Unit - IV

OPHTHALMIC PREPARATIONS

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INTRODUCTION

Opthalmic dosage are preparations desig
application to the eye:

1) **For the treatment of disease**

2) **For symptomatic release of symptoms**

3) **For diagnostic purpose**

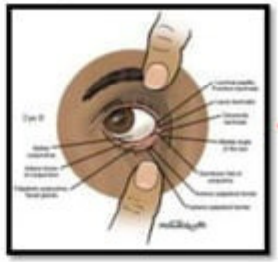
4) **As aid to surgical procedures**

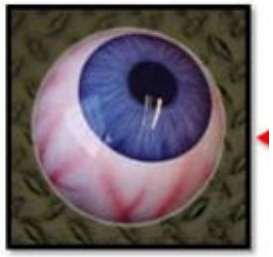
Opthalmic products are the sterile products to instillation in to the eye in the space bet **eye lid and the eye ball**.

These products must be sterile and are pre under the same condition and by the same methods as other Parenteral preparations.

opthalmic products includes:

1) **Eye drops**
2) **Eye lotion**
3) **Eye ointment**
4) **Eye suspension**
5) **Contact lens solution**






EYE
DROPS
Eye Drops
Original Formula
Medic's Choice
Eye
Drops
Original Formula
TETRAHYDROZOLINE
HYDROCHLORIDE
REDNESS RELIEVER
1/2 FL OZ (15 mL)


VITAMIN E
VITAMINE E
EYE
LOTION

Types of opthalmic pro

Opthalmic products may be categorized into a number of

1) Liquid preparations for application to the surface of th
   eye drops and eye lotions.

2) Semi solid preparations such as eye ointments, cream
   application to the margin of eye lid or for introduction
   conjuctival sac.

3) Solid preparations such as Ocular inserts intended to
   contact with the surface of the eye to produce modifie
   medicament over a prolonged period.

4) Parenteral products for sub conjuctival or intra ocular

5) Liquid products for irrigation of the eye during surgica

All opthalmic products are required to be sterile
extraneous particulate matter. Solutions used during
not contain any preservative.

REQUIREMENTS

Opthalmic preparations should possess the followi

1) **Foreign particles**

2) **Viscosity**

3) **Tonicity**

4) **pH of preparations**

5) **Sterility**

6) **Surface activity**

1) **Foreign particles:**

All the opthalmic products should be clear and
foreign particles, fibers and filaments.

Opthalmic solutions should be clarified very car
passing through bacteria proof filters such as m
filters, sintered glass filters.

The particle size of the eye suspension should b
ultrafine state of subdivision to minimize irritati

A separate filter should be used for different op
products in order to avoid the contamination.

2) Viscosity:

in order to prolong the contact time of the drug in the
thickening agents are added in the opthalmic preparatic

Polyvinyl alcohol (1-4%), polyethylene glycol, methyl cellulose, carboxy methyl cellulose are some of the com thickening agents. These agents improve the viscosity c preparation.

An ideal thickening agent should possess the following
1) it should be easy to filter.
2) It should be easy to sterilize.
3) It should be compatible with other ingredients.
4) It should possess requisite refractive index and clarit

Thickening agents are not included in the forr drops and eye lotions which are required to be used surgery due to some possible adverse effects on the eye.

3) Tonicity:

Opthalmic products should be isotoni
lachrymal secretions to avoid discomfort an

It has been observed that eye can tole
range of tonicity from 0.5-2% NaCl. There ar
isotonic vehicles which are used to prepare
products like 1.9% boric acid, sodium acid p
buffer.

4) pH of the preparations:

pH plays an important role in therapeutic activity, solubility, stability and comfort to the pati

Tears have a pH of about 7.4. eye can tole having wide range of pH provided they are not str buffered, since the tear will rapidly restore the nor of the eye.

Alkaloid salt solutions are stable at pH 2-3 irritant to eye.

The alkaloids get precipitated at pH above a number of formulation problems.

5) sterility:

Opthalmic preparations must be sterile when prepa

Pseudomonas aeroginosa is very common gram
which is generally found to be present in opthalmic
may cause serious infections of cornea. It can caus
loss of eye sight in 24-48 hrs.

