


ONMedU, Department of Drug Technology IWS №4. «Biopharmaceutical aspects of ophthalmic dosage forms, therapeutic systems such as "Ocuser". Factors that affect the quality and bioavailability of ophthalmic dosage forms.»

**ODESSA NATIONAL MEDICAL UNIVERSITY
DEPARTMENT OF DRUGS TECHNOLOGY**

APPROVE
Head of Department



signature (Borisjuk I. Yu.)
«27» august 2021 y.


**METHODICAL DEVELOPMENT OF INDEPENDENT WORK OF
STUDENTS (IWS)**

Course 5 Faculty Pharmaceutical

Course Biopharmacy

Topic №4 «**Biopharmaceutical aspects of ophthalmic dosage forms, therapeutic systems such as "Ocuser". Factors that affect the quality and bioavailability of ophthalmic dosage forms.**»

Methodical recommendations on IWS
developed by:
assistant



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Methodical recommendations of
IWS were discussed at the methodical
meeting of the department
«27» august 2021 y.
Protocol № 1

Odessa - 2021

Topic: Biopharmaceutical aspects of ophthalmic dosage forms, therapeutic systems such as "Ocuser". Factors that affect the quality and bioavailability of ophthalmic dosage forms. " - 6 years

Objective: To deepen knowledge in the consideration of biopharmaceutical aspects of ophthalmic dosage forms, therapeutic systems such as "Ocuser". Factors affecting the quality and bioavailability of ophthalmic dosage forms.

Basic concepts: "Ocuser" type systems

Plan

I. Theoretical questions for the lesson:

Ophthalmic therapeutic systems

It is known that the volume of tear fluid under normal conditions is 0.0007 cm³. At the moment when this volume exceeds 0.03 cm³, a tear flows from the eye. When injected into the eye drops with LR, it is seen that the volume of 1 drop is equal to 0.05 cm³. This means that 80% of LR is displayed immediately, that lost, but that left the - elk, released the following 7-10hv. Thus, the efficiency of eye drops is low. This defect is eliminated by ocular therapeutic systems (OTC), which are placed under the eyelid.

OTC is the latest technological advancement in the development of long-acting drugs used in the treatment of various eye diseases.

Data from scientific sources indicate that the following film-forming substances are used as biosoluble polymers for the manufacture of ophthalmic films (OCP):

- natural substances of animal and vegetable origin (gelatin, collagen, chitin, pectin, tragacanth, agar-agar, gums, etc.);
- starch-containing derivatives (acetyl starch, oxyethyl starch, oxypropyl starch);
- cellulose derivatives (MC, NaKM4, oxyethyl- and oxypropylmethylcellulose);
- acrylic acid derivatives, polyvinyl derivatives, polymers of oxyethylene and its derivatives.

The release of LR occurs according to the kinetics of the zero-order equation and operates on the principle of diffusion.

Major efforts are applied to create systems with controlled LR release for use in ophthalmology. An example of such a system is the TTC "Ocuser" from "Alza" (USA), which contains pilocarpine (Fig. 26.3) and has the following advantages:

- dosing accuracy that changes over time $\pm 20\%$;
- exclusion ability DR with eyes that usually make up the wat - which drops;
- pH stability of lacrimal fluid;
- ensuring long-term action in time;
- reducing the number of injections to once a week instead of the proceedings before Cho - tyryrazovyh installations;

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- reducing the consumption of substances. The release of LR in this system takes place through a membrane which regulates speed of process depending on the surface and thickness. Media pilocarpine -ovalna plate with acid - lots of alginic, and the membrane is kopoli Mayor of ethylene and vinyl acetate. The energy release process gives HR the difference between the pressure re - zervuari in tears.

But this system is much more expensive than tradi - tional medicines (ointments, drops), and with its introduction there has been some discomfort.

The release rate of pilocarpine is $P_{20} = 20 \mu\text{g} / \text{h}$, $P_{40} = 40 \mu\text{g} / \text{h}$. A steady state of release is observed for 7 days. OTC action can be compared with a 2% solution pilokarpi - well, in the eye, which entered 4 times a day, which is 28mh and the treatment of OTC-3 - 66mh.

Membrane TS have been used in dental prac - purposes, the form of so-called dental drives. In such systems - max shell copolymer is oksietylmetakrylatu and methyl - methacrylate in the ratio 30: 70abo 50: 50. Systema releases sodium fluoride in 0,021mh daily for 30-180dniv.

