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Synthesis of Naturally Occurring Helminthic Phosphodiester and Related Analogues

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Our preliminary findings in the use of 1,3,2-oxazaphospholidin-2-ones (III) as useful synthons in the synthesis of naturally occurring helminthic (worm) phosphodiester [i.e. Opheline(II, R=Me) and serine ethanolamine phosphate (I, R=NH₂(CO₂H)CHCH₂, R'=H)] and of related compounds are reported. The 1,3,2-oxazaphospholidin-2-ones can be synthesised by routes A and B; once formed these can be ring-opened by acid treatment which causes exclusive N-P bond fission yielding the phosphodiester (I). Guanidinated phosphodiester (II) have been made by reacting the corresponding phosphodiester (I, R'=H) with O-methylisourea.

