

# CURRENT PATENTS GAZETTE



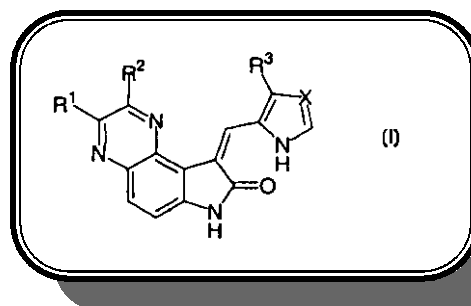
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## DRUG PATENTING IN CONTEXT

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.

**Substituted oxindoles with CDK and JNK protein kinase inhibitory activities form the basis of five new applications this week from Roche - the first fruits of a major new collaboration between GlaxoWellcome, Pfizer and Roche (Page 14)**



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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

### Section E

**Devices and Equipment-** non-chemical or mechanical based invention with relevance to the industry

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## HIGHLIGHTS THIS WEEK

**Australian magnolia bark** has provided researchers at **Schering-Plough's** New Jersey site with a potent **muscarinic M2 antagonist, himbacine**. The tricyclic nucleus of this alkaloid has proved a challenge to academic specialists in total synthesis, including groups from the **University of Ghent**, and **Ohio State University**. At S-P too there has been a successful synthesis program, and analogs have been made, but scientists have not found it easy to improve on the potency of the original plant extract. Now, there is evidence that himbacine has attracted the attention of another group, at the **Sagami Chemical Research Center** in Kanagawa, but their PCT application claiming a synthesis of the hydronaphtho[2,3-*c*]furan template also names **Kyorin** as joint applicant, the first indication that the Japanese company has this as a target in its Alzheimer's disease program. There is a further implied reference to S-P's portfolio in a European application from **SSP**, in which the Japanese company claims a synthesis of oxoquazepam, an analogue of the benzodiazepine thione anxiolytic, **quazepam**.

**One of the recurring themes** at the **ERBI** showcase (reviewed briefly here last week) was that of **cell proliferation** and **potential cancer therapies** utilizing the associated signaling mechanisms. The promise of such targets is such that one contributor, the Dundee-based startup company **Cyclacel**, has its entire discovery program oriented around inhibitors of **cyclin-dependent kinases (CDKs)**. However, it is not only biotech startups that find CDK an interesting target. Delegates at the recent conference of the **American Society of Cancer Research** learned of a tripartite discovery project which brings together three of the world's largest research-based pharmaceutical companies, **GlaxoWellcome, Pfizer** and **Roche**. From their respective US sites in RTP, Groton and Nutley these three giants have contributed to a CDKI discovery program aimed at finding **oxindoles** with utility not only in tumor therapy, but in other conditions involving cell control dysfunction, including **alopecia, myelosuppression** and **mucositis**. Now five PCT applications claiming novel protein kinase inhibitors have appeared simultaneously, formally naming Roche as applicant, though it is not beyond the realms of possibility that both GW and Pfizer retain some rights to the fused heterocycles in question. In two of the cases there is a third ring fused onto the oxindole (for example 4,5-pyrazinoxindoles), and the activities are variously described as **CDK2 inhibition** and **JNK protein kinase inhibition**. This is a collaboration worth following.

**The inventions included in our Section C** cover both conventional process development chemistry and the more fundamental technology associated with combinatorial synthesis and high throughput screening. This week it is the latter aspect which dominates: There are pointers to infrastructure patenting covering **Agilent's oligonucleotide array technology** (which may read on to both **Caliper's LabChip** and **Rosetta's FlexJet**), and **Palatin's MIDAS** (which seems to flow from **Rhomed's** mid-1990s metallo-construct work). More conventionally, the Spanish manufacturer **Biochemie** is claiming a route to **clarithromycin**, and **SB** further boosts the plentiful line extension patenting which surrounds paroxetine. Remote from all of this innovation lies a PCT application from **Sanjiu**, in which traditional Chinese medicines (TCMs) are dragged into the third millennium by means of an electronic production line, designed to eliminate human errors in mixing the numerous ingredients in a specified formulation.

**We note a rash** of relevant cases published this week bearing **A61P** class marks (virtually all as secondary classes), and have to admit that we are taken a little by surprise. A moment's thought, however, yields the realization that we are within a few days of the 6-month point from the introduction of the **seventh edition** of the **International Patent Classification**, on January 1st 2000, and so we are seeing a few cases that have crept through a couple of weeks early (or were classified late). This new classification, defined as **Therapeutic Activity of Chemical Compounds or Medicinal Preparations**, did not form part of Current Patents Gazette's week 0025 retrieval strategies - but be assured it will be in place for CPG0026.