

B. SYNTHETIC FATS

- Prepared by **hydrogenating suitable vegetable oils**. They have many of the advantages of theobroma oil but fewer disadvantages.
- Examples: **Novata**, **Suppocire** and **Witepsol**.

Novata®



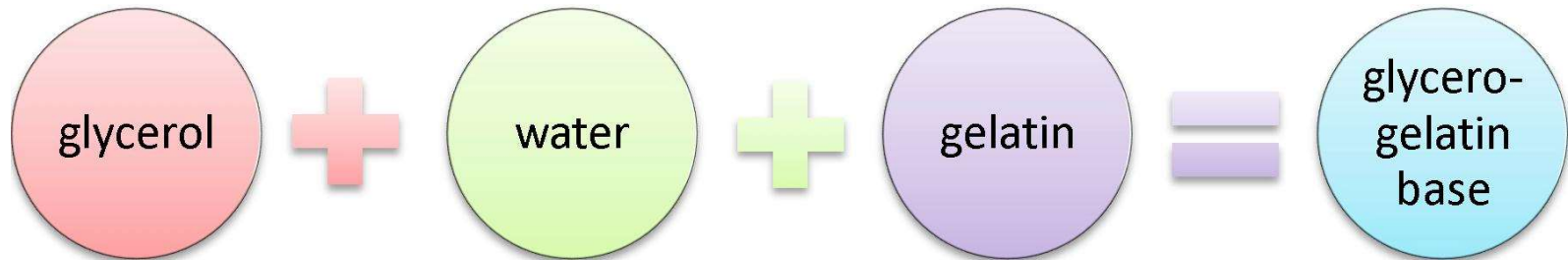


Disadvantages:

1. The melted fats are **less viscous than theobroma oil**.
As a result, greater risk of drug particles to sediment during preparation which lead to lack of uniform drug distribution give **localized irritancy**.
2. These bases become **brittle** if cooled too rapidly, so should not be refrigerated during preparation.

2. WATER-SOLUBLE AND WATER-MISCIBLE BASES

A. GLYCEROL-GELATIN BASES:



- The commonest is Glycerol Suppositories Base **BP**, which has **14%** w/w gelatin, and **70%** w/w glycerol.
- The glycerol-gelatin base **U.S.P.** consisted of **20%** w/w gelatin, and **70%** w/w glycerol & water Q.S. to 100%.



Disadvantages of Glycerol-gelatin bases :

1. Have a **physiological effect** , osmosis occurs during dissolving in the mucous secretions of the rectum, producing a laxative effect.
2. Can cause **rectal irritation** due to small amount of liquid present.
3. They are **hygroscopic** so require careful storage.
4. **Difficult to prepare** and handle than other bases.
5. Because of the water content, **microbial contamination** is more likely than with the fatty bases.
6. Preservatives may be added, but can lead to **incompatibilities** problems.

N.B. This type of base is used for pessaries rather than suppositories.

