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论文

F30385实验治疗血吸虫病的初步研究

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摘要:

实验发现F30385是一个兼具明显杀日本血吸虫童虫与成虫的硝基呋喃丙烯酰胺类的口服药物。小白鼠一次口服F30385的半数致死置为979±98毫克/公斤(P=0.95)。小白鼠感染尾蚴后4-11天,一次口服F30385 11.4毫克/鼠,减虫率高达90-99%,显著比对32天成虫的杀虫作用强。按等毒性剂量用F30385及F30066治疗小白鼠与兔血吸虫病的结果,F30385的疗效比F30066高。7只感染血吸虫病的犬用总剂量为700毫克/公斤的7-14天疗法治疗后,减虫率为95%。动物口服F30385后的毒性反应主要为胃肠道刺激与肾和肝的受损。小白鼠病理观察结果认为,停药后病变均渐恢复。

关键词:

EXPERIMENTAL STUDIES ON F30385——A NEW ANTISCHISTOSOMAL DRUG

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Abstract:

The present paper deals with another antischistosomal agent of the nitrofuran series: F30385, β-(5-nitro-2-furyl)acryl (N-piperidinyl-1-ethyl) amide hydrochloride. This drug, synthesized by Shanghai Research Institute of Pharmaceutical Industry of the Ministry of Chemical Industry, was found to posses strong vermicidal effect in both the larval form and adult schistosomes. In mice, the LD_{50} of F30385 administered once orally was 979±98 mg/kg (P=0.95). It is much more efficacious against schistosomula than the adult form in mice.When a single oral dose of F30385 was administered to mice within a period ranging from 4 to 11 days after exposure to cercariae, the final rates of worm reduction reached as high as 90% and a great number of mice in each group were free from infection. When F30385 was given on the 32nd day after exposure, the worm reduction rate was 46%. The therapeutic efficacy of F30385 was significantly higher than that of F30066 in mice, rabbits, and dogs treated orally at the equitoxic dose level. No antischistosomal effect was observed in mice and rabbits treated with F30385 by intramuscular or intravenous route. Therapeutic dosages of F30385 caused gastro-intestinal irritations and a certain degree of damage to the renal tubules in experimental animals.The pathological changes in mice tended to recover gradually after the cessation of treatment. It is considered that its use in clinical trials might be worth while.

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