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CIPROFLOXACIN: CHEMICAL STRUCTURE, MECHANISM OF ACTION, PHARMACOKINETICS AND CLINICAL SIGNIFICANCE

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Abstract
This article reviews ciprofloxacin, a synthetic antibacterial agent belonging to the fluoroquinolone class. Its chemical characteristics, antibacterial mechanism, pharmacokinetic properties and clinical significance are discussed. Particular attention is paid to the interaction of ciprofloxacin with bacterial DNA gyrase and topoisomerase IV, mechanisms of antimicrobial resistance, adverse reactions and restrictions on use. Based on scientific publications and official medical sources, the article also examines research into ciprofloxacin derivatives and the importance of responsible antimicrobial use.

Keywords: Ciprofloxacin, fluoroquinolones, medicinal chemistry, antibiotics, DNA gyrase, topoisomerase IV, pharmacokinetics, resistance, adverse effects.

Introduction

Antibacterial drugs occupy an important place in modern medicine, as they make it possible to treat infections caused by susceptible bacteria. One of the representatives of synthetic antibacterial agents is ciprofloxacin, which belongs to the fluoroquinolone group.The drug was introduced into clinical practice in the late twentieth century and attracted the attention of researchers because of its activity against a number of Gram-negative microorganisms, its ability to penetrate various tissues, and the possibility of its use in certain bacterial infections.Unlike antibiotics that act mainly on the cell wall or on protein synthesis, ciprofloxacin disrupts processes associated with the functioning of bacterial DNA. This provides its antibacterial effect; however, the development of microbial resistance is gradually limiting the effectiveness of the drug. At present, the study of ciprofloxacin includes several directions: investigation of its chemical structure, analysis of its interaction with molecular targets, study of its pharmacokinetics, assessment of its safety, and the development of new derivatives.
The aim of this work is to systematize scientific data on ciprofloxacin, to explain its mechanism of action, and to consider the main problems associated with bacterial resistance and the safety of its use.

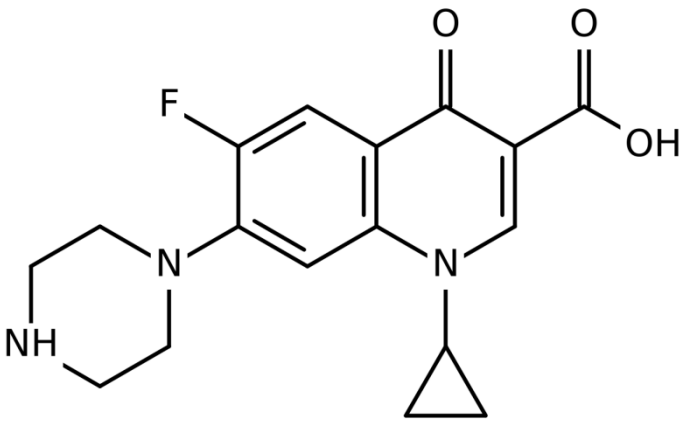
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Chemical Characteristics of Ciprofloxacin

Chemical Class and Structural Features

Ciprofloxacin belongs to the synthetic second-generation fluoroquinolones. Its molecular structure includes a quinolone core, a fluorine atom, and substituents that influence the interaction of the substance with bacterial enzymes and its physicochemical properties.



The molecular formula of ciprofloxacin is C17H18FN3O3. The molecular weight is approximately 331.34 g/mol for the free base. Structural formula of ciprofloxacin (C17H18FN3O3): a quinolone core with a carboxyl group at position 3, a fluorine atom at position 6, a piperazine residue at position 7, and a cyclopropyl group at the N1 nitrogen atom. From the standpoint of medicinal chemistry, the relationship between the structure of a molecule and its biological activity is of particular importance. Modification of individual functional groups can affect solubility, distribution of the substance, the ability to interact with the molecular target, and activity against different microorganisms Studies of chemical derivatives of ciprofloxacin show that modification of its structure is one of the directions in the search for new antibacterial compounds.

