

DOXY

Doxycycline 50 mg and 100 mg Tablets

Name of the Medicine

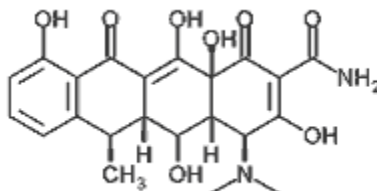
DOXY

Doxycycline 50 and 100 mg Tablets .

Description

Doxycycline is a broad spectrum antibiotic. Doxycycline is available as the monohydrate or as the hydrochloride hemiethanolate also called the hyclate. The molecular formula and weight is $C_{22}H_{24}N_2O_8$, and 444.44 respectively.

The structural formula is:



The tablets contain as excipients: microcrystalline cellulose, magnesium stearate, silicon dioxide and maize starch and Opadry White.

Pharmacology

Mechanism of Action

Doxycycline is a broad-spectrum antibiotic that is primarily bacteriostatic. It is thought to exert its antimicrobial effect by inhibition of protein synthesis. It prevents the binding of amino-acyl-tRNA to the messenger RNA-30S ribosomal subunit. The binding of fMet-tRNA is especially sensitive. As a result initiation, and therefore polyribosome formation, are blocked. Doxycycline inhibits only rapidly multiplying organisms.

Pharmacokinetics

Absorption

Doxycycline is virtually completely absorbed after oral administration of either tablets and the absorption is not notably influenced by the ingestion of food or milk.

Distribution

Peak serum levels of approximately 2.6 mcg/ml are achieved at 2 hours following a 200 mg tablet dose. Doxycycline diffuses readily into most body tissues, fluid and/or cavities and the volume of distribution has been measured as 0.7 L/kg.

Plasma protein binding is variable.

Elimination

Doxycycline is concentrated by the liver in the bile. It is also excreted in the urine as the unchanged medicine in high concentration. The serum half-life of doxycycline ranges from 18-22 hours and this is not altered by severe renal failure, haemodialysis, age or hepatic failure.

Indications

Doxycycline is indicated in the treatment of uncomplicated chest, urethral, endocervical or rectal infections in adults caused by susceptible organisms (see below) as shown by culture and sensitivity testing. It may also be a useful adjunct to amoebicides in acute intestinal amoebiasis and has a place as adjunctive therapy in severe acne.

Doxycycline is active against the following organisms:

- Rickettsiae: rocky mountain spotted
- Fever, typhus fever and the typhus group, Q fever, rickettsial pox, and tick fevers
- Mycoplasma pneumoniae
- Agents of lymphogranuloma venereum and granuloma inguinale
- The spirochetal agent of relapsing fever (*Borrelia recurrentis*)
- Chlamydia trachomatis
- Haemophilis ducreyi (chancroid)
- Pasteurella pestis, and Pasteurella tularensis, Bartonella bacilliformis, Bacteroids species, Vibriocomma and Vibrio fetus and Brucella species (in conjunction with an aminoglycoside).

Doxycycline may be active against the following organisms although this should be confirmed by culture and sensitivity testing since many strains are resistant.

- Neisseria gonorrhoeae
- Escherichia coli
- Enterobacter aerogenes
- Shigella species
- Mima species and Herellea species

- Haemophilis influenzae
- Klebsiella species
- Streptococcus species
- Streptococcus pneumoniae
- Staphylococcus aureus in respiratory, skin or soft tissue infection.

When penicillin is contraindicated, doxycycline is an alternative medicine in the treatment of infections due to:

- Treponema pallidum and Treponema pertenu (syphilis and yaws)
- Listeria monocytogenes
- Clostridium species
- Bacillus anthracis
- Fusobacterium fusiforme (Vincent's infection)
- Actinomyces species.

Contraindications

Hypersensitivity to doxycycline, or any other ingredient in DOXY tablets. It is contraindicated in children under the age of 12 years and in pregnancy.

Precautions

General

The use of tetracyclines during tooth development (last half of pregnancy, infancy and in childhood to the age of 12 years) may cause permanent teeth discolouration. Enamel hypoplasia has also been reported. DOXY should not be used, therefore, unless other medicines are not available, are not likely to be effective, or are contraindicated.

As with other tetracyclines, doxycycline forms a stable calcium complex in any bone-forming tissue. A decrease in fibula growth rate has been observed in prematures given oral tetracycline. This reaction was shown to be reversible when the medicine was discontinued.

Photosensitivity manifested by an exaggerated sunburn reaction has been observed in some individuals taking tetracyclines. Treatment should be discontinued at the first evidence of skin erythema.

