

# CURRENT PATENTS GAZETTE



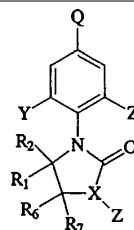
current-science.com

ISSN 1464-3499

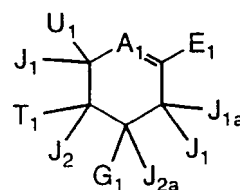
## DRUG PATENTING IN CONTEXT

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.

### NEW THIS WEEK



With Glaxo's influenza therapy, zanamivir, approaching registration, Biocryst and Gilead have claims to new neuraminidase inhibitors for the treatment of this condition.



## 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

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, 1999

## HIGHLIGHTS THIS WEEK

**Searle and Bayer by chance both** have claims to novel **cholesteryl ester transfer protein (CETP) inhibitors** this week. This mechanism is one of Bayer's recognized specialisms, and the phase I hyperlipidemia candidate **BAY-19-4789** is the leading compound with this mode of action. In two separate applications, further novel naphthalenes and analogous quinolines are now claimed. Searle, on the other hand, seems only now to be embarking on discovery activity targeting this relatively recent mechanism. The claimed **triazoles** are from an inventor previously specialising in **retroviral protease inhibitors**.

**Promising candidates from SB, Warner-Lambert and Boehringer Ingelheim** are among the compounds covered by process claims this week. The quinolinecarboxamide **SB-223412** is an **NK3** antagonist with potential for the treatment of **asthma, pain** and **urinary incontinence**; compounds of this class feature in a series of product cases from SB's Italian site, including WO9719927 & '928. Warner-Lambert is also heavily committed to NK-3 modulator exploration, but this week focuses on **gabapentin analogs**, with claims to a stereoselective synthesis; such compounds, acting on the **alpha-2-delta subunit of a calcium channel**, have been under study for at least three years, and it may be that a lead has now been selected for development. Preparation of **dihydrotrypanones** is covered in another W-L application, and **B I Chemicals** seeks to protect an **isolation process** for an **octahydroisoquinoline derivative**. The W-L target is an **HIV protease inhibitor**, a close analogue of **PD-173606**. Great Lakes Fine Chemicals, based at Widnes in the UK, has developed an improved synthesis of cyclopropylethyne, the side-chain used in antivirals such as **Du Pont Merck's efavirenz**.

**Unexpected uses of well-known substances** are to be seen in inventions from **Australia** and **Russia**. **Shark cartilage** is known to contain effective **angiogenesis inhibitors**, as demonstrated by **Aeterna Labs** in WO9716197, for example. However, **burns** and other skin disorders are among the conditions targeted by an Australian team, using an **oil-based formulation**, preferably containing **emu oil**. The applicant, **Micronized Food Products**, has no history of filing international patent applications - though one of the inventors has achieved recognition as an inventor of **mousetraps** (WO8601682 - but by 1987 this application had been withdrawn). The more usual use of shark cartilage is in **cancer**, which is the target of a Russian team, claiming treatment of tumours with the Controlled Substance **bufotenine**. This **hallucinogen** is **N,N-dimethyl serotonin**, and may be isolated either from **toads** or from **toadstools**.

**Australia** was also the origin of **Glaxo's influenza therapy, zanamivir**, synthesised first by **Biota**. Now both **Biocryst** and **Gilead** are pursuing agents with the same **neuraminidase inhibitory action**. Gilead's invention is a broad one encompassing **antigenic materials** and **assay technology**, reflecting the Company's strong position in this field; **Roche** is collaborating in the development of Gilead's **GS-4104**, which has been in phase III trials since 1997. Biocryst, with claims to novel **pyrrolidones**, has become involved in neuraminidase inhibitor research relatively recently, but has two candidates in preclinical investigation, **BCX-1363** and **BANA-113**.

**Easter does not directly affect** the publication schedules of any of the major patent-publishing authorities, **but** will inevitably delay the receipt of specifications, whether as printed copies or on CD-ROM. Current Patents staff will record and analyze front page data as usual between March 30th and April 1st, and will distribute a **provisional** week 9913 *Gazette* as PDF files by 1800hrs (UK time) on **April 1st**; front page images will be added to Section A after the holiday, hopefully on Tuesday April 6th, and the final version will be available in printed and PDF forms by the next day. We hope that *Gazette* subscribers, particularly in the USA, will not be unduly inconvenienced by this amended schedule. It is expected that the normal Friday evening publication deadline will be met in week 9914.