药学学报 1959, 7(3) 109-118 DOI: ISSN: CN:

论文

抗结核药物的研究—N,N′-双酰肼及多酰肼类化合物

胡璧;刘维勤

中国医学科学院药物研究所

摘要:

一、N,N′-双酰肼类化合物的一般制法系将酸制成酯,酯与水合肼作用生成酰肼,酰肼与酰氯于无水吡啶或无水乙腈中反应,即可制得。本文合成酰肼化合物一个,N,N′-双酰肼类化合物共六个,其中三个化合物经药理试验结果,无抗结核作用。二、多酰肼类化合物系采用酯与水合肼作用而得,其氨硫脲的衍生物可采用酰氯与氨硫脲反应而得。本文合成多酰肼及其氨硫脲类衍生物共六个(两个系已知的),其中四个化合物经药理试验结果,无抗结核作用。

关键词:

A STUDY OF ANTITUBERCULOSIS COMPOUNDS *N,N′*-DIACYLHYRAZINES AND POLYHYDRAZIDES

HU BEE LIU WEI-CHIN

Abstract:

As some hydrazides and diacylhydrazines of isonicotinic acid were known to possess high tuberculostatic activity, but some of them were also relatively highly toxic or easily excreted. In this study *N*-*N*'-diacylhydrazines and polyhydrazide containing other nucleus,such as benzene ring and furan ring were prepared for the purpose of finding some most active and least toxic antituberculosis compounds. *N,N*'-diacylhydrazine was prepared by the action of the appropriate acid chloride on acyl hydrazine in presence of anhydrous pyridine or acetonitrile.One hydrazide and six *N,N*'-diacylhydrazines prepared are listed in table 1.Three of them have been tested for tuberculostatic activity,but none of those compounds was active. Poly-hydrazide was prepared by the action of the corresponding ester with hydrazine hydrate.The thiosemicarbazide was prepared by the action of acid chloride on thiosemicarbazide in anhydrous pyridine or acetonitrile.Six compounds of poly-hydrazide and corresponding thiosemicarbazide prepared are listed in table 1.Four of them have been tested for tuberculostatic ac tivity,none of the compounds was found active. In this study,the following phenomena had been observed: 1. The reaction product between *o*-amino-benzoylhydrazide and p-acetaminobenzoyl chloride is *N*-(*o*-amino-benzoyl)-*N*'-(*p*-acetamino-benzoyl)-hydrazine.This compound is different from the reaction product between *o*-(*p*-acetamino-benzoylamino)-ethyl-benzoate and hydrazine hydrate. 2. Reaction between *o*-acetamino-benzoyl chloride and *p*-acetamino-benzoyl hydrazide forms *N*-(*o*-acetamino-benzoyl)-*N*'-(p-acetamino-benzoyl)-hydrazine.The acetyl compound of *N*-(*o*-amino-benzoyl)-*N*'-(*p*-acetamino-benzoyl)-hydrazine is difficult to obtain as it is dehydrated easily and cyclized to yield 2-methyl-3-(*p*-acetamino-benzoyl)-amino-quinazolene-4.This was also obtained by condensation of 2-methyl-3-amino-quinazolene-4 and *p*-acetamino-benzoyl-chloride. 3. Diethyl phthalate was reacted with hydrazine hydrate on during heating.The product was cyclized to form phthalazine.If the reaction is carried out at room temperature,cyclization can be avoided and phthalyl hydrazide is produced. 4. Let one mole of phthaloyl chloride react with two moles of thiosemicarbazide,the product obtained is *o*-carbothiosemicarbazido-benzoic acid instead of phthalyl-thiosemicarbazide.This may be due to steric hindrance and orthosffect.

Keywords:

收稿日期 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者:

作者简介:

参考文献：

本刊中的类似文章

文章评论 (请注意:本站实行文责自负, 请不要发表与学术无关的内容!评论内容不代表本站观点.)

反馈人		邮箱地址	
反馈标题		验证码	8281