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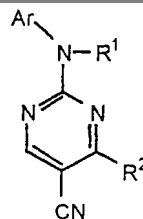
DRUG PATENTING IN CONTEXT

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.

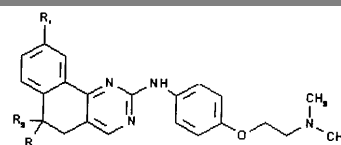
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NEW THIS WEEK



Claims to new 5-cyano-2-aminopyridines as KDR and FGFR kinase inhibitors from Celltech (left) may provide clues to a candidate in preclinical studies



The compounds are related to a series of fused polycyclic 2-aminopyrimidine derivatives previously claimed in late 1998 (right)

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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HIGHLIGHTS THIS WEEK

There may be clues this week to the identity of protein tyrosine KDR kinase inhibitors that Celltech has in preclinical evaluation for cancer. The program was first noted in company literature published around the time of the Celltech/Chiroscience merger in 1999 but to date the exact nature of these preclinical leads has been unknown. However, the publication of an application from Celltech claiming novel 5-cyano-2-aminopyridines as KDR and FGFR kinase inhibitors may throw new light on this project. The compounds appear to be related fused polycyclic 2-aminopyrimidine derivatives previously claimed by the same team of inventors in WO9828281 and WO9858926 during the latter half of 1998. The earlier examples were described simply as protein tyrosine kinase inhibitors with a utility for the treatment of immune diseases and hyperproliferative disorders, and it is possible that these are the compounds that Celltech has been investigating.

Iceland seldom features in the *Gazette*, but this week there is an application claiming serine proteinase inhibitors isolated from cold-adapted organisms, from the national university. China is rather more populous, but also infrequent; this week sees an application from a factory in Zhuhai relating to an impotence cure containing both sildenafil and apomorphine. Chaichem, with a Chinese-sounding name but based in Canada, is claiming paclitaxel extraction, and seems to be connected with Hemacure Biotech. From Japan there is further evidence that Welfide is focusing on the calcium channel antagonist Y-27632, and Yamanouchi's development collaboration with E Merck now seems to be directed towards a particular GPIIa/IIIb antagonist candidate.

There are indications that AstraZeneca may be returning to an established class of (thio)chroman and tetrahydronaphthalene derivatives in the search for new neurological agents. Five applications from the company's Wilmington site in the US cover varied compounds based on this bicyclic template. These derivatives closely resemble a long series of 5-HT1Db antagonists dating back to WO9109853, in the early 1990s, and more recently reported in WO9905134, WO9914207, WO9914212 and WO9914213. The current applications have involved the input of at least two separate inventor teams and two corporate attorneys, while the earlier work originated with third team based in Sweden. The compounds also bear some similarity to robalzotan, a 5-HT1A antagonist, currently in phase II for the treatment of depression and anxiety.

Chase Manhattan Bank has never before featured in the *Gazette*, but a case is included this week relating to an internet-based foreign currency exchange system. Although our first reaction was to reject this case as clearly irrelevant, but we kept it because of its A61k classification, which implies that a medicinal preparation is claimed. Whilst changing currency is an irritant to most travelers, it is just possible that it has now been found to have some therapeutic effect, if done over the internet. More likely there has been an administrative slip at the WIPO offices. If this involves transposing the IPC data on two unrelated cases, this week's 1742 applications may include a corresponding therapeutic invention bearing the class mark associated with internet currency transactions. An odd classification, G06f, does correctly occur on an application from E Merck concerned with representation of chemical structural formulae, and such applications are becoming commoner.

For the record, the total number of PCT applications published during 2000 is just 142 short of the 80,000 mark, or an average of 1536 per week. As luck would have it, the final two weeks of 2000, coinciding with several public holidays, have yielded 16% more publications than average. Of course they mostly coincide with initial filings in mid-June 1999, so there is no reason to expect a low output over the holiday period. It seems that numbers of patent publications will continue to increase rapidly. There could well be in excess of 100,000 PCT publications annually before long, though the imminent introduction of a "Community Patent" for European Union countries may prevent that happening. From all at Current Patents, best wishes for 2001!