

# CURRENT PATENTS GAZETTE



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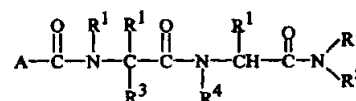
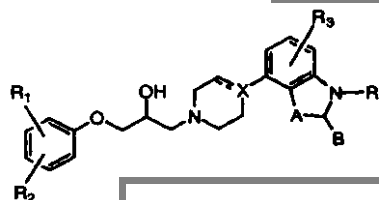
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## DRUG PATENTING IN CONTEXT

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.

### NEW THIS WEEK

In the week that AHP and Warner-Lambert announced their merger, we see AHP claiming new indolyl based antipsychotic 5-HT<sub>1A</sub> agonists



..... while Warner-Lambert discloses new diacylalkyl amide calcium channel blockers and glycinamide farnesyl transferase inhibitors (shown left).

## HIGHLIGHTS THIS WEEK

**Prominent in the news this week** was the announcement that **American Home Products Corp** and **Warner-Lambert** are to merge and in the future will trade under the name of **AmericanWarner Inc**. Both companies' shareholders will own around 50% of the new company, with the Board of Directors consisting of 20 members, 10 from AHP, 10 from Warner-Lambert. The merger is expected to be completed sometime in the second quarter of 2000. The work of both companies can be seen among this week's new PCT applications and US patents. AHP has four new applications among this week's New Compound cases claiming **indolyl based antipsychotic agents, 5-HT<sub>1A</sub> agonists** which appear to be a refinement of piperidine/pyrido-indole ring containing oxazole derivatives claimed earlier this year in WO9938864. Elsewhere, the company has been granted a new US patent for the use of 4-[(aminothioxomethyl)hydrazono]-4-arylbutyl carbamates in raising levels of HDL cholesterol for the treatment of atherosclerosis. Warner-Lambert, on the other hand, has two separate Section A cases referring to amide derivatives and their uses, one claiming **diacylalkyl amide based calcium channel antagonists** with potential for stroke, pain, cerebral ischemia, head trauma and epilepsy, the other covering **glycinamide farnesyl transferase inhibitors**. The company also has a US patent with claims to **tetrabenzofluorenyl derivatives** useful as **supports for combinatorial synthesis**.

**Although Merck has launched** its selective **cyclooxygenase-2 inhibitor, rofecoxib**, in several countries this summer, the company maintains its research for next-generation compounds. **MK-663** was reported to have entered phase II trials and another compound of this class, **DFP**, is in phase I. In the last two years, however, the company has been accumulating a series of patents on **2,3,5-trisubstituted pyridine derivatives** that indicates that the next clinical candidate is likely to contain this moiety. The compounds are claimed in WO9803484, WO9814194 and WO9814195 and this week, Merck added a new process patent application to its two previous process filings in this area, WO9847871 and WO9915503.

**A number of young specialist companies** feature again in this weeks Biotechnology Section. US-based **Livercell** claims a cell culturing method and medium for producing proliferated, normal, differentiated human liver cells. The company appears to have no previous applications, though the US and Italian inventors have been named on a previous application from Human Cell Cultures Inc (WO9423572). **Amsterdam Molecular Therapeutics** claims a frequent mutation in the cystathionine  $\beta$ -synthase gene, which increases the risk of developing coronary artery disease. Inventors on this application are based at the University of São Paulo, and Academisch Medisch Centrum in Amsterdam. The company also has previous connections with Rijksuniversiteit Leiden. Lithuania-based **Nika Health Products** also features, claiming a dimerized lysozyme for the improvement of impaired animal or human fertility.

**Finally, for the overworked and stressed** out there we have a US patent from an individual applicant claiming a composition used for achieving what the inventor calls "**an alert, yet calm state**". The compositions include at least one **kavalactone derivative** alongside a **methylxanthine** and promote an alert state in the subject promoting anxiety. The key idea behind the invention is to balance the energizing effects of the methylxanthine stimulant against calming effects of kavalactone relaxants. A variety of formulations are disclosed including several suggesting the inclusion of additional active ingredients such as vitamins and minerals.