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论文

腺嘌呤衍生物的合成及体外抗疱疹病毒活性

钟蒙;刘兆鹏;徐丽君;王志玉;王桂亭

山东医科大学药学系; **病毒教研室,济南 250012

摘要:

以腺嘌呤为母体,在其9位引入活性基团*N*-取代缩氨基硫脲(TSC),设计合成了12个6-氨基-9($N^{4'}$-取代乙醛缩氨基硫脲)嘌呤衍生物(4a～1),并进行了体外抗单纯疱疹病毒I型(HSV-1),II型(HSV-2),水痘-带状疱疹病毒(VZV)活性试验及细胞毒性试验。结果表明,除化合物4e及4f对HSV-1及VZV有较高活性外,其余化合物对上述两种病毒的活性均不明显。另外,将4e与4f分别与无环鸟苷(ACV)联合用药,其最小抑制浓度(MIC)及细胞毒性(MCC)均显著下降。

关键词: 腺嘌呤衍生物 缩氨基硫脲 抗疱疹病毒

SYNTHESIS OF ADENINE DERIVATIVES AND THEIR ACTIVITIES AGAINST HERPES VIRUS *IN VITRO*

M Zhong;ZP Liu;LJ Xu;ZY Wang and GT Wang

Abstract:

A series of 9-(N^4-substituted acetaldehvde thiosemicarbazone)adenines weresynthesized and evaluated for antiherpes virus activity.Compounds 4a～1 were prepared bycondensation of 9-(acetaldehyde) adenine(6)and the corresponding N^4-substituted thiosemicarbazides(10).The antiviral effects of all compounds 4a～1 were tested *in vitro* in primary rabbit kidney cellcultures infected with herpes simplex virus type 1(HSV-1)and varicella-herpes zoster virus(VZV),and in primary human embryo cell cultures infected with herpes simplex virus type 2 (HSV-2).Theresults showed that the minimum inhibitory concentrations(MIC)of 4e and 4f for HSV-1 and VZVwere 20,40,20 and 20 μg·ml^{-1},respectively,and other compounds were 200μg·ml^{-1}.For HSV-2,the MIC of all tested compounds were 300 μg·ml^{-1}.We also evaluated the antiherpetic effect of 4e(and 4f)by combination with acyclovir(ACV)in the ratio of 1:1 *in vitro*.The MIC of the combinedcompounds were 2 μg·ml^{-1} for 4e and 6μg·ml^{-1} for 4f,while their minimum cytotoxicities(MCC)in the cell were markedly reduced compared with the individual compounds.

Keywords: Thiosemicarbazones Antiherpes virus Adenine derivatives

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