A Review on Fluoroquinolone: Antimicrobial Drugs

Srilatha B*
Department of Biotechnology, Presidency College, Bangalore, Karnataka, India

ABSTRACT

Fluoroquinolones are antibiotics which play an important role in treating microbial infections especially hospital related infections. They are often used for genito and urinary infections. Quinolones exhibit antibacterial effect by preventing bacterial DNA from replicating. Use of Fluoroquinolones in children is becoming controversial day by day.

Keywords: Fluoroquinolones; Antibiotic drugs; Antimicrobials

INTRODUCTION

They mainly belongs to class of Antibiotic drugs [1] mainly obtained from natural resources [2] such as plants, animals and bacteria [3] & signaling molecules [4] mainly used for treating the hospital related infections [5]. They are not useful in treating viral infections [6] such as sneezing cold or flu. Fluoroquinolones exhibit concentration-dependent bactericidal activity by inhibiting the activity of certain DNA related enzymes such as DNA gyrase and DNA topoisomerase which are used in DNA multiplication [7], which are responsible for DNA replication [8]. Many times they have been withdrawn due to heavy toxicity [9]; they are consumed orally [10]. Several quinolones and fluoroquinolones have been introduced in the market and withdrawn due to their heavy toxicity and hepatotoxicity [11].

PHARMACOLOGY

Mainly drugs are administered orally [12]. These are drugs are mainly present in the most extracellular and intracellular fluids [13] and are seen in the lungs and bile [14,15].

Fluoroquinolones are metabolized in the liver [16] and excreted through urine or metabolic wastes [17]. Moxifloxacin [18] is eliminated primarily in bile. They appear to cause liver injuries [19] injury rarely, at an estimated rate of 1:100,000 persons-exposed. Continuous usage of these drugs may also leads to hepatocellular carcinoma leads or liver cancer [20]. Liver disorders mainly caused by ciprofloxacin [21] and levofloxacin [22]. Some changes in liver enzymes [23] occur in 1% to 3% of patients receiving norfloxacin or ofloxacin. Rates with levofloxacin and moxifloxacin are less well defined, but probably similar. Continuous usage of this drugs leads to side effects and gastrointestinal disturbances [24], and allergic reactions [25]. Less common but more severe side effects include QT prolongation, seizures [26], hallucinations [27], tendon rupture [28], angioedema and photosensitivity [29].

INDICATIONS

These drugs are active against the influenza species [30], Moraxella catarrhalis [31], Mycoplasma sp, Chlamydia sp, and some other species of microorganisms [32, 33] and some atypical mycobacteria.

They are mainly classified as antibiotics [34] that inhibit the growth of bacteria and bacteria related microorganisms. Oral and injectable fluoroquinolones are associated with disabling side effects involving tendons [35], musculoskeletal system and the CNS [36].
They are usually resistant to methicillin [37]. Older Fluoroquinolones have poor activity against streptococci and some anaerobic micro-organisms [38]. Fluoroquinolones are resistant to certain anaerobic sp [39]. Infact these drugs are used for many clinical uses [40].

**CONTRAINDICATIONS**

Contraindications include:
1. Allergic reactions to drugs [41]
2. Arrhythmias [42]

As discussed earlier they are harmful to children [45] which may cause cartilage lesions [46]. Experts recommended fluoroquinolones as a 2nd-line antibiotic and restricting use to a few specific situations, including P. aeruginosa [47] infections in patients with prophylaxis [48] and treatment of bacterial infections [49] in immune compromised patients, life-threatening bacterial infections in neonates and infants [50].

**ADVERSE EFFECTS**

Adverse Effects are:
1. Central Nervous System.
2. Adverse effects [52] include headache, drowsiness & other disorders.
3. Neuropathy related to peripheral nervous system [53,54].
4. Tendinopathy [55].
5. Stroke [56-58].

Fluoroquinolone use has been strongly associated with clostridium associated diarrhea difficile ribotype 027 [59,60].

**DOSSING CONSIDERATIONS**

Dose reduction [61], except for moxifloxacin drug, it is required for patients with renal insufficiency. Fluoroquinolones are normally given twice a day; newer ones and an extended-release form of ciprofloxacin are given once/day. Sometimes ciprofloxacin results in theophylline adverse effects [62].

**INTERACTIONS**

Cations, such as Al and Mg -containing antacids, result in marked reduction of oral absorption of fluoroquinolones [63-66]. They mainly interact with the fluoroquinolones include sucralfate, probenecid, cimetidine, and other, antiviral agents [67].

Administration of quinolone antibiotics [68] to a benzodiazepine dependent individual can cause acute benzodiazepine symptoms due to quinolones displacing benzodiazepines from their binding site.

Fluoroquinolones have varying specificity for cytochrome P450, and so may have interactions with drugs cleared by those enzymes [69-70] the order from most P450-inhibitory to least, is enoxacin > ciprofloxacin > norfloxacin > ofloxac, and several other drugs.

**PATIENT SAFETY**

Patients should contact your doctors immediately if they experience any side effects while consuming these drugs. Some signs and symptoms include pains in musculo-system, a “pins and needles” tingling or pricking sensation, confusion, and hallucinations [71-74].

Patients should stop immediately using systemic fluoroquinolone [75] if they experience any side effects. Fluoroquinolone [76] are effective against the bacteria that cause illness.
SPECIFIC POPULATIONS

Children

Use of fluoroquinolones are limited in children which cause serious musculoskeletal effects \(^{77}\) there are also adverse events in fluoroquinolone treated juvenile animals \(^{78}\). Inhalant anthrax and pseudomonas infections in cystic fibrosis infections \(^{79,81}\). In a study comparing the safety and efficacy of levofloxacin to that of azithromycin or ceftiraxone \(^{82,83}\) in 712 children with community-acquired pneumonia, serious adverse events were experienced by 6% of those treated with levofloxacin and 4% of those treated with comparator antibiotics \(^{84,85}\). Two deaths were observed in the levofloxacin group, neither of which was thought to be treatment-related. Spontaneous reports to the FDA Adverse Effects Reporting System at the time of the 20 September 2011 FDA Pediatric Drugs Advisory Committee included musculoskeletal events \(^{86}\) (39, including 5 cases of tendon rupture) and central nervous system events \(^{87}\) (19, including 5 cases of seizures) as the most common spontaneous reports between April 2005 and March 2008. An average of pediatric prescriptions \(^{87}\) for levofloxacin was filed on behalf of 112,000 pediatric patients during that period.

FDA APPROVES SAFETY LABELLING CHANGES FOR FLUOROQUINOLONES

Fluoroquinolones should be reserved for use in patients who have no other treatment \(^{88}\) options for acute bacterial sinusitis \(^{89}\), (ABS), acute bacterial exacerbation of bronchitis \(^{90}\) (ABECB), and urinary tract infections \(^{91}\) (UTI) because the risk of these serious side effects \(^{92,93}\) generally outweighs the benefits in these patients.

Food Drug Administration has given a safety review \(^{94}\) has shown that oral and injectable fluoroquinolones are associated with disabling and permanent, side effects that may occur together. These may lead to several side effects involve the tendons, muscles, joints, nerves and central nervous system. FDA required and approved label changes for all systemic fluoroquinolone antibacterial drugs to reflect this new safety information \(^{95-100}\).

REFERENCES

73. http://www.healthline.com/symptom/numbness


82. https://www.drugs.com/azithromycin.html


85. http://bjdonline.org/events-diary/


90. https://medlineplus.gov/chronicbronchitis.html


