

- These are thin, long and cylindrical in shape weigh about 2 to 4 gms

Ear Cones :

- These are meant for introduction in to ear also known as "auricular"
- These are thin, long and cylindrical in shape
- weigh about 1 gm

Suppository Bases :

- Suppository bases are used to prepare suppositories that they can retain its shape and firmness during storage and use.
- They should melt or dissolve in body cavity fluids to release medicaments for local and systemic effect.

properties of Ideal Suppository Base :

- It should melt at body temperature or dissolve or disintegrate in body fluids.
- It should be inert, non-irritant and non-sensitizing
- should release the medicament readily

- should be compatible with a broad variety of drugs
- should be stable on storage
- should have melting & emulsifying properties
- should be stable if heated above its melting point
- should be easily moulded and should not adhere to the mould
- should be good in appearance

classification or types of suppository bases

It can be classified in to

- ① fatty bases
- ② water soluble and water miscible bases
- ③ Emulsifying bases

① fatty bases:

Theobroma oil :-

- It is yellowish white solid obtained from crushed and roasted seeds of "Theobroma Cacao" also known as Cacao butter
- It has butter like consistency. melting point $30-35^{\circ}\text{C}$

→ It was a mixture of glyceryl esters of stearic, palmitic and other fatty acids

→ most suitable base for rectal suppositories but not suitable for pessaries, nasal and urethral bougies because it leak out from cavities after melting.

(ii) Emulsified Theobroma oil:

→ It is used when large quantities of aqueous solutions are used

The use of 5% glyceryl monostearate

10% kette wax

2-3% ethyl alcohol

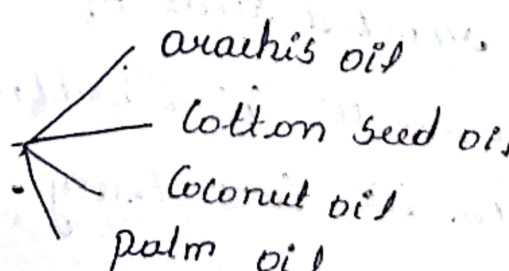
4% bees wax

12% spermaceti

recommended to prepare Emulsified Theobroma oil suppositories

(iii) Hydrogenated oils

obtained by
hydrogenation
of



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graph LR; A[obtained by hydrogenation of] --- B[arachis oil]; A --- C[cotton seed oil]; A --- D[coconut oil]; A --- E[palm oil]
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- It is substitute of theobroma oil because...
- Resistant to oxidation.
- lubrication of mould is not required
- produce colourless, odourless and elegant suppositories

2) water soluble and water miscible bases

(i) Glycero-gelatin base:-

- This occurs as a gels
- It is a mixture of gelatin, glycerol and water
- particularly used for making pessaries.
- suitable for suppositories containing boric acid, chloral hydrate, bromides, Iodides, iodoform, opium etc.
- To avoid incompatible reactions, two types of gelatins used:

1) Type A or pharmogel A:-

prepared by acid hydrolysis
used for acidic drugs.

Type B or pharmagel B:

Alkaline in nature



used for alkaline drugs.

(ii) Soap-glycerin suppositories:

Gelatin is replaced by → hard soap or sodium stearate

→ The main disadvantage of this → they are very hygroscopic.

• must be protected from atmosphere.

→ They should be wrapped in waxed paper or tin foil.

2) poly ethylene glycol

→ It is also called as polyonals (Germany)

→ Carbowaxes (U.S).

→ These are long chain polymers of ethylene oxide

→ Occur in liquid and solids

→ liquids have mol. wt. about 200-600

→ solids have mol. wt. more than 1000

→ They are called as Macrogols

→ These are the mixture of 2 or more grades of macrogols used as suppository bases.

3) Emulsifying Bases :-

These are synthetic bases

(i) Witepsol :-

→ Triglycerides of saturated vegetable fatty acid with partial esters

→ Suppositories prepared from witepsol should not cooled rapidly → become brittle

(ii) Massa Estearinum :-

→ It is mixture of → mono, di and triglycerides of saturated fatty acids

→ It is white, brittle, odourless and tasteless solid (M.P 33.5 to 35.5°C)

→ Available in various grades - but grade B is commonly used

(iii) Masuppil

It consists of → glyceryl esters of lauric acid

→ Small amount of glyceryl monostearate added to improve water absorbing capacity.

