

# CURRENT PATENTS GAZETTE



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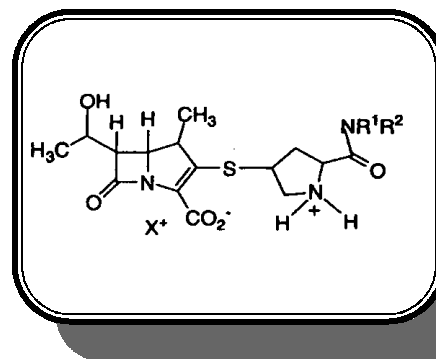
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## DRUG PATENTING IN CONTEXT

Current Patents *Gazette* is the most rapid competitive intelligence service covering innovation in the pharmaceutical industry. Patent applications published during the past week have been classified and analysed, in order to place the inventions in context. For the most crucial innovations, those involving new chemical compounds, additional information is given in the form of front page images. These can be enlarged to show details of chemical structures and inventor teams, for example. Applications filed jointly, representing collaborative research, are highlighted, as are sequences of inter-related documents.

Synthesis of carbapenem antibiotics (Section C). A 3-pyrrolidinylthio carbapenem is prepared by direct crystallization from the aqueous phase of the reaction mixture. Merck's patenting includes many cases describing antibacterials of this type, and there are several discarded candidates on record.



## HIGHLIGHTS THIS WEEK

The all-important PCT applications were published a day late this week, bearing Friday's date, September 10th - could it be that WIPO was deliberately avoiding the use of Thursday's date, the dreaded 9.9.99? The problems with WIPO data did not stop there, however! There is a case from Deutsches Krebsforschungszentrum claiming vectors and viruses useful in gene therapy, and this would normally fall fairly and squarely within the C12n International Patent Classification (IPC) which forms an important (and productive) element of the retrieval strategy used to select items for inclusion in this Gazette. However, it has been placed in the remoter regions of the classification under C02f, which deals with treatment of water, sewerage and sludge. Fortunately, our "safety net" strategy, based on names and natural language, enabled us to find not only this misplaced item but also a rather unusual device patent from Merck & Co, a sealing apparatus for microplates classed as B011 3/00 - "containers or dishes for laboratory use".

For the third time in a month there are claims this week to process technology suitable for synthesis of mevolinic acid type HMG-CoA reductase inhibitors, the so-called "statins". Synthron BV, based in Nijmegen, is focusing on simvastatin, as did Krka last week in WO9943665; Ranbaxy's US5939564 was rather broader, claiming a process suitable for lovastatin analogues in general, though even there simvastatin was exemplified. Other generic companies showing an interest in statin process technology during the past few months include Brantford (formerly ACIC), Chong Kun Dang, Kyowa, Gist Brocades and Biotika, all but the first of these claiming fermentation-based processes. Merck's successful simvastatin product, Zocor, did not receive approval in the US until December 1991, and so has been on the market for less than eight years. However the patents from which it derives its basic protection, first filed early in 1980, begin to expire in 2001, and this explains the crescendo of Third Party patent activity.

Interesting combinatorial screening technology is described this week by two US specialists, Isis Pharmaceuticals and Lifespan Biosciences, using mass spectrometry and tagged ligand arrays respectively. Abbott too has claims to drug design technology, this time based on X-ray crystallography, and rather confusingly seems to have allowed two identical descriptions of this invention to be published. More conventional chemistry is being used by Merck to optimise the synthesis of pyrrolidinylthio carbapenems, but the origin of the target molecule may be less conventional; although Merck's own research has yielded many patents and several leads in this field, the invention may ultimately be applicable to ZD-4433, an antibacterial first investigated by Zeneca but now licensed out for development.