

论文

1-(3-酞酰亚胺基-2-氧丁基)-4-取代苯基哌嗪的合成及抗HIV-1逆转录酶活性

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摘要:

目的合成新型的非核苷类(双杂环苯基)化合物,并观察其抗HIV1-逆转录酶(HIV1-RT)活性。方法以氮芥盐酸盐为起始原料,与不同取代苯胺反应,得到相应的不同取代的哌嗪盐酸盐,并与1-溴-3-酞酰亚胺基-2-丁酮(4)缩合,得到目标化合物。结果合成11个目标化合物(5~15)。经¹HNMR,红外和元素分析确定结构。结论经HIV逆转录酶P-66蛋白测定,化合物11,14,10和13有一定抑制HIV1-RT活性,其IC₅₀分别为29.80,35.20,43.77和63.76 μmol·L⁻¹。

关键词: 酞酰亚胺基哌嗪 取代苯基哌嗪 HIV1-逆转录酶抑制剂

SYNTHESIS OF 1-(3-PHTHALIMIDO-2-OXOBUTYL)-4-SUBSTITUTED-PHENYLPIPERAZINES AND THEIR ANTI-HIV REVERSE TRANSCRIPTASE ACTIVITY

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Abstract:

AIMSynthesis of 1-(3-phthalimido-2-oxobutyl)-4-substituted-phenylpiperazines (5~15). METHODS The starting material nitrogen mustard hydrochloride (16), reacted with the corresponding substituted anilines to afford piperazine hydrochlorides (17~27), which were then coupled with 1-bromo-3-phthalimidobutan-2-one (4) to give the target compounds. RESULTS Eleven target compounds (5~15) were synthesized, which were characterized by ¹HNMR, IR and elemental analysis. CONCLUSIONAnti-HIV-1 RT using HIV reverse transcriptase P-66 protein test showed that compounds 11, 14, 10 and 13 possessed inhibitory effects against HIV-1 reverse transcriptase (RT), with IC₅₀ 29.80, 35.20, 43.77 and 63.76 μmol·L⁻¹, respectively.

Keywords: substituted phenylpiperazines HIV-1 RT inhibitors phthalimido-piperazines

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