To maintain sterility in multi dose container,
opthalmic products, a suitable preservative is adde
preservative should be non-toxic, non-irritant and
compatible with medicaments. The opthalmic prod
generally sterilized by autoclaving, filtration throug
proof filters and addition of bactericides at low tem

6) Surface activity:

Vehicles used in opthalmic preparations mu
wetting ability to penetrate cornea and other tissue
Certain surfactants or wetting agents added
found suitable for opthalmic products.

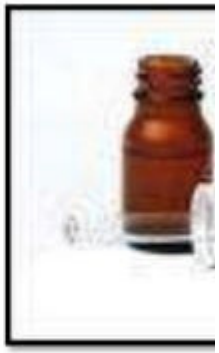
It should not cause any damage to the tissu

Benzalkonium chloride, polysorbate 20, poly
80, dioctyl sodium sulpho succinate etc., are some
surfactants which are commonly used.


EYE DROP

EYE DROPS

Eye drops are sterile aqueous or suspensions of c
instilled in to the eye with a dropper. They usually contai
anti septic, anti anesthetic, anti inflammatory, mydriatic
properties.



FORMULATION

1)Drug

2)Preservative

3)Sterilization

4)Isotonicity

5)Buffer

6)Viscosity

7)Container

8)label

1)Drug

These contains drug of various categories including ar
inflammatory agent, mydriatric or meiotic properties.

2) Preservative

Eye drop should be sterile and should contain preserva
avoid microbial contamination when the container is op
preservative for opthalmic use includes Benzalkonium
chloride, Chlorbutanol, Phenylmercuric acetate, Phenyl
nitrate etc

3) Sterilization

Eye drops are sterilized by autoclaving at 121^{0}C for 15
bacteria filter to avoid thermal degradation; for examp
chlorbutanaol hydrolyzes at high temperature.

4) Isotonicity

All the solutes including drug contribute to the osmotic p
eye drop, therefore isotonicity of the formula should be c
it is adjusted with sodium chloride, for example- Sodium
and boric acid 1.9% are iso-osmotic.

5) Buffer

The buffer should be added to maintain balance betwee
comfort, solubility, stability and activity of drug. For exa
hydrolyzed chlorbutanol forms hydrochloride acid makir
acidic, whereas certain drug like pilocarpine hydrochlori

On the hand certain drug such as alkaloids show precipi
lachrymal pH. Boric acid, monobasic sodium phosphate
common buffers for eye drop.

6) Viscosity

The size of drop and its residences in eye depends on vi
drops. Methylcellulose, hydroxypropyl methylcellulose ar
alcohol are common viscosity enhancer.

7) Container

The commonly used container for opthalmic solutions o
is multi-dose container (5ml, 10ml). Glass container is
sterile plastic dropper. Plastic bottles are with built-up n

8) label

Not for injection. For external use only.
Shake well before use (if it is suspension)

PREPARATION

The eye drops are prepared in 4 stages. These stages a

1)Preparation of bactericidal and fung vehicle:

The aqueous or oily vehicle is used in preparation of aqueous vehicle may support bacterial or fungal grow

so one of the following bactericide may be used to pr drops :

I. Phenyl mercuric nitrate/ acetate – 0.002%
II. Benzalkonium chloride – 0.01%
III. Chlorhexidine acetate-0.01%

2) Preparation of solution of medicamen adjuvant: The medicaments are dissolved in aqueous vehicle containing suitable anti micr agent. The adjuvants are also dissolved in th at a stage to form a stable preparation.

3) Clarification: The eye drops are clarified passing the solutions through membrane filte pore size of 0.8µm. The clarified solution is ir transferred in to final containers and sealed t micro organisms.

4) Sterilization : the eye drops are sterilize autoclaving or heating with bactericide at 98 for 30 mins., or filtration through bacteria pr

5) Containers:

The eye drops should be packed in neutral glass contai
suitable plastic containers.

In olden days the eye drops are stored in vertically flut
colored glass bottles fitted with a Bakelite cap carrying
bottle must confirm to limit test for alkalinity of glass.

Now a days neutral glass small bottles having capacity
are used.

It has two poly propylene screw caps, one for attachin
rubber teat to the container and the other for covering

The plastic squeeze bottles having ridged plastic cap a
friction plug containing baffle that produces uniform dr
used these days.

These are very handy. These bottles are sterilized by g
sterilization method.

