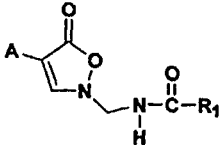




## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>(51) International Patent Classification <sup>6</sup> :</b><br><b>A61K 31/42, C07D 261/12</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | <b>A1</b> | <b>(11) International Publication Number:</b> <b>WO 00/10566</b><br><b>(43) International Publication Date:</b> 2 March 2000 (02.03.00)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |
| <b>(21) International Application Number:</b> PCT/US99/19265<br><b>(22) International Filing Date:</b> 23 August 1999 (23.08.99)<br><b>(30) Priority Data:</b><br>60/097,574                      24 August 1998 (24.08.98)                      US<br><b>(71) Applicant:</b> BRISTOL-MYERS SQUIBB COMPANY<br>[US/US]; P.O. Box 4000, Princeton, NJ 08543-4000 (US).<br><b>(72) Inventors:</b> SNYDER, Lawrence, B.; 6 Pratt Road, Clinton, CT<br>06413 (US). ZHENG, Zhizhen; 230 Farm Meadow Lane,<br>Cheshire, CT 06410 (US).<br><b>(74) Agent:</b> MORSE, David, M.; Bristol-Myers Squibb Company,<br>5 Research Parkway, P.O. Box 5100, Wallingford, CT<br>06492-7660 (US). |           | <b>(81) Designated States:</b> AL, AM, AT, AU, AZ, BA, BB, BG, BR,<br>BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,<br>KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,<br>MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,<br>SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW,<br>ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG,<br>ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ,<br>TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI,<br>FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent<br>(BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE,<br>SN, TD, TG).<br><br><b>Published</b><br><i>With international search report.</i><br><i>Before the expiration of the time limit for amending the</i><br><i>claims and to be republished in the event of the receipt of</i><br><i>amendments.</i> |
| <b>(54) Title:</b> NOVEL ISOXAZOLINONE ANTIBACTERIAL AGENTS<br><br><div style="text-align: center;">  <br/>       (I)     </div><br><b>(57) Abstract</b><br><p>This invention describes isoxazolinone derivatives which possess antibacterial activity and are useful in the treatment of bacterial diseases. More particularly, new isoxazolinones are provided having general formula (I), wherein A and R<sub>1</sub> are as described in the specification.</p>                                                                                                                          |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |

**FOR THE PURPOSES OF INFORMATION ONLY**

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

|    |                          |    |                                          |    |                                              |    |                          |
|----|--------------------------|----|------------------------------------------|----|----------------------------------------------|----|--------------------------|
| AL | Albania                  | ES | Spain                                    | LS | Lesotho                                      | SI | Slovenia                 |
| AM | Armenia                  | FI | Finland                                  | LT | Lithuania                                    | SK | Slovakia                 |
| AT | Austria                  | FR | France                                   | LU | Luxembourg                                   | SN | Senegal                  |
| AU | Australia                | GA | Gabon                                    | LV | Latvia                                       | SZ | Swaziland                |
| AZ | Azerbaijan               | GB | United Kingdom                           | MC | Monaco                                       | TD | Chad                     |
| BA | Bosnia and Herzegovina   | GE | Georgia                                  | MD | Republic of Moldova                          | TG | Togo                     |
| BB | Barbados                 | GH | Ghana                                    | MG | Madagascar                                   | TJ | Tajikistan               |
| BE | Belgium                  | GN | Guinea                                   | MK | The former Yugoslav<br>Republic of Macedonia | TM | Turkmenistan             |
| BF | Burkina Faso             | GR | Greece                                   | ML | Mali                                         | TR | Turkey                   |
| BG | Bulgaria                 | HU | Hungary                                  | MN | Mongolia                                     | TT | Trinidad and Tobago      |
| BJ | Benin                    | IE | Ireland                                  | MR | Mauritania                                   | UA | Ukraine                  |
| BR | Brazil                   | IL | Israel                                   | MW | Malawi                                       | UG | Uganda                   |
| BY | Belarus                  | IS | Iceland                                  | MX | Mexico                                       | US | United States of America |
| CA | Canada                   | IT | Italy                                    | NE | Niger                                        | UZ | Uzbekistan               |
| CF | Central African Republic | JP | Japan                                    | NL | Netherlands                                  | VN | Viet Nam                 |
| CG | Congo                    | KE | Kenya                                    | NO | Norway                                       | YU | Yugoslavia               |
| CH | Switzerland              | KG | Kyrgyzstan                               | NZ | New Zealand                                  | ZW | Zimbabwe                 |
| CI | Côte d'Ivoire            | KP | Democratic People's<br>Republic of Korea | PL | Poland                                       |    |                          |
| CM | Cameroon                 | KR | Republic of Korea                        | PT | Portugal                                     |    |                          |
| CN | China                    | KZ | Kazakstan                                | RO | Romania                                      |    |                          |
| CU | Cuba                     | LC | Saint Lucia                              | RU | Russian Federation                           |    |                          |
| CZ | Czech Republic           | LI | Liechtenstein                            | SD | Sudan                                        |    |                          |
| DE | Germany                  | LK | Sri Lanka                                | SE | Sweden                                       |    |                          |
| DK | Denmark                  | LR | Liberia                                  | SG | Singapore                                    |    |                          |
| EE | Estonia                  |    |                                          |    |                                              |    |                          |