REVIEW

CHEMICAL AND PHARMACEUTICAL PROPERTIES OF PEFLOXACIN: A REVIEW

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ABSTRACT:

The objectives of this review study were to review the literature about chemical and pharmaceutical properties of pefloxacin, and to establish the potential of conducting further experimental study. We investigated its chemical structure, toxicity, and its antimicrobial properties. Taken together, pefloxacin has several therapeutic uses,

KEYWORDS: Pefloxacin, Toxicity, Antibiotic, Pharmaceutical properties

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INTRODUCTION:

The drug Pefloxacin

Pefloxacin (PFX) is a synthetic chemotherapeutic agent which was developed in 1979 (German Patent Roger Bellon)[1], used to treat severe and life threatening bacterial infections. Pefloxacinis a member of the fluoroquinolone class of antibacterials. It is a synthetic fluoroquinolone, belonging to the 3rd generation of quinolone. The first generation of quinolone began with the introduction of Nalidixic acid for the treatment of infections in human[2](figure 1).

Nalidixic acid is the member of the synthetic quinolone antibiotics which is was discovered by GergeLesher and Coworkers as a byproduct of chloroquine manufacture in the 1960s and used clinically in 1979[3].

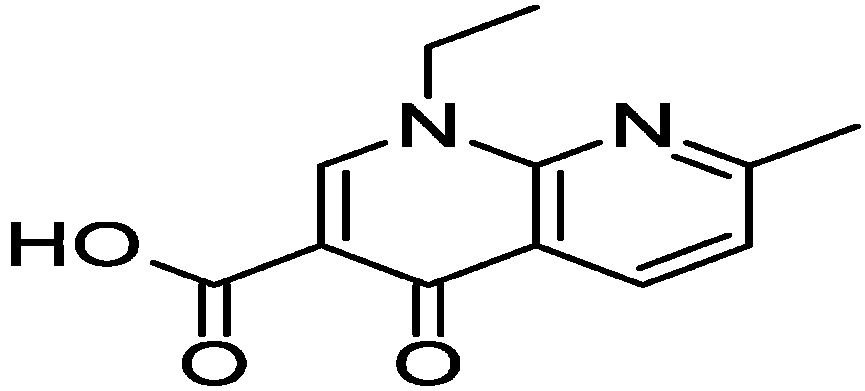

Figure 1: The structure of Nalidixic acid.

Most of the quinolone in clinical use belong to the subset of fluoroquinolones, which have a chlorine atom attached to the central ring system, typically at carbon C-6 or C-7 position as shown in figure 2.

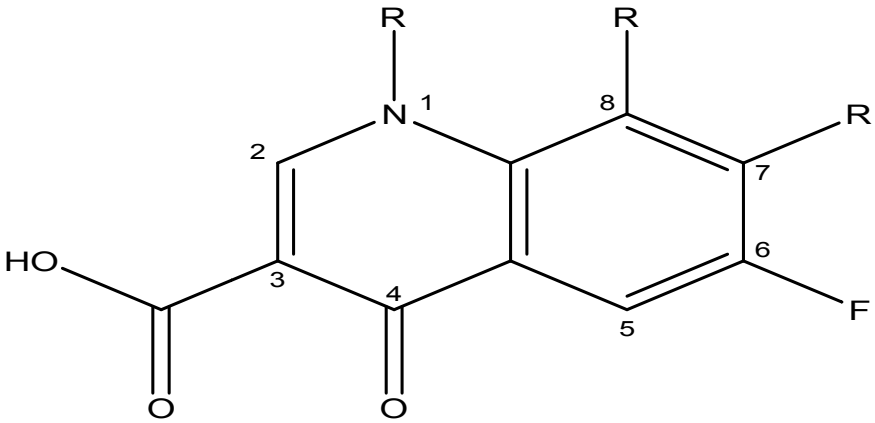

Figure 2: The structure of Fluoroquinolone

The presence of functional group at N-1 or at C-7 has strong influence on both microbiological and pharmacokinetics properties[4].

Fluoroquinolone is effective against both gram-positive and gram-negative bacteria, in lower concentration it acts in a bacteriostatic manner, that it inhibits growth and reproduction, in higher concentration it is bactericidal, meaning that it kills the bacteria instead of merely inhibiting their growth[5].

It has historically been used for treating urinary treat infections caused by bacteria; it also plays an

important role in treatment of serious bacterial infections, especially hospital-acquired infections and others in which resistance to older antibacterial classes is suspected[6].

