CHAPTER

# PHARMACEUTICS (Tablet)

## Tablet

**Disadvantage -**

(1) Some drug resist compression, generally low density material.
(2) Drug poor wetting slow dissolution property, not given in tablet form.

## Types of Tablet

**(1) Oral tablet**
**(2) Tablet used in oral cavity**
**(3)Tablet administered by other route**

## (1) Oral tablet

(a) **Compressed tablet** - Uncoated
(b) **Multiple compressed tablet** :-
- ♦ Prepare to separate physically and chemically ingredient
- ♦ Produce repeat action or prolong action
- ♦ Layered Tablet
- ♦ Compression coated - Tablet in tablet

(c) **Sugar coated**
(d) **Film coated**
(e) **Chewable tablet** -
- ♦ chewed in mouth, gen. antacids
- ♦ Because dose of antacid is large to swallow.
- ♦ Activity of antacid is related to its particle size.

## (2) Tablet used in oral cavity

**(a) Buccal tablet** - Tablet placed between cheeks and teeth

(b) **Sub lingual** -
- ♦ Beneath tongue
- ♦ Avoid first pass metabolism
- ♦ Gen. lipid soluble drug.

**(c) Troches and Lozenges** -
- ♦ To treat infection and coughing in the common cold.
- ♦ Lozenge are originally termed as pastillies
- ♦ cough drops gen. candy molding process
- ♦ **troches** - By compression
- ♦ Not disintegrate but dissolve in mouth.

**(c) Dental cone** -
- ♦ Placed in the empty socket in case of tooth extraction
- ♦ To prevent Multiplication of bacteria in socket.

# (3)Tablet administered by other route

### (1) Implantation tablet

♦ Subcutaneons one month to year constant drug delivery

disadv. — surgical technique
disadv. — Tissue toxicity

### (2) Vaginal tablet

♦ Drug release in vaginal cavity to treat vaginal infection

# Tablet used to prepare solution

**(1) Effervescent Tablet**
**(2) Dispensing Tablet** - To add in given volume of water (not given orally)
**(3) Hypodermic tablet** - In sterile water for parenteral route
**(4) Tablet triturate** - Molding

# Diluent ( Fillers )

♦ Required to produce bulk, (size of round tablet (3/16 to 1/2 inch)
♦ Below 3/16 - can not produce
♦ More ½ - difficult to swallow

**(1)Calcium sulphate**

**(2)Lactose** ♦ with Isoniazid - given reaction brown discolourizaton, (maillard reaction)
♦ An hydrous Lactose not give maillard reaction

**(3)Starch** - Sta-Rx 1500

Emdex — Hydrolyzed starch used in chewable tablet(90-92% dextrose +3 to 5% maltose)
Celutab — Hydrolyzed starch used in chewable tablet(90-92% dextrose +3 to 5% maltose)

**(4)Dextrose**

**(5)Mannitol** - Expensive → given negative Heat of solution

**(6)Sorbitol**

**(7)Sucrose:-** ♦ Sugar tab(90 to 93% sucrose +7 to 10% invert sugar)
♦ Dipac(97% sucrose + 3% modified dextrin)
♦ Nutab (95% sucrose +4% invert sugar)

♦ Microcrystaline cellulose is called Avicel
- PH 101 - fine powder
- PH 102 - Granules

# Binder and Adhesives

♦ They add to form granules and cohesive compacts

Acacia
Tragacanth } 10-25%

♦ Gelatin
♦ Starch paste - Translucent
♦ Liquid Glucose
♦ Methyl cellulose
♦ EC,
♦ HPMC
♦ PVP - synthetic

# Disintegrant

♦ For breakup of tablet
(1) By swelling
(2) By effervescent

**(1) Starch:-**

(i)Primogel
(ii)Explotab } Carboxyl methyl starch(4%)

**(2)**Bentonite
**(3)**Veegum
**(4)**AC-Di sol- (Sodium carboxy methyl cellulose)

# Lubricant, antiadherent and glidants

**Lubricant** - reduce friction - Tablet and die wall
♦ Mineral oil
♦ Mg. stearate
♦ Ca, stearate
♦ Talc

**Anti adherent** - reduce friction - tablet and punch

**Glidant** - reduce friction - between particles, flow promoter
♦ Talc(5%)
♦ Corn starch(5-10%)
♦ Colloidal silica (cab-0-sil, aerosol or syloid)(0.25-3%)

**Colours** - Lakes ared dyes. Al or Ca salt (0.0005-0.001%)
♦ Used in powder form

**Sweetness** → Sucrose → cap-locking (In syrups)
**Saccharine** → 500 times sweeter, bitter after taste, reported to be carcinogen
**Aspartame** → Lack of stability

# Tablet manufacturing method

- ♦ Wet granulation
- ♦ Dry granulation
- ♦ Direct compression

| Processsing step | wet | Dry | Direct |
|---|---|---|---|
| Raw material | ✓ | ✓ | ✓ |
| Weigh | ✓ | ✓ | ✓ |
| Screen | ✓ | ✓ | ✓ |
| Mix | ✓ | ✓ | ✓ |
| Compress (slug) | | ✓ | |
| Wet moss | ✓ | | |
| Mill(screen) (10 no.) | ✓ | | |
| Dry | ✓ | | |
| Mill(screen) (22 no.) | ✓ | ✓ | |
| Mix | ✓ | ✓ | |
| Compress | ✓ | ✓ | ✓ |

# Tablet compression method

**(1) Hopper** - Holding and feeding
**(2) Die** - Size and shape
**(3) Punch** - compression of granulation
**(4) camtrack** - guiding movement of punch
**(5) Feeding machinery** - Movement of granule from hopper into dye.

