

CURRENT PATENTS GAZETTE



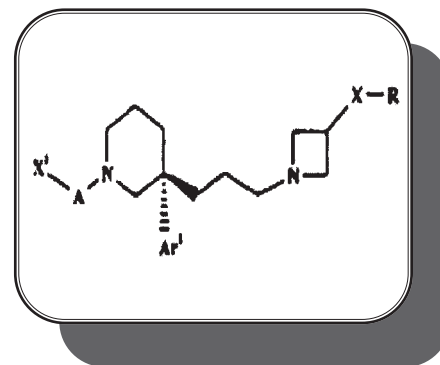
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DRUG PATENTING IN CONTEXT

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.

THIS WEEK

Pfizer has seven PCT applications describing the new developments in its research programmes, including a new compounds case involving azetidinypropylpiperidine tachykinin antagonists.



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

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New Uses, Formulations & Methods of Treatment- developments extending and enhancing the utility of existing products, including diagnostic and analytical applications

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Section D

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

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HIGHLIGHTS THIS WEEK

Schering Corp, originator of the successful antihistamine **loratadine**, is claiming polymorphs of its descarbethoxy metabolite, previously known as **descarbethoxyloratadine (DCL)**, but now in Phase III trials as **desloratadine**. DCL's superior action, due partly to strong **muscarinic antagonist** activity, was discovered by **Sepracor**, but Schering obtained a license in December 1997. This patenting of a new crystal form by Schering further reinforces the protection afforded by Sepracor's method of use patent, reportedly expiring in 2014, and the subsequent formulation cases. **Merck** seems to be adopting a similar strategy with respect to a **triazolomethylmorpholine tachykinin antagonist**, claiming a polymorphic form; this may well correspond to **MK-869**, a potential antidepressant apparently still not firmly identified, despite having reached Phase II trials.

Alanex, now part of **Agouron**, entered into a collaboration with **Novo Nordisk** almost three years ago, aimed at using Alanex's **combinatorial technology** to identify potential antidiabetics. **Glucagon antagonists** and **inverse agonists** based on a central hydrazide moiety are now jointly claimed. Novo's **NC-92-1687**, a benzimidazole, and **Pfizer's CP-997111** seem to be the only clear non-peptide leads to date with glucagon antagonist action, although several relevant applications from **Merck** appeared during 1998.

Osiris Therapeutics of Maryland, specialising in **antibody technology** for human osteogenic and mesenchymal stem cells, has now enlisted two university researchers in **Chile**. Their new application describes a method of administering a growth factor to an individual, and subsequently recovering from the peripheral blood a population of cells with enhanced mesenchymal stem cell content. Also this week comes a rare case from **Brazil**, relating to **antineoplastic** and **immunostimulant thymine compositions**, supplemented with **kynurenine** or **tryptophan**.

Pfizer has seven PCT applications published this week, four of them claiming novel dosage forms of **sertraline**. This **5-HT uptake inhibitor**, now widely launched as an **antidepressant**, is in trials for additional indications including compulsive-obsessive disorder, arrhythmia and post-traumatic stress. The novel formulations now claimed are gelatine capsules, solutions, sustained release salts, and delayed release dosage forms. Elsewhere there are claims to novel **tachykinin antagonists**, to **NPY 5 antagonists** and **partial agonists**, and to compositions containing eletriptan hemisulfate and caffeine. The **5-HT1D agonist** eletriptan is approaching registration for use in migraine. Pfizer is collaborating with **Neurogenon** on the NPY project, and from this work the **NPY 1 antagonist NGD-95-1** has already reached the clinical phase.

Patents relating to Glaxo Wellcome's BW-373U86 are now appearing in the name of **Delta Pharmaceuticals** of North Carolina, who this week has claims to use in suppressing the respiratory depression associated with administration of **m-opioid agonists**. This **d/s-opioid agonist** was under investigation by **Wellcome** as long ago as 1993 for substance abuse, and it is the same workers who now appear as inventors on Delta's application.

Many people seem to have problems spelling the increasingly important word "**millennium**", and the appearance of incorrect variants on patent applications has been noted several times. An **Irish** company has now circumvented the problem by choosing the striking name "**Real 2000 Ltd**". In fact, despite the Cork address of the applicant named on this application, the invention seems to have its origins in **Paris**. The claims are to a composition for treating **erectile impotence**, using a combination of **yohimbine** and **arginine**.