

# CURRENT PATENTS GAZETTE

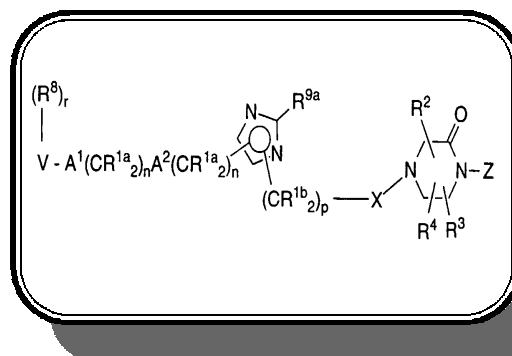


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## DRUG PATENTING IN CONTEXT

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** among 14 new cases filed by Merck are two applications claiming inhibitors of prenyl transferase and the prenylation of oncogene protein Ras with three accompanying method of treatment claims describing their use in combating cancer.



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### 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

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## HIGHLIGHTS THIS WEEK

**Merck & Co is named as applicant on 14 international applications** published this week, and on one of these **Kyowa Hakko** is a joint applicant. The claimed fermentation process yields optically active fused carbocyclic 1,2-diols, such as indane-1,2-diol. This compound could well be used in the preparation of **HIV protease inhibitors** such as Merck's **indinavir**. However, it is as yet unclear to what extent the two companies are collaborating on this product. Merck's own subsidiary, **Banyu**, is reported to be developing indinavir in Japan.

**Merck's other innovation** includes the use of **HMG-CoA reductase inhibitors** in prevention of coronary events, and the use of **NK-1 antagonists** in **premenstrual syndrome**; the latter case further extends the indications of the class of morpholine derivatives which includes **MK-869**. Also from Merck, there is an application covering novel inhibitors of **prenyl-protein transferase**, supported by three cases claiming their use in **cancer therapy**. Two further cases cover **antifungal sordaricin derivatives**; a compound of this type, **L-493422**, is reported to be under investigation already.

**Six applications name Lilly as applicant**, and a seventh assigned to the **US Government** includes an inventor apparently based on Lilly's research campus in **Indianapolis**. Lilly's principal theme is the treatment of **pain** and **arthritis**, but there is also a case relating to treatment of **infections**. The only new compound case, **WO9910346**, was covered as a European application in week 9908; it relates to benzothiadiazinyl indoles which act as serotonin modulators with CNS utility.

**New or unfamiliar applicant names** appearing this week include such companies as **Afferon**, **Aquila**, **Choa** and **Janus**. However, pharmaceutical innovation seldom arrives completely "out of the blue", and the true origins of the inventions are often more familiar. **Aquila**, for example, is the new name for **Cambridge Biotech**, and their innovation continues to focus on the **saponin adjuvant QS-21**.

**Following the issue of IDUN Pharmaceutical's US5786173**, which covers the **apoptotic proteases caspase-8** and **caspase-10**, an application this week discloses **caspase-14**. Other apoptosis-related inventions include **Chiron's**, apoptosis-associated nuclease designated **CPAN**, and **Genentech's RTD polypeptides** capable of inhibiting **APO2L-induced apoptosis**.

**Washington State University** is exploring possible **cancer treatments** from an unusual source, with claims to the **isolation of geranyl diphosphate synthase cDNA from peppermint**. This enzyme, when produced in cancerous cells, is a **precursor to monopterpenoids**, which have anticancer properties.