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Design, synthesis and anti -HBV activity of L -amino ac id ester prodrugs of acyclic nucleoside phosphonates

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To design and synthesis a series of novel L -amino ac id esters prodrugs of acyclic nucleo side phosphonates with more potent anti-HBV activity, adefovir dipivoxil was used a s lead compound, according to the results of enhanced oral bioavailability and antiviral activities of nucleoside L-amino acid ester prodrugs. Eleven novel L-amino acid ester prodrugs of acyclic nucleoside phosphonates were designed and synthesized, their anti-HBV activities were evaluated in HepG2 2.2.15 cells. Eight compounds exhibited antiviral activity, and compounds 11 showed the most potent anti-HBV activity and highest elective index *in vitro* (EC_{50} 0.095 2μmo l.L^{-1} , S I 69523). Moreover, by analyzing the primary structure and activity relationship of these compounds, it could be suggested that L –amino acid ester strategy has significant potential in the acyclic nucleoside phosphonates prodrug design.