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Phosphorus, Sulfur, and Silicon and the Related Elements

Publication details, including instructions for authors and subscription information:

<http://www.informaworld.com/smpp/title~content=t713618290>

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To cite this Article Lejczak, Barbara , Kafarski, Pawel , Sztajer, Helena and Mastalerz, Przemyslaw(1987) 'Antibacterial Activity of Peptides Related to Alafosfalin. The Effect of Varying the Aminophosphonate Fragment', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 30: 3, 823

To link to this Article: DOI: 10.1080/03086648708079313

URL: <http://dx.doi.org/10.1080/03086648708079313>

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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 were prepared by standard procedures and tested for growth inhibition of six bacterial species (*Escherichia coli*, *Klebsiella aerogenes*, *Serratia mercenscens*, *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.