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论文

硝基呋喃腙类结构和抗血吸虫作用关系的初步探讨

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摘要:

本文根据硝基呋喃腙对小白鼠血吸虫病具有預防作用的发現,合成了数十种同型和同烯系物,进行了化学結构与抗血吸虫作用关系的初步探討。发現5-硝基呋喃-2-甲醛縮硫氨脲、5-硝基呋喃-2-丙烯醛縮氨脲和縮硫氨脲以及β-(5-硝基呋喃-2-)-丙烯酰-(4-甲基)-(口派)嗶嗪、(4-苄基)-(口派)嗶嗪、(4-二乙氨基甲酰)-(口派)嗶嗪、甲胺和乙烯亚胺等8种化合物对小白鼠体内血吸虫童虫具有抑制生长的作用,后两种还兼具对成虫的治疗作用。 关键词:

A PRELIMINARY NOTE ON THE RELATIONSHIP BETWEEN STRUCTURE AND ANTISCHISTOSOMAL ACTIVITY OF NITROFURAZONES

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Abstract:

The semicarbazone of 5-nitro-2-furaldehyde (nitrofurazone, Furacin) (I) has been shown to be a broadspectrum antibacterial agent and possesses certain antiparasitic activities. We have tested its therapeutico-prophylactic effects on mice experimentally infected with Schistosoma japonicum, and it is found that nitrofurazone has shown chemoprophylactic activity against schistosomiasis japonica. Several groups of compounds are therefore synthesized to be related to nitrofurazone. They are: derivatives other than semicarbazone of 5-nitro-2-furaldehyde, derivatives of 5-nitro-2-furyl-acrolein, derivatives of p-(or o-)nitrobenzaldehyde, derivatives of p-nitroacetophenone and β -(5-nitro-2-furyl)acrylamindes. Among these compounds, 5-nitro-2-furylaldehyde thiosemicarbazone, 5-nitto-2-furyl-acrolein semicarbazone and thiosemicarbazone, 4-methyl-l- $[\beta$ -(5-nitro-2-furyl)acryl]-piperazine, 4-benzyl-l- $[\beta$ -(5-nitro-2-furyl)-acryl]-piperazine, 4-diethylcarbamyl-l- $[\beta-(5-\text{nitro-}2-\text{furyl})\text{acryl}]$ -piperazine, N-methyl- $[\beta$ -(5-nitro-2-furyl)acryl] amide and N- $[\beta$ -(5-nitro-2-furyl)acryl]-ethyleneimide have shown chemoprophylactic activity against schistosomiasis japonica in mice, but the final two compounds possess chemotherapeutic activity as well. The results of our preliminary experiments may illustrate the following facts: (1) The 5-nitro-2-furaldehyde thiosemicarbazone possesses also chemoprophylactic activity, as is isostere of nitro-furazone. (2) The increase of one double bond in the nitrofurazone molecule dose not lose the chemoprophylactic activity. It is believed that certain relationship between the effect of conjugated system and antischistosomal activity is existing. (3) All the benzene analogs of furan have been proved to be ineffective against schis-tosomulae, and it is thought that the principle of analogy could not be applied in this case. (4) The nitrofurazone is found to possess prophylactic activity against schistosomiasis japonica only, but the β -(5-nitro-2-furyl)acrylamides are proved to be both prophylactic and therapeutic. (5) The antischistosomal activity of nitrofurazones is related to O_2N-O- CH= group and also to the elongation of its conjugated double bond system.

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