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Convenient Synthesis of AZT/d4T Phosphoramidate or Aryl Phosphate Monoesters by Mg-Cleavage 4-Picolyl Protected Group

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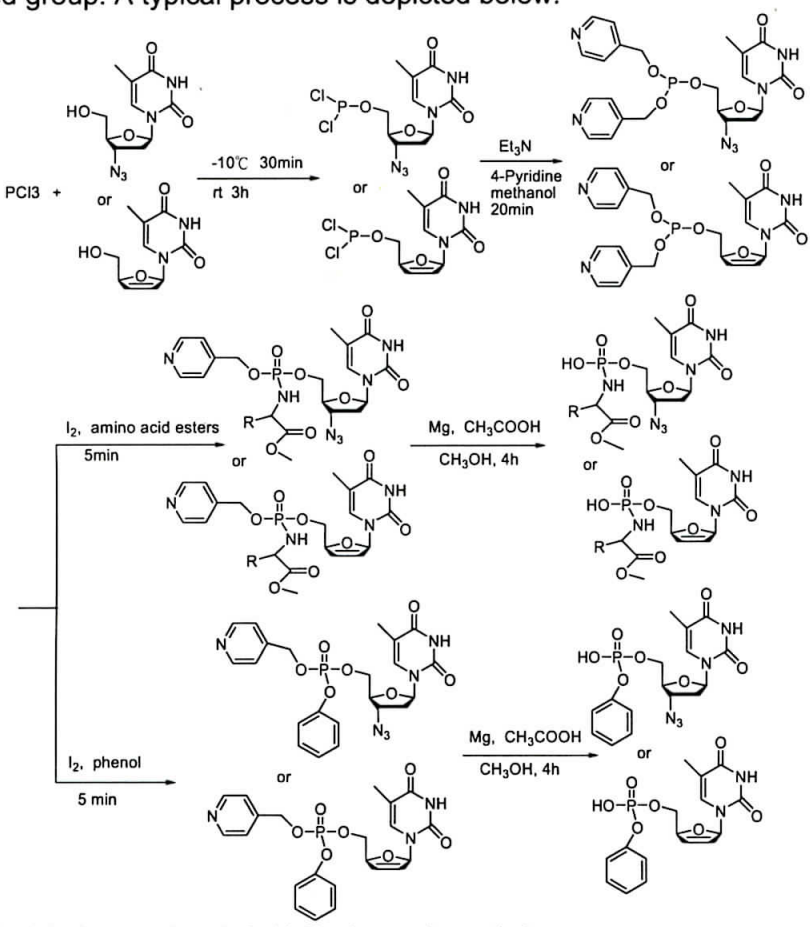
Abstract

The development of nucleoside pro-drugs capable of undergoing intracellular activation to the corresponding nucleotides has become an area of intense interest. Nucleoside phosphoramidate monoesters are potent antiviral and/or anticancer agents with enhanced activity and reduced cytotoxicity[1]. Likewise, nucleoside aryl phosphate monoesters can serve as ready pro-drug sources of the free nucleosides and their 5'-monophosphates[2]. In this work, we report a simple approach to synthesize AZT/d4T phosphoramidate or aryl phosphate monoesters by Mg-cleavage 4-picolyl protected group. A typical process is depicted below.



Key words: Nucleoside derivatives pro-drug, 4-picolyl, Mg, deprotection method

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References:

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