

CURRENT PATENTS GAZETTE



www.current-patents.com

ISSN 1464-3499

CONTENTS

Section A

New Compounds- novel entities, with images of front pages adding valuable additional information

Section B

New Uses, Formulations & Methods of Treatment- developments extending and enhancing the utility of existing products, including diagnostic and analytical applications

Section C

Chemical Processes and Combinatorial Technology- inventions concerned with efficient generation of candidates for screening, and with scale-up of laboratory syntheses in support of development activity

Section D

Biotechnology- molecular biology, nucleic acids, proteins, transgenics and gene therapy

Section E

Devices and Equipment- non-chemical or mechanical based invention with relevance to the industry

Whilst every effort is made to ensure the accuracy of information included in this Gazette, no responsibility will be taken for any errors which may occur, or for their consequences

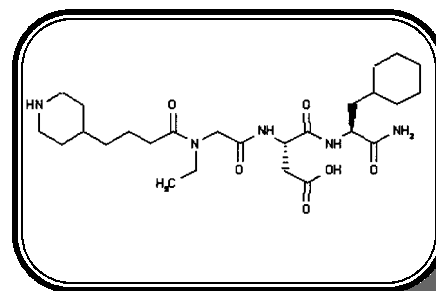
No part of this publication, apart from front page images, may be reproduced without prior permission of the copyright owner.

© Current Patents Ltd, 2000

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.

There are strong indications that Aventis Pharma may have adopted a cyclohexylalaninamide based factor VIIa inhibitor as a lead compound from a series first disclosed in WO9817678, with claims this week to a synthesis of a specific polymorphic form (Page 23)



HIGHLIGHTS THIS WEEK

Aventis attracts attention again this week with a pair of applications claiming the synthesis of aminoesters and adenosines. On the face of it these inventions are unrelated, but a couple of common inventors serve to link them. In addition there are earlier process cases, which help to confirm the relevance of this development activity to one particular candidate from **WO9817678**, a thrombin inhibitor. There seem to be no firm reports so far of a lead compound emerging from this relatively young application, but the appearance of claims to a factor VIIa inhibitor in a specific polymorphic form must be taken as a **strong indication** that such a candidate has in fact been selected.

On Friday July 7th there was a press release from **Alizyme** reporting that a lipase inhibitor, **ATL-962** (first named as a lead compound in April 1999), had successfully completed phase Ia clinical trials for obesity. This is a compound with similar action to **Roche's orlistat (Xenical)** launched in September 1998, and therefore a potentially important product in a new market. The placebo-controlled trial involved 32 human volunteers with the objective of determining safety and tolerance of a single administration of ATL-962. Eight subjects received a placebo dose while the remainder were given one of four dosage strengths of ATL-962. All doses were well tolerated and no adverse events were observed. The company now expects to proceed to a phase Ib clinical trial intended to commence towards the end of the third quarter of this year. Following on from this announcement, this week's round of PCT applications sees the publication of two cases from Alizyme claiming a series of **2-amino- and 2-oxy-4H-3,1-benzoxazin-4-ones** for use in treatment of obesity, which may read on to ATL-962. These are first two publications from a series of five initial applications filed at the UK Patent Office between January 1999 and January 2000.

The head of the Max Delbrück Centre for Molecular Medicine in Berlin and the President of the **Deutsche Forschungsgemeinschaft**, two key German science organizations, have warned that the award of **broad patents on gene sequences** could stifle basic genomics research and competition for pharmaceutical innovations. They are urging the German science ministry's secretary of state for research to explore ways of requiring national and European patent rules to be interpreted in a way that forbids patents covering all possible applications of a particular gene sequence. Instead, patents should be restricted to identified functions. The two scientists, **Detlev Ganter** and **Ernst-Ludwig Winnaker**, criticize the fact that European gene patents can cover all of the potential functions of a DNA sequence. As a consequence, the owner of a patent on a gene sequence could block the commercialization of any newly discovered function of this sequence, or demand a licence fee. Winnaker referred to the patent held by **HGS** on the **chemokine receptor CCR5**, whose role as a 'revolving door' for the **HIV virus** was completely unknown when CCR5 was first described as a receptor for lymphocytes. The issue is to be discussed over the next few months by patent experts.

Natural products feature in several new applications this week. **Taxols** continue to attract the attention of **Phytogen** and another Canadian individual inventor. It was of course the bark of the Canadian **Taxus brevifolia** which first provided a source of the important anticancer **paclitaxel** in India, however, it is the **guggul tree (Commiphora wightii)** which provides a source of guggulsterones for the **Council of Scientific and Industrial Research**. **CIPLA** and **Indena** have also patented in this field, where there are extremely varied indications suggested. **Magnolia officinalis**, on the other hand, has provided the **University of Texas** with a potential treatment for **depression**, based on its inclusion in the traditional Chinese medicine **Saiboku-To**.