The Role of Medicinal Chemistry

Medicinal chemistry studies the creation, modification and investigation of chemical compounds with potential therapeutic activity. The example of ciprofloxacin makes it possible to trace how a change in the structure of an organic molecule affects its pharmacological properties. When developing new compounds, researchers evaluate activity against bacteria, chemical stability, solubility, toxicity, and interaction with biological targets. Various derivatives of ciprofloxacin have been described in the scientific literature, and some of them have demonstrated promising antibacterial activity in laboratory studies. However, the results of such experiments do not mean that these compounds are already suitable for treating people: additional studies of safety and clinical efficacy are required.

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Mechanism of Antibacterial Action

Effect on DNA Gyrase

The main mechanism of action of ciprofloxacin is associated with inhibition of bacterial DNA gyrase. This enzyme belongs to the type II topoisomerases and participates in regulating the spatial structure of DNA. During bacterial reproduction, the genetic material must be copied and distributed in an orderly manner between daughter cells. DNA gyrase helps to maintain the necessary structural properties of the DNA molecule. Ciprofloxacin interacts with the enzyme–DNA complex and disrupts the normal course of the enzymatic cycle. As a result, replication and other important stages of the functioning of the bacterial genome are impeded. In a sufficiently susceptible microorganism, such disturbances lead to a bactericidal effect, that is, to the death of the bacterial cell.

Inhibition of Topoisomerase IV

Another important target of fluoroquinolones is topoisomerase IV. This enzyme is involved in the separation of interlinked bacterial DNA molecules after replication. Suppression of topoisomerase IV activity disrupts the correct distribution of genetic material between daughter cells. The combined action on bacterial topoisomerases explains an important feature of the action of fluoroquinolones. The relative role of DNA gyrase and topoisomerase IV may differ depending on the bacterial species. Therefore, the effectiveness of the drug is determined not only by its chemical structure but also by the characteristics of the particular microorganism.

Spectrum of Antibacterial Activity

Ciprofloxacin is active against a number of Gram-negative bacteria, including certain strains of Escherichia coli and Pseudomonas aeruginosa. Activity against a specific pathogen depends on its susceptibility and on the presence of resistance mechanisms. The drug is used in certain bacterial infections when its use is justified by the clinical situation and by official recommendations. Possible indications depend on the dosage form, the characteristics of the infection, and the current prescribing information. Ciprofloxacin is not active against viruses and must not be used to treat viral diseases. Moreover, a broad spectrum of antibacterial activity does not mean that it is the preferred agent for every bacterial infection.

Pharmacokinetic Properties

Pharmacokinetics studies the processes of absorption, distribution, metabolism and excretion of medicinal substances.

Absorption and Distribution

After oral administration, ciprofloxacin is absorbed in the gastrointestinal tract. Its bioavailability and concentration in the body depend on the dosage form, the conditions of administration, and interactions with other substances. The drug is able to distribute into various tissues and biological fluids. This property is important when assessing the possibility of using the agent to treat certain infections.

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Metabolism and Excretion

Pharmacokinetic studies show that the kidneys play a significant role in the elimination of ciprofloxacin. The concentration of the drug in the body may be affected by age, renal function, concomitant diseases, and interactions with other drugs. In the study by Schlender et al., a physiologically based pharmacokinetic model of ciprofloxacin was developed on the basis of data from 69 clinical studies. The authors assessed the possibility of predicting drug concentrations in patients of different age groups. Such models help researchers to study the features of drug distribution and to form a scientific basis for further pharmacokinetic studies. At the same time, individual prescription of the drug must be carried out by a medical professional.

Bacterial Resistance to Ciprofloxacin

Molecular Mechanisms of Resistance

Antibiotic resistance is the ability of microorganisms to remain viable and to multiply when exposed to an antibacterial drug that was previously effective against them.

Several main mechanisms of resistance have been described for fluoroquinolones:

- mutations in the genes encoding bacterial topoisomerases;
- reduced penetration of the drug into the bacterial cell;
- enhanced activity of drug efflux systems;
- acquisition of genetic elements that promote resistance.

