

CURRENT PATENTS GAZETTE



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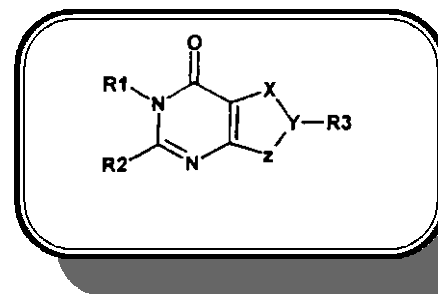
DRUG PATENTING IN CONTEXT

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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PDE 7 appears to be the focus of a new project from Celltech Chiroscience with claims this week to a range of 9-(1,2,3,4-tetrahydro-naphthalen-1-yl)-1,9-dihydropurin-6-one derivatives designed as inhibitors of this fairly uncommon target ([Page 6](#))



HIGHLIGHTS THIS WEEK

Celltech Chiroscience appears to be moving into new areas this week with claims modulators of the **PDE 7 receptor**. In an application published, as is the company's custom, under the name **Darwin Discovery Ltd**, a range of 9-(1,2,3,4-tetrahydronaphthalen-1-yl)-1,9-dihydropurin-6-one derivatives which act as inhibitors of this fairly uncommon target. PDE 7 is a recently identified cAMP-specific phosphodiesterase that is unaffected by either cGMP or other known PDE inhibitors. First characterised in 1993, the exact biological significance PDE 7 is not yet known. PDE 7 mRNA is highly expressed in skeletal muscle and can be detected in heart, spleen, B- and T-lymphocytes, kidney, brain and pancreas but the protein itself and its activity is only observed in T-cell lines and fetal tissues, suggesting that its translation or stability is highly regulated. It is thought to play a role in T-cell activation, and so appears to provide a new target for the development of immunomodulators. As yet very few selective PDE 7 inhibitors have been described, among the first were a series of benzyl derivatives of 2,1,3-benzo- and benzothieno[3,2-a]thiadiazine 2,2-dioxides reported by a team from the **Instituto de Quimica Medica**, Madrid earlier this year (*J Med Chem* 2000;43 (4):683-9). As yet it does not seem to have been widely adopted as a target by the pharmaceutical sector, so we await the development of this Celltech program with interest.

Integrin antagonists appear to be the focus of attention of several companies this week. Prominent among these is a series of three applications from **Aventis Pharma** claiming a broad range of bicyclic VLA-4 integrin antagonists. Filed by a British based corporate agent, these may signify the wrapping up of the Anglo-French program that gave rise to similar integrin antagonists disclosed in WO9954321, WO0015612 and WO0039103. The UK side of this operation at Dagenham site was wound down as part of the recent **HMR/RPR** merger. The first of these new application names, specifically a series of claiming a series of substituted pyrrolidines names only the French based members of the team while the latter, covering a broader range of bicyclic and urea based cell adhesion modulators originate from the UK. Other recent examples from the British side of the program can be seen in WO0049005 and WO0061580. Elsewhere, **Texas Biotechnology** has claims to a range of propanoic and other carboxylic acid derivatives that inhibit the binding of $\alpha_4\beta_1$ integrin to receptors, such as VCAM-1 and fibronectin.

Australia is the source of a rather odd and as yet unexplained **duplication phenomenon**, since there are what seem to be two identical applications from that continent entitled "A process of cell reprogramming through production of a heterokaryon". That in the name of **Stem Cell Sciences Pty Ltd** of Victoria names five inventors, all residing in the locality. The second case names **Relag Pty Ltd** and **Garelag Pty Ltd** of Melbourne, with two inventors based in **South Australia**. Such duplication sometimes results from compilation errors, whereby the same title and abstract become associated with two different sets of technical data. On this occasion however the same records appear in the final electronic Gazette, and so must be taken seriously.

Deserving a second glance is the **Hewlett-Packard** US patent issued this week with an abstract including the phrase "**type matching reduces the likelihood of creating unfit child organisms**". The specification itself bears this innocuous, perhaps incomprehensible, title "**Valence correct molecular structures using cellular encoding**", but it is clear that there is a hint of **eugenic manipulation** in this invention. Perhaps most surprising is that the USPTO has found it possible to grant such a potentially contentious case within 15 months or so. Another similarly puzzling invention comes from **Innovative Systems Inc** of Pennsylvania, claiming a "**Method of social network generation**". This is apparently a way of linking customers with the value of their customer group or household, but may also be used to track drugs and their effect on a disease. These "business method" inventions are apparently becoming more frequent.