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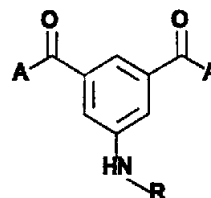
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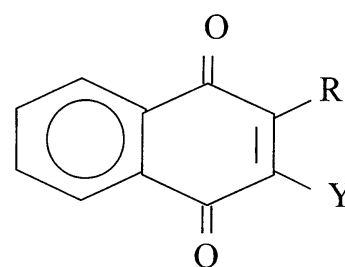
DRUG PATENTING IN CONTEXT

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.

NEW THIS WEEK



Picower Institute claims new antivirals inhibiting nuclear localization of the HIV preintegration complex.



HIGHLIGHTS THIS WEEK

The Picower Institute adopts an unusual strategy in two cases seeking protection for **antivirals**. The compounds act by inhibiting **nuclear localization of the HIV preintegration complex (PIC)**, but are defined in terms of the spatial coordinates of binding sites corresponding to a **tyrosine residue 29 pocket of the matrix protein component** of this PIC. Picower candidates with this mechanism, **CNI-H0294** and **H1194**, are under investigation by the associated company **Cytokine Networks**. Through one of the inventors the present invention is linked to recent HIV work at **BMS (WO9811907)** and to earlier studies at **Oncogen**.

Clasto-lactacystin β -lactone and its analogues, acting as **proteasome inhibitors**, are the subject of a non-assigned process case. However, the inventors have previous applications assigned to **BioMega/Boehringer Ingelheim**, and to **ProScript**, and the latter's WO9835691 offers an explanation of the role of these **NF- κ B inhibitors** in the treatment of such conditions as stroke and myocardial infarction. Earlier patenting of lactacystins involved **Yamanouchi, The Kitasato Institute and Harvard College**, and ProScript's **MG-132** and **MG-341** are licensed from **Harvard**.

Du Pont has claims to a new crystal form of an **anthracenone derivative**. This is **DMP-543**, now in phase II trials for Alzheimer's disease, acting as an **acetylcholine release stimulator** and a **potassium channel blocker**. Possibly it is this mixed mode of action which gives it a profile superior in several respects to that of **linopirdine**, a structurally similar indolone recently discontinued. **BASF** has a similar case, in that the **dopamine D3 antagonist** in question, originally claimed in WO9602519 but now claimed as the **fumarate**, may now also be in phase II trials. Although BASF has several patent applications relating to this class of compound, only one, **LU-201640**, is reported to have entered clinical trials. **Knoll** is now collaborating with **Novartis** on the use of this compound in **schizophrenia**, and last July was said to be about to commence phase II trials. Although no structure has yet been reported, this new invention may serve to identify LU-201640. Crystal polymorphs also feature in an application from **Vita-Invest**, concerned with the **dopamine D2 ligands** disclosed in EP695751.

Roche has found interesting neurological activity in compounds first synthesized almost 20 years ago by **Ciba-Geigy** as microbicides for agricultural use. The vinyl ethers of EP79856 have proved useful as **agonists and antagonists of the metabotropic glutamate receptor**. The broadest claims are therefore to the use of these known derivatives, but a small subset containing a cycloalkyl moiety, especially cyclohexyl, are claimed as novel compounds.