LEFLONTIN

Generic Name: Levofloxacin Hemihydrate
Drug Cateogory: Antibiotic (Fluroquinolone)
Composition: Each film coated tablet contains: Levofloxacin Hemihydrate USP equivalent to Levofloxacin 250/500/750 mg Tablet
Presentation: 10 Tablets x 10 blisters

MOLECULAR INTRODUCTION

- Levofloxacin is synthetic chemotherapeutic antibiotic of fluroquinolone drug class. Levofloxacin is the L-stereoisomer of the parent compound ofloxacin, the D form being inactive. It is used to treat severe or life-threatening bacterial infections or bacterial infections that have failed to respond to other antibiotic classes.

- Good monotherapy with extended coverage against Pseudomonas species, as well as excellent activity against pneumococcus.
- Levofloxacin is a chiral fluorinated carboxyquinolone.
- Investigation of ofloxacin, an older drug that is the racemic mixture, found that the l form [the (−)-(*S*) enantiomer] is more active. This specific component is levofloxacin.

PHARMACOLOGY

- Half life: 6-8 hrs
- Bioavailability: 100%
- Peak plasma time: 1 hr
- Absorption: well absorbed
- Distribution: High concentrations are achieved in prostate and gynecological tissues, sinus, breast milk, saliva.
- Metabolism: Minimal Hepatic
- Excretion: Primarily urine

MECHANISM OF ACTION

- Levofloxacin is a broad spectrum antibiotic that is active against both gram positive and gram negative bacteria.
- It functions by inhibiting DNA gyrase, a type II topoisomerase and topoisomerase IV, which is an enzyme necessary to separate replicated DNA, thereby inhibiting cell division.
- The fluoroquinolones interfere with DNA replication by inhibiting an enzyme complex called DNA gyrase. This can also affect mammalian cell replication.

- **Gram-negative bacteria**

Primary target is DNA gyrase.

- ***Gram-positive bacteria***

Primary target is topoisomerase IV.

INDICATION & DOSAGE

- **Acute bacterial sinusitis** due to *Streptococcus pneumoniae*, *Haemophilus influenzae*, or *Moraxella catarrhalis*.

  750 mg daily for 5 days or 500 mg daily for 10-14 days
- **Acute bacterial exacerbation** of chronic bronchitis due to methicillin-susceptible *Staphylococcus aureus*, *Streptococcus pneumoniae*, *Haemophilus influenzae*,*Haemophilus parainfluenzae*, or *Moraxella catarrhalis*.

  500 mg daily for 7 days
- **Nosocomial pneumonia** due to methicillin-susceptible *Staphylococcus aureus*, *Pseudomonas aeruginosa*, *Serratia marcescens.*

  750 mg daily for 7 to 14 days
- **Community-acquired pneumonia** due to methicillin-susceptible *Staphylococcus aureus, Streptococcus pneumoniae*,*Haemophilus influenzae.*

  500 mg daily for 7-14 days or 750 mg daily for 5 days
- **Complicated skin and skin structure infections** due to methicillin-susceptible *Staphylococcus aureus*, *Enterococcus faecalis*, *Streptococcus pyogenes*, or *Proteus mirabilis*.

  750 mg daily for 7-14 days
- **Uncomplicated skin and skin structure infections** (mild to moderate) including abscesses, cellulitis, furuncles, impetigo, pyoderma, wound infections, due to methicillin-susceptible *Staphylococcus aureus* or *Streptococcus pyogenes*.

  500 mg daily for 7-10 days
- **Chronic bacterial prostatitis** due to *Escherichia coli*, *Enterococcus faecalis*, or methicillin-susceptible *Staphylococcus epidermidis*.

  500 mg daily for 28 days
- **Complicated urinary tract infections** (mild to moderate) due to *Enterococcus faecalis*, *Enterobacter cloacae*, *Escherichia coli*, *Klebsiella pneumoniae*, *Proteus mirabilis.*

  750 mg daily for 5 days or 250 mg daily for 10 days
- **Acute pyelonephritis** caused by *Escherichia coli*, including cases with concurrent bacteremia.

  250 mg daily for 10 days
- **Uncomplicated urinary tract infections** (mild to moderate) due to *Escherichia coli*, *Klebsiella pneumoniae* or *Staphylococcus saprophyticus*.

  250 mg daily for 3 days

SIDE EFFECTS: Nausea, vomiting, diarrhea, headache and constipation.

DRUG INTERACTION:

- Warfarin: levofloxacin enhances the effects of warfarin. So, may be associated with bleeding episodes if taken together.

- Antidiabetic agents: Disturbances of blood glucose, including hyperglycemia and hypoglycemia.

- NSAIDs: may increase the risk of CNS stimulation and convulsive seizures.

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