

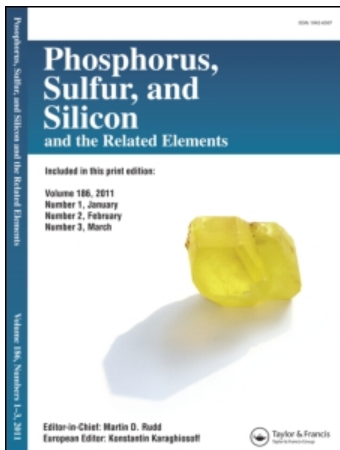
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## Phosphorus, Sulfur, and Silicon and the Related Elements

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### Synthesis of Pharmacologically Active 2-Substituted-1,3-Diphosphonates

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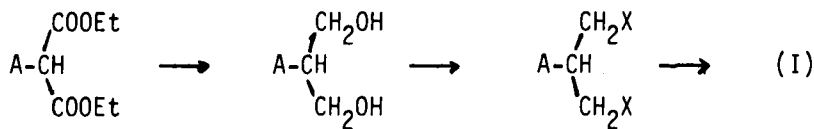
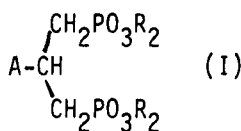
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## SYNTHESIS OF PHARMACOLOGICALLY ACTIVE 2-SUBSTITUTED-1,3-DIPHOSPHONATES

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A new series of diphosphonates (I) was synthesized and investigated for their pharmacological activity. 2-Substituted-1,3-propylidene diphosphonates (I) were prepared by reaction of sodium dialkyl phosphite or trialkyl phosphite with ditosylates of 2-substituted-1,3-propanediol or 2-substituted-1,3-dibromopropane. Pharmacological screenings of these 1,3-propylidenediphosphonates have shown that these compounds have potent cardiovascular activity and are potentially useful in the treatment of hypertension.



A = alkyl, arylalkyl, aryloxyalkyl; R = C<sub>1</sub>-C<sub>6</sub> alkyl; X = Hal or OTs