AGRICULTURAL ANTIBIOTIC BLASTICIDIN S AND ITS SELECTIVE ANTAGONIST DETOXINS

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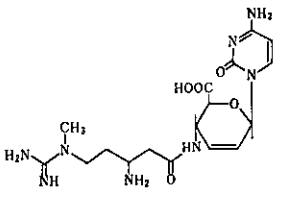
After 6 years screening for the rice blast disease, in 1958 we found the effective antibiotic blasticidin S which was produced by St. griseochromogenes.

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 conclucive chemical structure was determined as shown in the figure.



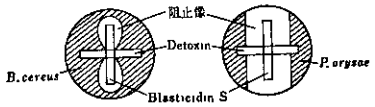
Blasticidin S

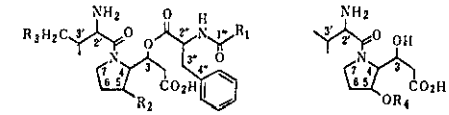
It was practically used in 1961. After selling we had been encountered the big troubles, i.e., phytotoxicity against plants planted around rice plant, and the irritative effect for human eyes.

To avoid these difficulties, we tried to prepare chemically many derivatives of blasticidin S, but all atempts were unsuccessfull. 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 D_1 which has the highest activity.

The chemistry of detoxin D_1 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.





	R_1	R_2	R_3
Detoxin E_1	$CH(CH_3)CH_2CH_3$	$OCOCH_3$	CH_3
D_1	$CH(CH_3)CH_2CH_3$	$OCOCH_3$	H
$C\beta_1$	$CH(CH_3)_2$	$OCOCH_3$	H
$C\gamma_1$	CH_2CH_3	$OCOCH_3$	H
$C\alpha_1$	CH_3	$OCOCH_3$	H
B_1	CH_3	H	H
B_2	$CH(CH_3)_2$	H	H

	R_4
Detoxin A_1	$COCH_3$
Valyl detoxinine	H

Detoxin