

[*J. Infect. Chemother.*, **3**, 97-102 (1997)]

[Lab. of Clin. Pharmacol. Ther.]

Pharmacokinetic Characteristics of a New Fluoroquinolone, Pazufloxacin, in Elderly Patients.

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The pharmacokinetic characteristics of a new quinolone, pazufloxacin, were evaluated in 8 elderly patients (mean age, 78.3 years) after a single oral dose of 200 mg. The maximum concentration (C_{max}) ranged from 1.9 to 5.8 $\mu\text{g/mL}$, and the time reach C_{max} and the half live of pazufloxacin ranged from 2 to 6 hours and from 1.5 to 8.5 hours, respectively. The apparent volume of distribution averaged 57.1 liters. Approximately 70% of unchanged pazufloxacin excreted into the urine within the first 24 hours, and the clearance ratio was larger than unity, indicating that pazufloxacin is excreted into the urine via tubular secretion. The oral and renal clearance of pazufloxacin significantly correlated with creatinine clearance (CL_{cr}). Our findings suggest that a dosage adjustment of pazufloxacin on the basis of CL_{cr} is required in elderly patients with markedly decreased renal function.

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[Lab. of Clin. Pharmacol. Ther.]

Role of Complement in Acute Tubulointerstitial Injury of Rats with Aminonucleoside Nephrosis.

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Rats were treated with puromycin aminonucleoside, and massive proteinuria was observed within 5 days. Prominent tubulointerstitial injury characterized by proximal tubular degeneration, tubular dilatation, and leukocyte infiltration were observed 7 days after treatment. C3 and C5b-9 were observed in the luminal side of tubular cells. Renal function was significantly decreased. Injection of cobra venom factor or soluble recombinant human complement receptor type 1 significantly improved tubulointerstitial pathology and renal function without affecting the degree of proteinuria. These data strongly suggest that complement plays a pivotal role in proteinuria-associated tubulointerstitial injury and that systemic complement depletion or inhibition of complement in the tubular lumen may diminish the tubulointerstitial damage.

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[Lab. of Manufacturing Pharmacy]

Polar Cycloaddition of 9-Thiaphenanthrenium Salt with 1,3-Dienes.

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Treatment of 9-thiaphenanthrenium salt with 1,3-butadienes underwent regio- and stereospecific $[2^+ + 4]$ -type polar cycloaddition to afford the corresponding sulfonium salt adducts, 9,10-(2-buteno)-9,10-dihydro-9-thiaphenanthrenium tetrafluoroborates in good yields. Nucleophilic attack of some alcohols to the above cycloadducts caused an easy cleavage of the sulfur-carbon bond and led to the two kinds of ring-opened compounds. Reactions of the cycloadducts with a variety of organic and inorganic bases yielded the vinylcyclopropane derivatives via thiabenzene-type intermediates and also the ring-opened products by nucleophilic attack of the bases used. Treatment of the cycloadducts with LDA in the presence of methyl acrylate afforded the cyclopropane derivatives which is believed to derive from Michael-addition of highly reactive exo-ylide intermediates to an α,β -unsaturated ester. Reduction of the cycloadducts with NaBH_4 or SmI_2 resulted in the cleavage of sulfur-carbon bond to afford the ring-opened products.

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[Lab. of Health and Physical Education]

Study on Health, Physical Fitness and Life Style of Young and Middle Aged Females .

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In this study, the realities of health, physical fitness and life style were inquired into young and middle aged female being in the prime of life according to the differences with or without occupation, and the relationships of health and physical fitness in their student period and their present life were also investigated in order to supply fundamental data on the ideal of health and physical education in university. (1)When the health conditions are related to the differences with or without occupation, the females in employment complain mainly of fatigue, in contrast, the females without occupation complain of stress. (2)On the standpoint of physical situation, many females without occupation feel their physical inferiority and they are unsatisfied with their own physic at the rate of 64%. (3) The life styles such as sleep and diet are admirable in the females at the latter of 30's and those without occupation. To the contrary, the rate of the females who actually take exercise and sport in their spare times is under 10%.