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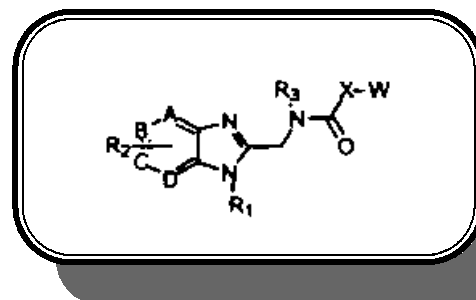
DRUG PATENTING IN CONTEXT

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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Neurogen discloses a broad series of aryl and heteroaryl fused aminoalkyl-imidazole derivatives in four new applications claiming their use as modulators for a wide range of cellular receptors including bradykinin B₂, GABAA and CRF receptors ([Page 14](#))



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Section A

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

Section B

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

Section C

Chemical Processes and Combinatorial Technology- inventions concerned with efficient generation of candidates for screening, and with scale-up of laboratory syntheses in support of development activity

Section D

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

Section E

Devices and Equipment- non-chemical or mechanical based invention with relevance to the industry

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HIGHLIGHTS THIS WEEK

Among the companies claiming new chemical entities in this week's PCT publications are two that appear to be new to international patenting. **Esperion Pharmaceuticals** of Ann Arbor in the US has claims to a series of ether compounds useful for treating and preventing cardiovascular diseases, dyslipidemias, dysproteinemias and glucose metabolism disorders. The compounds are also said to have potential for Alzheimer's Disease, Syndrome X, peroxisome proliferator activated receptor-related disorders, septicemia, thrombotic disorders, obesity, pancreatitis, hypertension, renal disease, cancer, inflammation, and impotence. The company was formed in July 1998 to develop therapies for **atherosclerosis and related disorders**. To date its main focus has been to **apolipoprotein A-I**, to which it has been granted exclusive worldwide rights from **P&U**, developing therapies that optimize the beneficial effects of the removal of harmful lipids, such as LDL-derived cholesterol, from blood vessels. The lead compound in this series **ESP-24218**, a peptide of 18-22 amino acids that mimics the alpha-helix of ApoA-I, is currently in preclinical trials for atherosclerosis, restenosis and ischemia.

Elsewhere, **Quebec based Pharmacor's** application covers hydroxyphenyl derivatives with HIV integrase inhibitory properties. This relatively young Canadian biopharmaceutical company was established by ex-**Inst Armand-Frappier** and **Merck Frosst** researchers and boasts cutting-edge expertise in the field of enzyme inhibition. The main thrust of the company's endeavours at present is HIV/AIDS, where they have discovered and developed two very promising families of candidate compounds, active against **HIV protease enzyme** and **HIV integrase enzyme** respectively. Both series are currently undergoing preclinical trials. **Naeja Pharmaceuticals**, seen this week claiming substituted azetidin-2-ones as cysteine protease inhibitors alongside inventors at **British Biotech**, is also an unfamiliar name in international patenting circles having only previous appeared on WO0015207 with claims to a combined therapy for treatment of inflammation using an elastase inhibitor and an antibacterial agent. However, deeper research reveals that this is in fact the new name for **SynPhar Laboratories** of Edmonton, Alberta established in 1987 as a joint venture pharmaceutical R&D company between **Taiho Alberta Ltd** (a wholly-owned holding company of **Taiho Pharmaceutical Co Ltd** of Japan) and **Dr Ronald Micetich**.

Also among this week's New Compound cases, specialist neuropharmaceutical company **Neurogen** can be seen with four new applications claiming aryl and heteroaryl fused aminoalkyl-imidazole derivatives that act as modulators for a wide range of cellular receptors. Based upon a very broad basic Markush structure, these disclosures cover extensive array of imidazole compounds, which may be used in the treatment of conditions such as diabetes, cognitive and memory disorders, depression, cardiovascular disorders and various other conditions. Separate applications claim subsets of the series as selective modulators of **bradykinin B₂**, **GABA_A** and **CRF receptors**.

Millennium Pharmaceuticals have come up with an interesting new angle on combinatorial technology this week with claims to a series of combinatorial arrays aimed not at the preparation of new compound libraries but at the **optimization of drug formulations**. Through the use of **high throughput screening technologies**, preferably in combination with **nanotechnology** and **microarrays**, the bioavailability and pharmacokinetics of small molecule pharmaceuticals are optimized by preparing a wide variety of formulations and selecting those that show the best profile based on one or more physical or chemical properties, eg solubility in an aqueous solution, without compromising selectivity or potency. In one specified example, the formulations are initially optimized *in vitro* for their pharmacokinetics, such as absorption through the gut (for an oral preparation), skin (for transdermal application), or mucosa (for nasal, buccal, vaginal or rectal formulation), solubility, degradation or clearance by uptake into the reticuloendothelial system ('RES'), metabolism or elimination, then tested *in vivo*.

It has been announced this week that **Merck** and **SmithKline Beecham** have donated \$6.5 million to a **mouse genome project** to enable public access to this information two years earlier than planned (*Nature*, **407**, 663; 2000). A similar project is due to be completed **Celera Genomics** next month but access will only be granted to subscribers. **Frank Collins**, director of the **National Human Genome Research Institute**, has emphasized that this project, which will sequence the genome of the common 'black six' strain, is not in competition with the **Celera** which is sequencing three different mouse strains to enable comparisons to be made. The public project is also supported by **Affymetrix**, the **Wellcome Trust** and the **US NIH**.