

# Current Patents Gazette

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## DOLPHIN



The records appearing in this Gazette will be added to DOLPHIN, the database Of all pharmaceutical inventions in the next week. Based on the INPADOC database produced by the European Patent Office, it covers all national and international patents with relevance to pharmaceutical research and development published from 1968 onwards and selected patents from earlier years. DOLPHIN contains information on bibliographic data, contents, associated products, legal status, licensees and context of patents, which is presented in a format to convey all aspects of a patent at a glance.

## News & Highlights from Week 0751

**Thomson Scientific patent analysts would like to wish all of our readers a Happy Christmas Holiday season.** We will endeavour to publish an issue of the Current Patents Gazette next week, but due to staff holidays and late publishing of patents, we expect the 2007-52 issue of the Gazette to be slimmer than usual. The UKIPO reminds us in this week's Patents and Designs Journal (PDJ No. 6187) that it will not be publishing the PDJ on Wednesday 26<sup>th</sup> December, due to that being a National Holiday. The PDJ will therefore be published one day later on Thursday 27<sup>th</sup> December. Consequently, our GB AO Alerts will also be correspondingly later.

The PDJ this week reports two new Supplementary Protection Certificate (SPC) filings. Both of these have been included by us in a previous edition of the Current Patents Journal (CPG 0749). These are for a veterinary vaccine filed by **Merial** on **EP1019510** for protection against **porcine Circovirus** and for **aliskiren** and salts, filed by **Speedel Pharma**. This latter application has been lodged on **EP1303478**, which claims a production process and if granted should expire August 2022, 15 years after the earliest marketing authorisation. **Novartis** originally licensed aliskiren to the Speedel Group for clinical development in July 2000. However, Novartis had a license-back option at the end of phase II trials, which it exercised in September 2002. Speedel, however believes it is the first to have successfully developed and filed for patent protection of a commercially viable manufacturing process for a renin inhibitor (ie aliskiren). The process claimed in EP1303478 has reputedly fewer steps than prior art methods and provides higher yields of pure diastereomers. Novel compounds stated to be useful as intermediates in the preparation of the starting material are also claimed. Aliskiren, a once-daily renin inhibitor tablet, is indicated for the treatment of hypertension, alone or in combination with other antihypertensives and is also being developed for other indications.

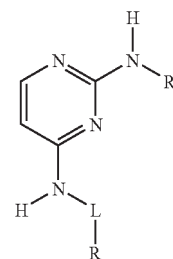
Also reported in the PDJ is the entry into force on November 27, 2007 of **Orion's** SPC for anti-Parkinson's product, **entacapone (Comtan)**, which was co-developed and marketed with Novartis. The SPC is based on **GB2200109** and is scheduled to expire in five years time. SPCs have also entered into force in most other EU states, based on national equivalents and will also expire around November 27, 2012, as does the extension on Australian equivalent, **AU621036**. **Novartis** and Orion have also codeveloped and launched **Stalevo**, a single tablet combination of **entacapone, levodopa** and **carbidopa**, for the treatment of Parkinson's disease. Worldwide sales of the entacapone group of drugs (**Stalevo/Comtan**) reported by Novartis for 2006 totaled \$339 million, representing a year-on-year US dollar growth of 22%. Sales reported by Orion for 2006 totaled \$233.7 million with sales of Stalevo up 49.1% year-on-year to \$139.8 million while Comtan sales were up 5.8% to \$93.8 million.

**Novartis** also features in the remaining SPC event, the expiry of its SPC on **EP0346953** protecting **formestane** on November 23, 2007. Formestane is a specific steroidal aromatase inhibitor which was first launched by **Ciba-Geigy** (now Novartis) in 1993 for the treatment of breast cancer. The SPCs granted in Austria and the Netherlands on this patent also expired on the same date, although that granted in Switzerland will not expire until April 2010, due to a later approval date. Formestane was only approved and launched a small number of countries and was not granted approval by the US FDA. Consequently, Novartis is not expected to suffer greatly from the loss of patent and SPC protection.

Although, not yet published in the PDJ, we can report that **Janssen (J&J)** has filed a UK SPC application on **EP0368388** for **paliperidone**, which will expire October 29, 2014, if granted. J&J has developed and launched **Invega**, an oral extended-release formulation of

paliperidone, a 5-HT antagonist and metabolite of **risperidone (Risperdal)** that uses **ALZA's OROS** technology. The product is indicated for acute and maintenance treatment of schizophrenia. Reaction to Invega has been mixed and in June 2007, Credit Suisse commented that doctors did not see Invega as sufficiently differentiated from Risperdal (also marketed by J&J) and so would not switch current patients from Risperdal to Invega and were more likely to start new patients on generic Risperdal once it becomes available in mid-2008.

**Nordic Bioscience** has filed a UK initial application claiming **biochemical markers of cardiovascular disease risk** (GB0721713) on November 5, 2007. Nordic was established in October 2001 following the merger of **Osteometer BioTech** and **Osteopro**, and specializes in the diagnosis and treatment of bone and cartilage disorders, primarily osteoporosis, secondary bone deposits and osteoarthritis. The interest in CVD risk prediction appears to be new. The completion of a deal in which **Immunodiagnostic Systems Limited (IDS)** acquired Nordic Bioscience was announced in July 2007.



