

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

(19) World Intellectual Property  
Organization  
International Bureau



(10) International Publication Number  
**WO 2012/081035 A3**

(43) International Publication Date  
21 June 2012 (21.06.2012)

- (51) International Patent Classification:  
*A01N 43/58* (2006.01) *A61K 31/50* (2006.01)  
*A01N 43/60* (2006.01)
- (21) International Application Number: PCT/IN2011/000846
- (22) International Filing Date: 12 December 2011 (12.12.2011)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 3794/CHE/2010 13 December 2010 (13.12.2010) IN
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- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Declarations under Rule 4.17:**  
— of inventorship (Rule 4.17(iv))
- Published:**  
— with international search report (Art. 21(3))
- (88) Date of publication of the international search report: 4 October 2012



WO 2012/081035 A3

(54) Title: A PROCESS FOR PREPARATION OF PRAZIQUANTEL

(57) Abstract: The present invention discloses a novel, cost-effective process for preparation of a 4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino-[2,1-a]isoquinoline derivatives. Specifically it discloses a process for the preparation of anthelmintic drug praziquan-  
tel incorporating a novel intermediate 2-[(2,2-dimethoxyethyl)benzyl amino]-N-phenethylacetamide. This invention also discloses a novel crystalline form of 4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino[2,1-a]isoquinoline.

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/IN 11/00846

| <b>A. CLASSIFICATION OF SUBJECT MATTER</b><br>IPC(8) - A01N 43/58, 43/60, A61K 31/50 (2012.01)<br>USPC - 514/250<br>According to International Patent Classification (IPC) or to both national classification and IPC  |   |  |
|--|---|--|
| <b>B. FIELDS SEARCHED</b><br>Minimum documentation searched (classification system followed by classification symbols)<br>USPC: 514/250<br>Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched<br>USPC: 514/250, 28-29 (see search terms below)<br>Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)<br>DialogClassic: (keywords2-((2,2-dimethoxyethyl)amino]-N-(2-phenylethyl)acetamide, alkylamine, acetylchloride, sodium bicarbonate, toluene, Benzylamine, chloroacetaldehyde, dimethoxyethanamine, praziquantel, cylization, isoquinoline, crystal structure, powder, x-ray, alkylacetamide, isoquinoline)  |   |  |
| <b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>  |   |  |
| Category*  | Citation of document, with indication, where appropriate, of the relevant passages  | Relevant to claim No.  |
| Y  | Laurent et al. Synthesis of Trioxaquantel Derivatives as Potential New Antischistosomal Drugs, Eur. J. Org. Chem. 2008, pp 895-913. pg 896, col 2, para 4 - pg 897, col 1, para 1, Scheme 2; pg 905, col 2, para 7 - pg 905, col 1, para 3<br>DOI: 10.1002/ejoc.200700975 | 1- 11<br><br>1-9   |
| Y  | US 3,071,613 A (Surrey) 1 January 1963 (01.01.1963) col 2, ln 72; col 3, ln 1   |  |
| Y  | Torrado et al. Preparation, Dissolution and Characterization of Praziquantel Solid Dispersions. Chem. Pharm. Bull., 1999, Vol 47, 11, pp 1629-1633; pg 1629; pg 1631-1632   | 10-11  |
| Y  | US 4,866,056 A (Dorgan et al.) 12 September 1989 (12.09.1989) col 1, ln 31-32; col 13, ln 37, ln 41, ln 44-47   | 1-8  |
| A  | US 4,049,659 A (Pohlke) 20 September 1977 (20.09.1977) entire document  | 1-11   |
| <input type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/>   |   |  |
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| Date of the actual completion of the international search<br>15 June 2012 (15.06.2012)   |   | Date of mailing of the international search report<br><b>17 JUL 2012</b>                   |
| Name and mailing address of the ISA/US<br>Mail Stop PCT, Attn: ISA/US, Commissioner for Patents<br>P.O. Box 1450, Alexandria, Virginia 22313-1450<br>Facsimile No. 571-273-3201  |   | Authorized officer:<br>Lee W. Young<br>PCT Helpdesk: 571-272-4300<br>PCT OSP: 571-272-7774 |