The Pefloxacin Phototoxity

Pefloxacinis a broad-spectrum antibiotic that is active against both Gram-positive and Gram-negative bacteria (figure 3). Like others fluoroquinolone, pefloxacin functions by inhibiting the growth of bacterial DNA. Itwas recommended for the treatment of infections which cannot be treated by simple and established antibiotics[7].

Phototoxicity is an important event and it is a serious limitation to drug usage. Although the phototoxicity of FQs is well documented, the molecular mechanisms have not yet been precisely determined. To gain insight into the molecular mechanisms of the photoinduced biological damage, a great deal of attention has been dedicated to study photochemical properties of FQs[8]. In the adult population Pefloxacin is generally limited to the treatment of proven serious and life threatening bacterial infections such as: uncomplicated gonococcal urethritis in males,bacterial infections in the gastrointestinal system, genitourinary tract infections lower respiratory tract, bone and joint infections, renal and abdominal infections, infections of skin and soft tissues[9].Pefloxacin has been increasingly used as a veterinary medicine to treat microbial infections[10].

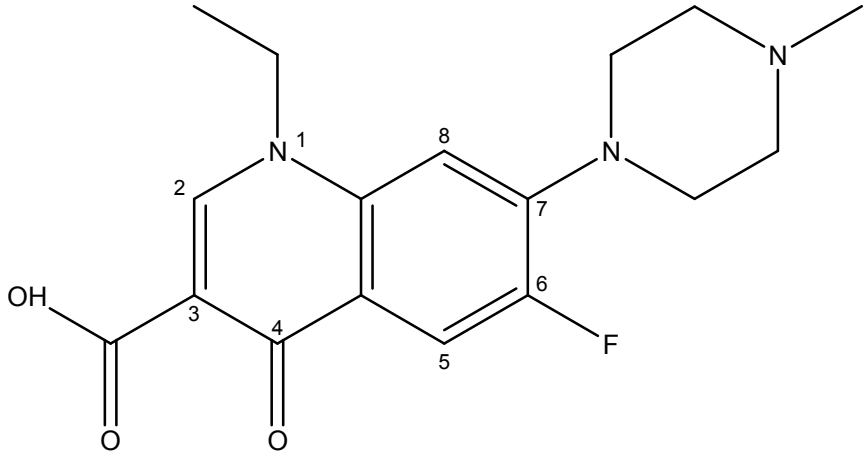

Figure 3: pefloxacin structure(1-ethyl-6-fluoro-7-(4-methylpiperazin-1-yl)-4-oxo-quinoline-3-carboxylic acid)

Quinolones undergo degradation processes upon UV irradiation, leading to the loss of their antimicrobial activity[11, 12]. Within these photochemical processes reactive oxygen species (ROS) are generated and these reactions may result in the emergence of side effects during antimicrobial therapy[13, 14]. Since it has been established that quinolones reveal phototoxic activity, many commercially used antibiotics have been studied in order to classify their behavior upon UVA exposure[11, 15].

Because of the risk of phototoxicity reactions (allergic skin rashes), patients should be warned to avoid exposure to direct sunlight or UV light during treatment and until 36 hours after the discontinuation of treatment[16], which was supported by the (First law of photochemistry), molecule must absorb light and become excited to start the photochemical reaction. Some excited molecules may emit energy in the form of light fluorescence[17]. The phototoxicity of quinolone antibiotics is believed to involve the formation of reactive oxygen species such as singlet oxygen, peroxides and/or superoxide ion during the irradiation by UVA light. These reactive oxygen species may attack and damage the cell membranes causing the observed dermatological reaction[18].

Quinolone antibacterial agents are widely used in the clinic because of their high antibacterial activity, broad spectra and favorable pharmacokinetics. However, the adverse effects induced by quinolones, such as articular toxicity, central nervous system toxicity, phototoxicity have greatly restricted their therapeutic use[19].

The chemotherapeutic and in another side adverse effects of PFX quinolone family draw the attention of many researchers to develops a number of techniques for the determination of the pefloxacin

and other quinolone in biological fluids and pharmaceutical formulation including spectrophotometry[20, 21], high performance liquid chromatography(HPLC)[22, 23], ion selective electrodes and potentiometry[24], Chemiluminescence[25], derivative spectrophotometry[26], Spectrofluorimetry[27, 28], atomic absorption spectroscopy[29], direct and differential pulse polagraphy[30], square wave adsorptive voltammetry[31] and TLC-fluorescence densitometry[32].

CONCLUSION: The present study reviewed the literature aboutthe drug pefloxacin from its chemical and therapeutic points of view and paved the road for further experimental studies.

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