(19) World Intellectual Property **Organization**

International Bureau





(43) International Publication Date 29 July 2004 (29.07.2004)

PCT

(10) International Publication Number WO 2004/062614 A3

(51) International Patent Classification⁷:

A61K 31/70

(21) International Application Number:

PCT/US2004/000646

(22) International Filing Date: 13 January 2004 (13.01.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/439,468

13 January 2003 (13.01.2003)

(71) Applicant (for all designated States except US): NEW RIVER PHARMACEUTICALS INC. [US/US]; The Governor Tyler, 1881 Grove Avenue, Radford, VA 24141 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): MICKLE, Travis [US/US]; 13700 Cooper Croft Run, NW, Apt.B, Blackburg, VA 24060 (US). PICCARIELLO, Thomas [US/US]; 203 Murphy Street, Blacksburg, VA 24060-2534 (US). MON-CRIEF, James, Scott [US/US]; 615 Charles Street, Christiansburg, VA 24073 (US). BOERTH, Nancy, Johnston [US/US]; 1620 Andrews Circle, Blacksburg, VA 24060 (US). BISHOP, Barney [US/US]; 302 Hampton Court, Blacksburg, VA 24060 (US).

(74) Agents: PEREZ, Jeffrey, T. et al.; Hunton & Williams, LLP, 1900 K Street, N.W., Suite 1200, Washington, DC, 20006 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

(88) Date of publication of the international search report: 6 May 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CARBOHYDRATE CONJUGATES TO PREVENT ABUSE OF CONTROLLED SUBSTANCES

(57) Abstract: The invention provides a "street-safe" version of a controlled substance that permits the therapeutically beneficial effects of the substance while reducing or eliminating the euphoric effects that lead to substance abuse. The invention provides pharmaceutical compositions comprising a controlled substance and a carbohydrate covalently bound to said controlled substance in a manner that renders said controlled substance pharmacologically inactive or substantially diminishes its activity and methods of using the same.



INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/00646

| | | | 1 C1/0304/00040 | | | | |
|---|---|--|---|------------------------|--|--|--|
| A. CLASSIFICATION OF SUBJECT MATTER IPC(7) : A61K 31/70 US CL : 514/25 | | | | | | | |
| According to International Patent Classification (IPC) or to both national classification and IPC | | | | | | | |
| B. FIELDS SEARCHED | | | | | | | |
| Minimum documentation searched (classification system followed by classification symbols) U.S.: 514/25, 36, 960, 21 | | | | | | | |
| Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched | | | | | | | |
| Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAPLUS, MEDLINE, BIOSIS, | | | | | | | |
| C. DOCUMENTS CONSIDERED TO BE RELEVANT | | | | | | | |
| Category * | Citation of document, with indication, where a | | | | | | |
| Y | US 6, 713, 452 (Ekwuribe et al.) 30 March 2004 (30 | .03.2004), column 9, lines 55-67. 1-4, 34-37 | | | | | |
| Y | Pub No. US 2004/0161382 A1 (Yum et al) 19 August 2004 (19.08.2004), page 2, paragraph 16 and 17; page 3, paragraph 37 and 39. | | | 1-4, 34-37 | | | |
| Y | Pub No. US 2003/0130205 A1, 10 July 2003(10.07.2003), page 16, paragraph 118. | | | 1-4, 34-37 | | | |
| | | - Francisco - Fran | | · | | | |
| | documents are listed in the continuation of Box C. | | tent family annex. | | | | |
| * S ₁ | pecial categories of cited documents: | | cument published after the internal not in conflict with the application | | | | |
| | defining the general state of the art which is not considered to be of relevance | | e or theory underlying the inven- | | | | |
| "E" earlier app | olication or patent published on or after the international filing date | consider | nt of particular relevance; the cl red novel or cannot be considere e document is taken alone | | | | |
| "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) | | "Y" documer | ocument of particular relevance; the claimed invention cannot be onsidered to involve an inventive step when the document is combined with one or more other such documents, such combination being | | | | |
| "O" document | referring to an oral disclosure, use, exhibition or other means | obvious to a person skilled in the art | | , such companies build | | | |
| "P" document published prior to the international filing date but later than the priority date claimed | | "&" documen | nt member of the same patent fa | mily | | | |
| Date of the actual completion of the international search | | Date of mailing of the international search report | | | | | |
| | 2004 (29.11.2004) | Authorized office | A/// | | | | |
| Mail | illing address of the ISA/US Stop PCT, Attn: ISA/US missioner of Patents | James O Wilson | | | | | |
| P.O. | Box 1450 | · / | 100 000 1 | | | | |
| | andria, Virginia 22313-1450 . (703) 305-3230 | Telephone No. 7 | 703-308-1235 | | | | |
| i acominie ivo | . (/ ())) () () () () () () () () () () () | <u> </u> | | | | | |

Form PCT/ISA/210 (second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/00646

| Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet) | | | | | |
|---|-------------|---|--|--|--|
| This | internat | ional report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons: | | | |
| 1. | | Claim Nos.: because they relate to subject matter not required to be searched by this Authority, namely: | | | |
| 2. | \boxtimes | Claim Nos.: because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically: Please See Continuation Sheet | | | |
| 3. | \boxtimes | Claim Nos.: 5-33 because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a). | | | |
| Box | II Ob | servations where unity of invention is lacking (Continuation of Item 2 of first sheet) | | | |
| This International Searching Authority found multiple inventions in this international application, as follows: | | | | | |
| | | | | | |
| | | | | | |
| | | | | | |
| | | | | | |
| 1. | | As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims. | | | |
| 2. | | As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite | | | |
| 3. | | payment of any additional fee. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.: | | | |
| | | | | | |
| 4. | | No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: | | | |
| Rema | rk on P | The additional search fees were accompanied by the applicant's protest. | | | |
| | | No protest accompanied the payment of additional search fees. | | | |
| | | | | | |

| | PCT/US04/00646 | |
|--|--|----|
| INTERNATIONAL SEARCH REPORT | 101/0504/00040 | |
| MIDIA WITTONIALI DEMICIT REPORT | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | • | |
| | | |
| | | |
| Continuation of Box I Reason 2: | | |
| were not searched because they are IMPROPERLY MULTIPLY DEPENDENT a | is covered by the second and third sentences of PCT ru | le |
| 6.4(a). | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |
| | | |

Form PCT/ISA/210 (second sheet) (July 1998)