Awamycin

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

Awamycin was isolated from the culture broth of the actinomycete strain 80-217 and recognized as an antitumor substance. The absolate cunfiguration of awamycin was determined by X-ray crystallographic analysis.³⁾

OH

Ö

H₃C

OH

Awamycin

HO

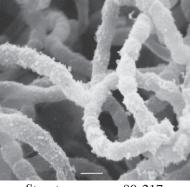
ŌН

H₃C

H₃CO

H₃C

H₃C



Streptomyces sp. 80-217

2. Physical data

Red crystals. C₃₈H₄₉ NO₁₂S; mol wt 743. Sol. in MeOH, acetone, EtOAc, benzene, CHCl₃. Insol. in H₂O, hexane.

3. Biological activity^{1,2)}

1) Antitumor activity in vivo

Antitumor activity against Sarcoma 180 tumor			Antitumor activity against IMC carcinoma		
Dose (mg/kg/day)	MSD (range)	ILS (%)	Dose (mg/kg/day)	MSD (range)	ILS (%)
12.5	51 (32~61)	264	25	53 (19~>60)	18
6.3	40 (19~>61)	186	12.5	35 (26~47)	8
3.1	26 (19~50)	86	6.3	21 (16~26)	1
1.5	20 (20~60)	43	3.1	19 (19~26)	
_	14 (12~16)	0	_	19 (13~26)	

Sarcoma 180 cells (1×10^5) were inoculated *i.p.* into ICR mouse.

Mice were given *i.p* with awamycin on days 1~9. MSD: median survival days

ILS: increase in life span

0 0

CH₃

CH₃

> 180 84 11

CH3

COOCH₃

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SCH₃ HO/

IMC carcinoma cells (1×10^6) were inoculated *i.p.* into CDF₁ mouse.

Mice were given *i.p.* with awamycin on days 1~9.

- 2) Awamycin was effective in completely preventing growth of HeLa cells at a concentration of $2.5 \,\mu\text{g/ml}.$
- 3) Antimicrobial activity

Test organism	MIC (µg/ml)
Staphylococcus aureus FDA 209P	0.8
Bacillus subtilis PCI 219	0.1
B. cereus IFO 3001	0.8
Micrococcus luteus PCI 1001	0.05

4. References

- 1. I. Umezawa et al., J. Antibiot. 36, 1144-1149 (1983)
- 2. S. Funayama et al., J. Antibiot. 38, 1284-1286 (1985)
- 3. G. B. Robertson et al., Aust. J. Chem. 45, 309-325 (1992)