Phytochemistry, Vol. 23, No. 8, pp. 1824–1825, 1984.
Printed in Great Britain.

0031–9422/84 $3.00 + 0.00

ON THE REPORTED MOLLUSCICIDAL ACTIVITY FROM *TEPHROSIA VOGELII* LEAVES*

ANDREW MARSTON, JEROME D. MSONTHI† and KURT HOSTETTMANN

Institut de Pharmacognosie et Phytochimie, Ecole de Pharmacie, Université de Lausanne, Lausanne, Switzerland; †Department of Chemistry, University of Malawi, Chancellor College, Zomba, Malawi

(*Received* 10 *February* 1984)

Key Word Index—*Tephrosia vogelii*; Leguminosae; molluscicidal activity; rotenoids; flavonoids.

Abstract—The rotenoids deguelin and tephrosin were isolated from leaves of *Tephrosia vogelii*, together with three flavonol glycosides, rutin, isoquercitrin and quercetin 3-*O*-arabinoside. Although *T. vogelii* leaves are reportedly toxic to aquatic snails, deguelin and tephrosin were found to have no significant molluscicidal activity.

In connection with our study of Malawi medicinal plants as potential molluscicides, we have investigated constituents of the leaves of *Tephrosia vogelii* Hook, (*aequilata* Bak., subsp. *nyasae*) for activity against *Biomphalaria glabrata* snails [2]. The reported molluscicidal activity of the leaves [3] has led to suggestions about the potential use of rotenoid-containing plants in the control of schistosomiasis [4]. More recently, however, extracts of *T. uniflora* stems and roots have been found to be inactive against *Bulinus globosus* snails [5].

The petroleum ether extract of *T. vogelii* leaves was active against *B. glabrata* snails at 400 ppm and after flash chromatography [6] and low-pressure chromatography, both on silica gel, the two rotenoids deguelin and tephrosin were isolated. Deguelin was obtained as a powder after lyophilization (0.29% by weight of dried leaves), the mass spectrum of which showed a prominent molecular ion peak at *m/z* 394 [7]. Further characterisation proceeded by means of ^{1}H NMR [8] and UV [7] spectroscopy. However, due to insolubility in water, the pure rotenoid was inactive as a molluscicide. Tephrosin was isolated in a much lower yield (0.02% by weight of dried leaves), as an off-white powder after lyophilization. The mass spectrum gave $[M]^+$ at *m/z* 410 [7] and the ^{1}H NMR [8] and UV [7] spectra were as previously described. Tephrosin, similar to deguelin, was insoluble in water and inactive against *B. glabrata* snails.

The methanol extract of *T. vogelii* leaves showed no molluscicidal activity but yielded three major flavonol glycosides after silica gel flash chromatography and Sephadex LH-20 gel chromatography: rutin, isoquercitrin and quercetin 3-*O*-arabinopyranoside. This is the first time these flavonoids have been reported from *T. vogelii*.

The above-mentioned results suggest that neither *T. vogelii* leaves nor the purified rotenoids are suitable for the large-scale control of schistosomiasis in developing countries.

EXPERIMENTAL

The plant material (152 g powdered dried leaves of *T. vogelii*), collected in Malawi, 1983 (voucher specimen deposited in the herbarium, University of Malawi, Zomba), was extracted with petrol, $CHCl_3$ and MeOH. The petrol extract (4.2 g) was subjected to silica gel flash chromatography. The fraction eluting with petrol–EtOAc (2:1) contained deguelin, the pure rotenoid being obtained after two successive Lobar LichroPrep silica gel 60 (40–63 μm) size B column (E. Merck) separations, first with CH_2Cl_2–EtOAc–petrol (10:1:5) and then with CH_2Cl_2–EtOAc–petrol (8:1:5). Deguelin was obtained as a white powder (446 mg) after lyophylization.

A slower-moving fraction from the Lobar separation with CH_2Cl_2–EtOAc–petrol (10:1:5) gave a strongly UV-active compound which was purified to homogeneity by further Lobar silica gel chromatography, using EtOAc–petrol (1:2) as eluent. This rotenoid, tephrosin, was isolated as an off-white powder (25 mg) after lyophilization.

A portion (6 g) of the MeOH extract (19.2 g) of *T. vogelii* leaves was flash chromatographed on silica gel ($CHCl_3$–MeOH–H_2O, 65:35:2) to give a fraction rich in flavonoids. Further flash chromatography of this fraction ($CHCl_3$–MeOH, 9:1 → 8:2 → $CHCl_3$–MeOH–H_2O, 80:20:2 → 70:30:5) gave rise to three major fractions, A, B and C, each of which contained one principal flavonoid glycoside.

Each fraction was purified by Sephadex LH-20 gel filtration (MeOH) and the flavonoids isolated by crystallization from MeOH. Acid hydrolysis produced quercetin (comparison with an authentic sample) as the aglycone from all three glycosides and the sugar moieties were identified by TLC and GC (after silylation). Analysis of the UV spectra was by established methods [9]. The arabinoside was shown to be the α-L-arabinopyranoside (guaijaverin) from the ^{13}C NMR spectral analysis.

