Abstract

Antibiotic resistance is a global problem and the development of new drugs, among other approaches, should contribute to mitigating the consequences. All newly prepared compounds are based on the 4,6-dimethylpyrimidine-2-amine, in some syntheses its derivative sulfamethazine was used as a starting compound. The starting molecule was chosen based on the antimicrobial activity of 4,6-dimethylpyrimidine-2-amine derivatives. The aim of three one-step syntheses was to prepare sulfonamides from 4,6-dimethylpyrimidine-2-amine and corresponding sulfonyl chlorides. Other ten also one-step reactions led to the preparation of Schiff bases, which are mostly based on salicylaldehyde and benzaldehyde derivatives. Different methods were used, yields ranged from 13 % to 48 % for sulfonamides and from 13 % to 96 % for Schiff bases. All thirteen compounds were tested for antibacterial (against selected G⁺ and G⁻ strains) and antifungal activity using the microdilution broth method. In general, the compounds were ineffective against G⁻ bacteria and, on the other hand, showed the greatest activity (i.e., lowest minimum inhibitory concentrations, MIC) against fungi. Sulfonamides were ineffective. The lowest MICs for both fungi (3.9 μ mol l⁻¹) and bacteria (15.62 μ mol l⁻¹) were found for 2-{[(4,6-dimethylpyrimidin-2-yl)imino]methyl}-4,6-diiodophenol. Promising antifungal substances that had sufficiently low MICs include 4-[(3,5-dibromo-2hydroxybenzylidene)amino]-N-(4,6-dimethylpyrimidin-2-yl)benzenesulfonamide and 4-chloro-2-{[(4,6-dimethylpyrimidin-2-yl)imino]methyl}-6-iodophenol. The parent molecules, sulfamethazine and 4,6-dimethylpyrimidine-2-amine, have no influence on antifungal action; a small difference was found for MIC values when testing these compounds against some G⁺ strains, where sulfamethazine derivatives were more effective. The presence of iodine in the compound also appears to be advantageous for antifungal activity, and the MIC value decreases with increasing number of iodine atoms.