4) Lipophilic Bases:

a) Cocoa Butter:

- It is natural triglyceride.
- It exist in more than one crystalline form. Exhibits polymorphism.
- At room temperature, it is yellowish-white with a paints, chocolate like odour.
- It consists of a mixture of ester of oleic acid, palmitic acid, stearic acid and other fatty acid with glycerol.

b) Anti-oxidants:

- It is to protect the drugs and bases from getting degraded due to oxidation.
- These are commonly used in all types of suppositories.

Ex:- Ascorbic acid

Butylated hydroxy anisole (BHA)

Butylated hydroxy toluene (BHT)

Tolopherol.

Emulsifying agents:

These increases the water absorbing capacity

of fatty bases.

Ex:- poly sorbates
wool alcohol
wool fats.

d) Hardening agents

- These are involved in those formulation where the melting point of the bases is decrease by the drugs.
- These are the agents which are used to bring the melting point to normal

Ex: Bees wax

- Macrogols at high molecular weight

e) preservatives:

- These are the agents which are used to prevent the growth of microorganisms in suppositories which contains water soluble bases

Ex:- chlorocresol,

methyl paraben

propyl paraben

f) Thickening agents:

These are the agents which are used to rise

the viscosity of molten bases and prevents sedimentation of suspended in solid bases

Ex:- Aluminium monostearate

colloidal silica

magnesium stearate

plasticizers:-

→ These are the agents which are used to improve flexibility of suppositories

Ex:- Castor oils

Glycerine

Glycol

Tween 80

Tween 85

Methods of preparation of suppositories

1) Rolling method

2) Hot process / fusion method

3) Cold Compression method

Hand Rolling method:-

→ It is the simplest and oldest method of suppository preparation.

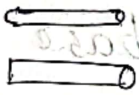
→ Hand rolling method is useful when we

prepare a small number of suppositories.
mix Drug + suppository base.

↓
rolled with hand.

↓
to produce the desired shape of suppository.

- This method is simple and Economical.
- But it is very time consuming and rarely used now-a-days

Drug + suppository base $\xrightarrow{\text{Rolled with hand}}$  → to produce desired shape. Δ

Hot process or fusion method ::

- In this method, the bases are melted using heat
- This method is not suitable for heat sensitive suppository bases.

procedure

Suppository bases are melted.

↓
add the drugs & other additives in the melted suppository base.

↓
and prepare a mass.

pour the prepared mass in to the lubricated
suppository mould.

Now the poured mass is allowed to cool.

on cooling suppositories are formed.

and the formed suppositories should be
removed from the mould.

It is done in 4 steps They are

- ① melting the base
- ② Incorporation of the drugs and other
additives
- ③ filling of mold
- ④ cooling and collection of suppositories.

melting the base:

Drug + base

↓
should be melted

↓
in china dish

Incorporation of Drug and additives:

drugs & additives → in solid form

↓
Converted in to fine powder

↓
and mixed properly &

↓
triturate with sufficient water

↓
and this liquids are mixed in melted bases

filling the molds:

→ lubricants are applied in the molds.

↓
then masses are introduced in the molds

↓
stirring should be done to prevent sedimentation

↓
overfilling is required

↓
to prevent depression in suppositories.

Cooling and Collection of Suppositories

After 2-3 min, mass sets in the moulds

↓
Remove Excess mass with spatula

↓
Cool the suppositories for 10-15 min
in refrigerator.

↓
Open the mould

↓
Collect the suppositories

↓
and packed

Compression molding

The Compression machine consists of a:

- * cylinder
- * piston
- * molds
- * metallic stop plate at the bottom

working :-

- place the mass in cylinder and apply the pressure
- due to pressure suppositories will be released
- After cooling release them from compression machine and packed

procedure :-

Drug + additives

↓

made in to fine powder

↓

mixed with bases

↓

lubricants should be applied in molds

↓

place the masses in cylinder

↓

Apply pressure

↓

Release the suppository

↓

cooled

↓

packed

↓

stored

Advantages :-

→ Suitable for thermolabile drugs.

→ Because no heat is required.

→ Rate of production is more.

Disadvantage :-

→ Main disadvantage is air entrapment occurs during production.

→ So oxidation takes place in suppository.

