INHANCED ANTIFUNGAL ACTIVITY WITH THE JOINT USE OF DEHYDROABIETIC ACID AND 2-TERT-BUTYL-3-(1H,1,2,4-TRIAZOL-1-YL)-2H-CHROMENE-2-OL

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The wide potential of resin acids as bioactive agents gave rise to a growing effort in the search for new applications of the natural forms and semisynthetic agents [1]. From the other hand, chromenes are widespread in natural products and have attracted much attention from a researchers in medicinal chemistry [2]. From the point of view of bioactivity, the hybrid system of 1,2,4-triazol and chromenol is an interesting subject for study [3].

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\begin{align*}
\text{CHO} & \quad \text{piperidine/AcOH} \\
\text{cat, reflux, 5 h.} & \quad 70\%.
\end{align*}
\]

To obtain the co-crystalline particles of dehydroabietinic acid and 2-tert-butyl-3-(1H-1,2,4-triazol-1-yl)-2H-chromene-2-ol, two different sets of conditions were tried: co-precipitation and the kneading method, which is relatively simple and consists of precisely weighing the acid and chromen I, stirring and grinding them in the dry phase for a few minutes, followed by the addition of some H2O. The mixture of dehydroabietic acid and 2-tert-butyl-3-(1H-1,2,4-triazol-1-yl)-2H-chromene-2-ol becomes a paste that has been triturated for 1.5 hours and finally resulting product is dried.

The antifungal activity of dehydroabietic acid, 2-tert-butyl-3-(1H-1,2,4-triazol-1-yl)-2H-chromene-2-ol and microparticulate system was evaluated against different species: Candida albicans, Saccharomyces cerevisiae, Aspergillus fumigatus, A. versicolor, A. ochramensis, Trichoderma viride respectively. All the tested compounds exhibited good antifungal activity which was higher compared to the parent components and reference drugs (ketoconazole and bifonazole).

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References: