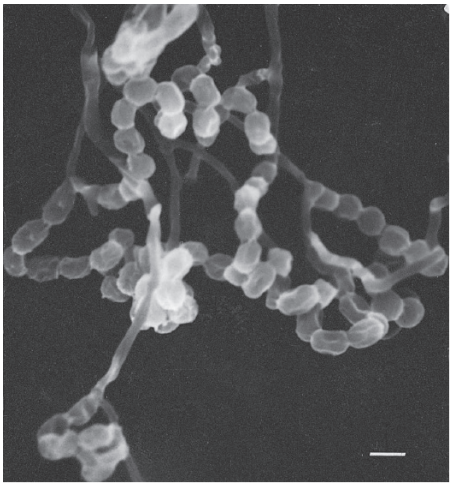
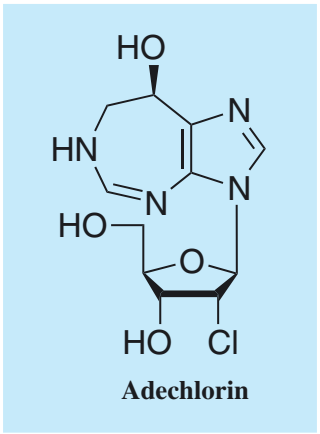
Adechlorin

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

Adechlorin was isolated from the culture broth of Actinomycete strain OMR-37 and found to be a potent inhibitor of calf intestinal adenosine deaminase. The aglycone of adechlorin is identical to those of the known adenosine deaminase inhibitors; coformycin[3)], 2'-deoxycoformycin[3)], and adecypenol[4)].



Actinomadura sp. OMR-37



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2. Physical data

White powder. $C_{11}H_{15}N_4O_4Cl$; mol wt 302.72. Sol. in H_2O, MeOH. Insol. in EtOAc, $CHCl_3$.

3. Biological activity[1-3,5)]

Inhibition of adenosine deaminase

The adenosine deaminase inhibitors have been of interest in the chemotherapy of both viral diseases and cancer. The K*i* value of adechlorin against adenosine deaminase is 5.3×10^{-10} M. Adechlorin completely inhibits the enzyme at 100 nM without preincubation. However, 1.0 nM adechlorin exhibits strong inhibition only when it is preincubated with the enzyme. Thus, adechlorin is a tightly binding-type inhibitor like coformycin and 2'-deoxycoformycin. Furthermore, adechlorin, coformycin and 2'-deoxycoformycin enhance the antiviral activity of ara-A against HSV-1. Adechlorin does not, however, exhibit antimicrobial activity against various bacteria or fungi even at a higher concentration (1.0 mg/ml).

4. References

1. [323] S. Ōmura *et al*., *J. Antibiot*. **38**, 1008-1015 (1985)
2. [415] H. Tanaka & S. Ōmura, In "Novel Microbial Products for Medicine and Agriculture" (Eds. by A. L. Demain *et al*.) pp.67-72, Elsevier (1989)
3. T. Takeuchi *et al*., *Aci. Rep. Meiji Seika Kaisha* **11**, 17-21 (1970)
4. [336] S. Ōmura *et al*., *J. Antibiot*. **39**, 309-310 (1986)
5. R. S. Hosmane, *Curr. Top. Med .Chem*. **2**, 1093-1109 (2002)