Automatic machine molding

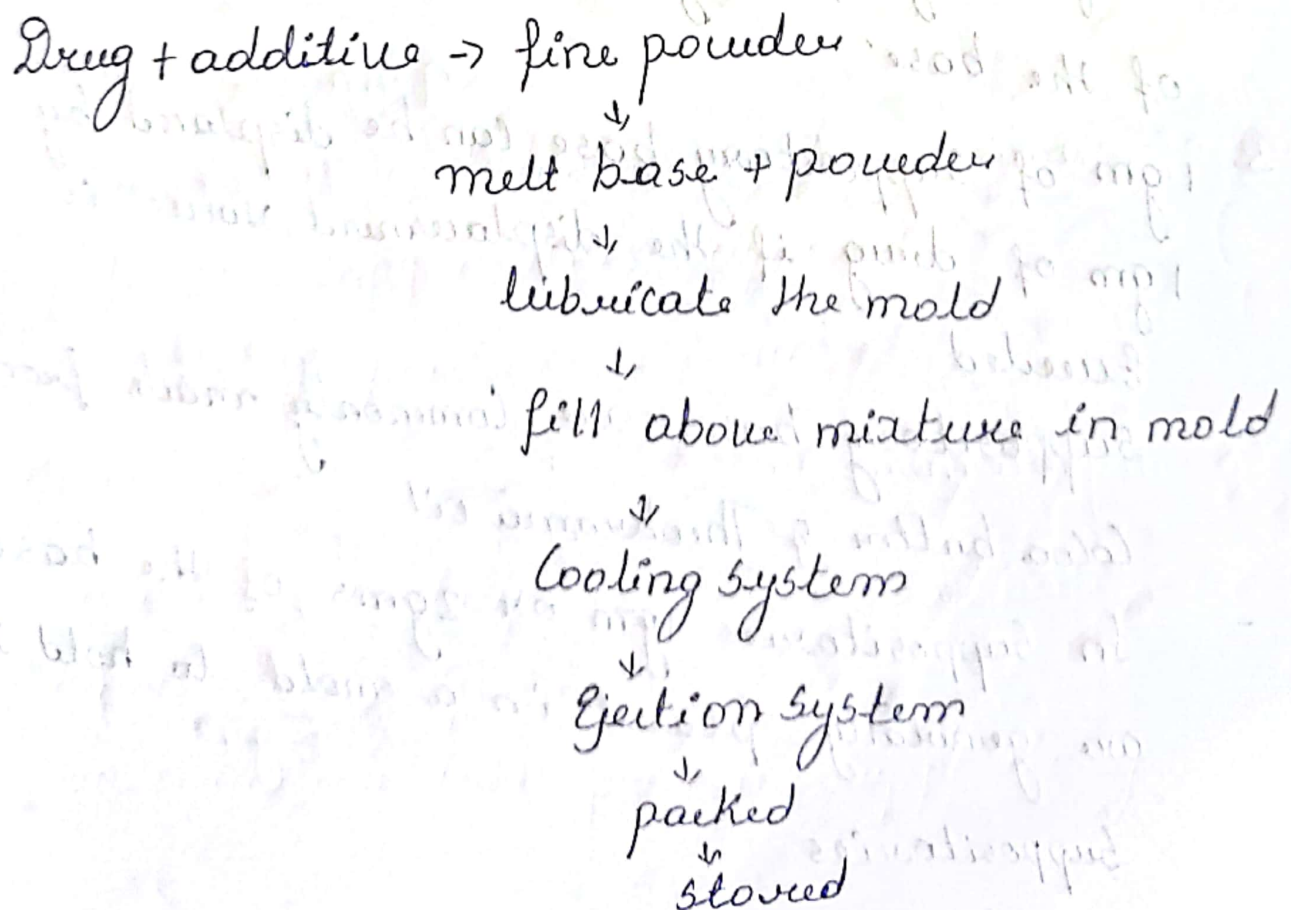
- using this machine, up to about 10,000 suppositories per hour can be produced
- Rate of production is higher than hand molding
- In this there are no chance of air entrapment and Contamination of suppositories
- If any mass was deposited in the mold it was not removed during cleaning
- So, sometimes it produces overweight suppositories

