

ANTIMYCOTIC ACTIVITY OF PHENOXYTHIAZOLCHLORALUM

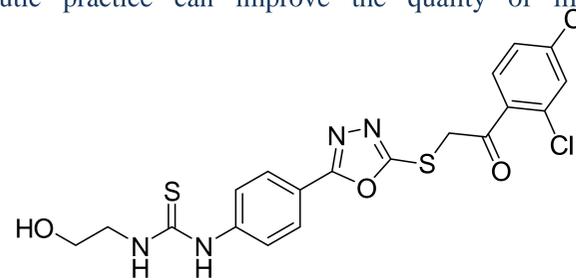
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Introduction

The therapeutic options in invasive candidiasis and aspergillosis are limited and don't provide expected results. Introducing a new drug in the therapeutic practice can improve the quality of life of immunocompromised patients.

The compound have poor solubility in polar solvents (water, methanol, ethanol, acetonitrile, acetone) and low bioavailability that limits the use as antitubercular agent. But, presence of the azole ring (pharmacophore group of azole antimycotic drug) suggests that the studied substance may have antimycotic activity.



The chemical structure of phenoxythiazolchloralum (MF-0010).

Purpose The aim is to study the antimycotic activity of new substance phenoxythiazolchloralum (MF-0010) on *Aspergillus* spp., *Candida albicans*, and *Saccharomyces cerevisiae*.

Keywords

Phenoxythiazolchloralum, *Aspergillus* spp., *Candida albicans*, *Saccharomyces cerevisiae*, drug discovery

Material and methods



Aspergillus spp.

For the evaluating of the antifungal activity of the phenoxythiazolchloralum compound against *Aspergillus* spp. it was used the microdilution method described by E. Stingaci et al. (Stingaci E, Zveaghinteva M, Pogrebnoi S, Lupascu L, Valica V, Uncu L, Smetanscaia A, Drumea M, Petrou A, Ciric A, Glamoclija J, Sokovic M, Kravtsov V, Geronikaki A, Macaev F. New vinyl-1,2,4-triazole derivatives as antimicrobial agents: Synthesis, biological evaluation and molecular docking studies. *Bioorganic & Medicinal Chemistry Letters*. 2020;30(17). DOI: [10.1016/j.bmcl.2020.127368](https://doi.org/10.1016/j.bmcl.2020.127368)).

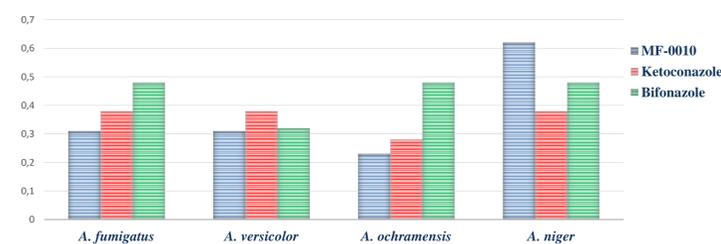
Candida albicans and *Saccharomyces cerevisiae*

For the evaluating of the antifungal activity for *Candida albicans*, and *Saccharomyces cerevisiae* was used the successive double dilution method (NCCLS M27)

Results

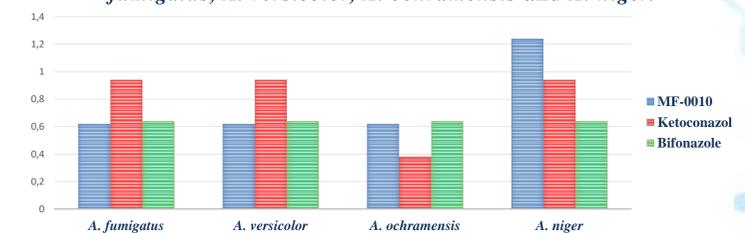
For the first time, it was studied *in vitro* susceptibility of MF-0010 against *A. fumigatus*, *A. versicolor*, *A. ochramensis* and *A. niger*. The MIC and MFC values of MF-0010 against *Aspergillus* spp. ranged from 0.23 μM/ml – 0.62 μM/ml and 0,62 μM/ml – 1.24 μM/ml, respectively. The highest values of MIC and MCF of MF-0010 is related to *A. niger*. Thus, the use of MF-0010 against this pathogen is not appropriate.

The Minimum Inhibitory Concentration (MIC) Of MF-0010, Ketoconazole, Bifonazole against *A. fumigatus*, *A. versicolor*, *A. ochramensis* and *A. niger*.



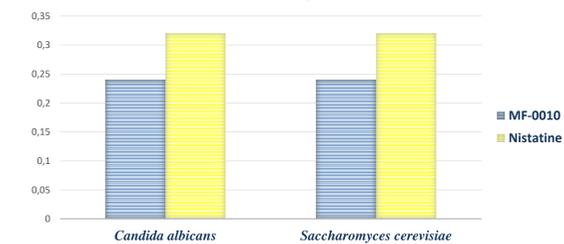
With at least 0.05 μM/ml difference of MICs from standards, MF-0010 can be considered quite more potent than ketoconazole and bifonazole.

The Minimum Fungicidal Concentration (MCF) of MF-0010, Ketoconazole, Bifonazole against *A. fumigatus*, *A. versicolor*, *A. ochramensis* and *A. niger*.



With a 0.02 μM/ml difference from standards, MF-0010 has the same antimycotic activity as bifonazole, and better ones as ketoconazole.

MIC/MCF ratios of MF-0010, Nistatine against *Candida albicans*, *Saccharomyces cerevisiae*.



The MIC/MCF ratios of MF-0010 for inhibition of *Candida albicans*, *Saccharomyces cerevisiae* of are lower than nistatine ones with 0.08 μMol for both pathogens. Thus, we can conclude that MF-0010 is more potent active molecule than nistatine against *Candida albicans*.

Conclusions

In this study, we found that all analyzed pathogens were susceptible to MF-0010. According to experimental data, the antimycotic activity of MF-0010 is quite better than the standards one. The MIC and MCF values of MF-0010 show a good potency against *Candida albicans*, and new studies are warranted in order to design optimized formulations, to analyse *in vivo* efficacy and quality assurance of formulations.