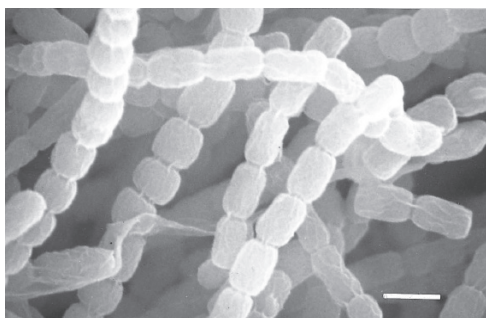


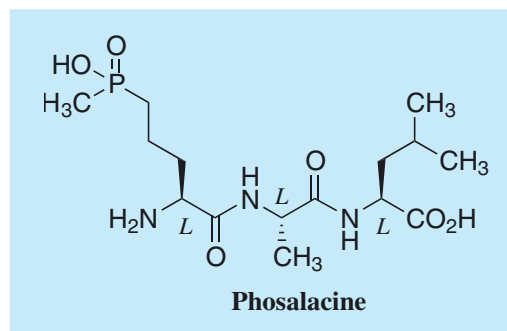
# Phosalacine

## 1. Discovery, producing organism and structure<sup>1-3)</sup>

Phosalacine was isolated from the fermentation broth of *Kitasatospora phosalacinea* strain KA-338<sup>T</sup> while screening for L-glutamine competitive anti-metabolites. As expected, the compound showed herbicidal activity. The producing strain was classified as a new taxon by the authors [See *Kitasatospora* gen. nov. (p. 394)].



*Kitasatospora phosalacinea* KA-338<sup>1</sup>



## 2. Physical data<sup>1)</sup>

White amorphous powder. C<sub>15</sub>H<sub>30</sub>N<sub>3</sub>O<sub>6</sub>P; MW 379.19. Sol. in H<sub>2</sub>O. Slightly sol. in MeOH. Insol. in EtOH, BuOH, acetone.

## 3. Biological activity<sup>1)</sup>

1) Antimicrobial activity

Test organisms	MIC (μg/ml)
<i>Staphylococcus aureus</i> FDA209	0.4
<i>Staphylococcus aureus</i> KB199	0.2
<i>Bacillus subtilis</i> PCI219	0.4
<i>Bacillus cereus</i> IFO3001	0.4
<i>Micrococcus luteus</i> ATCC 9341	6.3
<i>Micobacterium smegmatis</i> ATCC607	>100
<i>Escherichia coli</i> NIHJ	12.5
<i>Klebsiella pneumoniae</i> ATCC10031	25
<i>Erwinia aroideae</i> KB148	<0.05
<i>Pseudomonas aeruginosa</i> KB139	>100
<i>Proteus vulgaris</i> IFO3167	12.5
<i>Candida albicans</i> KF1	>100
<i>Saccharomyces cerevisiae</i> ATCC9763	>100
<i>Aspergillus niger</i> KF105	>100
<i>Pyricularia oryzae</i> KF180	6.3
<i>Mucor racemosus</i> IFO4581	0.1
<i>Fusarium oxysporium</i> KF166	>100
<i>Penicillium herquei</i> IFO7904	>100

2) Herbicidal effect on alfalfa (*Medicago sativa*)

Phosalacine ( $\mu\text{g/ml}$ )	Herbicidal effect*
0	—
10	+++
100	+++
1000	+++

\* 7 days after treatment.

—, no effect; +++, completely killed.

3) Phosalacine almost completely inhibited growth of *B. subtilis* at a concentration of 0.1  $\mu\text{g/ml}$ , and inhibition was completely overcome upon addition of 10  $\mu\text{g/ml}$  of L-glutamine.

## 4) Mode of action

Phosalacine decomposed to phosphinothricine in microbial and plant cells, and competitively inhibited glutamine synthetases from *B. subtilis* and spinach leaves with  $K_i$  values of 81.1 and 306  $\mu\text{M}$ , respectively.

**4. Biosynthesis**<sup>4)</sup>

The *in vitro* enzyme activity of *P*-methyltransfer reaction in the biosynthesis for phosalacine was demonstrated by Werner *et al.*

**5. References**

- [291] S. Ōmura *et al.*, *J. Antibiot.* **37**, 829-835 (1984)
- [292] S. Ōmura *et al.*, *J. Antibiot.* **37**, 939-940 (1984)
- [313] Y. Takahashi *et al.*, *J. Gen. Appl. Microbiol.* **30**, 377-387 (1984)
- W. J. Werner *et al.*, *Biochemistry* **50**, 8986-8988 (2011)