

CURRENT PATENTS GAZETTE

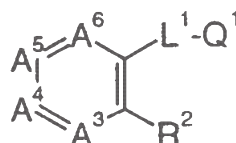


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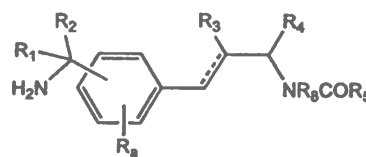
DRUG PATENTING IN CONTEXT

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



Antithrombotics are in the news this week with new compounds from Lilly and RPR and both companies inhibition of Factor Xa. Lilly have four new patent applications on this subject



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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

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HIGHLIGHTS THIS WEEK

Texas University researchers are investigating the actions of **magnolia extract derivatives**, previously studied only as a herbal medicine in Japan and China. In traditional medicine, extracts of the leaves and flowers of *Magnolia officinalis* and *M obovatal*, containing such active principles as magnolol and honokiol, for example in a decoction known as Heii-San, have been used as **anxiolytics**. It seems that these neolignans also have antioxidative action, and Yakult Honsha has identified useful ACAT inhibitory activity in honokiol (JP08003033). The Texas invention focuses on anxiolytic activity, but claims the synthesis of **dihydrohonokiol** and its analogues.

Lilly quite often files separate applications at the European Patent Office, rather than include European countries as designations on a PCT application. An example is WO9900360, corresponding to a European application in last week's Gazette, describing synthesis of **indole-3-glyoxamides** with **SPLA2-inhibitory** action. Now **Boehringer Mannheim** is seen to have adopted the same practice in two cases covering **azulene-based MMP inhibitors** and their uses, and one concerned with **antimetastatic bisindoles**; European equivalents of all three cases appeared in last week's Gazette.

Lilly continues to extend its programme of **antithrombotics** acting by **Factor Xa inhibition**, with four new applications on the subject. This theme can now be traced back several years to cases such as EP671390 and EP672658, and a lead compound, **LY-368052**, is in preclinical studies. The same action is also under investigation at **RPR's** US site, where novel **amidino-** and **amino-phenylpropanamides** are the target.

It is a very productive week for **Merck**, with 6 applications covered in four Section A cases, one more in B and a further two in Section C describing the consolidation of existing research projects. Two GB publications disclose new **tocolytic oxytocin receptor antagonists** while another covers **pyrazolopyridine GABAA ligands**. Other subjects include the use of **benzylaminopiperidines tachykinin antagonists**, radiolabeled **farnesyl protein tranferase inhibitors** as analytical agents and two more applications from their **azalide antibiotic** programme mentioned in last week's highlights.

Immunex Corp continue their work on TNF-related apoptosis-inducing ligand (**TRAIL**), after having US5763223 which covers DNA encoding TRAIL, granted by the USPTO in mid '98, making it the first patent issued relating to this molecule. This week's application claims a protein, **TRAIL-BP** which binds TRAIL. Immunex has filed related patent applications worldwide. Other interested parties include **American Home Products**, see WO9701633 referring to a novel TRAIL protein, and **Human Genome Sciences**, see WO9854202, on TRAIL receptor subfamily members.