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**Suppositories**

Suppositories are medicated, solid bodies of various sizes and shapes suitable for introduction into body cavities. The medicament is incorporated into a base such as cocoa butter, which melts at body temperature, or into one such as glycerinated gelatin or PEG, which slowly dissolves in the mucous secretions. Suppositories are suited particularly for producing local action, but may also be used to produce a systemic effect or to exert a mechanical effect to facilitate emptying the lower bowel.

The ideal suppository base should be nontoxic, nonirritating, inert, compatible with medicaments, and easily formed by compression or molding. It should also dissolve or disintegrate in the presence of mucous secretions or melt at body temperature to allow for the release of the medication. As with the ointment bases, suppository base composition plays an important role in both the rate and extent of release of medications.

Routes of Administration That Utilize Suppositories

1-rectal: Using rectal administration to achieve systemic activity is preferred when the drug is destroyed in the GI tract, if oral administration is not possible because of vomiting, or the patient is unconscious or incapable of swallowing oral formulations,  Rectal suppositories for adults are tapered at one end and usually weigh about 2 grams. Infant rectal suppositories usually weight about 1 gram or about half that of adult

2-vaginal: The suppositories are usually globular, oviform, or cone-shaped and weigh between 3 - 5 grams.

3-urethral: Traditionally, they are cylindrical in shape (3 - 6 mm in diameter) and vary in length according to gender. Female urethral suppositories can be 25 - 70 mm in length while male urethral suppositories can be about 50 - 125 mm in length.

**Methods of Preparation**

**Suppositories can be prepared extemporaneously by one of three methods.**

**1. Hand Rolling**is the oldest and simplest method of suppository preparation and may be used when only a few suppositories are to be prepared in a cocoa butter base. It has the advantage of avoiding the necessity of heating the cocoa butter. A plastic-like mass is prepared by triturating grated cocoa butter and active ingredients in a mortar. The mass is formed into a ball in the palm of the hands, then rolled into a uniform cylinder with a large spatula or small flat board on a pill tile. The cylinder is then cut into the appropriate number of pieces which are rolled on one end to produce a conical shape.

**2. Compression Molding**is a method of preparing suppositories from a mixed mass of grated suppository base and medicaments which is forced into a special compression mold. The method requires that the capacity of the molds first be determined by compressing a small amount of the base into the dies and weighing the finished suppositories. When active ingredients are added, it is necessary to omit a portion of the suppository base, based on the density factors of the active ingredients.

**3. Fusion Molding**involves first melting the suppository base, and then dispersing or dissolving the drug in the melted base. The mixture is removed from the heat and poured into a suppository mold. When the mixture has congealed, the suppositories are removed from the mold. The fusion method can be used with all types of suppositories and must be used with most of them.

When a drug is placed in a suppository base, it will displace an amount of base as a function of its density. If the drug has the same density as the base, it will displace an equivalent weight of the base. If the density of the drug is greater than that of the base, it will displace a proportionally smaller weight of the base. Density factors for common drugs in cocoa butter are available in standard reference texts. The density factor is used to determine how much of a base will be displaced by a drug.

For example, aspirin has a density factor in cocoa butter of 1.3 (*see Remington's*). If a suppository is to contain 0.3 g of aspirin, it will replace 0.3 g ÷ 1.3 or 0.23 g of cocoa butter. If the blank suppository (suppository without the drug) weighed 2 g, then 2 g - 0.23 g or 1.77 g of cocoa butter will be needed for each suppository, and the suppository will weigh 1.77 g + 0.3 g = 2.07 g. So if a pharmacist was making 12 aspirin suppositories using cocoa butter as the base, he would weigh 1.77 g × 12 or 21.24 g of cocoa butter and 0.3 g × 12 or 3.6 g of aspirin.

Some example density factors of drugs in cocoa butter are shown in the table below (*see Remington's*):

| Aspirin | 1.3 |
| --- | --- |
| Barbital | 1.2 |
| Bismuth salicylate | 4.5 |

**Exp .1- Formula (10 supp)**

Paracetamol                             100mg

Witepsol                                  1300 mg

For 1 supp.

Procedure:

1-Make the required calculation (amount of witepsol, paracetamol) for 10 supp.

2- Weight the required amount of paracetamol and witepsol for making 10 supp.

4- Transfer the witepsol to a glass beaker, and transfer the beaker to water bath and heat at temp. 40 c.

5- After melting of Witepsol add the required amount of paracetamol to the melted witepsol and mix well the components.

6-Tarnsfer the mixture to the sonicator bath if needed (degas magnitude 4 Hz).

7-Trancfar the mold to the balance tare it.

8-Pour the mixture carefully at the opening of supp.  Mold until you reach the required weight.

9-Tare the balance again and repeat the procedure for 5 supp.

10- Transfer the mold of 5 supp. to the refrigerator.

**Exp 2- Suppository with PEG Base**

PEG Base Suppositories have many different PEGs and proportions. For this experiment, use the following proportions of PEG 4000 and PEG 400.

After making the base add the active ingredient as following percentages:

| **Ingredients** | | | | **Percentage** | **Weight** |
| --- | --- | --- | --- | --- | --- |
| Paracetamol | | | | 5% |  |
|  | **Ingredient** | **Percentage** | **Weight** | 95% |  |
| Base | PEG 400 | 40% |  |
| PEG 4000 | 60% |  |

Procedure:

1. Make the required calculation (amount of PEG 4000 and PEG 400, paracetamol) for 50 supp
2. Transfer the PEG 4000 and PEG 400 to glass beaker and Melt the components using water bath (70°C).
3. Remove from the heat and allow the mixture to cool near the melting point (40-50°C) before pouring into the mold.
4. Add the required amount of paracetamol to the melted mixture and mix well the components.
5. Transfer the mold to the balance and tare it.
6. Pour the mixture carefully at the opening of supp.  Mold until you reach the required weight.
7. Tare the balance again and repeat the procedure for 10 supp
8. Let the mold at room temperature 30 minutes, or until solid. Do not put in refrigerator or freezer.
9. Carefully remove excess with a hot spatula or knife; then remove the suppositories from the mold.
10. Using only perfect specimens, weigh the suppositories and record the total weight. Calculate the average suppository weight.
11. The melting time for the suppositories is …………………………….(disintegration machine ).

Questions:

Q1-discuss the types of suppositories bases used in the above formulations with brief explanation on these characteristics and uses?

Q3- what is the effect of PEG6000 when used instead of PEG4000?

Q3- Describe the effect of different bases on the physical characteristic of the suppositories