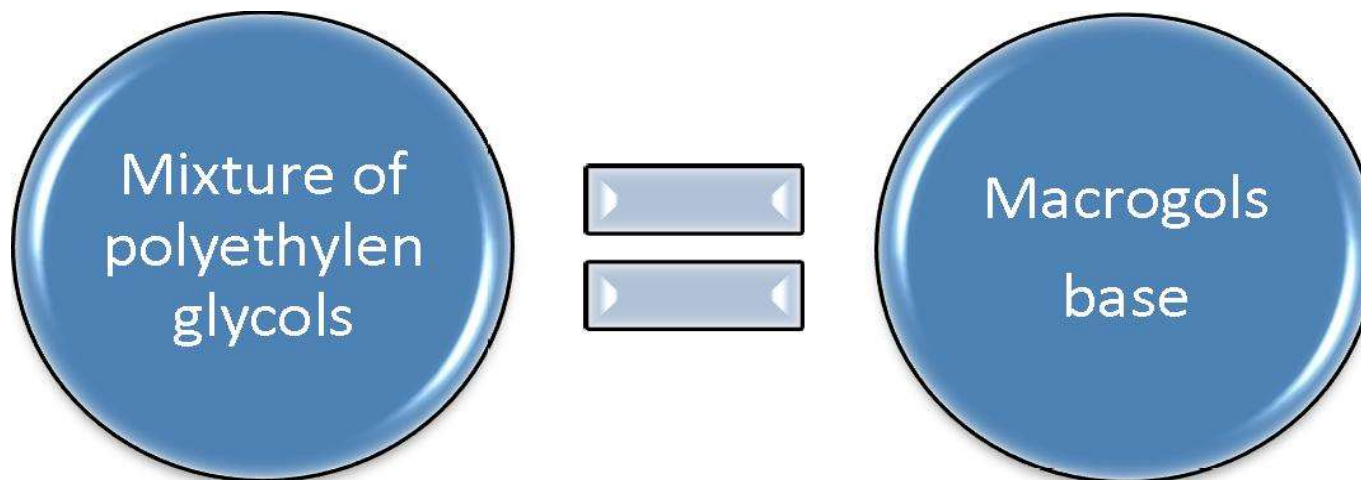
B. MACROGOLS:


- **Polyethylene glycols** are polymers of ethylene oxide & have different molecular weight ranging from 200 to 20,000.
- They exist as liquids, semisolids and solids according to mol. Wt.

200-600 are liquids, 600-1000 are semisolids, > 1000 are solids.

- These polyethylene glycols can be **blended together** to produce suppository bases with varying:

melting points, dissolution rates and physical characteristics.



- 
- Drug release depends on the **base dissolving rather than melting**.
 - The melting point is often around **50°C**.
 - Higher proportions of **high** molecular weight polymers produce preparations which **release the drug slowly** and are also **brittle**.
 - Less brittle products which release the drug more **readily** can be prepared by mixing high polymers with medium and low polymers.

Examples of these formulas:

BASE 1

- PEG 1000 96%
- PEG 4000 4%

- ↓ m. p. may require refrigeration during summer.
- useful if rapid disintegration is desired,
- recommended for supp. intended to be used in short time after prep.

BASE 2

- PEG 1000 75%
- PEG 4000 25%

- more stable than base 1
- subjected to storage at ↑ temp.
- useful when a slow release of active ingredients is preferred

BASE 3

- PEG 6000 50%
- PEG 1540 30%
- WATER 20%

- contains water to facilitate the incorporation of water-soluble, PEG insol. substances, as sodium Phenobarbital, mild silver protein and mercurochrome.



- **Base 1,2** which do not contain water, are dipped in water before insertion, to avoid the irritation or "sting" of the mucous membranes.

- Sometimes, wax like materials such as **cetyl or stearyl alcohol**, either with or without **local anesthetic**, also used as a **coating** for the suppositories to eliminate complaints of irritation.

- However, the wax coating **slows the solubility**, and the local anesthetic adds therapeutic complications.



Properties which make macrogols useful as suppository bases:

1. They have **no physiological effect.**
2. Are **not prone to microbial contamination.**
3. As they dissolve, a viscous solution is produced which means there is **less likelihood of leakage** from the body.
4. Significantly contracts upon cooling & so **no need for lubrication of the mould.**



Disadvantages:

1. **Hygroscopic** so they must be carefully stored.
2. Have a **high water-absorbing capacity** which lead to irritation to the rectal mucosa. overcome by dipping the suppository in water prior to insertion.
3. Become **brittle if cooled too quickly** and also on storage.
4. **Incompatibility** with several drugs and packaging materials, e.g. benzocaine, penicillin and plastic, may limit their use.
5. **Crystal growth** occurs with some drugs causing irritation to the rectal mucosa.
 - ☺ Mix. of P.E.G. prepared to achieve the desired consistency & different physical characteristics.