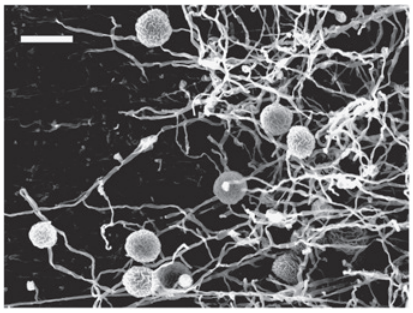
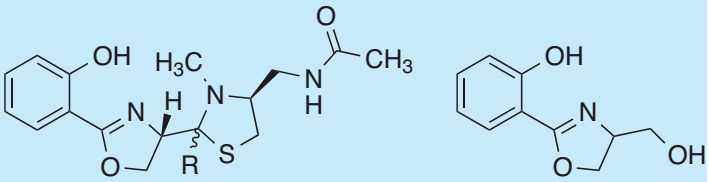
Spoxazomicin

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 μm



	R
Spoxazomicin A	····H
Spoxazomicin B	◄H

Spoxazomicin C

2. Physical data (Spoxazomicin A)

White powder. $C_{15}H_{21}N_3O_3S$; mol wt 335.14. Sol. in MeOH, $CHCl_3$. Insol. in H_2O, *n*-hexane.

3. Biological activity[1)]

1) *In vitro* antitrypanosomal activity

Spoxazomicin A showed the highest antitrypanosomal activity against the GUTat 3.1 strain of *Trypanosoma brucei brucei*, with an IC_{50} value of 0.11 μg/ml, representing a 14–21-fold increase compared with the clinically-used antitrypanosomal drugs, suramin and eflornithine, without cytotoxicity against human MRC-5 cells (IC_{50} 27.8 μg/ml).

Compound	IC_{50} (μg/ml)		Selectivity Index (SI)
	Antitrypanosomal activity *T. b. b.* GUTat 3.1	Cytotoxicity MRC-5	MRC-5/*T. b. b.*
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

1. [1091] Y. Inahashi *et al.*, *J. Antibiot.* **64**, 297-302 (2011)
2. [1092] Y. Inahashi *et al.*, *J. Antibiot.* **64**, 303-307 (2011)