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Synthesis of dipeptide linked-cephalosporins to enhance oral absorption

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Newer cephalosporins currently under clinical trials or recently marketed fall into two main types; Orally active β-lactamase resistant and parenteral β-lactamase-resistant anti-pseudomonal cephalosporins. The status of these compounds awaits more extensive clinical investigations and any advances will be very useful. Recent research activities in cephalosporin design have focused on the following desirable properties; firstly, increased permeability into G(-) *bacilli*, leading to enhanced efficacy against permeability-resistant strains of *Enterobacteriaceae and p. auroginosa*. Secondly, increased affinity for altered PBPs, particularly, PBP 2a of MRSA. Thirdly, orally active β-lactamase-resistant with anti- pseudomonal activities.

In view of the above desirable properties, two new series of derivatives of cephalosporins were designed and synthesized for the production of orally administered preparations. These were synthesized by applying the chemical approaches on different moieties of the cephalosporin molecule. The first series was synthesized by reacting the carboxyl group of a dipeptide with the aminothiazole moiety of the cephalosporin linked via an amide linkage. The second series was prepared by reacting the carboxyl group of a dipeptide with the C-3 carboxyl group of the cephalosporin linked via a double ester approach. The dipeptide linked-cephalosporins may target the human dipeptide transport system in the gastrointestinal tract. The new derivatives contain either one, two or four primary amino groups that showed acid stability in the gastric acidmedia. Most of the new derivatives retained the antibacterial activities and few were as potent as the parent cephalosporin and have promising results. Besides, the new cephalosporins have improved total polar surface area, which is considered as one of the main factors for improving activity, when compared with known cephalosporins from different generations.

Biography

Shakir M. Alwan has completed his Ph.D. from University of Wales, Institute Of Science And Technology (Welsh school of pharmacy) and postdoctoral studies from the Center Of Applied Microbiology And Research, UK. He is a research scientist at the scientific research council in Baghdad. He is a senior lecturer and Assistant Professor in medicinal chemistry at the College Of Pharmacy. He has published more than 25 papers in scientific journals and has a patent and supervised 7 Ph.D., 17 M. Sc. and 3 higher diploma students.

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