



Antibacterial and antifungal activity of cicerfuran and related 2-arylbenzofurans and stilbenes

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Summary

Cicerfuran, 2-(2-methoxy-4,5-methylenedioxyphenyl)-6-hydroxybenzofuran, is an antifungal phytoalexin previously isolated from the roots of chickpea, *Cicer* spp. The synthesis of cicerfuran, five 2-arylbenzofuran analogues and nine stilbene intermediates was reported recently. The antimicrobial activities of these compounds were evaluated against two species of bacteria, *Bacillus subtilis* and *Pseudomonas syringae*, and four species of filamentous fungi, *Aspergillus niger*, *Botrytis cinerea*, *Cladosporium herbarum* and *Monilinia aucupariae*. Stilbenes with a free hydroxyl group were active against both bacteria and fungi with MICs in the range 25–100 µg/ml. Cicerfuran was the only 2-arylbenzofuran that showed antimicrobial activity with MICs as low as 25 µg/ml. Some aspects of the structure–activity relationship are discussed.

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Introduction

2-Arylbenzofurans together with their parental stilbenes constitute an important group of natural products that are of particular interest owing to

their wide ranging biological activities (Ferré-Filmon et al., 2004). Their principal ecological role is reportedly in plant defence. For example, cicerfuran (**14**) first isolated from the roots of a wild species of chickpea, *Cicer bijugum*, (Stevenson and Veitch, 1998a), is reported to be a major factor in the plant's defence system against fusarium wilt caused by *Fusarium oxysporum* f.sp. *ciceri*. Like most other 2-arylbenzofurans, however, **14** occurs naturally only at very low levels in the roots of three *Cicer* species (Stevenson and Veitch,

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