

CURRENT PATENTS GAZETTE



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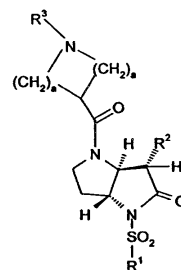
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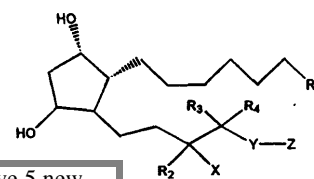
DRUG PATENTING IN CONTEXT

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.

NEW THIS WEEK



Pyrrolopyrrolones and pyrrolidines from the backbone of new serine protease and neutrophil elastase inhibitors from Glaxo.....



.....while P&G have 5 new applications claiming prostaglandin F analogues for the treatment of glaucoma and bone disorders.

HIGHLIGHTS THIS WEEK

Pyrrolopyrrolones and pyrrolidines are the focus of **Glaxo's** attentions this week with three applications claiming these compounds as **neutrophil elastase inhibitors** with potential for **bronchitis** and **inflammatory conditions of the respiratory tract**. A further three applications from the same team describe related **furopyrrolidines** and **pyrrolopyrrolidines** acting as inhibitors of **serine protease** with some application in the treatment of **thrombosis** and other vascular system diseases in addition to respiratory disorders. These compounds share common roots in a series of sulfonated pyrrolone derivatives claimed by Glaxo in WO9736903. In a seventh unrelated application from Glaxo also disclose the activity of new **2,3-diarylpyrazolo[1,5-b]pyridiazines** as **COX-2 inhibitors**. **P&G** has also had a very productive week with three applications claiming novel **prostaglandin F analogues** as **FP agonists** for the treatment of **glaucoma** and **bone disorders** with two more claiming accompanying synthetic processes.

A hitherto unknown company, Fleximer (based in San Leandro, California) has filed an application on an intriguing subject, **hybrid composite articles** comprising **polymer whiskers** to which biologically active entities, such as receptors, cells, antibodies, enzymes *etc* are attached. The whiskers can be caused to contract or to expand by changing certain thermodynamic parameters, eg temperature, pH or light, thereby switching the attached entities between active and inactive states. The potential applications for these "smart" entities are enormous. For example, enzymes can be linked to the whiskers and their catalytic activity can be switched on and off by a simple change in temperature or light conditions. Alternatively, stem cells can be isolated from blood samples by attaching stem cell-specific antibodies to the whiskers, switching them "on", exposing them to the blood sample and, after the stem cells have been attracted and attached to the antibody, switching them "off". The whiskers, together with the stem cells, coalesce or flocculate and can be easily removed from the sample. Subsequently, the particles are expanded again and the stem cells can be removed from the particles via known chemical methods. The potentially most useful application, however, is the screening of **combinatorial libraries**, where drug targets, such as receptors, are bound to the whiskers, exposed to the library, and again, the most active molecules easily be collected by collapsing the whiskers and removing them from the solvent.

The ever-continuing quest for improved **DNA sequencing techniques** is evident again in this week's Biotechnology section. **Thermostable DNA polymerases** are the topic of two applications. **Enzyco**, a new company based in Denver US, claims DNA polymerase III holoenzyme subunits of *T thermophilus*, while **Roche** claims enhanced cost and efficiency advantages for sequencing using altered thermostable polymerases. **SEQ**, known for its breakthrough DNA sequencing technology, has two applications regarding new sequencing methods. SEQ are currently collaborating with the likes of **Zeneca** and **BMS**.

Finally, last week, readers of **Dana-Farber's WO9911281**, describing the treatment of septic shock with G protein binding agents, may have been confused to find the main body of the text came from **Louisiana State Univ's WO9911282**, about contraception or sterilization with amphipathic lytic peptides and *vice versa*. Owing to a mix up in production of both hard copy and CD editions the front page of each document was released alongside the specification of the other. WIPO have now been made of this situation and the corrected versions of these specifications will be republished in due course.