Abstract

The dissertation focuses on such derivatives of dihydroxybenzoic acids which can be considered to be derived from salicylic acid. The prepared substances were evaluated for their antimycobacterial and antifungal activity *in vitro*. The work on the dissertation produced 102 compounds, including 72 original ones.

The prepared initial compounds included four series of dihydroxy-*N*-phenylbenzamides, in which one hydroxy group is in position 2 and the position of the second one is successively changed. Their thionation using the patented method developed by our laboratory produced the corresponding dihydroxy-*N*-phenylthiobenzamides. The cyclization reaction of the initial dihydroxy-*N*-phenylbenzamides with methyl-chloroformate yielded four series of 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones with hydroxy groups in positions 8, 7, 6, and 5. Direct melting of prepared 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones with Lawesson's reagent provided the expected products, 3-phenyl-4-thioxo-3,4-dihydro-2*H*-1,3-benzoxazin-2-ones and 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-dithiones, but only in the series of 5-hydroxy derivatives. It was the reason for a search for other methods for the preparation of thionated derivatives of 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-dione.

The highest antifungal activities were exerted by 2,3- and 2,6-dihydroxy-*N*-phenylbenzamides. The highest antimycobacterial activity was found in 2,4-dihydroxy derivatives. Both the replacement of oxygen by sulphur in dihydroxy-*N*-phenylthiobenzamides and cyclization of the parent compounds to yield the corresponding 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones resulted in differences in biological activities dependent on the microorganism tested and the substitution pattern.

Other series of substituted 3,5-di-*tert*-butyl-2-hydroxy-*N*-phenylbenzamides and 3,5-di-*tert*-butyl-2,6-dihydroxy-*N*-phenylbenzamides were also prepared. The introduction of two *tert*-butyl groups into the molecule was manifested by a marked increase in antimycobacterial activity, primarily against *Mycobacterium kansasii* and the clinical isolate of *M. kansasii*, where the prepared compounds were more effective than the standard isoniazid. The antifungal activity of these compounds was insignificant. The dissertation also presents the preparation of 3-phenyl-1,2,3-benzotriazine-4(3*H*)-thione derivatives, which did not exert interesting biological activity either.