

CURRENT PATENTS GAZETTE



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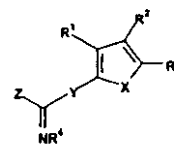
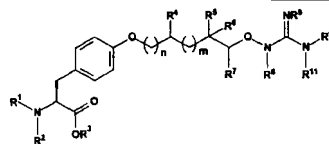
18TH AUGUST 2000

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.

NEW THIS WEEK

Guanidines derivatives seem to be the subject of two projects at 3-Dimensional Pharm, claiming tyrosine alkoxy-guanidines as integrin inhibitors - possibly a new approach for 3-D (Page 2)



..... while elsewhere there are two further applications describing the use of guanidines in the company's more traditional area of protease inhibitors (Page 2)

HIGHLIGHTS THIS WEEK

The humble sea grape cannot often come under public scrutiny to quite the extent that it does in one of this week's US patents. A Florida-based private inventor, William Buckley, is claiming that an extract of the leaf of this plant, *Coccoloba uvifera*, is useful in controlling the **blood sugar levels of diabetes patients**. The remarkable aspect of the specification is not so much the inventor's description of the therapy, which appears detailed and competent, as the Examiner's citations, also detailed but arguably less helpful in the long term. The reason is that of 41 prior art references only three, the earliest from **Formosa** in 1967, are to conventional printed sources. **Raintree Nutrition** in particular, with more than 30 references to its website, must be especially pleased to have received this publicity bonus. However, as discussed on a previous occasion in these Highlights, the availability and content of websites is invariably ephemeral, so the researcher now checking the relevance of the Examiner's citations cannot be sure of finding the items in the same form. Ironically, the references to <http://rain-tree.com> seem to have been included to demonstrate that the sea grape is not among the 31 species of tropical plant with known utility in diabetes. Certainly *Coccoloba uvifera* does not appear in the alphabetical listings of medicinal plants from the rain forests, nor can it be located anywhere on the website by the "...New Search Engine to make sure you don't miss any information...". But now presumably it is only a matter of time before the sea grape gets added to what is clearly a very competent listing, and the website will no longer prove the Examiner's point!

Natural products featuring elsewhere include **phytosterols**, the subject of an extraction process from **Cognis Deutschland**, and the **cryptophycins** which **Lilly** is pursuing in collaboration with teams at **Wayne State** and **Hawaii** universities. That joint project now also extends to **tetrahydrolipstatins**, and lipstatin purification is the subject of a **Roche** European application. The **terpenoid** theme being followed by **Zylepsis** seems to have links to work on oxidative enzymes and drug combinations, though the context may now be primarily the development of cosmetic products.

Antiviral optimization seems to be on the agenda for several companies. In its specialist field of NNRTIs, **Medivir** has already achieved considerable success in identifying leads. These include **MIV-150**, licensed to **Chiron**, whilst **MIV-606** has been re-purchased from **Abbott** together with the latter's scaled-up process technology. Now a large team is focusing on side chain variations with the declared aim of achieving improved pharmacokinetic properties. A similar motivation may also explain **Glaxo's** involvement with **University College Cardiff Consultants Ltd**, whose focus is on esters of a close analogue of **abacavir**; the analogue itself is claimed also for use in hepatitis B. In Canada, **Biochem Pharma** are seeking to improve the synthesis of nucleoside analogues, employing hydrolytic enzymes to produce dioxolane sidechains of the type seen in the phase II candidate **troxacitabine (aka BCH-4556)**.

From Germany comes news of a commitment to apply a narrow interpretation to new national legislation governing the **patenting of genetic material**. The changes are needed, as they will be throughout the EC, in order to bring national laws into line with the EC's Directive on the legal protection of biotechnology. The consensus between government officials, academics and industrial researchers seems to be that the promised narrow interpretation will be "**research friendly**", at the same time as providing reasonable legal certainty for those embarking on commercial enterprises.