Questions for self-control

1. Excipients in the production of ophthalmic drugs in Ukraine (bases, solvents, etc.).
2. Methods of obtaining tablets. The influence of pharmaceutical factors on the therapeutic efficacy of tablets.
3. Gelatin capsules, preparation and methods of filling. Influence of pharmaceutical factors on their therapeutic activity.
4. The concept of solubility of drugs. Pharmacopoeial test to determine solubility.
5. The influence of the dosage form on the rate of absorption of the drug, its concentration in biological fluids and the stability of drugs.
6. The concept of pharmacodynamics and pharmacokinetics of drugs.
7. Types of bioavailability of drugs. Determination of absolute and relative bioavailability of drugs.
8. Calculation of the area under the pharmacokinetic curve. Absorption and elimination constants.
9. Distinctive features in the reactivity of different species of animals to the introduction of biologically active substances.
10. Correlation of methods "in vitro" and "in vivo" in determining the release and bioavailability of drugs.

Approximate tasks for the study of theoretical material

1. Make a dictionary of basic concepts on the topic

2. Fill in the orientation card for self-preparation of the student using the literature on the topic :

| № z.p. | The main tasks | Instructions | Answers |
|-----------|--|-----------------|--|
| 1. | Actions are needed to slow the absorption of drugs from ophthalmic dosage forms. | Define the term | <p>lacrimal ducts. The same effect can be achieved by another method - the introduction of microcrystalline suspensions with a reduced rate of absorption. The use of oil solutions for this purpose, despite their gentle effect on the conjunctiva and high resistance to the microflora, has not become widespread due to the fact that a thin layer of oil, covering the cornea, significantly impairs vision.</p> |
| 2. | Isotonicity of ophthalmic solutions. | Define the term | <p><i>Isotonicity of ophthalmic solutions</i> is a necessary condition for their preparation, as the solutions are not identical to tear fluid in composition, pH and other indicators. It is known that hypertonic and hypotonic solutions are poorly tolerated by patients. This is due to the fact that when instilling a hypertonic solution (more than 7.4 atm) due to the difference in osmotic pressure, the liquid comes out of the cells that come into contact with the solution, which leads to their shrinkage. Introduction of solutions with low OSMO - cal pressure causes swelling of cells and as a result - break the cell membrane. In both cases, these phenomena are accompanied by pain.</p> <p>For isotoning of ophthalmic solutions in accordance with the recommendations of the SF of Ukraine use sodium chloride, sodium nitrate, sodium sulfite, boric acid, glucose, sorbitol and other excipients, which must be compatible with the drugs contained in the solution. The amount of</p> |

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| | | | |
|--|--|--|---|
| | | | isotoning agent should be 0.9 + 0.2% in terms of sodium chloride. |
|--|--|--|---|

II. Practical work (tasks) that will be performed in class

III. Test tasks for self-control

[http://info.odmu.edu.ua/chair/drugs technology / Tests Step-2](http://info.odmu.edu.ua/chair/drugs%20technology%20/Tests%20Step-2) on the following topics:

- Technology of preparation of ointments and suppositories in pharmacy practice. Quality assessment
- Preparation of drugs in aseptic conditions (Solutions for injections, ophthalmic dosage forms). Quality assessment
- Industrial production of ophthalmic dosage forms. Organ preparations. Quality assessment

IV. Individual tasks for students on the topic of the lesson

The effect of the dosage form of the substance on the bioavailability of drugs

List of recommended reading

Main:

1. Біофармація : підруч. для студентів закл. вищ. освіти / О. І. Тихонов [та ін.] ; за ред. О. І. Тихонова. – 2-ге вид., перероб. і допов. – Харків : НФаУ: Золоті сторінки, 2019. – 224 с
2. Настанова СТ-Н МОЗУ 4242-7.1:2005 «Лікарські засоби. Настанова з клінічних досліджень. Дослідження біодоступності та біоеквівалентності» - Київ, 2018.
3. Настанова СТ-Н МОЗУ 4242-7.1:2005 «Лікарські засоби. Настанова з клінічних досліджень. Дослідження біодоступності та біоеквівалентності» - Київ, 2018.
4. Настанова СТ-Н МОЗУ 42-7.2:2018 Лікарські засоби дослідження біоеквівалентності. – Київ, 2018. – 77 с.