A change in the structure of the enzyme target may reduce its interaction with the drug. A decrease in the intracellular concentration of the antibiotic can also weaken its effect.

Clinical Significance of Antibiotic Resistance

Resistance to ciprofloxacin is a serious problem because it may limit the choice of effective treatment. It is especially important to take into account that bacterial susceptibility differs between regions and may change over time. When clinically indicated, the physician may use the results of bacteriological examination and testing of each susceptibility of microorganisms to antibiotics. Rational use of antibacterial agents implies refraining from using them in viral infections and choosing a drug with regard to the presumed pathogen, the clinical situation, and the available alternatives.

Adverse Reactions and Safety of Use

Possible Side Effects

Ciprofloxacin may cause adverse reactions of varying severity. Relatively common ones include nausea, diarrhea, abdominal discomfort, and other gastrointestinal symptoms. However, particular attention is paid to rare but potentially serious reactions affecting the tendons, muscles, joints, peripheral nervous system, and central nervous system. Official information on the drug warns that some adverse reactions may persist for a long time and, in certain cases, may be irreversible.

Restrictions on the Use of Fluoroquinolones

The European Medicines Agency has reviewed the restrictions on the use of systemic fluoroquinolones because of the risk of severe and long-lasting adverse reactions. According to the agency's recommendations, drugs of this group should not be used in mild or self-limiting infections

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if suitable alternatives exist. They are also not intended for the treatment of infections of a non-bacterial nature. Increased caution is required when prescribing fluoroquinolones to certain patients, in particular elderly people, patients with impaired renal function, and people taking systemic corticosteroids. If signs of a serious adverse reaction appear, it is necessary to seek medical help immediately and to follow the recommendations of the treating specialist.

Drug Interactions

When using ciprofloxacin, it is important to take into account interactions with other medicinal products and substances. Some drugs and mineral supplements may reduce the absorption of ciprofloxacin when taken together. Therefore, before starting treatment, it is necessary to inform the physician or pharmacist about all medicines and supplements being taken. Specific recommendations on co-administration should be checked in the official prescribing information for the dosage form prescribed.

Current Research Directions

Development of Ciprofloxacin Derivatives

One of the directions of medicinal chemistry is the synthesis of new ciprofloxacin derivatives in order to find compounds with improved antibacterial activity or other favorable properties. The review by Zhang et al. systematizes studies of various ciprofloxacin derivatives and examines the relationships between chemical structure and antibacterial action. Some of the investigated compounds have shown promising results in laboratory experiments. Nevertheless, further studies, including assessment of toxicity, pharmacokinetics and efficacy, are needed to confirm their clinical value.

The Search for New Antibacterial Agents

The spread of resistance to fluoroquinolones stimulates the search for new antibacterial compounds and alternative molecular targets. Studies of topoisomerases continue to play an important role in the development of new drugs. Scientists are investigating the structure of bacterial enzymes, their interaction with medicinal substances, and the mechanisms by which bacteria acquire resistance. The prospects of this field are associated with the integration of organic synthesis, molecular modeling, microbiology and pharmacology. At the same time, new compounds should be evaluated not only for their activity against bacteria but also for their safety for humans.

Conclusion

Ciprofloxacin is a representative of the fluoroquinolone group and possesses antibacterial activity associated with the inhibition of DNA gyrase and topoisomerase IV. These enzymes play an important role in maintaining the structure of bacterial DNA and in its replication; therefore, disruption of their function leads to suppression of the vital activity of susceptible microorganisms. The study of the chemical structure of ciprofloxacin demonstrates the importance of medicinal chemistry in drug development. Research on its derivatives opens up possibilities for the search for new antibacterial compounds; however, laboratory results require further confirmation. The key problems remain the spread of antibiotic resistance, the risk of serious adverse reactions, and the need for a well-founded choice of antibacterial therapy. Thus, further studies of ciprofloxacin should

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include investigation of its molecular mechanism of action, pharmacokinetic characteristics, safety, and ways of overcoming microbial resistance. Rational use of antibiotics and the development of new medicinal compounds are of great importance for preserving the effectiveness of antibacterial therapy.

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