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Antimicrobial properties of N3-(iodoacetyl)-L-2,3-diaminopropanoic acid-peptide conjugates.

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Abstract

Six peptide conjugates consisting of either norvaline, methionine, or lysine and N3-(iodoacetyl)-L-2,3-diaminopropanoic acid--a strong, irreversible inactivator of bacterial and fungal glucosamine-6-phosphate synthase--were synthesized and their antibacterial and antifungal activities were evaluated. Antimicrobial potencies of these peptides were correlated with their transport and cleavage rates inside the cells. Bacteriolysis of Bacillus pumilus cells and inhibition of [14C]glucose incorporation into cell-wall polysaccharides of Candida albicans as a result of glucosamine 6-phosphate inactivation were also observed. Reversal of growth inhibitory effect of these peptides by N-acetylglucosamine in bacteria and fungi suggests the effective delivery of N3-iodoacetyl-L-2,3-diaminopropanoic acid into the cell by a peptide-transport system.

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