

CURRENT PATENTS GAZETTE



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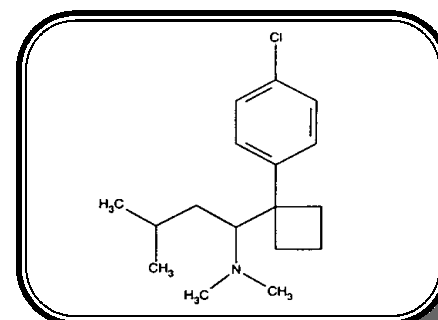
DRUG PATENTING IN CONTEXT

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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Sibutramine, Knoll's serotonin uptake inhibitor launched in 1998 for the treatment of obesity, is now the focus of no fewer than 22 new PCT applications covering new indications as wide ranging as as sleep apnea, hiatus hernia, sexual dysfunction and gallstones - A potential new wonder drug? (Page 20)



HIGHLIGHTS THIS WEEK

Knoll, with inventions from **Germany**, the **UK** and the **US**, is conspicuous with a three series of inventions relating the novel uses of known therapeutic compounds. Of **27 PCT applications** published **simultaneously**, no less than **22** form a coherent set with claims to uses of the serotonin uptake inhibitor **sibutramine**, first synthesized in the **early 1980s**, but launched only in **1998** for the treatment of **obesity**. It is now of interest in conditions as diverse as **sleep apnea, hiatus hernia, sexual dysfunction and gallstones**; truly this is a potential wonder drug, it seems, possibly with indications as broad as Lilly's raloxifene, the subject of similar heavy patenting two or three years ago. Predominantly, these inventions are from a trio of inventors based at the **BASF** site in **New Jersey** and **New York state**, though a few name scientists at the **Nottingham, UK**, former **Boots** site, and one application has a mixed team from both of these and the Knoll research laboratories in **Ludwigshafen, Germany**.

Doxazosin, the subject of several recent inventions including applications from the originator, **Pfizer**, is also now the subject of claims from **Knoll**. Use in seizures and neurological disorders is proposed in two applications from the German site. The three remaining applications originate, again, from the UK laboratories, where **neuroprotective triazolopyrimidines** are claimed for use in conditions such as **migraine, stroke and trauma**. This is a remarkable burst of patenting from **BASF**, ranked only 33rd in Current Patents' benchmarking review of patenting in the late 1990s.

Aventis Cropscience, located in Frankfurt, Germany, would not normally be expected to be involved in innovation relevant to human therapy, but an invention concerned with **herbicidal heterocycles** apparently also has such potential. The compounds act as direct or indirect inhibitors of the enzyme **adenosine monophosphate deaminase (AMPDA)** or **adenosine deaminase (ADA)**. **Metabasis Therapeutics** of San Diego has already identified two AMPDA inhibitors with potential in ischemia (**GP-3521** and **GP-3789**), and as long ago as 1993 **SICOR** (formerly **Gensia**) was filing applications to agents with this mode of action (WO9418200). The agrochemical link seems to be through the UK inventors contributing to this invention, since their home addresses are close to the former **Fisons** research location at Great Chesterford, near Cambridge.

The Parker Hughes Institute is named as applicant this week on **12 PCT applications** and as assignee on a **US patent**, all in the general field of **cancer therapy, anti-infectives or immunomodulators**. This name has only relatively recently come into use, the Institute previously having used the name of **Wayne Hughes** (father of the late Parker) for its patent applications (occasionally "**Hughes Inst**" in this Gazette). For those interested in the background to this prolifically innovative cancer research institute, there are some colorful press releases to be found, detailing the process by which Dr Fatih Uckun parted company with the University of Minnesota.

Two PCT filings from the Danish biotech company **Exiqon** document the progress of the company's **LNA (Locked Nucleic Acid) technology**. LNAs contain a methylene linker between two substituents at the furanose ring of the oligonucleotide that restricts the conformational freedom of the ring. Previous research from Exiqon (eg WO9914226) appears to have focused on nucleotides linked between the 2-O'-position and the 4-C'-position but this week's application discloses 1,2-O'-isopropylidene-substituted derivatives. LNAs combine extremely high affinity towards complementary DNA and RNA with strong ability to discriminate between correct and incorrect target sequences, with thermostability of DNA/LNA complexes being higher than that of DNA/DNA complexes. They also show good solubility and resistance to nucleases and appear to be good candidates as next-generation oligonucleotide building blocks. Exiqon has entered a marketing and production agreement with **Proligo**, a joint venture between the German company **SKW Trostberg Germany** and **Gilead Sciences**.