

Table 12.3 OFFICIAL SUPPOSITORIES AND INSERTS IN THE USP

Acetaminophen
Aminophylline
Aspirin
Bisacodyl
Chlorpromazine
Ergotamine tartrate and caffeine
Glycerin
Indomethacin
Miconazole nitrate vaginal
Morphine sulfate
Nystatin vaginal
Oxymorphone hydrochloride
Prochlorperazine
Progesterone vaginal
Promethazine hydrochloride
Thiethylperazine maleate

that must be considered during formulation for rectal administration and, in many cases, can be altered to meet the needs of the individual patient.

The disadvantages of suppositories and the reasons given for the infrequent use of suppositories include the following.

1. A perceived lack of flexibility regarding dosage of commercially available suppositories resulting in underuse and a lack of availability.
2. If suppositories are made on demand, they may be expensive.
3. Suppositories as a dosage form are safe, but they exhibit variable effectiveness, depending upon many factors to be discussed later, including the pathology of the anorectal lesions.
4. Different formulations of a drug with a narrow therapeutic margin, such as aminophylline, cannot be interchanged without risk of toxicity.
5. The “bullet-shaped” suppository after insertion can leave the anorectal site and ascend to the rectosigmoid and descending colon. Hence, one may consider that

suppositories with this shape possibly should not be used at bedtime.

6. Defecation may interrupt the absorption process of the drug; this may especially occur if the drug is irritating.
7. The absorbing surface area of the rectum is much smaller than that of the small intestine.
8. The fluid content of the rectum is much less than that of the small intestine, which may affect dissolution rate, etc.
9. There is the possibility of degradation of some drugs by the microflora present in the rectum.
10. The dose of a drug required for rectal administration may be greater than or less than the dose of the same drug given orally. This can be dependent upon such factors as the constitution and condition of the patient, the physicochemical nature of the drug, and its ability to traverse the physiologic barriers to absorption, and the nature of the suppository vehicle and its capacity to release the drug and make it available for absorption.
11. The factors that affect the rectal absorption of a drug administered in the form of a suppository may be divided into two main groups: (a) anatomic and physiologic factors and (b) physicochemical factors of the drug and the base.

Local Action

Once inserted, the suppository base melts, softens, or dissolves, distributing its medicaments to the tissues of the region. These medicaments may be intended for retention within the cavity for local effects, or they may be intended to be absorbed for systemic effects. Rectal suppositories intended for local action are most frequently used to relieve constipation or the pain, irritation, itching, and inflammation associated with hemorrhoids or other anorectal conditions. Antihemorrhoidal suppositories frequently contain a number of components, including local anesthetics, vasoconstrictors, astringents, analgesics, soothing emollients, and protective agents. A popular laxative, glycerin suppositories promote laxation by local irritation of the mucous membranes, probably