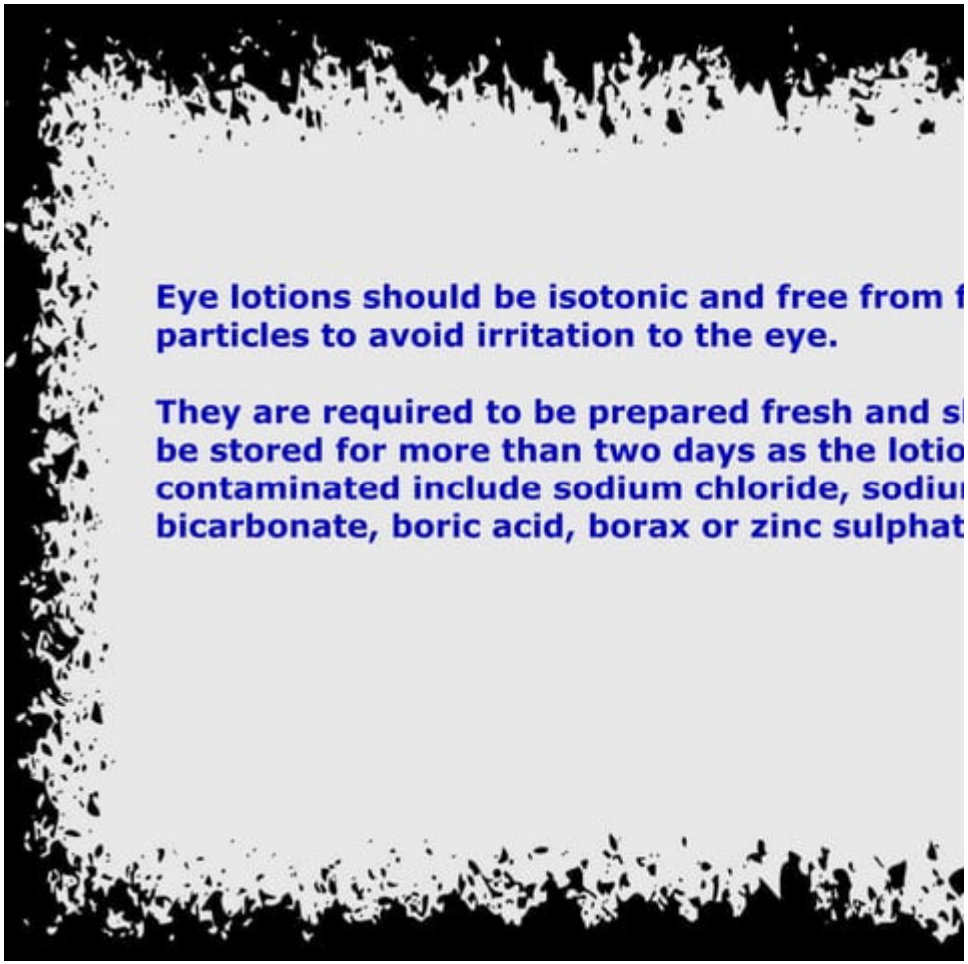
Eye lotio

INTRODUCTION

Eye lotion are the sterile aqueous solutions u
washing of the eyes.

The eye lotions are supplied in concentrated
are required to be diluted with warm water
immediately before use.

They are usually applied with a clean eye-ba
sterilized fabric dressing and a large volume
solutions is allowed to flow quickly over the


Eye lotions should be isotonic and free from f
particles to avoid irritation to the eye.
They are required to be prepared fresh and s
be stored for more than two days as the lotio
contaminated include sodium chloride, sodiu
bicarbonate, boric acid, borax or zinc sulphat

FORMULATION OF EYE LO

Eye lotions are simple solution. They are iso-osmot
because they cause much greater dilution of the la
and hence are more likely to cause discomfort if nc

The eye lotion should be sterile because the large v
used to irritation from the eye.

While removing the irritation from the eye, it beco
susceptible to infection. The eye lotions are steriliz
autoclaving or by passing through bacteria proof fil

Sodium chloride eye lotion and sodium bicarbonate
are commonly used to remove foreign substance fr

PREPARATION OF EYE LOT

EXAMPLE:-

To prepare and submit ml of sodium chloride eye l

R_x

Sodium chloride	9gm
Purified water to produce	1000ml

Method:-

Dissolve sodium chloride in purified water a
the final volume by adding more of purified water.
through sintered glass filter grade 4.

