (intrathecally), or beneath the skin (subcutaneously)
- Placed under the tongue (sublingually)
- Inserted in the rectum (rectally) or vagina (vaginally)
- Placed in the eye (by the ocular route)
- Sprayed into the nose and absorbed through the nasal membranes (nasally)
- Breathed into the lungs, usually through the mouth (by inhalation)
- Applied to the skin (cutaneously) for a local (topical) or bodywide (systemic) effect
- Delivered through the skin by a patch (transdermally) for a systemic effect

Each route has specific purposes, advantages, and disadvantages.

Oral route:

Many drugs can be administered orally as liquids, capsules, tablets, or chewable tablets. Because the oral route is the most convenient and usually the safest and least expensive, it is the one most often used. However, it has limitations because of the way a drug typically moves through the digestive tract. For drugs administered orally, absorption may begin in the mouth and stomach. However, most drugs are usually absorbed from the small intestine. The drug passes through the intestinal wall and travels to the liver before it is transported via the bloodstream to its target site. The intestinal wall and liver chemically alter (metabolize) many drugs, decreasing the amount of drug reaching the bloodstream. Consequently, these drugs are often given in smaller doses when injected intravenously to produce the same effect.

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When a drug is taken orally, food and other drugs in the digestive tract may affect how much of and how fast the drug is absorbed. Thus, some drugs should be taken on an empty stomach, others should be taken with food, others should not be taken with certain other drugs, and still others cannot be taken orally at all.

Some orally administered drugs irritate the digestive tract. For example, aspirin and most other nonsteroidal anti-inflammatory drugs (NSAIDs—see see Nonsteroidal Anti-Inflammatory Drugs) can harm the lining of the stomach and small intestine to potentially cause or aggravate preexisting ulcers (see see Causes). Other drugs are absorbed poorly or erratically in the digestive tract or are destroyed by the acid and digestive enzymes in the stomach.

Other routes of administration are required when the oral route cannot be used: for example, when a person cannot take anything by mouth, when a drug must be administered rapidly or in a precise or very high dose, or when a drug is poorly or erratically absorbed from the digestive tract.

Injection routes:

Administration by injection (parenteral administration) includes the subcutaneous, intramuscular, intravenous, and intrathecal routes. A drug product can be prepared or manufactured in ways that prolong drug absorption from the injection site for hours, days, or longer. Such products do not need to be administered as often as drug products with more rapid absorption.

Through the Skin

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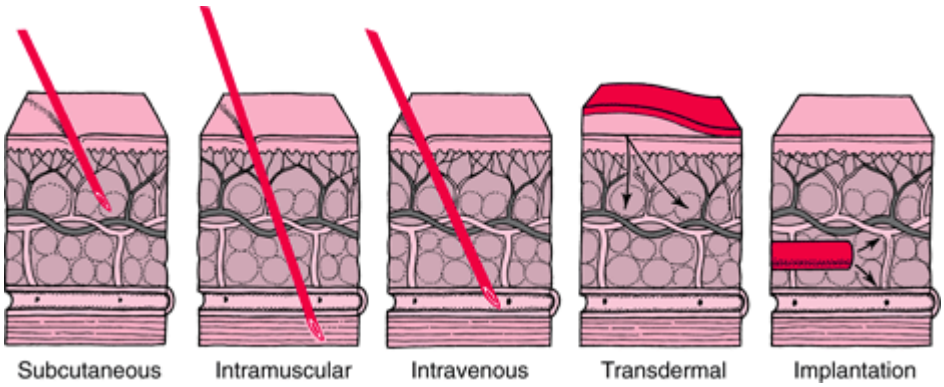

Sometimes a drug is given through the skin—by needle (subcutaneous, intramuscular, or intravenous route), by patch (transdermal route), or by implantation.

For the **subcutaneous route**, a needle is inserted into fatty tissue just beneath the skin. After a drug is injected, it then moves into small blood vessels (capillaries) and is carried away by the bloodstream. Alternatively, a drug reaches the bloodstream through the lymphatic vessels. Protein drugs that are large in size, such as insulin

, usually reach the bloodstream through the lymphatic vessels because these drugs move slowly from the tissues into capillaries. The subcutaneous route is used for many protein drugs because such drugs would be destroyed in the digestive tract if they were taken orally.

Certain drugs (such as progestins used for birth control—see see Contraceptive Implants) may be given by inserting plastic capsules under the skin (implantation). Although this route of administration is rarely used, its main advantage is to provide a long-term therapeutic effect (for example, etonogestrel that is implanted for contraception may last up to 3 years).

The **intramuscular route** is preferred to the subcutaneous route when larger volumes of a drug product are needed. Because the muscles lie below the skin and fatty tissues, a longer needle is used. Drugs are usually injected into the muscle of the upper arm, thigh, or buttock. How quickly the drug is absorbed into the bloodstream depends, in

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part, on the blood supply to the muscle: The sparser the blood supply, the longer it takes for the drug to be absorbed.

For the **intravenous route**, a needle is inserted directly into a vein. A solution containing the drug may be given in a single dose or by continuous infusion. For infusion, the solution is moved by gravity (from a collapsible plastic bag) or, more commonly, by an infusion pump through thin flexible tubing to a tube (catheter) inserted in a vein, usually in the forearm. Intravenous administration is the best way to deliver a precise dose quickly and in a well-controlled manner throughout the body. It is also used for irritating solutions, which would cause pain and damage tissues if given by subcutaneous or intramuscular injection. An intravenous injection can be more difficult to administer than a subcutaneous or intramuscular injection, because inserting a needle or catheter into a vein may be difficult, especially if the person is obese.

