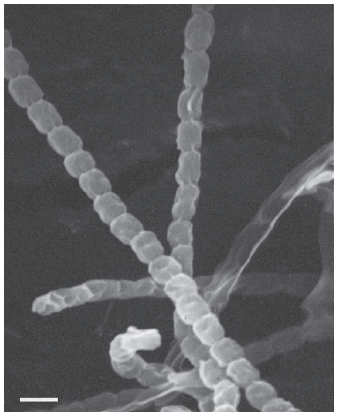
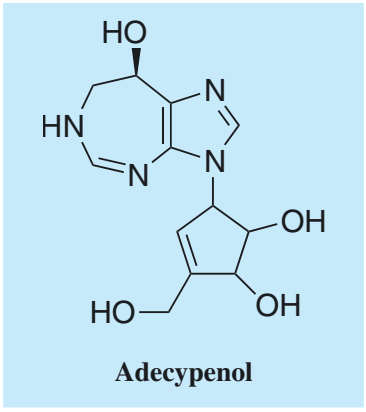
Adecypenol

1. Discovery, producing organism and structure[1,2)]

Adecypenol was isolated from the culture broth of an Actinomycete species strain OM-3223 and identified as a potent inhibitor of intestinal adenosine deaminase[3,4)]. The aglycone of adecypenol is identical to those of coformycin[5)], 2'-deoxycoformycin[5)], and adechlorin[6)].



Streptomyces sp. OM-3223



Adecypenol

2. Physical data

Colorless needles. $C_{12}H_{16}N_4O_4$; mol wt 280.29. Sol. in H_2O, MeOH. Insol. in EtOAc, $CHCl_3$.

3. Biological activity[2-4)]

The K*i* value of adecypenol against calf intestinal adenosine deaminase is 4.7×10^{-9} M. Adecypenol is a semi-tightly binding inhibitor. Adecypenol exhibits no antimicrobial activity against various bacteria or fungi even at 1.0 mg/ml, and no acute toxicity at 100 mg/kg in mice (i.p.). In addition, adecypenol is effective in potentiating *in vivo* antitumor activity of ara-A as shown in the table below.

Combination effect of adecypenol and Ara-A on L-1210 leukemia in mice

Drug	Dose (mg/kg/day)	Increase in life span(%)	Body weight (g)
Adecypenol	5	0	19.2
Ara-A	100	17	18.2
Adecypenol /Ara-A	5/100	toxic	
	2/100	94	14.4
	0.4/100	53	17.2
	5/25	44	17.9
	2/25	42	19.4
	0.4/25	28	19.3

4. References

1. [336] S. Ōmura *et al*., *J. Antibiot*. **39**, 309-310 (1986)
2. [348] S. Ōmura *et al*., *J. Antibiot*. **39**, 1219-1224 (1986)
3. [415] H. Tanaka & S. Ōmura, In "Novel Microbial Products for Medicine and Agriculture" (Eds. A. L. Demain *et al*.) pp.67-72, Elsevier (1989)
4. [430] H. Tanaka *et al*., *J. Antibiot*. **42**, 1722-1724 (1989)
5. T. Takeuchi *et al*., *Aci. Rep. Meiji Seika Kaisha* **11**, 17-21 (1970)
6. [323] S. Ōmura *et al*., *J. Antibiot*. **38**, 1008-1015 (1985)