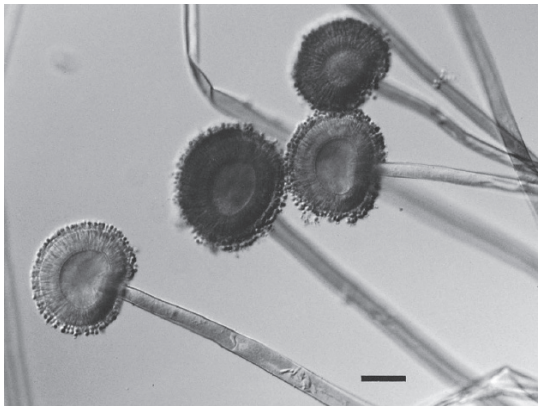
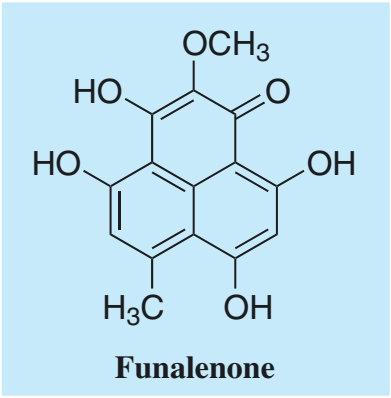
Funalenone ©

1. Discovery, producing organism and structure[1)]

Funalenone was found in the fermentation broth of the fungal strain *Aspergillus niger* FO-5904 in the course of screening for collagenase inhibitors[1)]. Funalenone is a phenalenone compound. Its structure was identified as a deoxy derivative of xanthoherquein[2)], an acid hydrolysate of herqueinone isolated as a red pigment.



Aspergillus niger FO-5904
Bar: 20 μm



Funalenone

The structure is either the one shown above or the equivalent tautomer.

2. Physical data

Yellow powder. $C_{15}H_{12}O_6$; mol wt 288.26. Sol. in DMSO, MeOH, EtOH, EtOAc. Insol. in H_2O, acetone, $CHCl_3$, hexane.

3. Biological activity[1)]

1) Inhibition of collagenase

Collagenase is a member of the matrix metalloproteinase (MMP), capable of normal extracellular matrix remodeling and pathological cartilage destruction in disease states such as arthritis. Funalenone inhibited human collagenase type I with an IC_{50} value of 170 μM.

2) Antimicrobial activity[1)]

Funalenone showed no antimicrobial activity at a concentration of 50 μg/disc (paper disc method) against; yease, fuagi and gram-positire and gram-negatire bacteria.

3) Inhibition of HIV-1 integrase[3)]

Funalenone inhibited HIV-1 integrase with an IC_{50} values of 10 μm and showed anti-HIV activity *in vitro* (IC_{50}=1.7 μm).

4. References

1. [732] J. Inokoshi *et al*., *J. Antibiot*. **52**, 1095-1100 (1999)
2. J. A. Galarraga *et al*., *Biochem. J*. **61**, 456-464 (1955)
3. [878] K. Shiomi *et al*., *J. Antibiot*. **58**, 65-68 (2005)