STRUCTURAL AND SYNTHETIC STUDIES OF POTENTIAL ANTITUMOR NATURAL PRODUCTS

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Dissertation submitted to the Faculty of the
Virginia Polytechnic Institution and State University
in the partial fulfillment of the requirement for the degree of

Doctor of Philosophy
in
Chemistry

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August 13, 1998
Blacksburg, Virginia

Keywords: natural product, sesquiterpenoid, furanonaphthoquinone, antitumor

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(ABSTRACT)

Bioassay directed fractionation of the methyl ethyl ketone extract of *Chiloscyphus rivularis* yielded eight sesquiterpenoids, and detailed spectroscopic interpretation led to the assignment of their structures as 12-hydroxychiloscyphone, chiloscypha-2,7-dione, 12-hydroxychiloscypha-2,7-dione, chiloscypha-2,7,9-trione, rivulalactone, 4-hydroxy oppositant-7-one, chiloscyphone, and intermedeol. The structure and stereochemistry of rivulalactone, a novel trinorsesquiterpenoid, was confirmed by its synthesis starting from chiloscyphone. 12-Hydroxychiloscyphone, chiloscypha-2,7-dione, 12-hydroxychiloscypha-2,7-dione, chiloscypha-2,7,9-trione, rivulalactone are new. 12-Hydroxychiloscyphone showed selective bioactivity towards DNA repair-deficient yeast mutants and cytotoxicity to human lung carcinoma cells.

In order to improve the activity of cytotoxic furanonaphthoquinones by affixing a hydroxyamino side chain, 2-methyl-2-[2′-(4′,9′-dihydronaphtho[2′,3′-b]furan-4′,9′-dionyl methyl)amino]-1,3-propanediol and its analogs have been synthesized. Bioassay data showed they act by a different mechanism of action than their parental furanonaphthoquinone derivatives.

ACKNOWLEDGMENTS

I would like to express my sincere gratitude and appreciation to my research advisor, Professor David G. I. Kingston, for his guidance, support, encouragement and patience throughout the completion of this work.

Grateful acknowledgments are made to Professors Michael Calter, Neal Castagnoli, Harry C. Dorn and Richard D. Gandour for their guidance and encouragement.

Thank also go to all the past and present members of the Kingston research group, especially Drs. A. A. L. Gunatilaka, Bingnan Zhou, Xian Liang, Mahendra Chordia, Prakash Jagtap, Lakshman Samala, Maged Abdel-Kader, Mr. Haiqing Yuan, Bill Lennox and Erkan Baloglu for helpful discussions and friendship.

Financial support for this work, provided by National Cancer Institute, is greatly appreciated.

Finally, I would like to thank and acknowledge my wife, Suiling, my son, Stanley, my parents, and my family for their support and understanding.

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