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论文

抗血吸虫病化合物:β-(5-硝基-2-呋喃)-丙烯酰二胺类衍生物的合成

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摘要:

本文报道70个*β*-(5-硝基-2-呋喃)-丙烯酰二胺类衍生物的合成。对感染日本血吸虫病小白鼠进行治疗和预防初筛的结果,发现有54个化合物具有不同程度的抗虫作用。其中以反式*β*-(5-硝基2-呋喃)-*N*-(2-哌啶乙基)丙烯酰胺盐酸盐(I_{13},F-30385)及其盐基呋喃双胺(I_{14},F-30642)杀虫作用最强,均已试用于临床,后者疗效较好,副作用较轻。

关键词: 抗日本血吸虫病 *β*-(5-硝基-2-呋喃)-丙烯酰二胺 F-30385 呋喃双胺

SYNTHESIS OF SCHISTOSOMICIDAL COMPOUNDS: DIALKYLAMINOALKYLAMINO DERIVATIVES OF β-(5-NITRO-2-FURYL)-ACRYLAMIDE

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Abstract:

In order to prove the possibility of increasing the schistosomicidal activity, a basic side chain was introduced to nitrofurylacrylamide. The key intermediates of various dialkylaminoalkylamines were prepared by known methods and condensed with *β*-(5-nitro-2-furyl)-acryloyl chloride to form the corresponding basic amides.In screening with mice infected with Schistosoma japonicum, fifty four out of seventy compounds were found to possess pronounced antischistosomal action. Among these, N-(2-piperidinoethylamino)-*β*-(5-nitro-2-furyl)acrylamide hydrochloride I_{13} (F-30385) and its base I_{14} (F-30642) were shown to be the most effective and tested clinically. The latter compound was shown to have lower adverse reaction with higher therapeutic efficacy than Furapromidium.

Keywords: japonica *β*-(5-Nitro-2-furyl)-N-(dialkylaminoalkyl)-acrylic amide F-30385 F30642 Anti-*Schistosomiasis*

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