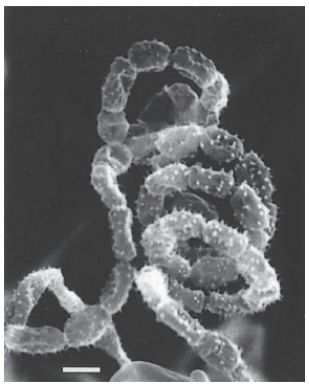
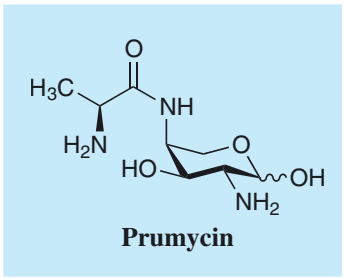
Prumycin

1. Discovery[1,2], producing organism[2] and structure[3,4]

Prumycin was isolated and found to be an antifungal antibiotic from the culture broth of *Streptomyces kagawaensis* strain F-1028^T. The total synthesis of prumycin has been reported by many groups. The first total synthesis of prumycin was reported by Kuzuhara *et al*.[5] (See Appendix-I). Scince then, the total synthesis of prumycin has been reported by many groups (See Appendix-I)



Streptomyces kagawaensis F-1028^T



Prumycin

2. Physical data[1]

Colorless needles. $C_8H_{17}N_3O_4$; mol wt 219.12. Sol. in H_2O. Slightly sol. in EtOH. Insol. in most organic solvents.

3. Biological activity[1,6-10]

1) Antimicrobial spectrum of prumycin hydrochloride[1]

Test organism	MIC (μg/ml)	Test organism	MIC (μg/ml)
Staphylococcus aureus FDA 209P	>100	*Penicillium notatum*	>100
Micrococcus luteus PCI 1001	3.12	*Trichophyton rubrum*	100
Vibrio comma 904	12.5	*Trichophyton mentagrophytes*	100
Mycobacterium smegmatis ATCC 607	>100	*Trichosporon beigellii*	>100
Xanthomonas oryzae	50	*Glasosporium laeticolotor*	50
Alternaria japonica	50	*Gromerella cingulata*	100
Sclerotinia cinerea	12.5	*Ophiobolus miyabeanus*	25
Sclerotinia sclerotiorum	1.56	*Aspergillus niger*	>100
Botrytis fabae	6.25	*Candida albicans*	>100
Botrytis cinerea	6.25	*Colletotrichum lagenarium*	50

2) Antifungal activity

A. Preventive effect, 100% inhibition at 50 ppm; Curative effect, 76% inhibition at 50 ppm (*Botrytis cinerea* on kidney bean leaves)

B. Mode of action[6]

Prumycin inhibited a cell-free protein synthesis of growing cells of *Botrytis cinerea*.

3) Antitumor activity[7-10]

A. Administration of 50 mg/kg (i.p.) of prumycin on days 9, 12 and 15 resulted in regression of mouse mammary adenocarcinoma KSP-1 in C3H/He mice.

B. Mode of action: Both protein and DNA synthesis were inhibited by 30% at 10 μg/ml in HeLa S3 cells.

4) Antimalarial activity
Prumycin showed antimalarial activity against the drug-resistant K1 and the drug-sensitive FCR3 strains of Plasmodium talciparum (IC_{50} 0.16 and 0.17 μg/ml. respectively)

5) Toxicity[7)]
LD_{50}: 155 mg/kg (mice i.p.), 144 mg/kg (mice i.v.), 70 mg/kg (rats i.p.)

6) No suppression of hemolytic plaque forming cells was observed against sheep red blood cells at 75 mg/kg.

4. References

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5. H. Kuzuhara *et al., Tetrahedron Lett*. 1853-1856 (1975)
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