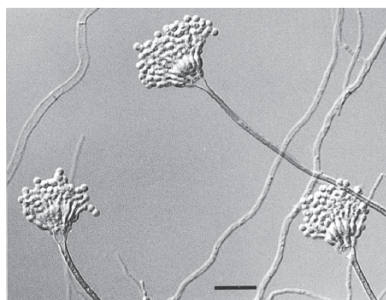


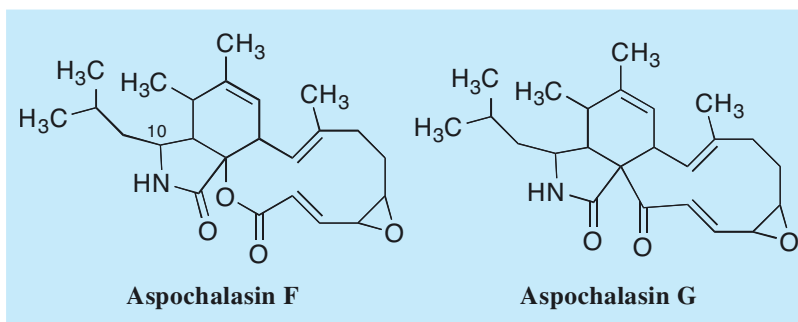
Aspochalasin

1. Discovery, producing organism and structures^{1,2)}

Aspochalasin F and G were isolated from the culture broth of the fungal strain *Aspergillus* sp. FO-4282 in the screening of artemisinin-like antimalarial antibiotics. They were not found to be active in an *in vitro* antimalarial assay. They are recognized new components of the aspochalasin,^{3,4)} comprised of a cytochalasan skeleton with 10-isopropyl and 17,18-epoxy moieties.



Aspergillus sp. FO-4282
Bar: 20 μ m



2. Physical data (Aspochalasin F)

Pale yellow powder. $C_{24}H_{33}NO_4$; mol wt 399.53. Sol. in DMSO, MeOH, $CHCl_3$. Insol. in H_2O , EtOAc.

3. Biological activity

1) Artemisinin-like activity and antimicrobial activity^{1,2)}

Artemisinin-like bioactivity (heme-dependent radical generating activity) was estimated via antibacterial activities on the three different agar media (L, LH, and LHT) against an indicator bacterial strain (L). The largest inhibition zone (mm) upon LH is an indication of heme-dependent radical generation.

Test microorganism	Diameter of inhibition zone (mm) [#]		
	Aspochalasin F	Aspochalasin G	Artemisinin
Bacterium L (L)	—	15	—
Bacterium L (LH*)	—	17	26
Bacterium L (LHT*)	—	13 (hazy)	20 (hazy)
<i>Bacillus subtilis</i> KB 27	—	19.5	—
<i>Staphylococcus aureus</i> KB 210	—	11.5	—
<i>Micrococcus luteus</i> KB 40	—	15.0	—
<i>Escherichia coli</i> KB 213	—	—	—
<i>Acholeplasma laidlawii</i> KB 174	—	15.0	—
<i>Pyricularia oryzae</i> KF 180	—	14.0	—
<i>Aspergillus niger</i> KF 103	—	—	—
<i>Candida albicans</i> KF 1	—	—	—

[#] Paper discs (8 mm diameter) with 30 μ g of aspochalasin and artemisinin.

* H, Hemin added; T, Tocopherol added.

2) Cytotoxicity^{1,5)}

Cell line	IC ₅₀ (μg/ml)	
	Aspochalasin F	Aspochalasin G
Melanoma B16	>25	>25
KB/VP-2 (etoposide resistant)	>25	>25
CPAE	>25	>25
HL-60	25	25
MH-60	18	14
Mouse peritoneal macrophages	2.0	1.0

4. References

1. [684] F. Fang *et al.*, *J. Antibiot.* **50**, 919-925 (1997)
2. [694] Y. Tanaka *et al.*, *J. Antibiot.* **51**, 153-160 (1998)
3. W. Keller-Schierlein & E. Kupfer, *Helv. Chim. Acta.* **62**, 1501-1530 (1979)
4. N. Naruse *et al.*, *J. Antibiot.* **46**, 679-684 (1993)
5. [740] I. Namatame *et al.*, *J. Antibiot.* **53**, 19-25 (2000)