CHAPTER 9

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ANTIMICROBIAL ACTIVITY OF *HELICHRYSUM* SPECIES AND THE ISOLATION OF A NEW PHLOROGLUCINOL FROM *HELICHRYSUM* CAESPITITIUM

by

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There are 500 *Helichrysum* (Asteraceae) species world wide of which 245 occur in South Africa.The South African species display great morphological diversity and are, therefore classifiedinto 30 groups (Hilliard, 1983). *Helichrysum* species have been reported for their antimicrobial activities (Rios *et al.*, 1988; Tomas-Barberan *et al.*, 1990; Tomas-Lorente *et al.*, 1989; Mathekga, 1998, Mathekga *et a*l., 2000). Not much information on the bioactivity of compounds isolated from these species is available. *In vitro* antimicrobial screening methods provide the required preliminary observations to select among crude plant extracts those with potentially useful properties for further chemical and pharmaceutical investigations. In this study we investigated the antimicrobial activities of crude acetone extracts (shaken and homogenized) of twenty-eight Helichrysum species on ten bacteria species and six fungal species.

A new phloroglucinol with significant antimicrobial properties was isolated by bioactivity guided fractionation from *Helichrysum caespititium*. The structure elucidation, conformation and stereochemistry of the new phloroglucinol, 2-methyl-4-[2',4',6'-trihydroxy-3'-(2-methylpropanoyl) phenyl] but-2-enyl acetate (caespitate), was established by high field NMR spectroscopic, crystallographic and MS data. The compound inhibited

growth of *Bacillus cereus, B. pumilus* and *Micrococcus kristinae* at the very low concentration of 0.5 µg /ml and *Staphylococcus aureus* at 5.0 µg/ml. Six fungi tested were similarly inhibited at low MICs: *Aspergillus flavus* and *A. niger* (1.0 µg /ml), *Cladosporium cladosporioides* (5 µg/ml), *C. cucumerium* and *C. sphaerospermum* (0.5 µg /ml) and *Phytophthora capsici* at 1.0 µg/ml.

The cytotoxicity of most currently used drugs has become a serious problem and efforts are being directed to obtaining new drugs with different structural features. One option favoured is the search for new plant derived non-toxic drugs, as was investigated in this study. Caespitate proved to be non-toxic at biologically active concentrations.

Development of resistance to synthetic chemotherapeutic agents is known to occur in modern medicine; for example, resistance to some antibiotics of certain strains of microorganisms. A synergistic antibacterial bioassay demonstrated that the combination of caespitate and caespitin enhanced activity from a concentration range of 5 µg /ml to 0.5 µg /ml down to 0.1 µg /ml to 0.05 µg /ml on Gram-positive bacteria. The synergistic effect was in addition displayed against Gram-negative bacteria.

The study of the morphology and ultrastructure of the epicuticular trichomes revealed that trichomes in *H. caespititium* originate from papillate cell outgrowths which elongate, develop and later polarise into apical, stem and basal parts and that repeated secretions of compounds probably occur from the young three-celled stage, enable us to characterise and relate our observations to their possible functional role in the production of the antimicrobial and other compounds on the leaf surface.

South African *Helichrysum* species are a potentially good source of antimicrobial agents worthy of further investigation as efficient therapeutic compounds and in assisting the primary health care in this part of the world.

REFERENCES

HILLIARD, O. .M. 1983. In: Flora of Southern Africa (Asteraceae). Vol. 33. Asteraceae. Lo.eistner, O.A. ed. Botanical Institute of South Africa. pp. 61- 310.

MATHEKGA, A.D.M. and MEYER, J.J.M. 1998. Antimicrobial activity of South African *Helichrysum* species. *South African Journal of Botany* 64(5): 293-295.

MATHEKGA, A.D.M., MEYER, J.J.M., HORN, M.M., and DREWES, S. E. 2000. An acylated phloroglucinol with antimicrobial properties from Helichrysum caespititium. *Phytochemistry* 53: 93-96..

RIOS, J.L., RECIO, M.C. and VILLAR, A.1988. Screening methods for natural products with antimicrobial *activity.* A review of the literature. *Journal of Ethnopharmacology* 23:127-149.

TOMAS-LORENTE, F., INIESTA-SANMARTIN, E., TOMAS-BARBERAN, F. A., TROWITZSCH-KIENAST, W. and WRAY, V. 1989. Antifungal phloroglucinol derivatives and lipophilic flavonoids from Helichrysum decumbens. *Phytochemistry* 28 (6): 1613-1615.

TOMAS-BARBERAN, F.A., INIESTA-SANMARTIN, E. and TOMAS-ORENTE, F. and RUMBERO, A. 1990. Antimicrobial phenolic compounds from three Spanish *Helichrysum* species. Phytochemistry 29: 1093-1095.