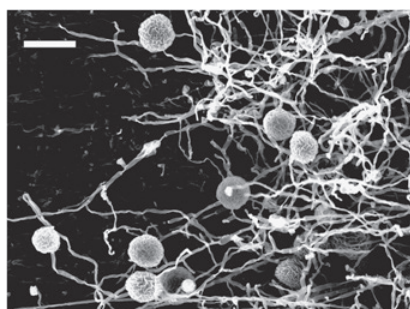


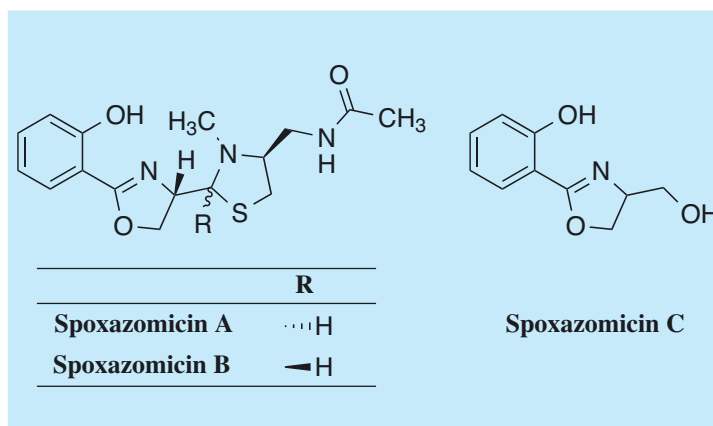
Spoxazomicin

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

Spoxazomicins were found in a culture broth of a new endophytic actinomycete strain, *Streptosporangium oxazolinicum* K07-0460^T by Physicochemical Screening. They showed antitrypanosomal activity without cytotoxicity. They are new types of pyochelin family antibiotics.



Streptosporangium oxazolinicum K07-0460^T
Bar: 10 μ m



2. Physical data (Spoxazomicin A)

White powder. C₁₅H₂₁N₃O₃S; mol wt 335.14. Sol. in MeOH, CHCl₃. Insol. in H₂O, *n*-hexane.

3. Biological activity¹⁾

1) *In vitro* antitrypanosomal activity

Spoxazomicin A showed the highest antitrypanosomal activity against the GUTat 3.1 strain of *Trypanosoma brucei brucei*, with an IC₅₀ value of 0.11 μ g/ml, representing a 14–21-fold increase compared with the clinically-used antitrypanosomal drugs, suramin and eflornithine, without cytotoxicity against human MRC-5 cells (IC₅₀ 27.8 μ g/ml).

Compound	IC ₅₀ (μ g/ml)		Selectivity Index (SI) MRC-5/ <i>T. b. b.</i>
	Antitrypanosomal activity <i>T. b. b.</i> GUTat 3.1	Cytotoxicity MRC-5	
Spoxazomicin A	0.11	27.84	253.1
Spoxazomicin B	0.55	21.11	38.4
Spoxazomicin C	3.37	14.02	3.8
Eflornithine*	2.27	>100	>44.1
Suramin*	1.58	>100	>63.3

*clinically-used antitrypanosomal drugs

4. References

- [1091] Y. Inahashi *et al.*, *J. Antibiot.* **64**, 297-302 (2011)
- [1092] Y. Inahashi *et al.*, *J. Antibiot.* **64**, 303-307 (2011)