

FALCARINDIOL: AN ANTIFUNGAL POLYACETYLENE FROM *AEGOPODIUM PODAGRARIA*

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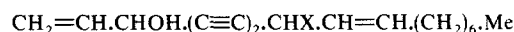
Key Word Index—*Aegopodium podagraria*; Umbelliferae; polyacetylene; faltarindiol; faltarinol; antifungal compounds.

Acetylenic compounds occur in many plant species, and have often been shown to be toxic to bacteria, nematodes and mammals [1]. Although a few, e.g. capillin [2], safynol [3], wyerone [4] and its derivatives [5], have been shown to inhibit fungal growth, the antifungal activity of acetylenes from the Umbelliferae and their possible roles in resistance of plants to disease have received little attention.

I have now found antifungal activity in ground elder, *Aegopodium podagraria* L., in extracts of rhizomes, but especially in acetone extracts of young shoots. Two active compounds were isolated from the latter, and identified as faltarinol (1) (36 µg/g fresh tissue), and (2) (217 µg/g fresh tissue) by comparing their PMR, IR and UV spectra with previously published data [6], and by direct comparison (TLC and GLC) with authentic samples isolated during this work from *Daucus carota* L. [6, 7].

The antifungal properties of both compounds were assessed by measuring their effects on spore germination and subsequent germ-tube growth. In Butt slides, *Alternaria brassicicola* and *Septoria nodorum* were totally inhibited by faltarindiol at a concentration of 20 µg/ml. At 200 µg/ml faltarinol did not affect these fungi. The greater activity of the diol was confirmed in tests carried out using agar medium (Table 1), which indicated similar levels of activity to those shown by safynol [3] and wyerone [4].

These results show faltarindiol to be the major inhibitor *Aegopodium podagraria*. Its occurrence in shoots of this plant at a concentration of over 200 µg/g tissue is much greater than that shown to inhibit fungal growth *in vitro*. Faltarindiol also occurs in roots of *Daucus carota* [6].



1 Faltarinol X = H

2 Faltarindiol X = OH

Apium graveolens L. [8], *Falaria vulgaris* Bernh., *Oenanthe crocata* L. and *Opopanax chironium* Koch. [9]. These findings suggest that faltarindiol could play a part in protecting these species from fungal attack, and that the antimicrobial properties of other naturally occurring acetylenes should be investigated further.

EXPERIMENTAL

Isolation of 1 and 2. Merck kieselgel 40 Art. 10180 Si gel was used for column chromatography and the presence of inhibitors in fractions was monitored by a *Cladosporium cucumerinum* TLC assay [10]. Merck fluorescent plates were used for TLC, and 1 and 2 were detected by their quenching properties under UV 254. Young shoots of *Aegopodium podagraria* (950 g fr. wt) were macerated and extracted by soaking × 3 in Me₂CO (2 l.) for 4 days. The residue from the combined extracts was divided, by eluting through a Si gel column with CHCl₃, into 2 active fractions. The first yielded faltarinol after purification by column chromatography (eluant *n*-C₆H₁₄-*iso*-Pr₂O-toluene, 2:1:1), followed by TLC (*n*-C₆H₁₄-*iso*-Pr₂O-toluene, 1:1:1, *R_f* 0.43). The second yielded faltarindiol after purification by column chromatography (*n*-C₆H₁₄-CHCl₃, 1:1), followed by TLC in 3 separate solvent systems (*iso*-Pr₂O-toluene, 1:1, *R_f* 0.35; *n*-C₆H₁₄-Me₂CO, 2:1, *R_f* 0.49; toluene-MeOH, 4:1, *R_f* 0.39).

Bioassay. Antifungal properties of 1 and 2 were assessed by 2 spore germination tests, using Butt slides [11] and impregnated agar plugs [12].

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Table 1. Activity of faltarinol and faltarindiol in spore germination tests. Germination (and germ tube length) as a percentage of control

	Faltarinol		Faltarindiol	
	100 ppm	10 ppm	100 ppm	10 ppm
<i>Alternaria brassicicola</i>	100 (50)	100 (63)	0	100(18)
<i>Botrytis cinerea</i>	100 (63)	100(100)	35(25)	100(75)
<i>Septoria nodorum</i>	54 (25)	100 (35)	0	48(10)
<i>Uromyces fabae</i>	34 (5)	100 (10)	0	0
<i>Cladosporium cucumerinum</i>	12 (5)	54 (5)	0	0
<i>Colletotrichum lagenarium</i>	0	0	0	0
<i>Ascochyta fabae</i>	100 (40)	100 (50)	0	100(40)
<i>Glomerella cingulata</i>	100 (34)	100 (50)	0	100(50)
<i>Fusarium culmorum</i>	100(100)	100(100)	0	100(75)
<i>Aspergillus niger</i>	100 (50)	100(100)	16(10)	100(20)