

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

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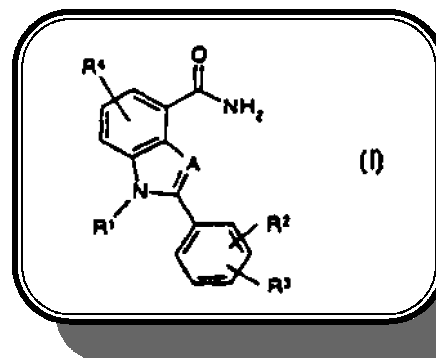
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DRUG PATENTING IN CONTEXT

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.

This week sees **BASF** continue its move into poly(ADP-ribose)polymerase (PARP) inhibitors in a follow up application to WO0026192 from a fortnight ago, claiming 2-phenylbenzimidazole and -indole derivatives exhibiting this activity (Page 2)



HIGHLIGHTS THIS WEEK

“**Euthanasia Compositions**” is the somewhat forbidding title of a European patent which is making headline news in **Germany** this week. The **University of Michigan**’s **EP516811B**, first published as **WO9211009**, has claims to a “lethal cocktail”, as the German press puts it, devised for the humane killing of mammals; the anesthetic embutramide is administered with chloroquine or quinacrine. The reason for this hostile publicity may well be that the patent, granted in April 1996, is the subject of Opposition proceedings, for which the oral hearing was due to take place on May 23rd. The applicant is named as the Michigan State University, but **Hoechst** is reported also to be involved in development of the product. The opponents include affiliates of the working group “Mut zur Ethik”, and Members of the German federal and regional parliaments. However, in a curious twist, **Hoechst Roussel Vet GmbH** is also named as an opponent. This public animosity has been aroused despite (or perhaps because of) the fact that the application refers explicitly to killing “lower mammals”, meaning perhaps domestic pets; in the US there seems to have been less controversy over the issue of US5290775 and US5281611, bearing the same title.

Glaxo has a case published this week describing how **nicotine addiction** is treated using the **phase II noradrenaline uptake inhibitor BW-1555U88**. However, there are at least two other cases reading more obliquely on to Glaxo’s commercial activities. A filing in the name of the Yugoslav company **Zdravlje** describes the synthesis of a series of **alkenediamines**, which on closer reading includes **ranitidine**, the **histamine H2 antagonist** on which Glaxo’s spectacular successes of the 1980s and early 1990s were largely founded. A less happy outcome awaited **grepafloxacin**, the **quinolone antibacterial** which Glaxo licensed from **Otsuka** in 1996. By 1997 it had been launched, either as **Raxar** or **Vaxar**, and analysts were predicting annual sales of £250m by 2003. However in October 1999 the product was voluntarily withdrawn from the market, because of a small number of severe cardiovascular adverse events among patients receiving it. **Clariant**’s patent application for a novel trifluorobenzoic acid synthesis apparently has no direct link with this commercial setback, but it relates generally to intermediates for quinolone antibacterials, and the Examiner at the European Patent Office has cited against it EP287951, the case in which Otsuka originally claimed grepafloxacin as a new compound. For the applicant, however, all may not be lost, since the process is applicable to a range of quinolone antibacterials.

In another European application there are claims to a synthesis of **Pfizer**’s **sildenafil**, from the Indian company **Orchid Chemical & Pharmaceuticals**. Although Pfizer retains the monopoly on this “accidentally” useful **PDE V inhibitor** for a few more years, generic manufacturers are certain to be turning their thoughts (and their development efforts) to what will be a very lucrative secondary market. At the same time, as highlighted here five weeks ago, Pfizer is seeking to outflank generic imitators with a successor, and at present the more specific compound **UK-343664** seems to be among the leading candidates for this rôle.

Arcaris (formerly **Ventana**), **Zdravlje** and **Proteo Tools** are among the emerging companies to appear as applicants in this week’s *Gazette*. There is also a somewhat unexpected collaboration between the Finnish firm **Wallac Oy** and four scientists sponsored by the **UK’s BBSRC**. From Cambridge in the UK, there is a novel technique for **DNA isolation** from **Cambridge Molecular Technologies** and **MMP/TNF inhibitors** from **Darwin Discovery**. The **Euro-Celtique** application relating to **contraceptive antibody vaccines**, ostensibly of US/Luxembourg origin, is less directly linked with Cambridge, where that Group’s **Napp Pharmaceuticals** research facility is sited. A similarly oblique Cambridge link emerged last week in the form of an application naming **Solexa Ltd** of Central London as applicant, but identifying inventors in the Department of Chemistry at the University of Cambridge.