Antifungal toxicity of linear geranylphenol. Influence of oxigenate substituents

Taborga L.

Sortino M.

Carrasco H.

Butassi E.

Zacchino S.

Espinoza L.

Twenty four linear geranylphenols were evaluated for their antifungal properties against ATCC and clinical isolates of Candida albicans and Cryptococcus neoformans. For the analysis of their antifungal behavior the compounds were grouped into two series: (i) compounds with only one geranyl substituent on the benzene ring and (ii) compounds with two geranyl moieties on the benzene ring. Results showed that compounds of series (i) present better antifungal activities than those of series (ii). In addition, within group (i) all compounds showed better activities against C. neoformans than against C. albicans which can be easily verified by comparing MIC100 or MIC50 of each compound against both fungi. Di- (10 and 11) and tri-hydroxy (3 and 4) compounds showed significant anti-cryptoccocal activity, being 3, 10 and 11 highly active with MIC100 or MIC50 = 3.9 ?g/mL similar to the standard drug amphotericin B. Moreover, when evaluating the toxicity of compounds 6, 10 and 11 on the HDF cell line (human dermal fibroblasts), results were obtained with IC50 values > 100 ?M, considered as non-toxic for the cell. This indicates that the toxicity of the analyzed compounds is selective towards fungi, which makes them a very attractive family for the development of future drugs. © 2017 Elsevier Ltd

Antifungal activity

Candida albicans

Cryptococcus neoformans.

Linear geranylphenols

3 (3,7 dimethylocta 2,6 dienyl)benzene 1,2 diol

4 (3,7 dimethylocta 2,6 dienyl) 1,3 phenylene acetoacetic acid

4 (3,7 dimethylocta 2,6 dienyl)benzene 1,2 diol

amphotericin B

antifungal agent

geranylphenol derivative

phenol derivative

unclassified drug

antifungal agent

phenol

anticryptoccocal activity

antifungal activity

Article

Candida albicans

Candida glabrata

Candida parapsilosis

Candida tropicalis

Clavispora lusitaniae

controlled study

Cryptococcus neoformans

cytotoxicity

drug activity

drug structure

fungus isolation

human

human cell

IC50

in vitro study

MIC100

MIC50

minimum inhibitory concentration

nonhuman

Pichia kudriavzevii

skin fibroblast

structure activity relation

chemical structure

chemistry

drug effects

microbial sensitivity test

Antifungal Agents

Candida albicans

Cryptococcus neoformans

Microbial Sensitivity Tests

Molecular Structure

Phenol

Structure-Activity Relationship