

论文  
血吸虫病化学治疗的研究 X.带有噻吩和四氢噻吩环的若干酰胺

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摘要:

噻吩-2-甲酰氯及噻吩-2-磺酰氯分别与胺作用得相应的酰胺及磺酰胺。顺式四氢噻吩-2,5-二甲酸与氯化亚砷作用得到顺式四氢噻吩-2,5-二甲酰氯,后者与氨水及β-苯乙胺作用得到相应的二种酰胺,N,N'-双-(噻吩-2-甲酰基)-对-二氮六环用氯化锂铝还原,得到N,N'-双-(噻吩-2-甲基)-对-二氮六环。以上合成的化合物经动物试验均无疗效。

关键词:

CHEMOTHERAPEUTIC STUDIES ON SCHISTOSOMIASIS X .SOME AMIDES DERIVED FROM THIOPHENE-2-CARBOXYLIC,THIOPHENE-2-SULPHONIC ACID AND TETRAHYDROTHIOPHENE-2,5-DICARBOXYLIC ACID

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Abstract:

It has been discovered in our Institute that Cucurbitine ( I ) is an effective inhibitor against *Schistosoma japonicum*. In order to find chemical analogues which are more powerful inhibiting agents, various amides of thiophene-2-carboxylic acid, thiophene-2-sulphonic acid and tetrahydrothiophene-2, 5-dicarboxylic acid have been prepared. But none of these compounds was active on animal test. Oxidation of thiophene-2-formaldehyde by means of silver oxide gave thiophene-2-formic acid. On treating thiophene-2-formyl chloride and thiophene-2-sulphonyl chloride with various amines, the corresponding amides were readily formed. Reduction of N, N'-bis-(thiophene-2-carbonyl) piperazine by means of lithium aluminium hydride gave N, N'-bis-(thiophene-2-methyl) piperazine. *Cis*-tetrahydrothiophene-2,5-dicarbonyl chloride was formed when *cis*-tetrahydrothiophene-2, 5-dicarboxylic acid was treated with thionyl chloride. *Cis*-tetrahydrothiophene-2, 5-dicarbonyl chloride on treatment with aqueous ammonia and β-phenylethylamine gave 2, 5-dicarbamyltetrahydrothiophene and N, N'-bis-(β-phenylethyl)-2, 5-dicarbamyltetrahydrothiophene respectively.

Keywords:

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