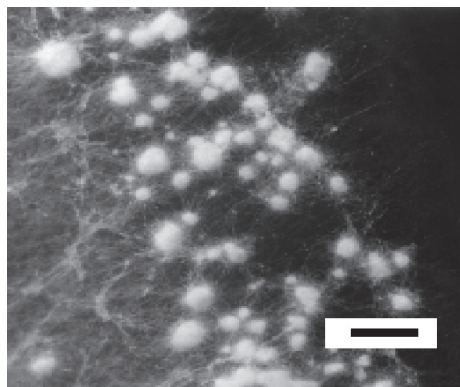


# Sesquicillin

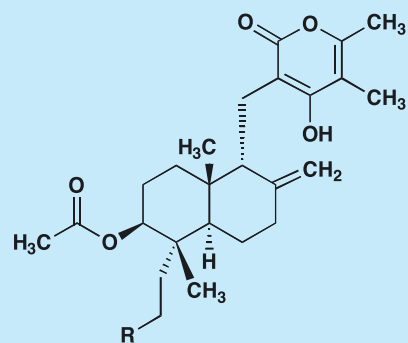
## 1. Discovery, producing organism and structures<sup>1,2)</sup>

Sesquicillins B-E were isolated from the culture broth of *Albophoma* sp. FKI-1778 together with known sesquicillin (sesquicillin A) as insecticidal antibiotics. All sesquicillins have a common pyrano-diterpene skeleton.



*Albophoma* sp. FKI-1778

Bar: 500  $\mu\text{m}$



Sesquicillin	R
A (Sesquicillin)	
B	
C	
D	
E	

## 2. Physical data (Sesquicillin B)

White powder.  $\text{C}_{29}\text{H}_{40}\text{O}_7$ ; mol wt 500.62.

Sol. in DMSO, MeOH, .Insol. in  $\text{H}_2\text{O}$ , hexane.

## 3. Biological activity<sup>2)</sup>

### 1) Insecticidal activity

Minimum inhibitory concentrations (MIC) against the growth of *A. salina* are summarized in the Table. Sesquicillin A showed the most potency with the MIC value of 6.25  $\mu\text{g}/\text{ml}$ , followed by sesquicillins C and E with 100  $\mu\text{g}/\text{ml}$ .

### 2) Cytotoxic activity<sup>2)</sup>

Cytotoxic activity of sesquicillins to Jurkat cells is summarized in the Table. Sesquicillins A and C gave the  $\text{IC}_{50}$  values of 34.0 and 38.3  $\mu\text{g}/\text{ml}$ , respectively, while sesquicillins B, D and E showed weak cytotoxic activity.

Sesquicillin	Insecticidal activity <sup>a</sup>	Cytotoxic activity <sup>b</sup>
	MIC ( $\mu\text{g}/\text{ml}$ )	$\text{IC}_{50}$ ( $\mu\text{g}/\text{ml}$ )
A	6.25	34.0
B	>200	>100
C	100	38.3
D	>200	>100
E	100	>100

a) Growth inhibition against *A. salina*.

b) Growth inhibition against Jurkat cells.

## 4. Total synthesis<sup>3,4)</sup>

The total synthesis of sesquicillin have been reported by two groups. (See Appendix-I)

## 5. References

1. B. Engel *et al.*, *J. Antibiot.* **51**, 518-521 (1998)
2. [889] R. Uchida *et al.*, *J. Antibiot.* **58**, 397-404 (2005)
3. F. Zhang *et al.*, *Angew. Chem., Int. Ed.* **41**, 1434-1437 (2002)
4. T. Oguchi *et al.*, *Heterocycles* **80**, 229-250 (2010)