PLECTRANTHUS DITERPENES AGAINST MYCOBACTERIUM TUBERCULOSIS

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Abstract

Mycobacterium tuberculosis (MTB) has been responsible for human Tuberculosis disease (TB) remaining a leading cause of death worldwide on developing and developed countries. Some Plectranthus spp., which are largely represented in tropical Africa, are traditionally used for the treatment of respiratory complaints [1]. In vitro antimycobacterial activity towards MTB was found on some abietanes and particularly in royleane derivatives [2].

An in vitro antimycobacterial activity study on some diterpenes extracted from Plectranthus sp. (P. grandidentatus, P. ornatus, P. fruticosus) is presented. Just four diterpenes, isolated from P. grandidentatus [3], showed activity being the more active the 7α-acetoxy-6β-hydroxyroyleanone abietane. It showed a MIC value of 3.12 μg/mL against a multidrug-resistant Mycobacterium tuberculosis strain (MDR) and a MIC value of 25 μg/mL against the sensitive H37Rv M. tuberculosis strain. Also from this plant the royleanones 6β,7α-dihydroxyroyleanone, horminone and 6,7-dehydroxyroyleanone showed lower (MIC values ≤12.5 μg/mL against MDR). Apparently, in this small set of royleanones, the presence of 6,7-dioxgenated carbons on B-ring is significant for activity. It is interesting remark that the crude acetone extract of P. grandidentatus and the chromatographic fraction containing cited active royleanones did not present activity. Kaurane diterpenes ent-kaur-15-en-19-ol, ent-12β-acetoxyhydroykaur-16-en-19-ol and ent-7β-hydroxy-15β,16β-epoxykauran-19-ol acids from P. fruticosus [4], as well labdaneic rhinocerotonic acid diterpene, from P. ornatus [3], showed no activity (MIC values >25 μg/mL against MDR and H37Rv strains). Since the 7α-acetoxy-6β-hydroxyroyleanone abietane exhibited the best MIC values it may be considered as a template to prepare lipophilic derivatives aiming improve the antimycobacterial activities.

References


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