When given intravenously, a drug is delivered immediately to the bloodstream and tends to take effect more quickly than when given by any other route. Consequently, health care practitioners closely monitor people who receive an intravenous injection for signs that the drug is working or is causing undesired side effects. Also, the effect of a drug given by this route tends to last for a shorter time. Therefore, some drugs must be given by continuous infusion to keep their effect constant.

For the **intrathecal route**, a needle is inserted between two vertebrae in the lower spine and into the space around the spinal cord. The drug is then injected into the spinal canal. A small amount of local anesthetic is often used to numb the injection site. This route is used when a drug is needed to produce rapid or local effects on the brain, spinal cord, or the layers of tissue covering them (meninges)—for example, to treat infections of these structures. Anesthetics and analgesics (such asmorphine

) are sometimes given this way.

Sublingual route:

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A few drugs are placed under the tongue (taken sublingually) so that they can be absorbed directly into the small blood vessels that lie beneath the tongue. The sublingual route is especially good fornitroglycerin — which is used to relieve angina (chest pain caused by an inadequate blood supply to the heart muscle)—because absorption is rapid and the drug immediately enters the bloodstream without first passing through the intestinal wall and liver. However, most drugs cannot be taken this way because they may be absorbed incompletely or erratically.

Rectal route:

Many drugs that are administered orally can also be administered rectally as a suppository. In this form, a drug is mixed with a waxy substance that dissolves or liquefies after it is inserted into the rectum. Because the rectum's wall is thin and its blood supply rich, the drug is readily absorbed. A suppository is prescribed for people who cannot take a drug orally because they have nausea, cannot swallow, or have restrictions on eating, as is required before and after many surgical operations. Drugs that can be administered rectally include acetaminophen (for fever), diazepam (for seizures), and laxatives (for constipation). Drugs that are irritating in suppository form may have to be given by injection.

Vaginal route:

Some drugs may be administered vaginally to women as a solution, tablet, cream, gel, suppository, or ring. The drug is slowly absorbed through the vaginal wall. This route is often used to give estrogen to women during menopause to relieve vaginal symptoms such as dryness, soreness, and redness.

Ocular route:

Drugs used to treat eye disorders (such as glaucoma, conjunctivitis, and injuries) can be mixed with inactive substances to make a liquid, gel, or ointment, so that they can be applied to the eye. Liquid eye drops are relatively easy to use but may run off the eye too quickly to be absorbed well. Gel and ointment formulations keep the drug in

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contact with the eye surface longer but they may blur vision. Solid inserts, which release the drug continuously and slowly, are also available, but they may be hard to put in and keep in place. Ocular drugs are almost always used for their local effects. For example, artificial tears are used to relieve dry eyes. Other drugs (for example, those used to treat glaucoma [see table on page see Table: Drugs Used to Treat Glaucoma]), such as acetazolamide and betaxolol and those used to dilate pupils, (such as phenylephrine and tropicamide) produce a local effect after they are absorbed through the cornea and conjunctiva. Some of these drugs then enter the bloodstream and may cause unwanted effects on other parts of the body.

Nasal route:

If a drug is to be breathed in and absorbed through the thin mucous membrane that lines the nasal passages, it must be transformed into tiny droplets in air (atomized). Once absorbed, the drug enters the bloodstream. Drugs administered by this route generally work quickly. Some of them irritate the nasal passages. Drugs that can be administered by the nasal route include nicotine(for smoking cessation), calcitonin (for osteoporosis), sumatriptan (for migraine headaches), and corticosteroids (for allergies).

Inhalation:

Drugs administered by inhalation through the mouth must be atomized into smaller particles than those administered by the nasal route, so that the drug can pass through the windpipe (trachea) and into the lungs. How deeply into the lungs they go depends on the size of the droplets. Smaller droplets go deeper, which increases the amount of drug absorbed. Inside the lungs, they are absorbed into the bloodstream.

Relatively few drugs are administered this way because inhalation must be carefully monitored to ensure that a person receives the right amount of drug within a specified time. In addition, specialized equipment may be needed to give the drug by this route. Usually, this method is used to administer drugs that act specifically on the lungs, such

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as aerosolized antiasthmatic drugs in metered-dose containers, and to administer gases used for general anesthesia.

Cutaneous route:

Drugs applied to the skin are usually used for their local effects and thus are most commonly used to treat superficial skin disorders, such as psoriasis, eczema, skin infections (viral, bacterial, and fungal), itching, and dry skin. The drug is mixed with inactive substances. Depending on the consistency of the inactive substances, the formulation may be an ointment, cream, lotion, solution, powder, or gel.

Transdermal route:

Some drugs are delivered bodywide through a patch on the skin. These drugs are sometimes mixed with a chemical (such as alcohol) that enhances penetration through the skin into the bloodstream without any injection. Through a patch, the drug can be delivered slowly and continuously for many hours or days or even longer. As a result, levels of a drug in the blood can be kept relatively constant. Patches are particularly useful for drugs that are quickly eliminated from the body because such drugs, if taken in other forms, would have to be taken frequently. However, patches may irritate the skin of some people. In addition, patches are limited by how quickly the drug can penetrate the skin. Only drugs to be given in relatively small daily doses can be given through patches. Examples of such drugs include nitroglycerin (for chest pain), scopolamine (for motion sickness), nicotine (for smoking cessation), clonidine (for high blood pressure), and fentanyl (for pain relief).

Source: Merck Manual

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