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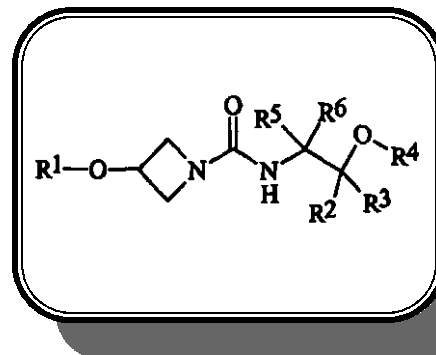
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DRUG PATENTING IN CONTEXT

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.

Azetidinecarboxamides

useful in the treatment of CNS disorders are the focus of three new applications this week. Two appear to be the products of individual applicants but in reality all three can be assigned as the work of the British company, Cerebrus.



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

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

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Section D

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

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

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HIGHLIGHTS THIS WEEK

This week's new compound cases include three naming individuals rather than a company as applicant. An application claiming **cytotoxic macrolides** names a London-based patent attorney as applicant, though from the names of all three inventors it is clear that the work was carried out in Spain at the **Instituto Biomar**, in Leon. Rather more detective work was needed to work out the true origin of two applications in which **CNS-active azetidinescarboxamides** are claimed, since the electronic front page records name only individuals. However, the same address, to the west of London, is given for five of the inventors, and is recognisable as that of Cerebrus, the company set up by former **Wyeth** scientists following the closure of their laboratories almost four years ago. In fact these two "orphan" inventions belong with a third which does bear the name Cerebrus. It is possible that these three applications relate to the anxiolytics, also with potential in epilepsy, which Cerebrus first announced almost two years ago. These candidates were believed at that time to act by an entirely new mechanism, involving neither serotonin nor GABA receptors.

The specific morpholinol enantiomer claimed by **Glaxo** this week is a close analogue of the phase II norepinephrine uptake inhibitor **1555U88**. As the number of this candidate suggests, the compound was originally synthesized in **Wellcome's laboratories** over a decade ago, and the normal expiry date of its product patent, **EP426416**, is 2010. Regulatory filings, for attention deficit hyperactivity disorder and smoking cessation, are not due until 2002, and so the remaining patent protection for the marketed product will be short. The present application offers protection until at least 2019 to the 3-chlorophenyl analogue of **1555U88**, which may well be an active metabolite of **bupropion hydrochloride**, launched two years ago as **Zyban**, an aid to smoking cessation. It is possible that for both patent and regulatory reasons this new compound will be favoured over **1555U88** as the follow-up to bupropion.

Several cases in Section B of Current Patents Gazette for **Week 9928** required further analysis, but late arrival of specifications prevented the additional comments being incorporated into Week 9929. Specific candidates were identifiable in the following documents:

The serine protease inhibitor in **WO9934789** is preferably a **tPA inhibitor**, especially **AEBSEF**. The **University of Utah's WO9934823** relates to angiotensin II and aldosterone inhibitors, **Ro-42-5892** and **losartan** being among the agents exemplified. In the **University of California's WO9934806** the preferred agent is **adociasulfate**, defined in claim 10. **P&U's WO9934796** names many established antitumour agents, but one specific **bis-naphthalenedisulfonic acid** is exemplified. A range of cyclohexyl derivatives are named in **SB's WO9934797**, and **WO9619988** is cited as the dominant patent. **Oratol's WO9934817** cites **WO9502045** as the case disclosing that **EtXB** is a **GM1 ganglioside receptor binding agent**. **Rosiglitazone** and three other **thiazolidinedione antidiabetics**, as well as **15-deoxyprostaglandin J2**, are among the **PPAR-greceptor activators** specified by **Galderma** in **WO9934783** for dermatological use. The preferred 3,7-dimethylxanthine in **Cell Therapeutics' WO9935148**, useful in modulating drug metabolism, bears a 5-hydroxyhexyl substituent in the 1-position.