

CURRENT PATENTS GAZETTE



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CONTENTS

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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WEEK 45

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DRUG PATENTING IN CONTEXT

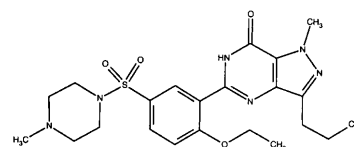
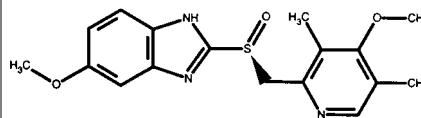
Current Patents *Gazette* is the most rapid competitive intelligence service covering innovation in the pharmaceutical industry. Patent applications published during the past week have been classified and analysed, in order to place the inventions in context. Applications filed jointly, representing collaborative research, are highlighted, as are sequences of inter-related documents.

Additional Information

Treatment of Applicant Names	33
Subject Coverage	36
DOLPHIN Advert	37
Current Patents Team	56
Current Trends Advert	59

NEW THIS WEEK

Good News for AstraZeneca as the EPO grants claims to its magnesium salt of the proton-pump inhibitor **esomeprazole**, the key active ingredient in **Nexium**.....



....but **Pfizer's** patent coverage for the blockbuster **Viagra** suffers a setback as a key method of use case for **sildenafil citrate** is declared invalid by the **UK High Court**

HIGHLIGHTS THIS WEEK

AstraZeneca has had some **good news** this week with the granting of **EP652872** (originally published as **WO9427988** on 8th December 1994), in which the company claims the magnesium salt of the proton-pump inhibitor **esomeprazole**, the active ingredient in **Nexium**. Esomeprazole, the (-)-enantiomer of omeprazole, was originally described by **Byk Gulden** in WO9208716 from 29th May 1992. The European designation of this Byk application was later withdrawn because of a prior publication in the literature. Nexium received EU marketing approval in July 2000 through the **Mutual Recognition Procedure**, and launches are now scheduled in a number of European countries, including the UK and Germany, before the end of 2000. In August 2000, the product was launched in Sweden. AstraZeneca is awaiting esomeprazole approval in the US and other major markets, such as Canada, Australia, New Zealand and Switzerland. In January 2000 analysts at Lehman Brothers predicted US approval in February 2001, prior to **Prilosec** facing generic competition and the company now expects to launch esomeprazole in the US in early 2001.

In contrast, Pfizer's patent portfolio has suffered a **setback** this week with a judgement from the **UK High Court** declaring a key patent relating to use of the blockbuster drug **Viagra** invalid. The patent in question is **EP702555B**, initially published as WO9428902 in December 1994, which was issued by the EPO on 11th March 1998. So far no corresponding US patent appears have been granted. Although some early press releases seemed to indicate the contrary, this is **not** the product patent for **sildenafil citrate** but in fact a method of use case claiming PDE V inhibitors generally, and pyrazolopyrimidinones in particular, in the treatment of impotence. Altogether 13 parties filed oppositions against this patent before the December 1998 nine-month deadline, including **Vivus, Schering-Plough Corporation, E Merck, Ortho-McNeil, Bayer** and **BMS** in addition to the plaintiff, **Lilly ICOS**. A paper by Korenman & Viosca from *Journal of the American Geriatrics Society* (**41:363-366**) entitled "Treatment of Vasculogenic Sexual Dysfunction with Pentoxifylline", published in April 1993, was cited as a key anticipatory reference while several other prior publications were quoted to support an obviousness objection. Lilly and ICOS have a special interest in this subject because **IC-351**, a PDE V inhibitor obtained in 1997 from **Glaxo**, is now in phase III trials for erectile dysfunction. Indeed a case from Lilly ICOS this week claiming unit dose forms of cGMP PDE inhibitors may refer to this very compound.

The original product patent for sildenafil citrate is **EP463756B**, published 7th June 1991, claiming priority from 20th June 1990 and granted on 19th April 1995. Under the terms of this earlier patent a **range of pyrazolopyrimidinones** were claimed as **PDE V inhibitors** for use in the treatment of cardiovascular diseases such as angina, hypertension, stroke and peripheral vascular disease, asthma, bronchitis, allergic rhinitis, glaucoma, gut motility disorders **but not explicitly mentioning impotence**. At present this ruling affects Pfizer's patent **only in the UK**, but a Pfizer spokeswoman confirmed that corresponding actions were proceeding throughout the European Union.

Antagonists of the CCR5 receptor are the subject of newly published applications from both **Schering Corporation** and **Takeda**, the former with two new compound inventions and a formulation case. Schering's novel compounds are **piperidines** and **piperazines** with potential in **HIV treatment**, and a compound with this action is now reportedly entering clinical trials; it may however be the company's third application which offers confirmation of the chosen candidate's identity. In it, **PEGylated interferon-a** and a **CCR5 antagonist** are claimed in combination with a compound such as **ribavirin, IL-2, IL-12 or pentafuside**. Takeda's candidates, in a development which seems to be broadening the scope of the company's search for CCR5 modulators, are **pyrrolidinones** and analogues, rather distinct from the benzocycloheptenes and their analogues in the **TAK-779** series.

Progesterone receptor modulators are the subject of an epic sequence of 20 new compound and formulation/uses cases from **American Home Products** and **Ligand Pharmaceuticals**. This is the result of a collaboration between the two companies which began in 1994, from which **WAY-160910** seems to be emerging as the strongest candidate, with potential in **osteoporosis**. Several of the present application are concerned with compositions for **contraceptive use**.