Abstract

Tuberculosis is a contagious disease with high mortality worldwide. The statistics indicated that 3 million people throughout the world die annually from tuberculosis and there are an estimated 8 million new cases each year. 1'-Acetoxylchavicol acetate (ACA) analogs have been synthesized and characterized by spectral data (^{1}H, ^{13}C NMR and IR). The analogs were screened for their antituberculosis activity against *Mycobacterium tuberculosis* H37Ra. To clarify the structure–activity relationship for drug design, ACA analogs were examined. It was found that: 1) the *para*- substitution of halide atom at the benzene ring was essential; 2) the presence of aliphatic side chain at C1´ of chavicol should less than 8 carbons; 3) the presence of protecting group for hydroxyl group at C1´ of chavicol analogs was not major effect for anti-TB activity; 4) phenyl group was attached to carbon atom possess high electronegative group at *para*- position of benzene ring such as chloride or bromide atom could be has appropriate physical properties to access the target cell more than other aromatic types. Compound **123**, 1-(4-bromophenyl)nonan-1-ol showed the highest inhibitory activity in this series with an MIC value of 36.63µM.