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Structure-antibacterial activity relationships of N-substituted-(D/L-alaninyl) 1H-1, 2, 3-triazolyl oxazolidinones

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Introduction: Introducing glycinyl spacer with hydrogen bond acceptor and/or donor groups at N-4 position of terminal piperazine moiety of the phenyloxazolidinone pharmacophore yielded derivatives with potent antibacterial activity, due to enhanced interactions at the bacterial 50S ribosomal binding sites. The objective of this study is to investigate the influence of varied N-aroyl- and *N*-arylsulfonyl-(D/L-alaninyl) on the antibacterial activity of piperazinyl-5-(4-methyl-1,2,3-triazolyl) oxazolidinones.

Methods: The *N*-aroyl- and N-arylsulfonyl-(*D/L*-alaninyl) oxazolidinones were synthesized and characterized by spectroscopic and other analytical methods. Antibacterial activity was evaluated against standard reference Gram-positive and -negative bacterial strains including *S. aureus, S. epidermidis, E. faecalis, E. coli, M. catarrhalis and H. influenzae*. Minimum inhibitory concentrations (MIC's, ug/ml) were determined by agar dilution method on Mueller Hinton agar.

Results: Most of the compounds were generally more active against Gram-positive bacterial strains with MIC ranges of 2-16 ug/ml. There was no siginificant difference between the antibacterial activities of the *D*- and *L*-alaninyl oxazolidinones. Moreover, the N-nitrobenzoyl-alaninyl and N-aminobenzoyl-alaniny oxazolidinones demonstrated comparable activity against all Gram-positve bacteria with MIC ranges of 2->16 ug/ml. However, N-nitroarylsulfonyl-alaninyl derivatives were less active against S. aureus (MIC:16 - >16) in comparison to their activity against *S. epidermidis, E. faecalis and M. cattarralis* with MIC ranges of 2-8, 4-16 and 4->16 ug/ml, respectively. The most active compounds are those bearing the N-3,5-dinitrobenzoyl-, N-3-nitrobenzoyl-, N-5-nitrothiophenecarbonyl groups with MIC values of 2 ug/ml against *S. aureus, S. epidermidis, E. faecalis and M. cattarralis*, compared to linezolid (MIC: 2 and 8 ug/ml).

Conclusion: The compounds exhibited moderate to strong antibacterial activity against all Gram-positive cocci tested with extension against *M. catarrhalis*.

Biography

Oludotun A Phillips received his PhD from the University of Saskatchewan, Canada, and Post-doctoral studies from the University of Alberta, Canada. He acquired Pharmaceutical Industrial Experience at Synphar Laboratories, Edmonton, Canada, as a Research Scientist and rose to the rank of Project Manager. He later joined the Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kuwait University as an Associate Professor in 1999. He is currently a Professor of Pharmaceutical & Medicinal Chemistry at Kuwait University. He has published more than 70 peer reviewed papers in reputed journals and co-authored 8 patents.

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