

# CURRENT PATENTS GAZETTE



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WEEK 24

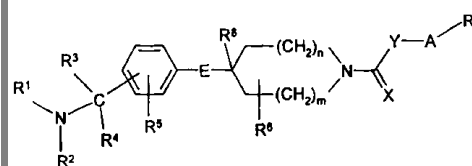
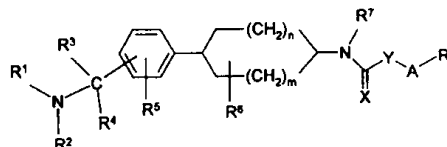
JUNE 15TH 1999

## 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

Boehringer Ingelheim claims thio- and dithio- analogs of urethane as cholesterol biosynthesis inhibitors.



## HIGHLIGHTS THIS WEEK

**Glycomimetics are the target** of a collaboration between **Glycomed** and **Sankyo** that gives rise to two new applications this week. The compounds claimed mimic the active features of biologically important oligosaccharides, such as **sialyl Lewis<sup>x</sup>** and **sialyl Lewis<sup>a</sup>**, act as **selectin ligands** in the treatment of inflammation and inhibition of cell adhesion. The companies are long time associates, with work dating back to 1994, and their efforts in this area have already yielded two lead compounds **GM-1998** and **GM-1986** for preclinical development. More recently however, in March Sankyo has reported the discontinuation of their interest in the development of GM-1896. Selectin inhibitors are also the subject of an application from **Sumitomo** claiming hydroxylamine derivatives with this activity. The company has a number of compounds under preclinical investigations for inhibitory activity against E-selectin mediated cell adhesion. It is also collaborating with **Cytel** on a range of selectin antibodies for the treatment of cardiovascular disease, including **CY-1747** and **CY-1788** (both preclinical), **CY-1748** and **CY-1787** (phase I).

**Boehringer Ingelheim** has two applications this week covering thio- and dithio- analogs of urethane which exhibit an inhibiting effect on **cholesterol biosynthesis**. The compounds bear some resemblance to **BIBX-79**, an oxidosqualene cyclase inhibitor that had been in development as a potential treatment for hyperlipidemia but was discontinued in early 1997, and may be follow up compounds to this abandoned lead. The second of these two cases concentrates on urethanes derived from azacycloalkanes, and specifically describes their use as 2,3-epoxysqualene lanesterol cyclase inhibitors. Elsewhere, the work of a different team can be seen in a third application from **Boehringer**, claiming aminocarbonyl substituted bicyclic thrombin inhibitors.

**A process for the total synthesis of eleutherobin** features in an application from **Columbia University**, New York. The compound, which has properties very similar to **paclitaxel** is a potential anticancer drug. Like paclitaxel, however, it is derived from a scarce natural source, an Indian Ocean soft coral and alternative methods for producing it, or at least its derivatives, are essential to its commercial development. No previous research on this compound has been identified and the drug is actually developed by **Bristol-Myers Squibb**, whereas **Novartis** has conducted research on its analogs. The synthesis of analogues of two other structurally complex anticancer drugs, **CC-1065** and **duocarmycin** has been claimed by the **Scripps Research Institute**.