(Yakuzaigaku, 52, 224-230 (1992)]

[Lab. of Pharm. Engineering]

Preparation of Disopyramide Suppository and Its Pharmaceutical Evaluation.

Yasushi Takahashi, Chihiro Izumi, Kenkichi Tomidokoro, Naonori Kohri, Ken Iseki, Kazumi Miyazaki, Yoshiaki Kawashima*

This study was made to prove the possibility of clinical application of disopyramide (DPM) suppository. Suppositories with Vosco H-15/E75 bases showed remarkably lower release profiles than those with Vosco H-15 alone. The release rates of the suppositories containing polyvinylpyrrolidone-DPM solid dispertion (SD-sup.) were significantly greater than those of plain ones. In rectal absorption test for rabbits, the peak plasma concentration of DPM was attained within 10 minutes after the administration and then the plasma concentration rapidly disappeared. While SD-sup. showed significantly greater values of Cmax, Tmax, and MRT than those of plain ones, the value of AUC of SD-sup. was only about 18% compared with that for intravenous administration. In human volunteers, Tmax, AUC and MRT after the administration of plain suppositories were greater than those for oral administration. Therefore, it was suggested that rectal administration of DPM could be useful in clinical practice.

[Carbohydr. Res., 224, 237-243 (1992)]

[Lab. of Hygienic Chemistry]

Structure and Antitumor Activity of a Branched $(1\rightarrow 3)-\beta$ -p-Glucan from the Alkaline Extract of *Amanita muscaria*.

Tadashi Kiho, Masami Katsuragawa, Katsuyuki Nagai, Shigeo Ukai*, Masanobu Haga

A β -(1 \rightarrow 6)-branched (1 \rightarrow 3)- β -D-glucan (AM-ASN) was isolated from the alkaline extract of the fruiting bodies of Amanita muscaria. AM-ASN had [α]D -11° in 0.5M sodium hydroxide. Its estimated molecular weight was 95,000 in this alkaline solution, and 260,000 in a neutral solution. The branches in the glucan were primarily single, (1 \rightarrow 6)-linked D-glucopyranosyl groups, two for every seven residues in the (1 \rightarrow 3)-linked main chain. AM-ASN exhibited significant antitumor activity against sarcoma 180 in mice, and a mixture of AM-ASN with mitomycin C was more effective against the tumor than mitomycin C only.

(Chem. Pharm. Bull., 40, 2212-2214 (1992))

[Lab. of Hygienic Chemistry]

Polysaccharides in Fungi. XXIX. Structural Features of Two Antitumor Polysaccharides from the Fruiting Bodies of Armillariella tabescens.

Tadashi Kiho, Yoshinobu Shiose, Katsuyuki Nagai, Miho Sakushima, Shigeo Ukai*

An antitumor polysaccharide containing peptide moieties AT-HW ($[\alpha]_D + 31^\circ$ in water) and an antitumor polysaccharide AT-AL ($[\alpha]_D + 209^\circ$ in 1_M sodium hydroxide) were isolated from hot-water extract and the alkaline extract of the fruiting bodies of *Armillariella tabescens*, respectively. It was indicated that the major constituent of AT-HW is composed of β -(1 \rightarrow 6)-linked D-glucopyranosyl and D-glactopyranosyl residues, and contains their branched residues and terminal sugar, in addition to β -(1 \rightarrow 3)-linked D-glucopyranosyl residues, while AT-AL is chiefly composed of α -(1 \rightarrow 3)-linked D-glucopyranosyl residues.