ABSTRACT

Synthesis of 5-hydroxy-3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones and their sulfur analogues

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The thesis is concerned with the preparation of 5-hydroxy-3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones, 5-hydroxy-3-phenyl-4-thioxo-2*H*-1,3-benzoxazin-2-ones and 5-hydroxy-3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-dithiones, i.e. cyclic analogues of 2,6-dihydroxybenzanilides.

The starting 2,6-dihydroxybenzanilides were synthesized by the microwave-assisted reaction of 2,6-dihydroxybenzooic acid with aniline (unsubstituted or *para*-substituted by chlorine, methyl, butyl or methoxy groups) in the presence of phosphorus trichloride. Their treatment with ethyl-chloroformate afforded 5-hydroxy-3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones in 77 - 94 % yields. 5-Hydroxy-3-phenyl-4-thioxo-2*H*-1,3-benzoxazin-2-ones and 5-hydroxy-3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-dithiones were obtained by thionation using Lawesson's reagent in 31 – 42 and 24 – 33 % yields, respectively. All the compounds were characterized by infrared and NMR spectroscopy and by elemental analysis.

No substance exerted either antimycotic activity (against *Candida albicans, C. tropicalis, C. krusei, C. glabrata, Trichosporon asahii, Trichophyton mentagrophytes, Aspergillus fumigates,* and *Absidia corymbifera*) or antimycobacterial activity (against *M. tuberculosis, M. kansasii,* and *M. avium*).