

**93802-METRONIDAZOLE****1. IDENTIFICATION OF THE SUBSTANCE OR PREPARATION.****1.1 Identification of the substance or preparation**

Name: Metronidazole

Bulk code: 93802

**1.2 Synonyms**

Metronidazolum

**2. DESCRIPTION**

Appearance: Crystalline powder or crystals.

Colour: White or yellowy white.

Smell: Odourless or a pleasant scent.

Darkens when exposed to light.

**3. COMPOSITION/INFORMATION ON COMPONENTS.**Formula:  $C_6H_9N_3O_3$ 

CAS: 443-48-1

Molecular weight: 171.2 g/mol

**4. PHYSICO-CHEMICAL DATA.**

See detailed specifications in analysis report.

**Solubility:** Soluble in water, alcohol and chloroform and slightly soluble in ether.**Melting point:** 159 – 163°C.**5. PROPERTIES/USES.**

ACTIVE PHARMACEUTICAL INGREDIENT.

This is a derivative of 5-nitroimidazole with activity that combats protozoa and anaerobic bacteria, while also presenting a radiosensitive effect in hypoxic tumour cells. Its mechanism of action is activated by an alternation in the DNA of susceptible germs, preventing them from synthesising.

Metronidazole is useful against protozoa that cause serious diseases, including *Balantidium coli*, *Blastocystis hominis*, *Entamoeba histolytica*, *Giardia intestinalis* (*Giardia lamblia*) and *Trichomonas vaginalis*. The majority of strict anaerobic bacteria, including *Bacteroides* and *Clostridium* sp., are sensitive in vitro to the drug, with the minimum inhibitory concentration

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for said susceptible bacteria generally in the range of 0.1-8 mcg/ml, although Actinomyces, Bifidobacterium, Eubacterium and Propionibacterium are often resistant.

It also displays activity against facultative anaerobes like Gardnerella vaginalis and Helicobacter pylori, and against some spirochaetes. Cases of resistance to metronidazole have been observed, as has cross-resistance with other nitroimidazoles, such as tinidazole.

It is used to treat susceptible protozoan infections and in the treatment and prophylaxis of anaerobic bacterial infections. The specific treatment for bacterial infections includes bacterial vaginosis or nonspecific vaginosis, acute necrotising ulcerative gingivitis or Vincent's angina, and pseudomembranous colitis.

It is used to eradicate the Helicobacter pylori in peptic ulcer, in combination with other drugs, and to treat malodorous tumours and ulcers caused by anaerobic infections.

In addition, it is used in cases of rosacea, dracunculiasis or infection caused by Dracunculus medinensis, an inflammatory disease of the intestine, and as an adjuvant in radiotherapy for benign neoplasms.

Metronidazole is administered orally in the form of capsules and pills, and as a metronidazole benzoate in suspensions; the capsules and pills are ingested with or after meals, while the suspensions are taken at least 1 hour after eating; metronidazole is also used rectally in the form of suppositories, or it is applied topically as a gel.

In amoebic dysentery, it acts as an amebicide in all places of the infection caused by Entamoeba histolytica. Given its fast absorption, it is probably less effective against intestinal lumen parasites, which is why it is used in conjunction with intestinal amebicides like diloxanide furoate and diiodohydroxyquinoline in treating amoebic dysentery and extraintestinal amoebiasis, including hepatic amoebiasis.

In mixed infections caused by aerobic and anaerobic bacteria, metronidazole is associated with suitable antibiotics.

**6. DOSAGE.**

Orally:

- Adults: Dose of 400 – 800 mg, 3 times a day, for 5-10 days. Paediatric doses:

- Children aged 1-3 years old: ¼ of the dose for adults.
- Children aged 3-7 years old: 1/3 of the dose for adults.
- Children aged 7-10 years old: ½ of the dose for adults.

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As an alternative, doses of 35-50 mg/kg of weight per day, in several intakes, are recommended.

- In giardiasis, the usual dose is 2 g/day, in a single intake, for 3 successive days. The paediatric dose is proportional to the dose used for amoebiasis. As an alternative, doses of 250 mg, 3 times a day, for 5-7 days can be used for adults, and 15 mg/kg of weight per day, in several intakes, for children.
- In trichomoniasis, metronidazole is administered orally in a single dose of 2 g, or in doses of 200-250 mg, 3 times a day, for 7 days, or doses of 400 mg, twice a day, for the same number of days. Other treatment models use doses of 800 mg in the morning and 1.2 g in the evening for 2 days. Treatment may need to be repeated, for which there are two criteria: one advocates repeating it after 7 days, while the other prefers an interval of 4-6 weeks.

In paediatrics, oral treatments or 7 days are used with the following doses:

- Children aged 1-3 years old: 50 mg/3 times a day.
- Children aged 3-7 years old: 100 mg/2 times a day.
- Children aged 7-10 years old: 100 mg/3 times a day.

An alternative to the paediatric doses is to administer 15 mg/kg of weight per day, in several intakes, for 7 days.

- In acute necrotising ulcerative gingivitis, oral doses of 200 mg, 3 times a day, for 3 days are used; similar doses are used for acute dental infections.
- For leg and decubitus ulcers infected by anaerobic bacteria, it is administered orally in doses of 400 mg, 3 times a day, for 7 days.

Vaginally:

In bacterial vaginosis, the treatment is the same as the treatment for vaginal trichomoniasis (vaginal tablets and ovuli of 500 mg at night, for 20 days, alone or combined with oral use) with which it can coexist, used orally in a single dose of 2 g, or for 7 days at doses of 400-500 mg, 3 times a day.

Rectally:

In the form of 1 g metronidazole suppositories, every 8 hours, for 3 days, and then every 12 hours, returning to oral administration as soon as possible. The suppositories may be unsuitable at the start of treatment in serious infections given their slow absorption. In paediatric patients, doses of 7.5 mg/kg of weight every 8 hours, orally or rectally, are used.

Topical use:

In gel format (0.8%) to reduce the smell associated with anaerobic infections in fungal tumours.



GUINAMA. Laboratory distributing raw materials for the pharmaceutical and cosmetics industries.

Type of Document:

**TECHNICAL DATA SHEET**

Fecha revisión:

**13.09.2022**

Versión:

**5.0**

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In cases of rosacea, it is used orally or in gel format (0.75%).

### **7. REMARKS.**

The product has been handled in a NON-sterile room; for batches suitable for sterile use, check availability.

#### **STORAGE:**

Store at room temperature ( $25\pm 2^{\circ}\text{C}$ ), in a cool, dry place, away from sunlight, in a tightly closed container.

### **8. BIBLIOGRAPHY.**

Pharmaceutical Monographs. COF Alicante, 1998.

"Martindale. The Extra Pharmacopoeia". 30th Edition. Ed. The Pharmaceutical Press. London. (1993).