药学学报 1965, 12(4) 254-266 DOI: ISSN: CN:

论文

抗病毒药物的研究——Ⅲ.芳香*α*-酮醛的合成

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摘要:

本文报告芳香*α*-酮醛的水合物、醇合物及亚硫酸氢钠加成物共21个化合物的合成,以供抗病毒及抗肿瘤筛选.*α*-酮醛的合成主要用二法:(甲)甲基酮的二氧化硒氧化;(乙)溴甲基酮的DMSO氧化.

关键词:

STUDIES ON THE ANTIVIRAL COMPOUNDS Ⅲ. THE SYNTHESIS OF AROMATIC α-GLYOXALS

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Abstract:

It was reported that 4,4'-biphenyldiglyoxal hydrate (Xenaldial) (I_e, R'=H) in- hibits the multiplication of various types of virus *in vitro* and is effective also in the treatment of viral hepatitis and influenza in mice. Clinical trials indicated that the drug was valuable in the management of infections with influenza and viral hepatitis in hu- man. These facts led us to synthesize a number of aromatic *α*-glyoxals with structures closely related to that of Xenaldial in order to search for more effective antivirus and antitumour agents. This paper is concerned with the synthesis of twenty-one hydrates (I, R'=H), alcoholates (I, R'=C_2H_5) and sodium bisulphite addition compounds of *α*-glyoxals (III). The *α*-glyoxals were prepared by the following methods: (1) oxidation of the cor- responding aryl methyl ketone with selenium dioxide in aqueous dioxane or aqueous n-butanol, (2) solvolytic oxidation of the corresponding aryl bromomethyl ketone in DMSO at 33-37℃ for forty-eight hours.Most of the *α*-glyoxals were isolated and purified as hydrates by recrystallization of the crude reaction products from water or aqueous dioxane, or as ethyl alcoholates from ethyl alcohol.3-Biphenyl-*α*-glyoxal was obtained by high vacuum distillation.The corresponding sodium bisulphite addition com- pounds were easily prepared by treating the alcoholic solution of *α*-glyoxal hydrates or alcoholates with an aqueous solution of equivalent amount of sodium pyrosulphite. Further treatment of compounds obtained from the selenium dioxide method was carried out to remove the excess selenium. Thus, the amount of contaminated toxic selenium in samples for biological test was controlled below 200 p.p.m.

Keywords:

收稿日期 1964-10-27 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:

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