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论文

取代腺嘌呤和腺苷的合成及生物活性

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摘要:

为了探索芳杂环甲基取代腺嘌呤和腺苷的有效合成方法,本文以腺嘌呤和腺苷为原料设计并合成了7个9-取代腺嘌呤(1～7)、6个N^6,9-双取代腺嘌呤(12～17),3个N^6-取代腺嘌呤(23～25)、5个N^6-取代腺苷(18～22),同时合成了3个3-取代腺嘌呤(9～11),共24个化合物,其中23个为未知化合物。对合成的所有腺苷衍生物和部分腺嘌呤衍生物进行了腺苷受体活性筛选。化合物18在大鼠输精管模型上对腺苷受体的激动活性是腺苷的33倍。

关键词: 芳杂环甲基取代腺嘌呤及腺苷 Dimroth重排 腺苷受体活性

SYNTHESIS AND BIOACTIVITY OF SUBSTIUTED ADENINES AND ADENOSNES

HF Deng;Yz Jiang and ZZ Zhao

Abstract:

In order to find an efficient way to synthesize aromatic heterocyclic methvl substi- tuted adenines and adenosines ,using adenine and adenosine as starting materials ,twenty four adenineand adenosine derivatives ,including 9- pyridylmethyl suhtituted adenines(1～7),N^6 ,9- disubstitutedadenines(12～17),N^6- substituted adenines(23～25)and N^6-subetituted adenosines(18～22)weredesigned and synthesized,three 3- substituted adenines were also synthesized.The structures of thesecompounds were identified with MS,1HNMR and UV spectra,some of them were submitted toelemental analysis and HRMS.All adenosine derivatives and some adenine derivatives synthesizedwere studied for adenosine receptor activity.Compound 18 was shown to be 33 times more active thanadenosine.

Keywords: Dimrothrearrangement Adenosine receptor activity Atomatic heterocyclic methyl suhtituted adenine and adenosine

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