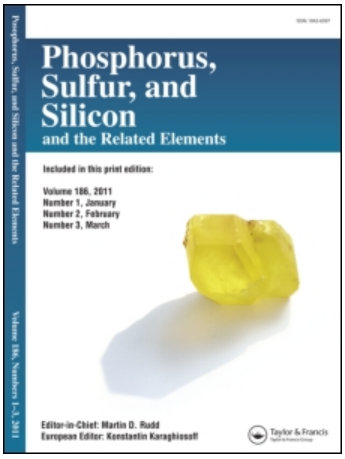

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Antibacterial Activity of Peptides Related to Alafosfalin. The Effect of Varying the Aminophosphonate Fragment

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A series of 32 dipeptides containing N-terminal alanine or leucine and a variety of racemic 1-aminoalkanephosphonic acids vere prepared by standard procedures and tested for growth inhibition of six bacterial species (Escherichia coli, Klebsiella aerogenes, Serratia mercescens, Staphylococcus aureus, Streptococcus faecalis and Bacillus subtilis). The aminophosphonate residues were racemic and included ValP, LeuP, ProP, PheP, α-methyl-AlaP, Glu-α-P, O-methyl-DOPAP, cyclohexane-1-amino-1-phosphonic acid, t-LeuP, O-acetyl-SerP, and GlyP derivatives $RCH(NH_2)PO_3H_2$ where R = cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and adamantyl. N-Ala and N-Leu peptides of racemic AlaP were used as positive control. MIC and IC_{50} values indicate that the peptides containing 4-amino-4-phosphonobutyric acid (Glu-α-P) and α-methyl-AlaP are potent antibiotics, comparable in activity with LeuAlaP and AlaAlaP (Alafosfalin). Weak activity was observed for peptides of ProP, LeuP, ValP, PheP, cyclohexane-1-amino-1-phosphonic acid and 1-aminocyclopentylmethanephosphonic acid. While the activity of the α-methyl-AlaP peptides may be explained by inhibition of alanine racemase, the mechanism of action of the Glu-α-P peptides remains unknown.