

CURRENT PATENTS GAZETTE



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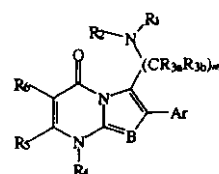
DRUG PATENTING IN CONTEXT

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.

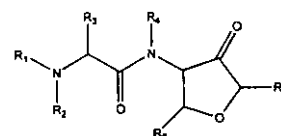
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NEW THIS WEEK



Anellated pyrimidinones as GnRH antagonists from **Neurocrine Biosciences** (left) and furanones as cathepsin inhibitors from **Medivir/Peptidimmune** (below)



.... possibly representing the first concrete results of two long-standing screening programs of these companies

HIGHLIGHTS THIS WEEK

Already in its tenth year, EP550696 was finally granted this week to **Roche**. The subject matter is a thermostable nucleic acid polymerase enzyme from **Thermosipho Africanus**. It will be interesting to see if this generates the same level of interest as the European patent to Taq polymerase which is used in the **polymerase chain reaction (PCR)**.

Elsewhere, it would appear that **AstraZeneca** are revisiting some of their older compounds. An application this week describes a particular enantiomer of a compound claimed in **EP154528**, filed in 1985. The compounds in the earlier specification are said to be **antiandrogenic**; the new enantiomer is said to have peripherally-selective antiandrogenic activity.

This week also sees two new product cases that describe the first concrete results of well known drug screening and discovery programs have been published. Firstly, a joint application of **Medivir** and **Peptimmune**, discloses novel furanone derivatives as **cathepsin S inhibitors** with potential use for the treatment of degenerative and autoimmune diseases. Two more organisations have contributed to the identification of these compounds. **Peptide Therapeutics** has utilised its RAPID (rational approach to protease inhibitor design) screening technology to identify the substances before its drug discovery unit was sold to **Medivir**. Furthermore, the program was based on cathepsin S technology from the **Massachusetts Institute of Technology**, probably the method of using cathepsin S inhibitors for the treatment of autoimmune diseases, disclosed in **WO9740066**.

The other comes from San Diego-based **Neurocrine Biosciences**, disclosing novel small molecule GnRH antagonists for the treatment of sex-hormone related conditions, such as prostate and breast cancer or endometriosis. In addition to the obvious advantages of the small molecules regarding oral versus injectable therapy, compared with currently available **peptidic GnRH agonists**, such as **goserelin** or **leuprorelin**, direct antagonism of GnRH has been shown to lead to a more rapid suppression of gonadotropins without the flare associated with agonists. At least since the grant of an NIH research award, followed by various publication in scientific journals, the company generated considerable publicity on this subject. The drugs were developed with the aid of **Neurocrine's** rapid development of small molecule antagonists against **G-protein coupled receptors (GPCR)** platform.