

论文

肿瘤的化疗 XII.止痛剂氮芥及有关化合物的合成

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摘要:

1.本文叙述了4-双-(β-氯乙基)氨基-1-苯基-2,3-二甲基吡唑酮[5](III,安替比林氮芥),4-[双-(β-氯乙基)氨基]-4-丁基-1,2-二苯基-四氢吡唑二酮[3,5](IX,保泰松氮芥)及一些同类氮芥化合物的合成。2.合成的化合物经动物筛选,对肉瘤180和Ehrlich腹水瘤细胞均无明显的抑制作用。

关键词:

TUMOUR CHEMOTHERAPY XII.SYNTHESIS OF NITROGEN MUSTARD RELATED TO ANTIARTHRITICS

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Abstract:

A number of nitrogen mustards related to antiarthritics—antipyrin, butazolidine and others—have been prepared in continuation of the work reported in part II of this series of research. It was hoped that these compounds could be useful in the sense that they contained characteristic nitrogen mustard group on the one hand, and analgetic part on the other. 4-Aminoantipyrin (I) on treatment with ethylene oxide formed 4-bis-(β-hydroxyethyl) aminoantipyrin (II). The latter compound was then chlorinated with phosphorous oxychloride to give antipyrin mustard (III). Two 1, 2-diphenyl-3,5-diketopyrazolidines. (IV and V) were treated with halogen giving the corresponding halogeno derivatives (VI and VII). Condensation of the latter with bis-(β-chloroethyl) amine gave the expected nitrogen mustards (VIII and IX). Both IV and VIII gave the corresponding nitrogen mustards XI and X IV. On treatment with p-bis-(β-chloroethyl) aminobenzaldehyde, (XI), upon catalytic hydrogenation gave XII. Preliminary pharmacologic test revealed that these compounds do not show any significant inhibitory activity against tumour cells in vivo.

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