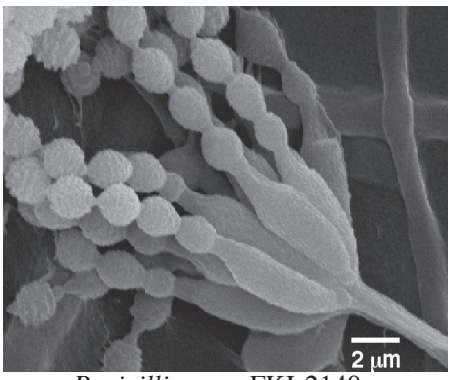
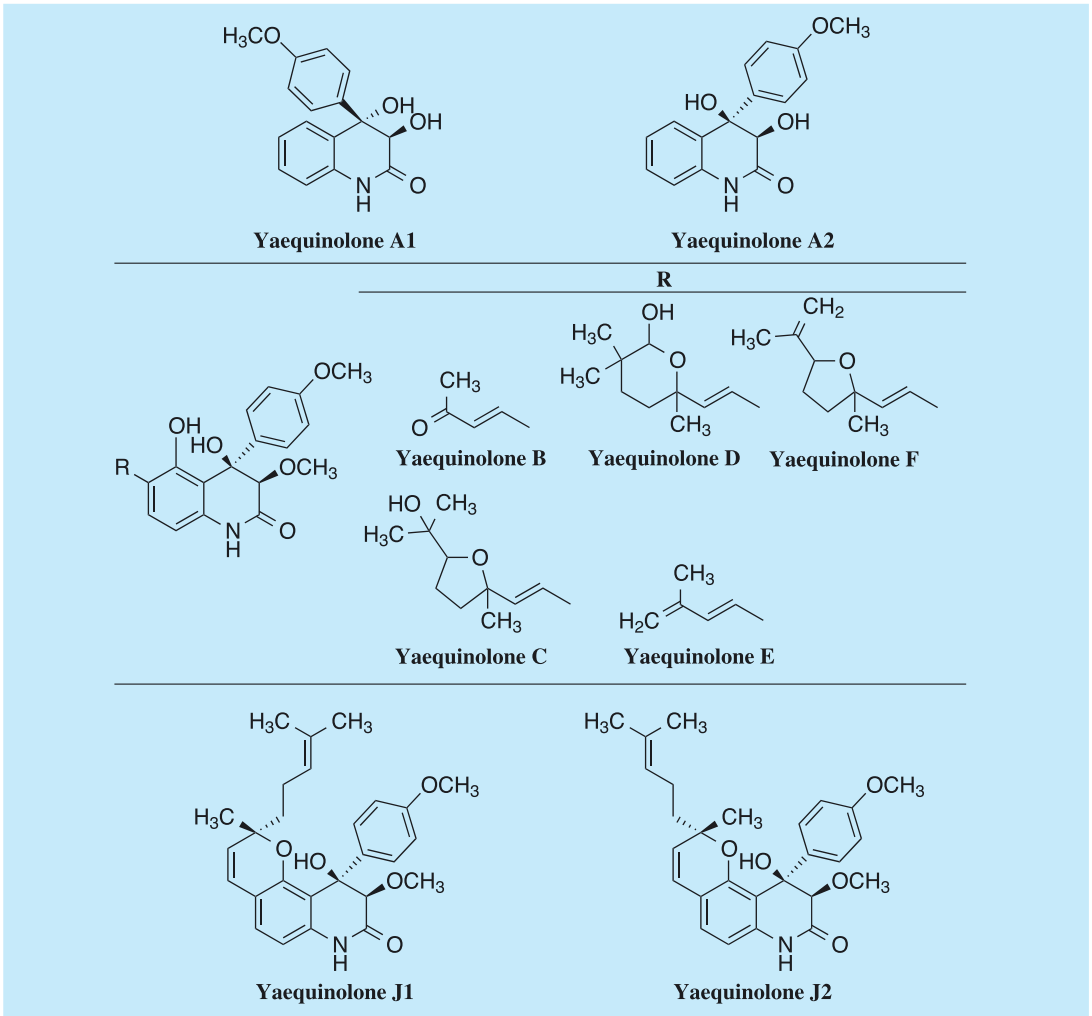
Yaequinolone

1. Discovery, producing organism and structures[1-3)]

Yaequinolones were isolated from the culture broth of *Penicillium* fungal strain FKI-2140 together with known compounds, peniprequinolone and penigequinolone A and B, as insecticidal antibiotics. They have a common *p*-methoxyphenylquinolinone skeleton fused with an isoprenyl pyran ring. The total synthesis of yaequinolone A2 was reported by Xinfu *et al*.[4)] (Aee Appendix I)



Penicillium sp. FKI-2140



2. Physical data (yaequinolone J1 and J2)[1,3)]

White powder. $C_{27}H_{31}NO_5$; mol wt 449.54. Sol. in DMSO, MeOH, $CHCl_3$.Insol. in H_2O, hexane.

3. Biological activity[2)]

1) Insecticidal activity

The insecticidal activities of peniprequinolone, penigequinolones and yaequinolones were studied in a microplate assay using the brine shrimp *Altemia salina*. Yaequinolone F, penigequinolones A and B showed the most potent inhibition with MIC values of 0.19 μg/ml, followed by peniprequinolone. Yaequinolones D, E, J1 and J2 showed moderate activity with MIC values of 6.25 μg/ml. However, yaequinolones A1 and A2 showed very weak inhibition at 100 μg/ml.

compound		MIC (μg/ml)
Yaequinolone	A1	> 100
	A2	100
	B	50
	C	12.5
	D	6.2
	E	6.2
	F	0.1
	J1	6.2
	J2	6.2
Peniprequinolone		0.7
Penigequinolone A		0.1
Penigequinolone B		0.1
4'-Methoxycyclopeptin		> 100

2) Other activities

Yaequinolones showed almost no effect on the growth of *C. elegans* at 100 μg/ml, and no antimicrobial activity against any of the 14 microorganisms tested at 10 μg/6 mm disk.

4. References

1. [899] R. Uchida *et al*., *Org. Lett*. **7**, 5701-5704 (2005)
2. [922] R. Uchida *et al*., *J. Antibiot*. **59**, 646-651 (2006)
3. [923] R. Uchida *et al*., *J. Antibiot*. **59**, 652-658 (2006)
4. X. Li *et al*., *Chinese J. Chem*. **27**, 1379-1381 (2009)