

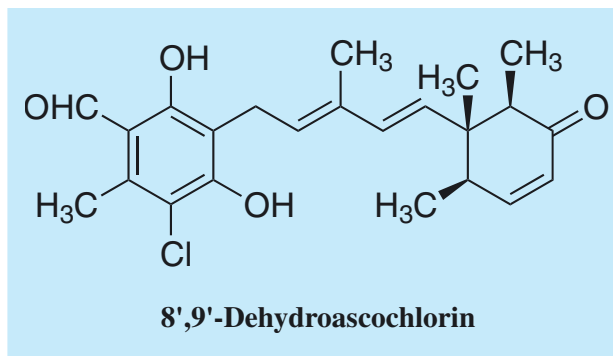
8',9'-Dehydroascochlorin

1. Discovery, producing organism and structure¹⁾

8',9'-Dehydroascochlorin was isolated from the culture broth of the fungal strain *Verticillium* sp. FO-2787 and found to be a testosterone 5 α -reductase inhibitor.



Verticillium sp. FO-2787
Bar: 20 μ m



2. Physical data

White plates. C₂₃H₂₇ClO₄; mol wt 402.16. Sol. in MeOH.

3. Biological activity¹⁾

5 α -Dihydrotestosterone (DHT) plays a key role in growth and maintenance of the mammalian prostate. Prostate hyperplasia and prostate cancer have been associated with an overproduction of DHT which is converted from testosterone by testosterone 5 α -reductase (T-5 α -reductase). Therefore, a T-5 α -reductase inhibitor has been anticipated for use as antiprostata cancer drug.

1) Testosterone 5 α -reductase inhibitory activities

Compound	IC ₅₀ (M)
LL-Z 1272 β	3.6 x 10 ⁻⁴
LL-Z 1272 δ	3.7 x 10 ⁻⁴
LL-Z 1272 ϵ	3.7 x 10 ⁻⁴
LL-Z 1272 γ (ascochlorin)	3.4 x 10 ⁻⁴
LL-Z 1272 ζ	3.4 x 10 ⁻⁴
8',9'-Dehydroascochlorin	1.4 x 10 ⁻³
Riboflavin	1.3 x 10 ⁻³

2) These compounds showed no antimicrobial activities against Gram-positive and -negative bacteria, fungi or yeast at a concentration of 500 μ g/ml.

4. Reference

1. [539] S. Takamatsu *et al.*, *Chem. Pharm. Bull.* **42**, 953-956 (1994)