

2',3'-双脱氢-2',3'-双脱氧胸苷(d4T)5'-硫代磷酰氨基酸酯的合成和谱学分析

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摘要 HIV逆转录酶是治疗艾滋病的有效靶点,核苷-磷酰氨基酸酯是HIV逆转录酶的有效抑制剂,但是这类化合物容易在体内被核酸酶水解。本研究设计合成了对核酸酶具有抵抗作用的2',3'-双脱氢-2',3'-双脱氧胸苷5'-硫代磷酰氨基酸酯化合物,这类化合物可以有效地透过细胞膜经过细胞激酶的作用,进入HIV逆转录酶的作用位点,病毒实验表明该类化合物对MT-4细胞具有较好的抗HIV病毒活性。报道了2',3'-双脱氢-2',3'-双脱氧胸苷5'-硫代磷酰氨基酸酯化合物的合成,及利用NMR, IR和ESI-MS谱进行的结构表征和构象分析。

关键词 [硫代磷酰](#) [胸腺](#) [结构表征](#) [构象](#) [核磁共振谱法](#) [红外分光光度法](#) [质谱法](#) [艾滋病](#)

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Synthesis and Spectroscopic Analysis of 2',3'-Didehydro-2',3'- dideoxythymidine (d4T)5'-thiophosphoramidates

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Abstract Nucleoside reverse transcriptase inhibitors are the only drugs so far approved for the treatment of AIDS. Nucleoside 5'- phosphorothioates is relatively resistant to enzymatic transformations. Novel 2',3'-didehydro-2',3'-dideoxythymidine (d4T) 5'- thiophosphoramidates have been prepared by thiophosphorochloridate chemistry. These materials were designed to act as membrane-soluble prodrugs of the bioactive free nucleotides. In vitro evaluation reveals that the compounds have a pronounced, selective anti-HIV activity in MT-4 cells. The new compounds are characterized by NMR, IR and ESI-MS. The results from NMR experiments indicate that there are anti-orientation for glycosyl grouping in compounds 5a~5e.

Key words [THIOPHOSPHORYL](#) [THYMUS GLAND](#) [STRUCTURE CHARACTERISTICS](#) [CONFORMATION](#) [NMR](#) [IR](#) [MS](#) [AIDS](#)

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