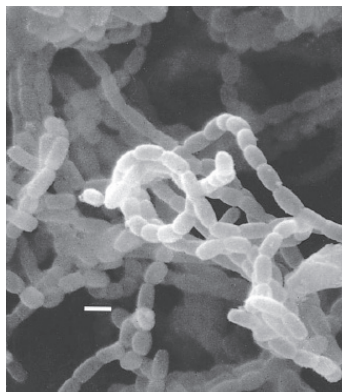


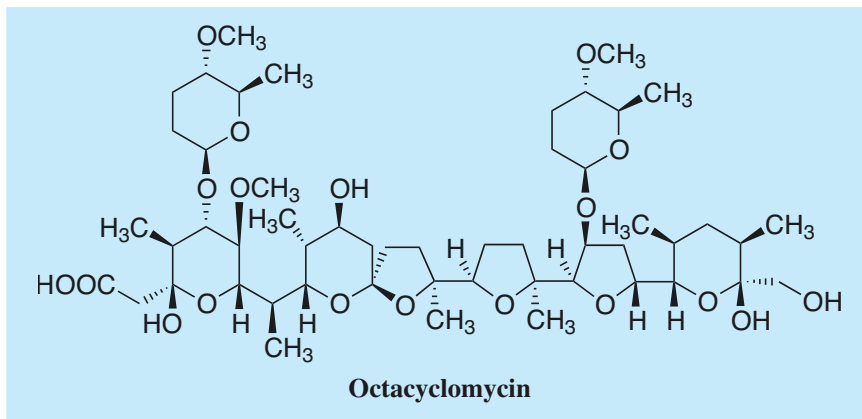
Octacyclomycin

1. Discovery, producing organism and structure¹⁾

Octacyclomycin was isolated from the culture broth of the actinomycete strain 82-85 and recognized as a compound possessing cytotoxic activity against B16 melanoma cells.



Streptomyces sp. 82-85



2. Physical data

Colorless Powder. $C_{52}H_{88}O_{19}$; mol wt 1016. Sol. in DMSO, pyridine, DMF, MeOH. Insol. in H_2O , $CHCl_3$, Et_2O .

3. Biological activity¹⁾

1) Cytocidal activity

Cell line	IC ₅₀ (μg/ml)
B16 melanoma cells	0.23

2) Antimicrobial activity

Test organism	MIC (μg/ml)
<i>Staphylococcus aureus</i> FDA 209P	100
<i>Micrococcus luteus</i> PCI 1001	100
<i>Bacillus subtilis</i> PCI 219	500

Anticoccidial activity was not tested.

3) Antimalarial activity²⁾

Antimalarial activity and cytotoxicities of polyether antibiotics

Compound	Antimalarial activity ^A		Cytotoxicity ^B IC ₅₀ (nM) for MRC-5 cell	Selectivity (B/A)		Ion-affinity
	IC ₅₀ (nM) for K1*	IC ₅₀ (nM) for FCR3**		K1	FCR3	
Class 1						
K99-0413(X-2060)	0.15	0.15	551	3,673	1,080	K ⁺ >Na ⁺
Unknown class						
Octacyclomycin	39	3.0	1,112	29	371	ND***

*drug resistance strain, **drug sensitive strain, ***not determined

4. Reference

1. [493] S. Funayama *et al.*, *J. Antibiot.* **45**, 1686-1691 (1992)
2. [789] K. Otaguro *et al.*, *J. Antibiot.* **54**, 658-663 (1998)