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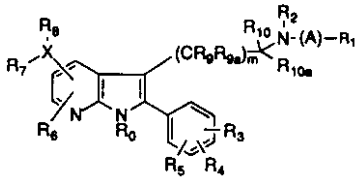
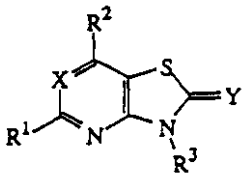
DRUG PATENTING IN CONTEXT

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

Merck has 6 new applications claiming GnRH antagonists .....





.....while DuPont looks at thiazolo[4,5-*d*]pyridines and pyrimidines as potential CRF modulators

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HIGHLIGHTS THIS WEEK

The consolidation of long running projects can be seen at the heart of several of this week's New Compounds cases. **Merck** has six applications covering **antagonists of gonadotropin releasing hormone**, an area in which the company has already built up a substantial body of work, mainly focussing on indole and quinolone derivatives. The novelty here appears to stem from the introduction of nitrogen into the six membered ring of the indole moiety. **SB** and **NPS** have two more cases arising from their joint **osteoporosis** project claiming new calcilytic compounds. Dating back to 1993, this collaboration has already resulted in several earlier applications and the identification of a number of lead compounds, and also involved some work funded by NPS at Brigham and Women's Hospital. **Corticotrophin releasing factor ligands** are the target of both **DuPont** and **Neurogen**. DuPont, with an application claiming thiazolo[4,5-*d*]pyrimidine based CRF antagonists and a second describing the use of α-aryl-β-ketonitriles as CRF ligand intermediates, is a long time collaborator of **Neurocrine Bioscience** and **Cephalon** in this field seeking potential antidepressants and anxiolytics. Neurogen, on the other hand, has four cases covering various derivatives of 9H-pyridino[4,5-*b*]indoles and pyrrolo[2,3-*b*]pyridines and -pyrimidines, CRF1 selective ligands with applications in the treatment of stress disorders. The company's current lead in this area, **NGD-98-1**, is expected to enter phase I trials before the end of the year.

Chemicus, a drug discovery technology company based in Watertown, Massachusetts, have their first patent application published this week claiming the solid phase synthesis of organic compounds, such as oligonucleotides, via phosphitylating reagents and the production of combinatorial libraries of these compounds. The company was founded in 1998, and the invention is probably part of its **P-Link technology**, a novel synthesis method for the efficient linkage of a wide range of chemical building blocks. Elsewhere among the week's process cases, both **Lonza** and **SB** have applications describing structurally similar intermediates in the production of **purine antivirals**. In their publication, SB specifies **famciclovir** and **penciclovir** as final products, whereas Lonza, close associates of Glaxo, are more likely to be considering **abacavir**. Finally, **Sepracor** has claims to all four enantiomeric forms of **desformoterol**, the 3-deformylated analogue of **formoterol**, outlining their high selectivity for **b_2 receptors**.

Connaught Laboratories, having initiated the first AIDS vaccine trial in Africa utilizing its **ALVAC-HIV (vCP205) canarypox vaccine**, continues its interest in the field, with an application regarding **HIV specific cytotoxic T cell responses** in this week's Biotechnology section. Further applications relating specifically to HIV include one from **Bermuda-based Cytran Ltd**, a subsidiary of US-based Cytran Inc. This application claims the **use of L-Glu-L-Trp** in the treatment of HIV infection. The Japanese company **Marine Bio** is also involved, claiming **HIV cofactor inhibitors** and medicinal compositions in an application that strays somewhat from the company's recent patenting.