

CURRENT PATENTS GAZETTE



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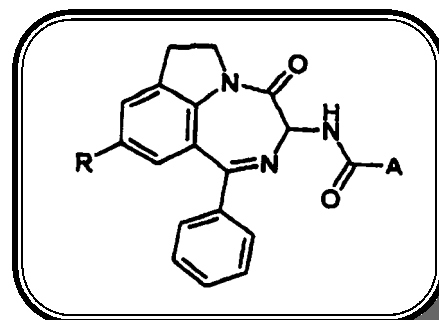
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DRUG PATENTING IN CONTEXT

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.

This week sees Jouveinal,

Parke-Davis' French arm, claim diazepinoindoles acting as PDE IV inhibitors, describing their use in the treatment of asthma and inflammation. This work may relate to lead compounds CI-1018 and PD-190036, currently phase I and preclinical studies respectively.



HIGHLIGHTS THIS WEEK

Plants genetics may at first sight seem to have little relevance to pharmaceuticals, but two inventions of this type, from **Pioneer Hi-Bred** and **DeKalb**, are included in this week's biotech section. Genetic modifications explicitly aimed at improving plants' resistance to disease or herbicides are not considered relevant to therapeutics, but certain modifications are directed towards altering their final chemical composition. This sometimes involves secretion of actual drugs or intermediates, such as steroids, but more often adjustment of the balance of nutritional components, as could be the case with the two modified maize cases this week. Pioneer, collaborating with **CuraGen** on **Gene Calling technology**, is a particularly vigorous GM plant patentee, with 33 international applications published so far this year; more than half of these, mostly relating to maize and soya beans, were judged suitable for inclusion in the Gazette. An example of plant modification with quite definite "**nutraceutical**" implications comes from **Dow Agrosciences**, whose claim is to expression of an *Aspergillus nidulans* **delta-9 CoA desaturase**, which gives rise to a modified fatty acid composition.

Rigel Pharmaceuticals of San Francisco has one of only three applications ever published to use the term "**Toso**" - one previous use of the word is in a Japanese application on the subject of **sake**, the fermented beverage. Indeed from the non-patent literature it is clear that this **TNF-mediated apoptosis-inhibiting protein** was quite deliberately named after the drink by the scientists at **Stanford University** who characterized it: toso is drunk in Japan at New Year to celebrate long life and eternal youth. Rigel licensed the technology, and filed the present application just three weeks before the April 1998 press release announcing the Stanford work. Stanford's own application, entitled simply "Toso", was filed initially in November 1997, and appeared 18 months later as **WO9925832**.

Bayer is seeking protection for a synthesis of **valiolone**, which may be used as an intermediate not only for its own acarbose antidiabetic, but also for another **α -glucosidase inhibitor**, Takeda's **voglibose**. A similar widening of interest seems also to be implied by the grant of a US patent to **Degussa**, whose synthesis of a **lysyl proline derivative** leads ultimately to **Merck's** successful **ACE inhibitor lisinopril**. California-based **Catalytica Pharmaceuticals**, purchaser in 1997 of Glaxo's Greenville manufacturing site, has claims to **acyl aromatic derivatives** which may be used as intermediates for estrogen modulators such as **tamoxifen** and **droloxifene**. Interest in these products may be relevant to an agreement signed six months ago with **Lilly**, whose **raloxifene** was launched a year ago. Incidentally, the link between Catalytica and Glaxo has a further twist, in that the latter's former CEO is now a director of Catalytica.

Scientists at Lundbeck, DuPont Merck and HMR are all turning their attention to the technology needed for combinatorial synthesis and screening programs. In the case of Lundbeck, it seems that chemists previously engaged in the conventional design and synthesis of **neurotransmitter receptor modulators** have devised a **selenium-based solid phase synthesis support**. Both DuPont and HMR have developed **microtiter plates** for use in **high-throughput screening**. More specialized companies with inventions in this rapidly-growing field include **Tularik**, with four innovative screening techniques, and the Canadian company **Synsorb Biotech** with three improvements on **mass spectrometer electrospray equipment**, possibly originating from an **Alberta Research Council** project.