Rectal solutions, emulsions and suspensions

Rectal solutions, emulsions and suspensions (also historically referred to as enemas) are liquid preparations intended for rectal use. They are used for systemic or local effect and for diagnostic purposes. These liquid formulations are applied rectally to evacuate, cleanse or treat the lower parts of the gastrointestinal tract. In the treatment of rectocolitis, enemas are used having a relatively large volume, e.g. 100 mL. This enables the drug to reach the upper part of the rectum and the sigmoid colon. Larger volumes are used for the process known as colon cleansing or colonic irrigation.

These preparations contain vehicle only (e.g. arachis oil enema) or one or more active substances dissolved or dispersed in water, glycerol, macrogols (PEGs) or other suitable solvents. Rectal solutions, emulsions and suspensions may contain excipients, for example to adjust the viscosity of the preparation, to adjust or stabilize the pH, to increase the solubility of the active substance(s) or to chemically stabilize the drug in the preparation. These substances should not adversely affect the intended clinical effect or, at the concentrations used, cause undue local irritation. Rectal solutions, emulsions and suspensions are supplied in single-dose containers containing a volume in the range of 2.5 mL up to a few hundred mL. The container design is adapted to deliver the preparation to the rectum or is accompanied by a suitable applicator.

Microenemas. These are solutions or dispersions of the drug in a small volume (approximately 3 mL) of water or vegetable oil. This dosage form is supplied in a small plastic container, equipped with an application tube. After insertion of the tube, the container is emptied by compression of the bulb. The advantage of this delivery system, if water is used as a vehicle, is that no melting and dissolution is necessary before drug release can begin. Microenemas have been shown to perform well, but they are still of limited applicability because of their relatively high cost compared to, for example, suppositories. Moreover, administration cannot be performed easily by patients themselves, and it is rather diff cult to deliver the total content of the plastic container.

Powders and tablets for rectal solutions and suspensions

Powders and tablets intended for the preparation of rectal solutions or suspensions are single-dose solid preparations that are dissolved or dispersed in water or other suitable solvents at the time of administration. They may contain excipients to facilitate dissolution or dispersion, or to prevent aggregation of the particles. Such powders are often produced by freeze drying (lyophilization). This results in a porous product that has a large surface are and dissolves rapidly (Chapters 28 and 29).

Semi-solid rectal preparations

Semi-solid rectal preparations are ointments, creams or gels. They are often supplied as single-dose preparations in containers provided with a suitable applicator.

Rectal tampons

Rectal tampons are solid, single-dose preparations intended to be inserted into the lower part of the rectum for a limited time and then removed.

Vaginal drug delivery

Introduction

Administration of drugs via the vagina is less common than rectal administration. Vaginal delivery is used for both local and systemic effects, though applications for local effects are far more common.

Local action

For drugs targeted for local action, vaginal administration permits use of smaller doses with reduced absorption and systemic distribution and toxicity. Such drugs include anti-infectives, for instance: clotrimazole, miconazole, clindamycin. With antifungal drugs, such as miconazole, treatment of vaginal infections can be achieved using a much lower dose applied vaginally, as compared to oral administration. Spermicides, such as nonoxynol-9 have been delivered by the vaginal route for contraceptive activity. In the over-the-counter category, a number of formulations are available for hygiene, lubrication or sexual pleasure enhancement.

A new category of drugs currently under development are ‘microbicides’. These are compounds and formulations that can prevent transmission of HIV and/or sexually transmitted infections, such as chlamydia and trichomonas. Microbicides may be