

# CURRENT PATENTS GAZETTE



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WEEK 25

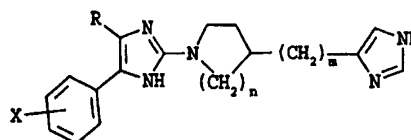
JUNE 25TH 1999

## DRUG PATENTING IN CONTEXT

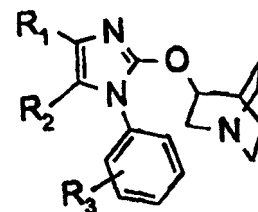
Current Patents *Gazette* is the most rapid competitive intelligence service covering innovation in the pharmaceutical industry. Patent applications published during the past week have been classified and analysed, in order to place the inventions in context. For the most crucial innovations, those involving 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

In a bumper issue this week, we see the first published applications from the newly merged Sanofi-Synthelabo .....



....claiming imidazole based histamine H3 modulators (top) and muscarinic M3 receptor antagonists (right).



## HIGHLIGHTS THIS WEEK

**The merger of French companies Sanofi and Synthelabo** was completed in May and this week sees the first two patent applications to be published in the name of the new joint entity **Sanofi-Synthelabo**. Both cases come from teams with roots at Synthelabo and cover imidazole compounds, but each has different activities and indications. In the first application claims are made to 1-(1H-imidazol-2-yl)-pyrrolidines and -piperidines, **histamine H3 modulators**, for the treatment of Alzheimer's and Parkinson's diseases, schizophrenia, depression, anxiety, migraine, epilepsy, hypertension, inflammation, asthma and allergy, while the second focuses on imidazole derivatives as **muscarinic M3 receptor antagonists**. This latter case is an extension from WO9925710, and describes the use of the compounds in the treatment of irritable bowel syndrome, memory dysfunction, arterial obstruction and urinary incontinence.

**Boehringer Ingelheim and Shionogi** both appear to be moving into new areas this week. In an application from BI's US wing claims are made to **pyridones as SRC family SH2 domain inhibitors**. There appears to be no previous patenting activity in this area from Boehringer and **Parke Davis** seems to lead the field with several ureido-type peptidomimetics **PD-163441**, **PD-158954**, **PD-162687** and **PD-159973** in preclinical studies for the treatment of osteoporosis and cancer, although no candidate has yet progressed to clinical trials. Elsewhere, Shionogi has an application covering **pyridine based 5-HT<sub>7</sub> antagonists**. As we have previously reported, while the 5-HT<sub>7</sub> receptor was first characterized in the early 1990's, See **Inserm's WO9416067**, it has not been a particularly popular target within the industry with **Lilly** and **SB** being among the few companies to claim modulators of this receptor. More recently, **Roche** has claimed this activity for a range of isoquinolines in WO9924022. With Shionogi now throwing its hat into the ring, it would appear that importance of 5-HT<sub>7</sub> antagonists is being to grow.

**Inventors from Scripps Research Institute** have filed their second application on **antibodies**, which can be used to **catalyse aldol reactions**. Since these reactions result in the formation of a new carbon-carbon bond, they are extremely useful for pharmaceutical synthetic chemistry. However, natural aldolases tolerate only minor changes in the structure of the donor substrate. Since antibodies have not been designed as catalysts by nature, their catalytic activity may be relatively weak but it is often unusually broad. The antibodies 38C2 and 33F12, developed by the team from Scripps, can catalyse a wide variety of aldol reactions. Scripps' previous patent, WO9721803, which originally disclosed these antibodies, described a method for their generation by immunizing a host with a reactive compound that covalently traps a lysine residue in the binding pocket of the antibody by formation of a stable vinylogous amide. The catalytic mechanism for these antibodies mimics the mechanism employed by natural class I endolase enzymes. The invention provides a potentially very useful tool for chemists involved in organic synthesis.

**Another important area** for pharmaceutical chemists is **taxane chemistry**, or more specifically the synthesis of taxanes. Among this week's Chemical Process patents two contributions to taxane chemistry are prominent, coming from teams at **Emory University** and **NaPro Biotherapeutics** respectively. NaPro is already well established in this research area, having filed numerous applications for taxane syntheses, such as WO9813360, most involving the use of **baccatins as a starting material**. In contrast, the main inventor of the Emory application appears to be a new entrant into the field having previously focused on antiviral and anticancer nucleotides.

**In the field of Biotechnology, Connaught Laboratories** has an application regarding the **constitutive expression of non-infectious HIV-like particles** this week. The application follows the recent announcement of the first AIDS vaccine trial in Africa, using the company's **ALVAC-HIV (vCP205) canarypox vaccine**. The recombinant canarypox expresses three selected HIV genes, the gp120 envelope protein and two internal proteins, Gag and Protease. Pasteur Merieux Connaught's partners in the project include the government of Uganda; Makerere University; the Joint Clinic Research Center; the Uganda Virus Research Institute; NIAID; UNAIDS; Case Western Reserve University and the Fogarty International Center of the National Institutes of Health.