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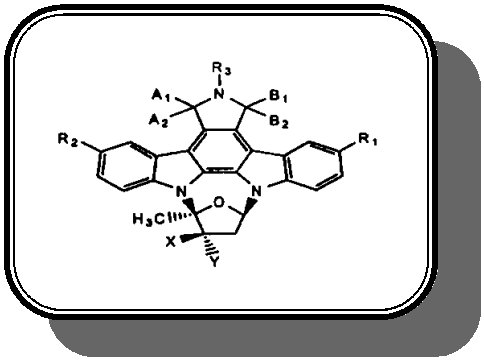
DRUG PATENTING IN CONTEXT

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.

Cephalon and Kyowa are collaborating on 3'-epimeric derivatives of the indolocarbazole K-252A, exhibiting a broad range of biological properties including the enhancing the survival of neurons and the inhibition of tyrosine kinases.



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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

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

HIGHLIGHTS THIS WEEK

Affymetrix has entered into an interesting three way collaboration, involving both the **University of California** and the **French CNRS**, targeting **kinase inhibitors**, and in particular **CDK2**. The standard used to assess the output of this combinatorial synthesis program was **flavopiridol**, the **National Cancer Institute**'s potential therapy for cancer and proliferative disorders, now at the phase II stage in collaboration with Hoechst. The project led to the identification of **purvalanol B**, a compound with 30-fold greater affinity than flavopiridol. This pioneering work was reported last year in the journal Science, and features prominently in a recent authoritative review of progress in the field of **serine/threonine protein kinase inhibitor** discovery (*Current Opinion in Drug Discovery & Development* 1999 2 (2): 96-109).

Further fundamental advances in combinatorial technology are reported in applications from teams of **US and Swedish inventors**, apparently filing independently, but in fact linked through previous inventions to pharmaceutical companies. The US team, concerned with synthesis and selection of bi- and triaromatic compounds from a universal library, has been linked most closely in the past with **Warner-Lambert**'s discovery program, but there has also been involvement with **Sphinx** and **Vertex**, and there is an indication that the expertise may have originated at the **University of Columbia** in New York. The Swedish work relates to imprinting of molecules onto polymers, which can then be used for screening combinatorial libraries. The most obvious link in this case is with **IGEN**, although in the past there were filings naming **Pharmacia Biotech** as applicant.

Among the eleven Chiron applications appearing in Section D, one is a joint application between Chiron and California-based **Hyseq Inc**, which claims isolated genes and **gene expression products**. Since this collaboration began at the end of May 1997, Hyseq has analyzed over 1.5 million samples from diverse tissues for Chiron, to create one of the **world's largest proprietary cancer gene databases**. Patent applications have been filed seeking **protection for 2,200 genes**.

Among the new compound cases, one naming **MethylGene** and the **Wesleyan University** as joint applicants stands out. MethylGene is a Canadian joint venture, the name reflecting the company's original focus on **inhibitors of mRNA from the DNA methyltransferase gene**. Now, from the present invention, there is an apparent shift to inhibitors of **b-lactamases and DD-peptidases**. The team responsible for this work is based in Canada and the US, and includes a Jordanian national, a relatively rare event in international patenting. However, the only experienced member of the team, in terms of international patenting, was working at Glaxo's US research site in the early 1990s on a project involving the synthesis of camptothecin derivatives.