Résumé

(Continued from the last number)

STUDIES ON THE ANTIFUNGAL AGENT. III

Antibacterial and Antifungal Activity of α-Bromo-cinnamaldehyde Derivatives

AKIRA MATSUDA, SHUICHI ISHIDA, YOSHIO KAWAMURA & KUNIO YAMANAKA

Oji Pharmaceutical Plant Nippon Kayaku Co.

In a previous paper, it was shown that α-bromo-cinnamaldehyde had the most remarkable antifungal activity among a large number of tested compounds.

The present paper reports on antifungal and other biological properties of α-bromo-cinnamaldehyde-acetales.

1) Compound with acetal in aldehyde radical of α-bromo cinnamaldehyde was proved to be less skin irritation and less toxic than the free aldehyde radical compounds. However, acetal compounds became less effective in activity than α-bromo-cinnamaldehyde.

2) α-Bromo-cinnamaldehyde (I) and α-bromo-cinnamaldehyde acetal (II) were then examined for the antifungal activity against many species. They showed marked inhibitory effect on several species of pathogenic fungi, including *Trichophyton mentagrophytes, Cryptococcus neoformans.*

3) Therapeutic treatment of 0.5% solution and the ointment of α-bromo-cinnamaldehyde-ethyl acetal (II) were effctive for the experimental Trichophytia with *Trichophyton mentagrophytes.*

STUDIES ON THE TOXICITY OF ISONIAZID : ESPECIALLY ON THE EFFECT OF VITAMINE B_6 ON IT.

SHIGEO NAKAMURA

Ist Dept. of Int. Med.
(Director : Y. KAWAMORI)
Kumamoto University, Medical School.

The effects of pyridoxin hydrochloride, as well as sod. hydroxy-methanesulfonate (MS), glucuronolactone (GL), pyruvic acid (PA), calcium panthotenate (PC) and α-ketoglutaric acid (α-KGA), on the toxicity of INH were investigated in regards to the survival time of mice and the spasm wave on EEG of rabbits. The results were as follows ;

1) All mice were lethal in the dosage of 175mg/kg INH either with intravenous or subcutaneous injection, while its derivatives, isonicotinyl hydrazide methanesulfonate, sod. glucuronate isonicotinyl hydrazone and isonicotinyl hydrazine pyruvate, were markedly less toxic in the same molar weight.

2) The mice administered with MS, GL, PA, PC or α-KGA prior to INH injection survived for longer period than those with given INH alone, and in rabbits with the same procedure PA had the same effects while GL gave no influence and MS and PC showed the accerelation to the toxicity of INH.

3) The injection of pyridoxin 30 minutes before INH increased the acute toxicity of the latter, which was shown by the shortening the survival time of mice and the early appearance of spasm wave in rabbits. The combined use of MS, GL, PA and PC did not influence the effect of pyridoxin on INH toxicity.

4) The intravenous or subcutaneous injection of pyridoxal isonicotinyl hydrazone dihydrochloride (Pyr-INH) showed higher toxicity than INH itself ; even with 190mg/kg, that is equimolar with 80mg/kg INH, Pyr-INH was lethal for mice. And also, they died in shorter period, some of them momentally after injection, and especially earlier with intraveneous than with subcutaneous administration. Pyr-INH had the higher toxicity in rabbits, too. The occurrence of spasm wave on EEG was earlier and with smaller dosage than INH in the same molar weight.