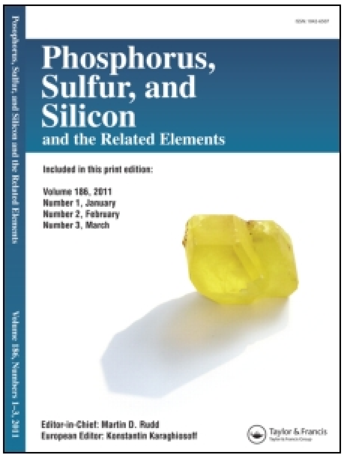

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Robert Engel[a]; Valeryi Shevchenko[a]; Sharon Lall[a]; Burton Tropp[a]; Ngai Lau[a]; Thomas Strekas[a]
[a] Department of Chemistry and Biochemistry, Queens College CUNY, Flushing, NY, USA

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Synthesis and Biological Activities of Phosphorus-Containing Polycationic Strings

ROBERT ENGEL, VALERYI SHEVCHENKO, SHARON LALL, BURTON TROPP. NGAI LAU and THOMAS STREKAS

Department of Chemistry and Biochemistry, Queens College CUNY, Flushing, NY 11367 USA

Several series of phosphorus-containing polycationic strings have been prepared. These include those in which quaternary phosphonium sites are located at regular intervals along a linear chain of defined length ("Strings"), and those in which the cationic phosphonium sites are in linkages arrayed in branching arms about a central focus unit ("balloons"). Polyphosphonium "balloon" arrays have been attached to a polystyrene backbone providing a material which serves as an anionic exchange resin. Polycationic strings have been prepared in which phosphorus is present as phosphine oxide functionalities and the cationic sites are quaternary ammonium sites arrayed at regular intervals along a linear chain of defined length. Several of the resultant materials have demonstrated significant antibacterial activity. Interactions of the polycationic species with the anionic groove region of double stranded DNA have been investigated.

Prior efforts of other laboratories have demonstrated the ability of water insoluble polycationic species to serve as antibacterial agents toward both Gram positive and Gram negative bacteria. In these earlier studies quaternary ammonium and phosphonium sites were generated at surface reactive positions of polystyrene derivatives. Each polymer molecule thus bore numerous monocationic pendant sites. The antibacterial activity of the polymers were greater with surface bound quaternary ***phosphonium*** sites than with quaternary ***ammonium*** sites.

In an earlier report from this laboratory we have noted the synthesis of ***water soluble*** polyammonium species which have exhibited significant antibacterial activities against *E. coli*. These polyammonium species, of analytically defined structure (as opposed to "polymeric" structures), bear from two to ten quaternary ammonium ion sites regularly spaced in a linear array (cationic "strings"). The extent of antibacterial activity observed for these species depends upon both the number of cationic sites and the spacing between them in the linear array.

It thus became of interest to synthesize analytically defined polyphosphonium salts which might exhibit even more intense antibacterial activities than the related polyammonium compounds. Our synthetic approach for these species starts with the initial diquaternization of an α,ω-bis(diphenylphosphino)alkane.

Depending on the quaternization agent, several categories of polycationic species can be produced. Using an ω-haloalkyl-1-(diphenyl)phosphine oxide, the bisphosphonium salt produced bore tertiary phosphine oxide sites at each end. "Balloon" polyphosphonium species were constructed using a focus unit in which more than two tertiary phosphine sites were present. Using reagents developed by the above-mentioned approaches, hexacationic "balloon" units were attached to the surface of crosslinked chloromethylated polystyrene. Finally, phosphorus-containing polycationic species have been generated in which quaternary ammonium sites are present along a "string" structure, terminating in phosphine oxide linkages at each end. In light of prior efforts in this laboratory using polyammonium "string" species which have shown particular interactions with double-stranded DNA (change of conformation and nucleic acid agglomeration), these salts were noted to interact with DNA resulting in changes in the DNA conformation.