**First patenting to emerge from Boehringer Ingelheim on 2-anilino-4-(heterocyclic)-amino-pyrimidines as protein kinase C-alpha (PKC-α) inhibitors**

## UK initial ("A0") applications filed November 5th - November 11th 2007

Oncoionx has filed a UK initial application claiming **compositions for treating tumors** (GB0722030), lodged on November 9, 2007. This appears to be the company's first patent application. UK Companies House reports that the company was **incorporated on September 23, 2007**, just over two weeks before the filing of this application, with registered offices in Fulham, London. At present we can find no other reference to the company and further details of the invention and inventors are unknown.

**Vectura Group** claims **compositions for treating CNS disorders, including Parkinson's disease** in a UK initial application (GB0721856) lodged on November 7, 2007. Established in 1997, the company's focus is the development of inhaled drugs with rapid onset of action, improved efficacy and tolerability. Vectura is currently developing a **dry powder inhaled formulation of apomorphine** with potential for Parkinson's disease (**VR-040**). The formulation is also being developed for the potential treatment of erectile dysfunction and female sexual dysfunction under the research code **VR-004** and **VR-400** respectively. Positive phase IIa results for Parkinson's disease were reported in August 2006. Further claims to a dry powder composition comprising **clomipramine or apomorphine hydrochloride** useful in the treatment of Parkinson's disease and depression can be found in **WO2007107796**.

**SpiroGen** has filed two UK initial applications claiming respectively **polyamides** (GB0722087) and **pyrrolobenzodiazepines derivatives** (GB0722088), both lodged on November 9, 2007. GB0722088 probably picks up from a series of pyrrolobenzodiazepine derivatives claimed as DNA binding modulators with potential in the treatment of cancer, leukemia and other proliferative diseases in **WO2005110423**, **WO2006111759** and **WO2007039752**. The company is reported to be investigating a series of monomeric pyrrolobenzodiazepine minor-groove binding agents, including **ZC-14**, **SG-2057**, **D-709119**, **ELB-21** and **DRG-16**, for the potential treatment of cancer while the dimer **BN-2629** has been licensed by SpiroGen to **Ipsen**. Phase I trials of BN-2629 were under way in the UK by March 2004, with a US phase I study in patients with solid tumors following by July 2005. The polyamides claimed in GB0722087 appear to be a new target.

**Microoncology** has filed two UK initial applications covering a **system to treat and kill bacteria and viral infections using microwave atmospheric plasma** (GB0721714 and GB0722206) lodged on November 6 and November 13, 2007 respectively. The latter application claims additional priority from GB0623827 filed on November 29, 2006. Established in February 2003 to develop novel medical devices and provide consultancy services, the company seems to be relatively new to patenting with the current invention picking up from its only published PCT application, **WO2007003955**, which discloses a microwave apparatus and method for measuring constituent concentrations within a biological tissue structure. The company's website reports that it has developed two prototype microwave ablation systems for use in breast and liver cancer under contract from **Medical Device Innovations (MDi)**. It has also recently completed work on a microwave ablation system for treating gastro-intestinal disorders for MDi.

**Oxagen** based in Oxford, UK, has lodged a further application at the UK Intellectual Property Office claiming the **use of CRTH2 antagonist compounds** (GB0722203) on November 13, 2007. This joins a growing series of applications, from this **Wellcome Trust Centre for Human Genetics** spin out, focussed on this target, and it follows on from the recently published **WO2007107772**, disclosing salts of indol-1-ylacetic acid derivatives as CRTH2 antagonists. These indolylacetic acid compounds were originally claimed in **WO2005044260**. Oxagen is developing **ODC-9101** as the lead from a series of CRTH2 antagonists for potential use in asthma and allergy with phase IIa trials ongoing in April 2007. Other potential candidates in Oxagen's pipeline include **OC-499**, **OC-1768**, **OC-2125** and **OC-2184**.

**Novartis** has claimed **Streptococcus pyogenes classification** in a UK initial application (GB0721757) lodged on November 6, 2007. This appears to be a new interest for Novartis, although the company's **Chiron** subsidiary has previously claimed immunogenic and therapeutic compositions for *S pyogenes*, particularly Group A, in **WO2006042027**. This Chiron team has also claimed Group A Streptococcus (GAS) antigens in **WO0234771**, **WO03068811** and **WO2005032582**.

**Proprius Pharmaceuticals** based in San Diego, US, has filed a UK initial application relating to **sustained release methotrexate formulations and methods of use** (GB0722040) on November 9, 2007. This application claims priority from a US provisional filing, and it is possible that a PCT application could see publication in April 2008. Proprius specializes in the development of personalized therapies for rheumatology and autoimmune diseases. The company is relatively new to patenting; its only publication appears to be **WO2007092338** claiming bisphosphonate/antifolate compositions for osteoarthritis and rheumatoid arthritis. The earlier publication includes a methotrexate/alendronate combination and taken with the current application indicates a growing interest in this compound. An exclusive license agreement giving Proprius development rights to **AlphaRx's Indaflex**, a topical cream formulation of NSAID **indomethacin** with potential use for arthritis, was announced in May 2007.

Applications can be expected to see publication in early May 2009.