

# CURRENT PATENTS GAZETTE



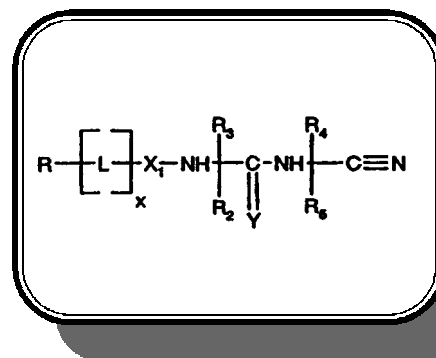
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## DRUG PATENTING IN CONTEXT

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.

A MULTINATIONAL TEAM from Novartis, comprising inventors based in Switzerland, Japan and the US has claims this week to new deipeptide nitriles acting as inhibitors of cysteine cathepsins, particularly cathepsins B, K, L and S.



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**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

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**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

**The 5-HT<sub>7</sub> receptor was characterised during the early 1990s** (see INSERM's WO9416067, for example), but has not yet become a popular target for the industry generally. Exceptions are **Lilly** and **SB**, both with patents claiming modulators, and with identified lead compounds; **Meiji Seika** has shown interest too. Now **Roche**, a company paying little attention to serotonin modulator discovery in general, has an application claiming the use of certain isoquinoline derivatives in diseases associated with 5-HT<sub>7</sub> receptors.

**The notorious Partnership No 1**, mentioned here in week 9916, is once more in evidence this week, again in the context of carvedilol formulations, but this time naming **SmithKline Bechman** (*sic*) as joint applicant.

**The applicant name RXH<sub>2</sub>O** in plain upper-case characters conveys little meaning, and might even be taken to be a typographical aberration. Written as R<sub>x</sub>H<sub>2</sub>O, however, the name conveys therapeutic use of water, and that indeed is the theme of an application from the Colorado-based company; the water contains mineral supplements to counteract deficiency states, and thus qualifies for inclusion as a therapeutic patent. In a similar vein, the Japanese company **Medion** is claiming viscous CO<sub>2</sub> solutions, intended for a whole range of conditions, mostly **dermatological**, but including the little-know affliction of partial **obesity**.

**Cysteine cathepsin inhibitors** are the subject of a **Novartis** invention, striking for the breadth of its origins. Of the **13-strong inventor team**, **three** are based in **Switzerland**, and **five** each in **Japan** and the **US**. On this criterion alone, these dipeptide nitriles must be seen as important in the company's discovery programme. Until now, cathepsin modulator activity has featured only as a secondary action in the company's antivirals.

**Interdisciplinary work at Ben Gurion University** has led to the development of microcircuits for performing analyses of multimolecular interactions and molecular syntheses. One inventor previously worked on **ACAT inhibitor synthesis** at the **French CEA**, and the other appears to have been at **IBM**, working on microchip technology. A further demonstration of the broad technical base of this project comes from the classifications applied to the document, of which there are **22**, falling into eight separate sub-classes of the IPC. Similar comments apply to a screening invention from **Functional Genetics**, whose technique involves arrays of samples with light-emitting labels, held on circular tracks on disks.

**Functional Genetics** is one of several companies this week which seem to be new to international patenting, with an invention relating to screening carried out on the surface of a disk. Not dissimilar is the nanoelectrode technology claimed by another US applicant, **Protoveris**, also a newcomer; here receptor arrays are assembled on the surface of a chip in order to allow proteins to be characterised.

**Combinatorial scientists** frequently borrow terminology from other disciplines, including words such as **array**, **scaffold** and **library**. It is interesting to see the reverse happening in a case from the Spanish company **Scalarmind**, whose information transfer device incorporates a layer described as "**antiparasitic**"; although this is not a therapeutic device, it has been classed as such - hence its inclusion here, for the sake of completeness.