

论文

抗肿瘤药物的研究 III.含氨基酸的氮芥磷酰胺衍生物的合成

宋维良;侯双洲;赵翰飞;杨靖华;贾效先

中国医学科学院药物研究所,北京

摘要:

以N-磷酰氨基酸为载体而形成的氮芥磷酰胺衍生物,可能具有较好的抗肿瘤专属性。双-(β-氯乙基)氨基磷酰二氯(I)与二当量的甘、丙、缬、亮、笨丙、天冬及谷氨酸乙酯(II)缩合,生成相应的N,N-双-(β-氯乙基)-N',N"-二-(乙氧羰甲基)磷三酰胺衍生物(III);与当量的丝氨酸乙酯(X)缩合时生成环状衍生物,2-双-(β-氯乙基)氨基-4-乙氧羟基-四氢-1,2,3-氧磷氮茂,2-氧化物(XI)。这类化合物经动物实验有显著的抗肿瘤活性。

关键词:

Potential Anticancer Agents—III.Preparation of Amino Acid Derivatives of Bis(β-chloroethyl)-Phosphoramidic Dichloride

SUNG WEI-LIANG HOU SHUANG-ZHOU ZHAO HAN-FEI YANG JING-HUA JA XAO-XAN

Abstract:

In order to examine the feasibility that whether N-phosphorylated amino acid moiety would function as a carrier of the transport form of nitrogen mustard derivatives with the desired specificity in cancer chemotherapy, a number of amino acid derivatives of bis (β-chloroethyl)-phosphoramidic dichloride were prepared. Treatment of bis (β-chloroethyl)-phosphoramidic dichloride (I) with two equivalents of the ethyl ester (II) of glycine, alanine, valine, leucine, phenylalanine, aspartic acid, and glutamic acid in an inert solvent in the presence of triethylamine afforded the corresponding derivatives of N, N-bis(β-chloroethyl)-N', N"-di-(carboethoxymethyl)-phosphorotriamide (III—IX). Similarly, reaction of the dichlorophosphoramidate (I) with equivalent ethyl ester of serine (X) yielded a cyclic derivative, 2-bis (β-chloroethyl)amino-4-carboethoxy-1, 3, 2-oxazophospholidine, 2-oxide (XI). The compounds derived from glycine, phenylalanine and glutamic acid were crystalline solids, while those from the remaining amino acids were less stable oily substances. The results of screening tests of the above compounds against transplanted tumours will be published in a separate paper.

Keywords:

收稿日期 1965-06-22 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.)

扩展功能

本文信息

- ▶ Supporting info
- ▶ PDF(286KB)
- ▶ [HTML全文]
- ▶ 参考文献

服务与反馈

- ▶ 把本文推荐给朋友
- ▶ 加入我的书架
- ▶ 加入引用管理器
- ▶ 引用本文
- ▶ Email Alert
- ▶ 文章反馈
- ▶ 浏览反馈信息

本文关键词相关文章
本文作者相关文章

- ▶ 宋维良
- ▶ 侯双洲
- ▶ 赵翰飞
- ▶ 杨靖华
- ▶ 贾效先

PubMed

- ▶ Article by
- ▶ Article by
- ▶ Article by
- ▶ Article by
- ▶ Article by

反 馈 人	<input type="text"/>	邮箱地址	<input type="text"/>
-------------	----------------------	------	----------------------

反
馈
标
题

验证码

1710