

Nitroimidazole antibiotics

Nitroimidazole antibiotics form a group of antibiotics chemically derived from imidazole. These include **metronidazole**, **nimorazole** and **tinidazole**.

Structure

These are imidazole isomers containing one nitro group. ^[1]

Mechanism of action

The mechanism of action is the formation of reactive intermediates upon reduction of the nitro group. These intermediates cause the DNA strand to break. This explains their antimicrobial as well as mutagenic effect (carcinogenic in animal experiments). Due to the good oxygen supply of the human cell and the penetration barrier of the nuclear membrane, human cells are more resistant to this effect. ^[2]

Antimicrobial spectrum

- obligately anaerobic bacteria (except actinomycetes).
- on protozoa (*Entamoeba histolytica*, *Trichomonas vaginalis*, *Giardia lamblia*)

The type of effect is bactericidal. ^[2]

Pharmacokinetics

Metronidazole is rapidly and completely resorbed when administered orally, resorption is slower at 20-50% when administered vaginally. Plasma protein binding is very low. It is oxidized and glucuronidated in the liver. Small amounts are reduced by bacteria in the intestinal flora. Metabolites are excreted in the urine and the biological half-life is 6-10 hours. Delayed elimination may occur in liver disease. ^[2]

Indications

- anaerobic infections (also in the eradication of *Helicobacter pylori*), in pseudomembranous colitis only if there is no treatment
- trichomoniasis and bacterial vaginosis
- all forms of amebiasis
- intestinal infections caused by lamiasis
- perioperative prophylaxis in major gynecological and colon surgeries
- possible use in the treatment of Crohn's disease due to its immunosuppressive effect

Metronidazole and eradication of *Helicobacter pylori*

Although *Helicobacter pylori* is sensitive to a number of antibacterial agents in vitro, its eradication in the gastric mucosa is difficult. The seven-day triple combination of *H. pylori* eradication drugs includes a proton pump inhibitor + 2 antibiotics.

Possible drug combinations

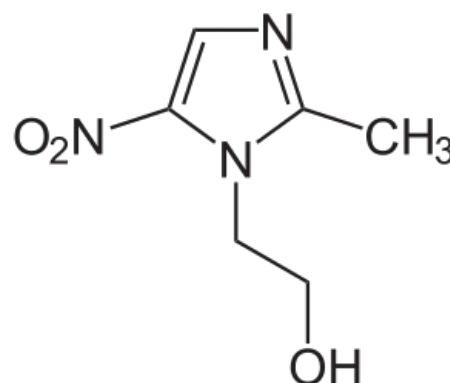
- proton pump inhibitors + clarithromycin + amoxicillin (so-called French triple combination)
- proton pump inhibitors + clarithromycin + metronidazole

The French combination turned out to be better. There is a higher risk of resistance when combined with metronidazole (30-50%).

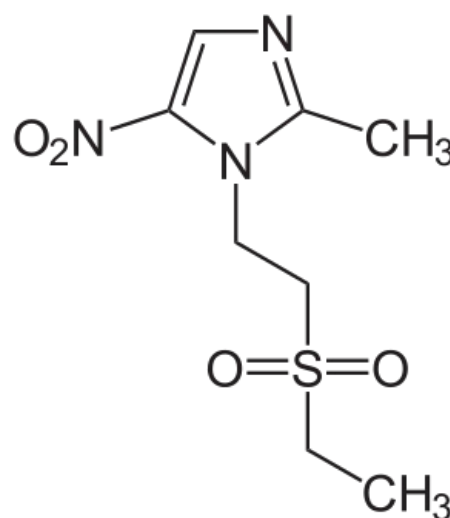
Metronidazole in the treatment of Crohn's disease

In Crohn's disease therapy, mesalazine is the drug of choice, but metronidazole is the drug of choice, especially in perianal fistulas.

Metronidazole in the treatment of amebiasis



Metronidazol



Tinidazol

In amebiasis, metronidazole is the drug of first choice, 750 mg three times a day for 3-5 days, in severe cases 10 days. ^[2]

Contraindications

Nitroimidazole antibiotics are contraindicated in pregnancy. They are also not suitable for breastfeeding because they pass into breast milk. ^[2]

Dosage

Active substances	Daily dose
Metronidazole	1200 mg
Tinidazole	1000 mg
Nimorazole	1000 mg

Treatment should not last longer than 10 days. In case of hepatic impairment, the dose should be reduced. ^[2]

Side effects

The side effects depend on the dose given. Common gastrointestinal disorders or metallic taste in the mouth are common. At higher doses, headache, vertigo, paraesthesia, rash and rarely reversible leukopenia may occur. ^[2]

Interactions

Metronidazole enhances the effect and reduces elimination:

- oral anticoagulants
- phenytoin
- fluorouracil
- lithium ions

Cimetidine slows down the elimination of metronidazole. Phenytoin and phenobarbital accelerate the elimination of metronidazole. ^[2]

Links

External links

- Metronidazole

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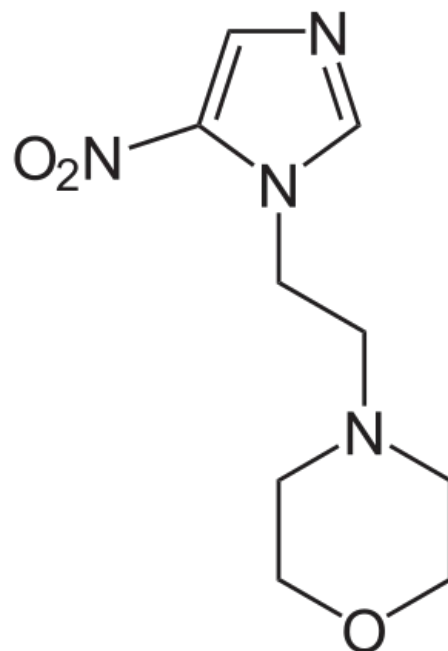
- Antibiotics

References

1. EVERYKING,. *Nitroimidazole* [online]. [cit. 2015-06-17]. <<https://en.wikipedia.org/wiki/Nitroimidazole>>.
2. MUTSCHLER, Ernst – GEISSLINGER, Gerd – KROEMER, Heyo K, et al. *Arzneimittelwirkungen : Lehrbuch der Pharmakologie und Toxikologie*. 8. přepracované edition. Wissenschaftliche Verlagsges. 2001. pp. 644-647; 820-822; 866-867. ISBN 3804717632.

Literature

- LÜLLMANN, Heinz – MOHR, Klaus. *Barevný atlas farmakologie*. 4. edition. Praha : Grada, 2012. ISBN 9788024739083.



Nimorazol