**Output is regulated**

(1) Number of tooling set
(2) Rotational speed of press

# Tablet defects

**(1) Capping and Lamination:**

**Capping -** Partial or complete separation of the top and bottom crown of tablet

**Lamination** - separation of tablet in to two or more distinct layers.

Due to-

- ♦ Air entrapment
- ♦ Defective punch or dye
- ♦ Granules are too dry
- ♦ Incorrect setup to press.

**(2) Picking and sticking**

**Picking** ♦ stick to the upper punch

♦ Incase of engraving gen. B,A,O are difficult

**Sticking** ♦ sticking to the die wall

- ♦ Small Qty. of Lubricant
- ♦ Percentage of moisture content in granule is high
- ♦ Defect in formulation

**Correction** - ♦ colloidal silica added

♦ make punch smooth

**(3) Mottling** - ♦ Unequal colour distribution is called mottling

♦ Gen. drug whose colour different from excipient to over come - Change solvent system, binder system.

**(4) Wt. variation Poor flow** → under fill

(1) Bridging (arching) (2) Rat holding

**(5) Hardness**

**(6) Double Impression**

# Evaluation of tablet

## Size of tablet

**(1) Thickness is measured by:-**

(a) micrometer

(b) sliding caliper scale

Range ± 5%

**(2) Hardness** - ♦ Mechanical strength

- ♦ Handling
- ♦ Disintegration
- ♦ Monsanto tester
- ♦ Pfizer tester
- ♦ Strong cobb tester Erweka, schleuniger

# Friability

**Roche friabilator:-** ♦ 25 rpm
♦ 6 inch
♦ 100 revolution - 0.5 to 1% (0.8%)

# weight variation

♦ 20 tablet → Individual weight → Average wt.
♦ Not more than 2 tablet out sides and no tablet of double the range.

| Range | As per USP |
|---|---|
| ♦ 130 or Less | ± 10 % |
| ♦ More than 130 - 324mg | ± 7.5 % |
| ♦ More than 324 mg | 5% |

| Range | As per IP |
|---|---|
| ♦ 80 mg or less | 10 % |
| ♦ 81 - 250 | 7.5% |
| ♦ 250 or more | 5% |

# Uniformity of content

| | |
|---|---|
| 30 tablet | At least 9 tab 85 - 115% |
| 10 tablet | 1 tablet 75 - 125% |

# Disintegration

♦ 1L — 2-5 cm upward and downward(6 tube (glass) 3 inch long)
♦ 10 mesh sieve
♦ 37 ± 2°c
♦ 28-32 Rpm
♦ Uncoated - 15 min
♦ Film coated - 30 min
♦ Sugar Coated - 60 min
♦ Effervescent - 5 min
♦ Dispersible tablet - 3 min
♦ Souble tablet - 3 min

**Enteric coated** → 2 hrs for 0. I N HCl (No disintegration)
♦ Then 1 hr for phosphate buffer ph 6.8 disintegrated

# Dissolution test

♦ (37 ± 0.5°C)
♦ At least 70% drug dissolve

## Objective

(1) To check the release of drug from tablet as close as Possible to 100 %
(2) Uniformity batch to batch

# Tablet coating

**(1) Core material** :-Drug
**(2) Coating material**

## Sugar coating

**(1) Seal coating** - To prevent moisture Penetration into the tablet.

Ex. Shellac $\rightarrow \uparrow$ disintegration time

**Zein** $\rightarrow$ protein derivative

**(2) subcoating** - To round the edges and buildup tablet size weight increase by 50-100%

**(3) syrup coating** - To fill the imperfection in the tablet and impart desired colour

**(4) Polishing -** ♦ By canvas Polishing pan
♦ Bees wax
♦ Carnauba

## Film coating

**(1) Pan pour method** **(2) Pan spray Method**
**(3) Fluidised bed process**

**Polymer** $\rightarrow$ Ethyl cellulose, methyl cellulose HPMC , cellulose acetate, Eudragit

**Solvent** - water, Ethanol chloroform, Isopropanol, Acetone

**Plasticizer** - change flexibility of film

1- Castor oil
2- PPG
3- PEG
4- glycerin
5- Tweens
6- Span

**Colourant -** Opalux - colour concentrate for sugar coating
Opaspray ------Film coating
Opaque extender - Inoraganic Powder to give more pestle colour
Ex. $TiO_2$

## Film Defect

**(1) Sticking and picking -** ♦ Over wetting cause tablet stick to each other
♦ Reduction in liquid application

**(2) Roughness** ♦ Rough or gritty surface (When spray method used)
♦ Some of droplet dry too rapidly before reaching the tablet bed.

**(3) Orange peel effect** - Inadequate spreading of coating solution before drying, cause a orange peel effect

**(4) Bridging and Filling** $\searrow$

$\downarrow$ Applying too much solution monogram filled

Film May shrink
Change plasticizer

**(5) Blistering** - Further drying in oven too rapid evaporation effect of high temp on film strength

**(6) Hazing/Dull film** - gen. called bloom

**(7) Colour variation (mottled surface)**

**(8) Cracking**