There are 2 types of machines used they are

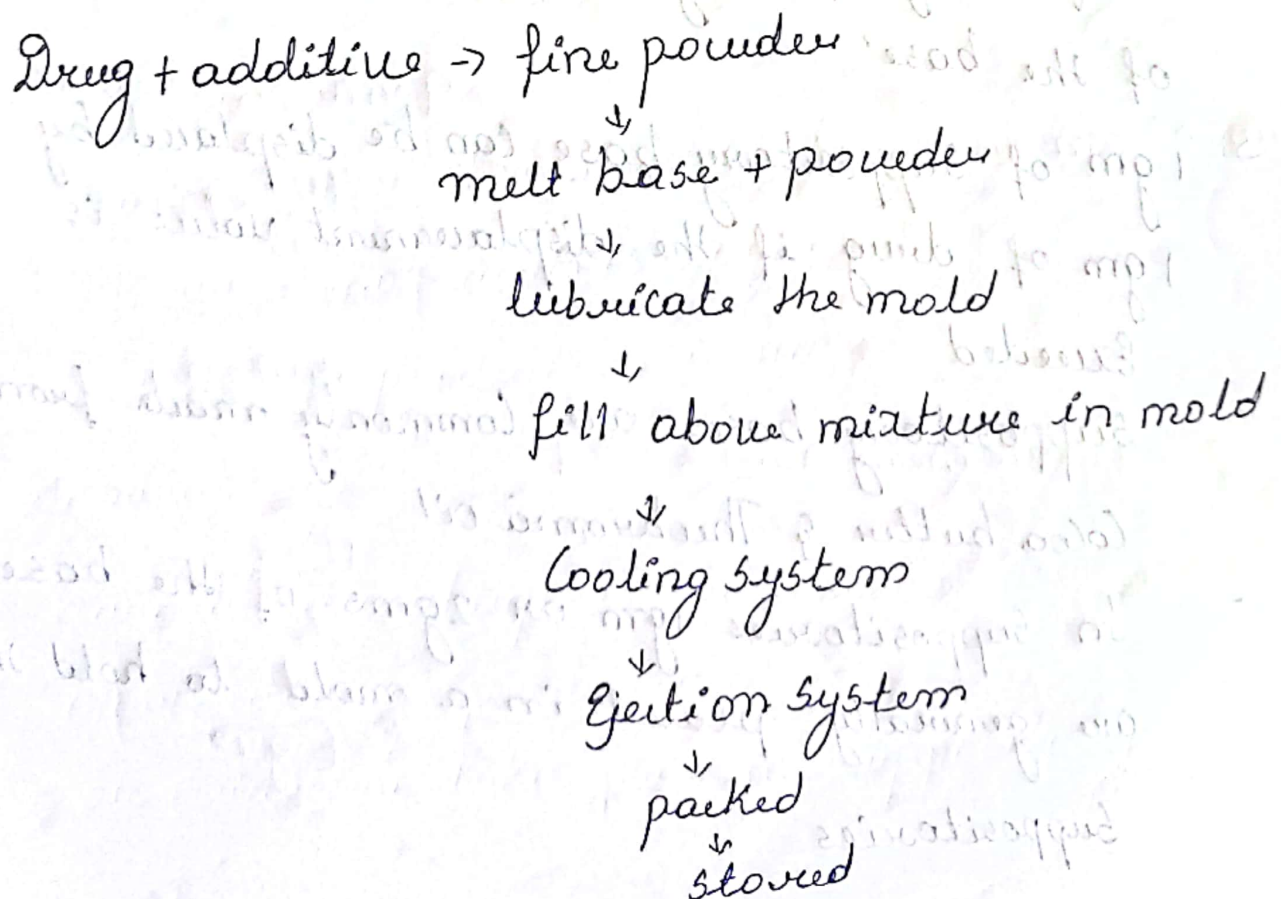
a) Rotary Machine

- By using this machine we can produce 3500-6000 suppositories per hour
- This machine consists of a turn table in which metal molds are fitted
- This table rotates sequentially, while rotating the mold gets filled with drug, additives and bases
- after that cooling occurs and ejects the suppositories.

- Before mass filled in mold, the lubricants are applied in mold wall.
- Excess mass is removed by scraping unit
- Cooling system results the solidification of suppositories
- After cooling the mold moves towards Ejection Station
- It consists of stainless steel rod which pushes out the suppositories from mold.
- Then completes the Ejection process, the empty molds are again move towards the filling unit for further process.



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b) Linear machine

It was very similar to rotary machine.

- The rate of production is higher than rotary machine i.e 10000 per hour.

Displacement value and its calculations

- The volume of suppository for particular mould is uniform, but its weight will vary because of difference in density of base and medicament used.

displacement value is defined as

- "The quantity of drug which displaces one part of the base"

- 1 gm of suppository base can be displaced by 1 gm of drug if the displacement value is exceeded

- Suppository bases are commonly made from Cocoa butter & Theobroma oil

- In suppositories 1gm or 2gms of the base are generally placed in a mold to hold the suppositories