Acknowledgements—Financial support has been provided by the Swiss National Science Foundation, the Parasitic Diseases Programme of the World Health Organisation, the UNDP/World Bank/WHO Special Programme for Research and Training in Tropical Diseases, the Emil Barrel Foundation of F. Hoffmann-La Roche and Co., Basel and the Fonds Herbette of the University of Lausanne. Thanks are due to Mr. G. Dudan for

*Part 2 of the series "Phytochemistry of African Medicinal Plants." For part 1, see ref. [1].

carrying out molluscicide tests and to Professor J. Lauterwein, University of Lausanne for NMR spectra measurements.

REFERENCES

1. Marston, A., Msonthi, J. D. and Hostettmann, K., *Planta Med.* (submitted).
2. Hostettmann, K., Kizu, H. and Tomimori, T. (1982) *Planta Med.* **44**, 34.
3. Ransford, O. N. (1948) *Trans. R. Soc. Trop. Med. Hyg.* **41**, 617.
4. Kloos, H. and McCullough, F. S. (1983) *Scientific Working Group on Plant Molluscicides.* W.H.O., Geneva.
5. Adewunmi, C. O. and Sofowora, E. A. (1980) *Planta Med.* **39**, 57.
6. Still, W. C., Kahn, M. and Mitra, A. (1978) *J. Org. Chem.* **43**, 2923.
7. Moring, S. E. and McChesney, J. D. (1979) *J. Assoc. Off. Anal. Chem.* **62**, 774.
8. Crombie, L. and Lown, J. W. (1962) *J. Chem. Soc.* 775.
9. Mabry, T. J., Markham, K. R. and Thomas, M. B. (1970) *The Systematic Identification of Flavonoids.* Springer, Berlin.

Phytochemistry, Vol. 23, No. 8, pp. 1825–1826, 1984.
Printed in Great Britain.

0031–9422/84 $3.00 + 0.00

RAVESILONE, A QUINOLONE ALKALOID FROM *RAVENIA SPECTABILIS*

P. BHATTACHARYYA and B. K. CHOWDHURY*

Department of Chemistry, Bose Institute 93/1, A.P.C. Road, Calcutta 700009, India; *Department of Pharmaceutical and Medicinal chemistry, Ahmadu Bello University, Zaria, Nigeria

(*Received* 24 *January* 1984)

Key Word Index—*Ravenia spectabilis*; Rutaceae; leaves; ravesilone; 2-quinolone alkaloid.

Abstract—A new quinolone alkaloid designated ravesilone has been isolated from the leaves of *Ravenia spectabilis*. From spectral evidence the structure of the compound has been established as 3,4,5,6-tetrahydro-7-hydroxy-2,2,6-trimethyl-5-oxo-2H-pyrano[3,2-c]quinoline.

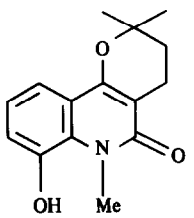
INTRODUCTION

Ravenia spectabilis, an ornamental plant in Indian gardens, is known to furnish quinolone alkaloids [1]. Quinolone alkaloids from the Rutaceae are remarkable in bioactivity [2, 3]. In the course of our investigation of carbazole alkaloids of this family further chemical examination of the plant was undertaken. Our investigation reveals the presence of a new quinolone alkaloid designated as reversilone from the leaves of *Ravenia spectabilis*.

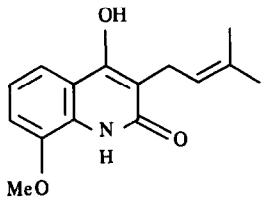
RESULTS AND DISCUSSION

Ravesilone **1**; $C_{15}H_{17}NO_3$ ([M]$^+$ *m/z* 259) mp 272° was homogeneous by TLC and mass spectrometry. The ready solubility of the compound in alkali and the formation of a green colour with ferric chloride indicated the presence of a phenolic hydroxyl group in the compound. The UV spectrum of **1** [λ_{max}^{EtOH} nm: 216, 230, 250, 256, 280, 292 and 325 nm with log ε 4.4, 4.4, 4.45, 4.49, 3.90, 3.92 and 3.48] indicates the presence of a 2-quinolone moiety in the compound [4]. The UV absorption maximum remains unchanged on acidification which is also suggestive of a 2-quinolone structure. The shift of the UV maximum in the presence of alkali is indicative of the presence of a phenolic hydroxyl group. The IR spectrum (KBr) showed absorption peaks at 3130 (hydrogen bonded OH), 1640 (>N–CO), 1600, 1565 (aromatic residue) and 845 cm^{-1} (substituted benzene derivative). The ^{1}H NMR spectrum (60 MHz, $CDCl_3$ solvent) showed signals at δ 7.5 (*m*, 1H, C-5), 7.1–6.9 (*m*, 2H, C-6, C-7), 3.90 (*s*, 3H, N–Me), 2.7 (*t*, *J* = 6.5 Hz, 2H, Ar–CH_2–), 1.84 (*t*, *J* = 6.5 Hz, 2H, Ar–CH_2–CH_2–) and 1.45 (*s*, 6H, gemdimethyl).

The absence of any proton in the region δ 6.07 suggests substitution at C-3 [1]. The appearance of two symmetrical triplets at δ2.7 and 1.84 along with a sharp singlet for six protons in the region δ 1.45 indicates the presence of a 2:2-dimethyl dihydro pyran chromophoric system in **1**. The appearance of a C-5 aromatic proton at lower field (δ 7.5) is due to the deshielding effect of the oxygen function at C-4. It has been observed that the C-5 proton in 4-alkoxy-2-quinolones appears at lower field due to the



1



2