Antimicrobial Properties of Substituted Salicylaldehydes and Related Compounds

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Z. Naturforsch. **62c**, 487–497 (2007); received January 3/February 27, 2007

A systematic survey of the antimicrobial properties of substituted salicylaldehydes and some related aromatic aldehydes is reported. A total of 23 different compounds, each at four different concentrations, were studied using a panel of seven microbes (*Aspergillus niger*, *Bacillus cereus*, *Candida albicans*, *Escherichia coli*, *Pseudomonas aeruginosa*, *Saccharomyces cerevisiae* and *Staphylococcus epidermidis*) and employing the paper disc agar diffusion method. Several aldehydes, most notably halogenated, nitro-substituted and hydroxylated salicylaldehydes, displayed highly potent activity against the microbes studied, giving inhibitory zones up to 49 mm in diameter (paper disc diameter 6 mm), while unsubstituted benzaldehyde and salicylaldehyde had minimal activity. Further, 4,6-dimethoxysalicylaldehyde had considerable activity against *C. albicans* and slight activity against *S. cerevisiae*, while displaying minimal activity against bacteria. Also two aromatic dialdehydes had interesting activity. In general, *P. aeruginosa* was the least sensitive microbe, a result that is in line with observations from a large screening project, in which this microbe was the one against which the least number of active substances was found. Interestingly, the structure-activity relationships of the aldehydes studied were clearly different for different microbes. Many of the aldehydes tested had such high antimicrobial activity that they are noteworthy candidates for practical applications as well as interesting lead compounds for the development of novel antimicrobial drugs and disinfectants. The structure-activity relationships are discussed in detail. For high activity, substituents are required in benzaldehyde as well as in its 2-hydroxy derivative salicylaldehyde. One hydroxy group alone (at the 2-position) is not enough, but further hydroxylation may produce high activity. The effects of substituents are in some cases dramatic. Halogenation, hydroxylation and nitro substitution may produce highly active compounds, but the effects are not easily predicted nor can they be extrapolated from one microbe to another.

Key words: Antibacterial Agents, Antifungal Agents, Substituent Effects