

AGRICULTURAL ANTIBIOTIC BLASTICIDIN S AND ITS SELECTIVE
ANTAGONIST DETOXINS

Hiroshi Yonehara

Institute of Applied Microbiology, The University of Tokyo

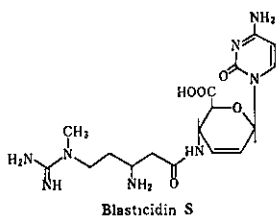
Tokyo 113, Japan

After 6 years screening for the rice blast disease, in 1958 we found the effective antibiotic blasticidin S which was produced by *St. griseochromogenes*.

In that years, for rice blast protection organic mercuric compounds were mostly used all over Japan. It had been much anxious for the peoples health.

Blasticidin S was effective like as organic mercuric compound, especially curative effects were surperior.

Chemical studies on blasticidin S were made by the old type degradation method. The conclusive chemical structure was determined as shown in the figure.



It was practically used in 1961. After selling we had been encountered the big troubles, i.e., phytotoxicity against plants planted around rice plant, and the irritative effect for human eyes.

To avoid these difficulties, we tried to prepare chemically many derivatives of blasticidin S, but all atempts were unsuccessful.

Then we tried to transform blasticidin S by a microbiological method during of this screening we discovered detoxin which antagonized activity of blasticidin S against *B. cereus* but not against *P. oryze*.

Detoxin consists of many subcomponents about 25-30 kinds.

At first we isolated a main component detoxin D₁ which has the highest activity.

The chemistry of detoxin D₁ had been established by hydrolysis, nmr spectroscopy, mass spectrometry, and the structures of detoxins were shown in the last figure.

Addition of detoxin complex to blasticidin S decreased much its phytotoxicity and eye irritation. The mode of action of detoxin is very interest and is under investigation.

