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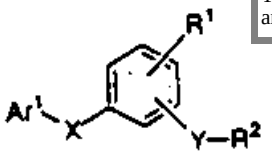
DRUG PATENTING IN CONTEXT

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. 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

Transcription factor modulator specialists Tularik appear to be moving into new areas with PPAR γ modulators with potential for diabetes; There is a collaboration with Japan Tobbaco in the field of obesity and diabetes.



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HIGHLIGHTS THIS WEEK

We speculated last week that WO9937612 - '614 might finally reveal the **new anxiolytic mechanism** which **Cerebrus** reported two years ago. However, when the complete specifications arrived it soon became clear that the **azetidinecarboxamides** in question, which also exhibit antiepileptic activity, are acting by an established mechanism, namely **$GABA_A$ receptor antagonism**. Neither are the structures especially novel, since the examiner has chosen to cite as background a Lepetit patent published in 1961. Interestingly, in view of the inventors' background with Wyeth, two AH Robins cases from the early 1980s are also cited. A further case from Cerebrus this week describes **NMDA antagonists**, continuing the theme seen in **WO9931051** and covering the same series of **adamantanecarboxamidamides**.

The intertwined discovery activities of **SmithKline Beecham** and **Roche** were highlighted two weeks ago, in the context of the latter's claims in **EP930302** to **benzosulfone 5- HT_6 antagonists**. The intensity of competition in this new field is further underlined by the appearance last week of **WO9937623**, in which an SB team at Harlow claims further agents of the type seen in **WO9902502**.

CeNeS (pronounced CNS, we assume), based in Cambridge, UK, is known to be collaborating with **King's College London** in the development of **morphine metabolites as analgesics**. However, from a chemical process application published this week it is clear that some of the development work is now being carried out in Israel. The potential product, a glycoside referred to as **M6G (morphine-6-glucuronide)**, is already in phase II trials.

Sepracor has no fewer than seven applications published this week, one relating to fusion proteins used in the identification of proteins conferring resistance to chemotherapeutic agents, but the remainder claiming new uses or formulations of established products. There are two cases in Sepracor's traditional field of enantiomer separation, covering the R and S forms of **Takeda's proton pump inhibitor lansoprazole**. However, four inventions continue the theme of the **Glaxo** application highlighted last week, relating to the potential of **bupropion metabolites in smoking cessation**. Sepracor's inventions focus on the use of individual bupropion enantiomers in a range of conditions, including not only smoking cessation but also **pain, ADHD, psychosexual disorders and eating disorders**. These inventions further serve to emphasise the importance of bupropion, not only in Glaxo's portfolio but also, potentially, in the plans of other companies.

There are 31 US patents among the new publications included in the Gazette this week. Our search covers all potentially relevant patents with application dates up to **three years old**, a total of 286 documents on this occasion, but then we have to screen out irrelevant inventions and those that have appeared previously in publications from another authority. Most important applications are published as a matter of routine after 18 months, usually as PCT specifications. US patents published within the past 18 months are included exhaustively, but those that are older are treated more critically; "fringe" subject matter is rejected, and thorough checking for equivalents is carried out. Particular care is taken to identify whenever possible those **"hidden" equivalents** claiming priority from **US provisional applications.**