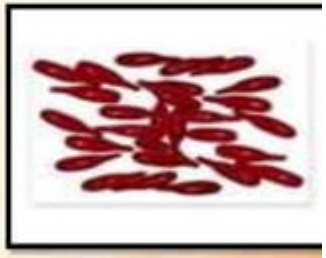
The eye lotion is transfer to the bottle. C
sealed the bottle sterilize it by autoclaving.


EYE OINTMEN

Eye ointments are sterile preparation meant
application to the eye.

These are prepared under aseptic conditions
packed in sterile **collapsible tubes** which ke
preparation sterile until whole of it is consum

Nowadays eye applicaps are available which
only one application of the eye-ointment
preparation.



Formulation of Eye Ointm

The ointment based for an eye-ointment must be
irritating to the eye.

The eye ointment base should melt near to the bo
temperature, so as to permit the diffusion of the d
through the lachrymal secretions of the eye.

For the preparation of eye-ointment the following
used:-

Yellow soft paraffin	**80g**
Liquid paraffin	**10g**
Wool fat	**10g**

Methods of preparation of Eye Ointments

Melt wool fat, soft paraffin on a water bath. Add liqu
Filter through coarse filter placed in heated funnel.
by dry heat method (160^{0}C for 2 hours). Incorporat
medicament with the eye ointment base. Pack in st
containers.


EVALUATION
OF
OPTHALMIC PREPARA

Evaluation is test of finish Parenteral product are f
micro-organism or not.

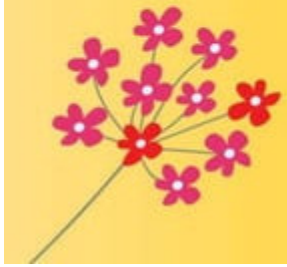
Evaluation of the opthalmic product is done by foll

1. Sterility Test

2. Clarity Test

3. Leaker Test

4. Metal particles in opthalmic ointment



1. STERILITY TEST :

Two basic methods for sterility testing:

I) Direct Inoculation Method:

It involves the direct introduction of product tes into the culture media.

II) Membrane filtration Method:

It involves filtering test sample through membr filter, washing the filter with fluid to remove inhi property and transferring the membrane aseptic appropriate culture media.

Detection of contamination used to two culture m

A) Soybean-casein digest medium:- Incubated a 25^0C

B) fluid thioglycollate medium:- Incubated at 30 on 7 Days

2. CLARITY TEST :

Opthalmic Solution by definition contain no undissolve
ingredients and are essentially free from foreign partic

- **Visual Inspection:**

  Under a good light, baffled against reflection into t
viewed against a black and white background with con
motion with swilling action.

- **Instrumental method:** It is utilizing the princip
scattering, light absorption and electrical resistance to
particle count and size distribution – destruction of pro
only for quality control testing.

Instrumental method utilizing video image projection
moving particles without destruction of product units-u
inline detection.

3. LEAKER TEST :

- Select 10 tubes of the ointment with seals applied wh
- Thoroughly clean and dry the exterior surfaces of eac absorbent cloth.
- Place the tubes in horizontal position on a sheet of ab paper in an oven maintained at temperature of 60 ± 3 f
- No significant leakage occurs during or at the complet
- If leakage is observed from one, but more than one o repeat the test with 20 additional tubes of the ointment.
- The requirement is met if no leakage is observed from tubes tested or if leakage is observed from not more tha tubes tested

4. METAL PARTICLES IN OPTHALMIC C

- Extrude as completely as practicable the content of 1
individually into separate, clear, flat-bottom, 60-mm pe
are free from scratches.

- Cover the dishes and heat at 85^{0}C for 2 hours, increa
temperature slightly if necessary to ensure that a fully f
obtained.

- Taking precautions against disturbing the melted sam
each to cool to room temperature and to solidify.

- Remove the covers and invert each petridish on the s
suitable microscope adjusted to furnish 30 times magni
equipped with an eye pieces micrometer disk that has b
at the magnification being used.

- Examine the entire bottom of the petridish for metal

- Count the number of metal particles that are 50µm o any dimension. The requirements are met if the total nu such particles in all 10 tubes does not exceed 50 and if than 1 tube is found to contain more than 8 such partic

- If these results are not obtained, repeat the test on 2 additional tubes.

The requirements are met if the total number o particles that are 50µm on larger in any dimension does exceed 150 in all 30 tubes tested and if not mote than tubes are found to contain more than 8 such particles e