

# CURRENT PATENTS GAZETTE



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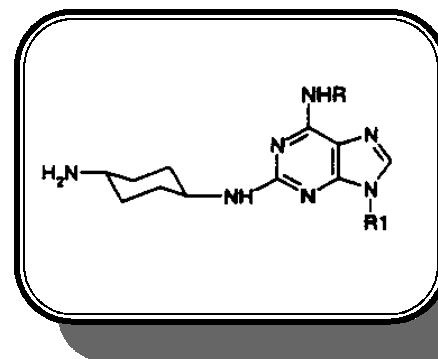
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## DRUG PATENTING IN CONTEXT

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.

These potential antineoplastics inhibit cyclin dependent kinases, particularly cdk-2. This seems to be a new direction for HMR's New Jersey site, though colleagues in Germany recently claimed nucleic acid constructs for gene therapy, whose activity is influenced by inhibitors of cyclin-dependent kinases.



## HIGHLIGHTS THIS WEEK

**A team based at the Roche Biosciences** site at Palo Alto in California has claims to piperidylmethylamines which act as **muscarinic receptor antagonists**. A previous candidate with this action, Ro-46-5934, has now been abandoned, but the company's continuing interest in treatment of **Alzheimer's disease** was signaled in a review published recently. Roche has until now filed fewer patent applications relating to neurologicals than would be expected of a company of this size. A similar departure from traditional research fields is suggested by an application from **Taiho**, in which novel **Lp(a) and apoprotein (a) lowering agents** are claimed; much of the company's discovery activity to date has centered on anticancers.

**Pfizer too** is embarking on a new project, with its first claims to compounds acting on the **NHE-1 isoform of the Na<sup>+</sup>/H<sup>+</sup> exchanger**; until now BMS seems to have been the only company exploring the utility of modulators of this particular isoform in its patenting, whilst **Magainin Pharmaceuticals Inc** has focused on **NHE-3**. In comparison, the NHE-2 isoform has been the subject of less research, and there is no relevant patenting so far. The range of indications proposed for this family of ion exchange modulators is very broad.

**Four of the five Neurogen** applications published this week relate to **GABA receptor modulators**, but two separate teams are seen to be addressing this target. The company's other principal target, the dopamine receptor, is the subject of the other case. An application from **Merck's UK neurosciences site** describes cognition enhancers based on the triazolopyridazine template used in several of the company's **GABA modulators**.

**A great deal of the process patenting** in this week's Gazette is non-specific, referring to processes, intermediates and catalysts which could conceivably be relevant to pharmaceuticals, but which have far wider potential. A clear exception is **SB's** synthesis of **trifluoromethylindolines**, key intermediates for 5-HT<sub>2C</sub> antagonists such as **SB-228357**, and especially **SB-243213**, now in phase I trials for anxiety. More speculative are the inventions from specialised companies developing **combinatorial** and associated technology. These include **SurModics Inc** of Eden Prairie in Minnesota, who in an earlier case concerned with chain transfer reagents introduced us to the unforgettable phrase "semi-telechelic photoactivatable polymers". Also seeking protection for a fundamental combinatorial technique is **Openeye Scientific Inc** of New Mexico, claiming a method for evaluating molecular similarity, and **Eastman Chemical Company's** method of tagging microparticles with near infrared fluorophores may well have potential in this field too.