The use of DOXY may occasionally result in overgrowth of nonsusceptible organisms. If a resistant organism appears, the antibiotic should be discontinued and appropriate therapy instituted. In long term therapy, because tetracyclines have been shown to depress plasma prothrombin activity, patients who are on anti-coagulant therapy may require downward adjustment of their anti-coagulant dosage.

Use in Pregnancy

Results of animal studies indicate that tetracyclines cross the placenta, are found in foetal tissues and have toxic effects on the developing foetus manifested by retardation of skeletal development. The importance of this in humans is not known, however, DOXY should not be used in pregnant women unless the benefit outweighs the risk.

Use in Lactation

DOXY has been found in the milk of lactating women it should not be used in nursing mothers.

Carcinogenicity

Animal studies conducted in rats and mice have not provided conclusive evidence that tetracyclines may be carcinogenic or that they impair fertility. In two mammalian cell lines, positive responses for mutagenicity occurred at concentrations of 60 and 10 mcg/ml respectively. In humans no association between tetracyclines and these effects have been made.

Interactions

DOXY may interfere with the bactericidal effect of penicillins and vice versa. Barbiturates and phenytoin may reduce the half-life of DOXY. Administration of iron preparations may reduce the absorption of DOXY after oral administration.

Adverse effects

Common

Gastrointestinal effects are common especially with high doses of DOXY and are attributed to irritation of the mucosa. Such effects include anorexia, nausea, diarrhoea, glossitis, dysphagia, enterocolitis and inflammatory lesions (with monilial overgrowth) in the anogenital region.

Instances of oesophagitis and oesophageal ulceration have been reported. It appears that most of these patients took doxycycline immediately before going to bed.

Less Common

Other reactions include: skin reactions such as maculopapular and erythematous rashes, exfoliative dermatitis, photosensitivity, hypersensitivity reactions such as urticaria, angioneurotic oedema, anaphylaxis, anaphylactoid purpura, pericarditis, and exacerbation of systemic lupus erythematosus, benign intracranial hypertension in adults disappearing

on discontinuation of the medicine, haematologic abnormalities such as haemolytic anaemia, thrombocytopenia, neutropenia, and eosinophilia.

Dosage and Administration

The usual dose in adults is 200 mg on the first day of treatment followed by a maintenance dose of 100 mg/day. This may be given as either a single dose or divided doses administered every 12 hours.

In the management of more severe infections 200 mg daily should be given throughout the treatment period. Therapy should be continued at least 24-48 hours after symptoms and fever have subsided. If used in streptococcal infections, therapy should be continued for 10 days to prevent the development of rheumatic fever or glomerulonephritis.

For children over 12 years of age, the recommended dosage schedule for those under 50 kg is 4 mg/kg on the first day and 2 mg/kg daily subsequently. For children over 50 kg the usual adult dose is used.

For the treatment of acne vulgaris the recommended dose is 50 mg of doxycycline taken daily with food, for up to 12 weeks.

In the treatment of acute gonococcal anterior urethritis in males, administer either: 200 mg stat and 100 mg at bedtime on the first day followed by 100 mg twice daily for 3-7 days, or 300 mg stat followed by 300 mg one hour later. For acute gonococcal infections in females use 200 mg twice daily.

When treating uncomplicated urethral, endocervical or rectal infection in adults caused by chlamydia trachomatis, give 100 mg twice daily for at least 7 days. The treatment of primary or secondary syphilis requires 300 mg daily in divided doses for at least 10 days.

In all cases DOXY should be administered with adequate amounts of fluid or food and the patient should remain sitting or standing for up to 2 hours afterwards to prevent the possible development of oesophageal irritation.

Overdosage

Symptoms

No reports of overdosage have been received.

Management

If such a case occurs, treatment requires discontinuation of DOXY and use of symptomatic treatment measures.

Presentation and Storage conditions

DOXY-50 tablets: white, film coated, circular biconvex tablet, having a diameter of approximately 6.3 mm.

DOXY-100 tablets: white, film-coated, circular, biconvex tablets scored on one side and having a diameter of 8 mm.

Storage

Protect from light and moisture.

DOXY-50 Tablets: Shelf life of 2 years (bottle) and 3 years (blister), store below 30 °C.

DOXY-100 Tablets: Shelf life of 2 years, store below 30 °C.

Pack quantities

DOXY-50 tablets: bottles containing 30 tablets; calendar packs containing 30 tablets.

DOXY-100 tablets: bottles containing 100 tablets.

Medicine Classification

Prescription Only Medicine.

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