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## 论文

硝基呋喃乙烯噁二唑类抗血吸虫病新药的研究

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## 摘要:

呋喃烯唑(S-72055)经动物实验和临床观察,证明对日本血吸虫病有较好的疗效,为了寻找更好的药物,本文以β-(5-硝基-2-呋喃)丙烯酰胺肟为原料,合成了若干系列呋喃烯唑的类似物。同时,为了研究乙烯桥在呋喃烯唑结构中的重要性,又以5-硝基-2-呋喃甲酰胺肟为原料,合成了呋喃烯唑的去乙烯桥的类似物。动物实验结果,证明(口恶)二唑环上5位酰胺基或5位烃氨甲基的存在,一般可使化合物具有抗血吸虫作用,而呋喃烯唑的去乙烯桥类似物,几乎没有活性。

关键词: S-72055 1,2,4-噁二唑 抗血吸虫病药 抗寄生虫病药 硝基呋喃乙烯噁二唑 硝基呋喃噁二唑

#### SYNTHESIS OF NEW ANTISCHISTOSOMAL AGENTS RELATED TO FUVINAZOLE

HUANG Lan-sun; HU Yu-qin; MA Rong-sheng; ZHOU De-han; WANG Ai; HUANG Li-xin; XI AO Shu-hua; XU Yue-qin and SHAO Bao-ruo

### Abstract:

Several series of nitrofuranvinyloxadiazoles related to fuvinazole, a new antischistosomal drug, were synthesized by using  $\beta$ -(5-nitro-furyl) acrylamide oxime as the starting material. Another series of nitrofuranoxadiazole compounds without vinyl bridge was also synthesized by using 5-nitro-2-furamidoxime as starting material in order to investigate the significance of the presence of vinyl bridge. The synthesized compounds were screened against *schistosomiasis japonica* in mice. The results showed that the presence of 5-acylamino group or 5-alkylaminomethyl group on the oxadiazole ring afforded optimal potency and that desvinyl analogs were almost inactive. The structure-activity relationship was discussed.

Keywords: 1,2,4-Oxadiazolc Antischistosomal agent Schistosomicide Parasiticide Nitrofuran-vinyl-oxadiazole Nitrofuranoxadiazole S-72055

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