

CURRENT PATENTS GAZETTE



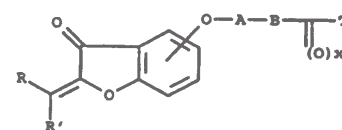
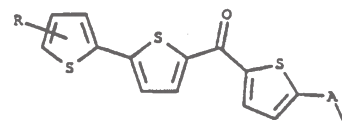
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DRUG PATENTING IN CONTEXT

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. 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

Boehringer Mannheim's uPA antagonist programme continues under the auspices of Roche with two new applications describing oligothiophenes and hydroxycumarones with this activity.



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New Compounds- novel entities, with images of front pages adding valuable additional information

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Section D

Biotechnology- molecular biology, nucleic acids, proteins, transgenics and gene therapy

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Urokinase-type plasminogen activator (uPA) antagonists were being researched by **Boehringer Mannheim** prior to the Company's acquisition by **Roche**. However, a mixed Italian and German team has two new compound cases this week on the subject, one in each applicant name.

The leukocyte adhesion inhibitor collaboration announced by **Athena and AHP** in mid-1995 has yielded a series of eight applications covering a variety of small molecules acting as **inhibitors of VLA-4-mediated leukocyte adhesion**. The range of indications proposed for these agents is considerable, and includes **multiple sclerosis**. The 12-strong team is based mainly at Athena's California site. In earlier Athena patenting, for example WO9601644, small peptides with the same action and indication were claimed.

The neuropeptide Y5 receptor gene, claimed by **Synaptic** in US5602024 and by the **Garvan Institute** in WO9717440, is now implicated in **control of circadian rhythmicity**. **BMS** is claiming a method of treating sleep disturbances by administration of **NPY-5 modulators**; until now, it has been the Y2 receptor which has been most strongly associated with circadian rhythmicity. Synaptic's Y5 work, in which Novartis has an interest, has focused on eating disorders, and in particular the treatment of obesity. NPY modulators with specificity for the Y5 receptor are not yet being widely studied. **BMS** has an NPY antagonist with unspecified action, **BMS-192548**, in preclinical investigation for depression, and is collaborating with Garvan.

Abiogen Pharma, based in Rome, has two applications published this week describing abzymes, that is catalytic monoclonal antibodies. The inventors are apparently located at **Istituto Gentili** in Pisa; **Merck** took a controlling interest in Gentili in 1997. Abiogen recently licensed the anxiolytic BTG-1640, and is now claiming the **selective lysis of plaques and fibrillar aggregates**, useful in such conditions as Alzheimer's disease and prion diseases. In a second case **in vivo transformation of corticosteroid prodrugs** is claimed; this has potential in emergency **therapy of acute adrenal insufficiency**. Antibody Directed Abzyme Prodrug Therapy (**ADAPT**) has also been the subject of patenting by Zeneca, whose EP745673 describes tumor treatment.

SB (US) has two broad cases concerned with the **use of caspases** in the therapy of a range of conditions associated with **apoptosis**. **IDUN**, collaborating with Novartis, is one of several companies already investigating the role of caspases in apoptosis. SB's previous research in this emerging field, as illustrated in EP841399, focused on mammalian fin-1 protein, an inhibitor of **ICE-LAP7 (FLICE)**.

Baylor College has an application detailing the role of chicken ovalbumin upstream promoter-transcription factor I (**COUP-TFI**) in the central and peripheral nervous systems. Antagonists of this member of the **steroid receptor superfamily** also have potential in the **treatment of bone degeneration and inner ear diseases**. The same team cloned the COUP-TF gene some ten years previously (EP392691), and the Salk Institute was also working in the field in the early 1990s (WO9311235), but ligands have yet to be identified for the COUP-TF family members.