ABSTRACT

Synthesis of hydroxy derivatives of 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-dione

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The thesis is concerned with the preparation of 16 cyclic analogues of hydroxy-salicylanilides, i.e. 3-phenyl-2*H*-1,3-benzoxazine-2,4(3*H*)-diones monosubstituted with a hydroxyl group on the heterocyclic ring.

The starting hydroxysalicylanilides belonging to four series were synthesized by the microwave-assisted reaction of the respective hydroxysalicylic acid with aniline (unsubstituted or *para*-substituted by chlorine, methyl or methoxy groups) in the presence of phosphorus trichloride. Their treatment with ethyl-chloroformate afforded the expected 1,3-benzoxazine derivatives in 77 - 94 % yields. All compounds prepared were characterized by infrared and NMR spectroscopy and by elemental analysis.

All the compounds were examined for antifungal activity in vitro against *Candida albicans*, *C. tropicalis*, *C. krusei*, *C. glabrata*, *Trichosporon asahii*, *Trichophyton mentagrophytes*, *Aspergillus fumigates*, and *Absidia corymbifera*, but none of these compounds was more active than ketoconazole. In general, parent hydroxysalicylanilides were more active than their cyclic counterparts.