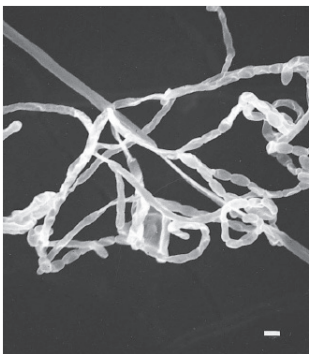
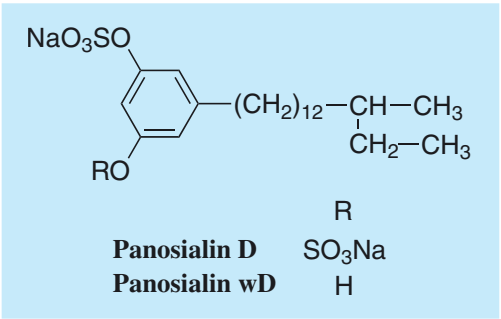
Panosialin

1. Discovery, producing organism and structures[1-3)]

Panosialins D and wD were isolated from *Streptomyces pseudoverticillus* strain OH-5186 while screening for glycosidase inhibitors[1)]. The producing actinomycete strain OH-5186 was also found to produce panosialins A–C and wA–wC[2,3)].



Streptomyces pseudoverticillus OH-5186



2. Physical data (Panosialin D)

White powder. $C_{22}H_{36}O_8S_2Na_2$; mol wt 538.63. Sol. in H_2O, MeOH. Insol. in acetone, $CHCl_3$.

3. Biological activity

1) Inhibition of glycosidases[1)]

Panosialins D and wD were strong inhibitors of α-mannosidase, α-glucosidase, and β-glucosidase.

	IC_{50} (M)	
Glycosidase	Panosialin D	Panosialin wD
α-Mannosidase (jack bean)	2.9×10^{-6}	5.9×10^{-6}
β-Mannosidase (*Achatina fulica*)	$>1.8 \times 10^{-5}$	$>2.1 \times 10^{-5}$
α-Glucosidase (yeast)	4.5×10^{-6}	2.8×10^{-8}
β-Glucosidase (almond)	2.7×10^{-6}	1.1×10^{-6}
β-Galactosidase (jack bean)	1.5×10^{-5}	$>2.1 \times 10^{-5}$
Sialidase (influenza virus)	$>1.8 \times 10^{-5}$	$>2.1 \times 10^{-5}$
Sialidase (*Arthrobacter*)	$>1.8 \times 10^{-5}$	1.6×10^{-5}

2) Mitogenic activity[1)]

A mixture of panosialins wA–wD showed weak mitogenic activity, however suppressed mitogenic activity induced by ConA, LPS, and PHA.

3) Antimicrobial activity[1)]

Panosialins D and wD showed weak antimicrobial activity at a high concentration (50 μg/disc, paper disc method) against *Bacillus subtilis*, *Staphylococcus aureus*, *Aspergillus niger*, *Candida albicans*, *Mucor racemosus*, *Pyricularia oryzae*, and *Xanthomonas oryzae*. However, they had no affect on; *Bacteroides fragilis*, *Escherichia coli*, *Mycobacterium smegmatis*, or *Pseudomonas aeruginosa* at the same concentration. Panosialins A, B, wA and wB inhibited *S. aureus* FabI and *S. pneumoniae* FabK with IC_{50} of 3-5 μM[8)].

4) Other biological activity

Influenza virus Ao/PR-8 was slightly inactivated at 7.5 μg/ml and completely inactivated at 125 μg/ml of panosialins A–C mixture[4]. Panosialin A inhibited 66% of the activity of HIV-1 protease at 10 μg/ml[5]. Panosialin B competitively inhibited TEM-2 β-lactamase (K_i=0.1 μM)[6]. Panosialins A and B inhibited the α1,3-fucosyltransferase (Fuc-TVII), a key enzyme in selectin ligand biosynthesis, with IC_{50} values of 4.8 and 5.3 μg/mL, respectively[7].

4. References

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