

CURRENT PATENTS GAZETTE



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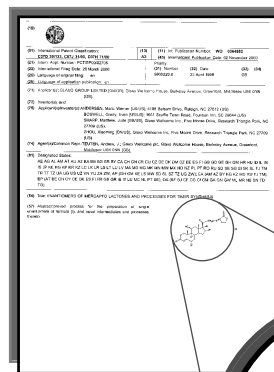
DRUG PATENTING IN CONTEXT

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. Applications filed jointly, representing collaborative research, are highlighted, as are sequences of inter-related documents.

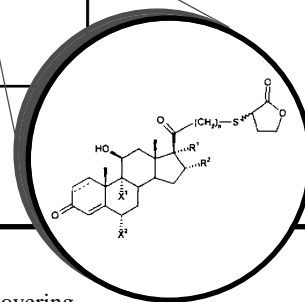
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IN THIS WEEK'S GAZETTE



An enantioselective synthesis for steroid mercapto lactones from Glaxo may relate to two clinical candidates from GW's pipeline for asthma, GW-215864 and GW-250495 (phase II and I respectively), described as hydrolyzable steroid agonists (Page 25)



HIGHLIGHTS THIS WEEK

Malaysia, Illinois and Cambridge (UK) are implicated in a theme covering several of this week's inventions. **Latex** from the *Calophyllum lanigerum* tree was screened by the **US Government's National Cancer Institute** in 1992 and shown to have useful activity in an **HIV antiviral screen**. The **State of Sarawak**, origin of the plant extract, retained certain rights to the invention (WO9320082), and by early 1997 a joint venture was established with Illinois-based **MediChem Research** in order to develop the most potent component, calanolide A. **Sarawak MediChem Pharmaceuticals**, as the new company is called, now has a patent application published with claims to a chiral synthesis of both the (+)-A and (-)-B components of **calanolide**, the latter (now called **costatolide**) also being under study at the NCI. This invention complements one published in mid-1999 with claims to extraction of these components from plant material (WO9932492). A previous calanolide A synthesis process was the subject of an application from MediChem Research (WO9838193).

By chance presumably, two other inventions link to the one from **Sarawak MediChem** mentioned above. Apparently not connected with the Illinois venture, there is a Spanish company also called **Medichem**, with claims to an ion-exchange process for production of pharmaceutical grade **gabapentin**; this follows a case claiming a non-hydrated polymorph of gabapentin (WO9961408). More relevant to Sarawak MediChem is a case relating to **synthesis of calanolide precursors**, in the name of **Chirotech Technology**, the Cambridge-based subsidiary of **Chiroscience** (now merged with **Celltech**); it may be that the simultaneous filing of these applications is pure coincidence, or possibly Chirotech has some formal involvement in the development of calanolides.

IRL Inc of New Jersey is named as applicant on an application relating to **novel oligosaccharide antibacterial agents**. The di- and trisaccharides are structurally related to the moenomycin class of antibiotics. Although the name of IRL seems not to have appeared on patent applications previously, it corresponds to **Incara Research Laboratories**, the name adopted when **Intercardia Pharmaceuticals** acquired **Transcell Technologies**, the **Interneuron Pharmaceuticals** subsidiary. The web of corporate nomenclature does not end there, however, since Incara itself was purchased early in 2000 by **Advanced Medicine**, a prolific patentee of antimicrobials in particular. On this basis, this week's application may be the only one ever to appear naming IRL Inc as applicant.

It is relatively unusual for candidates of unknown structure to enter advanced clinical trials, but that is what seems to have happened in the case of one of **GlaxoWellcome's** antiasthmatic steroid antagonists, **GW-215864**. In general, companies seeking a licensee to assist in development of a promising compound will be very quick to disclose full structural and biological details as soon as the initial preclinical results begin to emerge. In contrast, a large company with adequate internal resources is more likely to keep such details confidential as long as is practical, possibly until phase III clinical trials are under way. However, the patenting of technologies needed during the development process cannot be avoided indefinitely, and a chiral chemical synthesis claimed by GW this week may point to the nature of the company's most promising candidates, reportedly in phases I and II. If this line of reasoning is correct, the compounds in question are from a series of three new compound applications published more than three years ago, WO9724365, WO9724367 and WO9724368.