

CURRENT PATENTS GAZETTE



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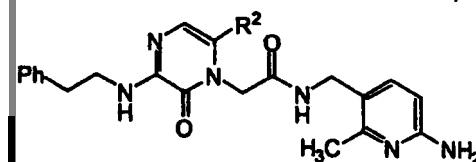
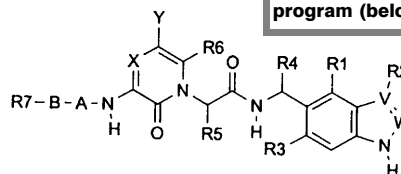
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DRUG PATENTING IN CONTEXT

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 inter-related documents.

NEW THIS WEEK

Pfizer appears to be moving into the area of antithrombotic pyrazinone derivatives (left), a field in which **Merck** has a considerable development program (below)



HIGHLIGHTS THIS WEEK

On April 28th the European Union joined Australia, Japan, Singapore and the US in allowing for drugs to be designated “**orphan medicinal products**”. The designation is dependent upon the drug’s being intended for the diagnosis, prevention or treatment of a life-threatening or chronically debilitating condition affecting not more than **5 in 10,000 people** in the Community. Incentives set out by the European Commission include a guaranteed exclusive right to market for up to 10 years and possible exemption from approval fees. The USA has had similar legislation since 1983, although subsequent amendments have been incorporated such as the definition of a rare disease as one affecting 7.5 people per 10,000, and an extension to include medical equipment and health food products. Seven years marketing exclusivity is granted to the first company filing a New Drug Application, together with certain tax credits. In Japan, where the policy was adopted in 1993, the disease must be incurable or the drug must be a great improvement over available treatments. The incidence must be a maximum of 4 people in 10,000. Orphan products have the benefit of a fast-track marketing authorisation procedure and the exclusivity period is ten years. The Australian legislation, which came into force in 1997, allows for 5 years exclusivity and waives regulatory fees, although there are no grants or tax incentives. The incidence of the disease here is 2,000 or fewer patients in the population. The Singapore orphan drug recommendations allow for the import of products with marketing approval in their country of origin or country where the orphan drug has been used. The drug must have no effective substitute for the treatment of a rare disease, defined as life-threatening or severely debilitating. There are no particular financial or tax incentives.

For almost a decade, Lilly has embarked on a large-scale research program relating to **antifungal echinocandin derivatives**. However, the lead compound that finally emerged, **anidulafungin**, was licensed to **Versicor** last June. Lilly’s patenting activity in this area is undiminished, with at least three patent applications being published over the last few weeks. This week, a method for modifying the cyclic peptide ring nucleus of echinocandins is claimed, similar to WO0011023 published 9 weeks ago as well as WO0012540, which described boronate complexes of the compounds and their increased aqueous solubility. Whether Lilly continued to file applications after the finalisation of the licensing agreement remains to be seen, but another application this week may indicate a shift of interest to other natural product antifungals. Filed by scientists previously involved in echinocandin research alongside a Spanish inventor, this disclosure claims **spirocyclic glycosides** that are said to be useful as intermediates for the synthesis of papulacandins and fusacandins. These compounds bear little structural resemblance to echinocandins but act via a similar mechanism and have been previously investigated as potential antifungals by **Ciba-Geigy, Abbott** and, most notably **Merck** (the originator of anidulafungin’s only serious competitor, **caspofungin**).

A dispute over gene patenting has emerged between the **NIH** and the **USPTO**. The disagreement centers on whether a patent should be granted on a genomic sequence of unknown function merely because it is similar to a separate sequence whose function is already understood. USPTO officials argue that patents should be granted on such a ‘homologous’ sequence if the two sequences are **sufficiently similar to make it likely** that the biological function of the product of the new sequence can be predicted with a high degree of confidence. However, NIH officials, backed by groups such as the **Association of American Medical Colleges (AAMC)**, are challenging this interpretation by arguing that a difference in a single base pair in a gene sequence can have important functional implications. The USPTO is currently revising its rules for awarding gene patents to apply stricter criteria in judging whether an invention can be considered genuinely useful (*Nature* **403**, 3; 2000).