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Evaluation of fungicidal, bactericidal and anti-tumor activities of lactones of medium and large sizes of cycles obtained from levoglucosenone

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Abstract

Medium and large lactones attract the attention of chemists by the uniqueness of their structure, versatile biological activity and limited availability. Among the secondary metabolites of this group, β-lactones are more common, then γ- and δ-lactones, classical and non-classical macrolides, polyene antibiotics, spiro-macrolides and macrolactones. On the basis of many lactones, important preparations of the most diverse pharmacological action have been obtained.

Earlier, we proposed a 3-stage scheme for the synthesis of chiral lactones of medium and large size based on levoglucosenone. The lactones obtained according to this scheme contain the carbohydrate residue annelated at the β,γ -positions relative to the hydroxy group. To study the structure-activity relationship, it is necessary to establish the biological role of this carbohydrate residue in reference lactones.

For this purpose, a number of lactones were obtained on the basis of levoglucosenone and their in vitro bioscreening of antifungal, antimicrobial, and antitumor activity was performed.

It was found that the methyl substituent in the ω-position in the lactone and the benzene ring annulated with the lactone cycle exhibit a slight fungistatic activity towards the fungi: Bipolaris sorokiniana, Fusarium oxysporum, Rhizoctonia solani. Macrocyclic lactone and lactone annelated benzene ring showed weak cytotoxic properties against cells of LOX IMVI (melanoma) and A498, UO-31 (kidney cancer).

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