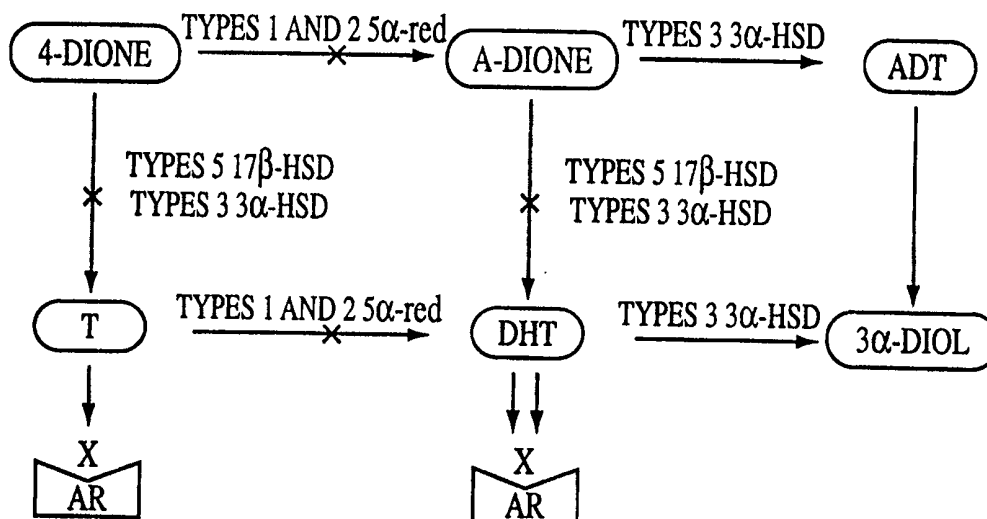




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : A61K 31/00, 31/565, 31/566, 31/567, 31/585, 45/06, A61P 35/00, 17/10, 17/08, 17/14, 5/26		A3	(11) International Publication Number: WO 00/07576
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(21) International Application Number: PCT/CA99/00724		Charny, Québec G6X 3R7 (CA). LUU-THE, Van [CA/CA]; 4460 de l'Estuaire, Charny, Québec G6X 1C6 (CA).	
(22) International Filing Date: 6 August 1999 (06.08.99)		(74) Agent: MITCHELL, Richard, J.; Marks & Clerk, P.O. Box 957, Station B, Ottawa, Ontario K1P 5S7 (CA).	
(30) Priority Data: 60/095,623 7 August 1998 (07.08.98) US		(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, 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, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).	
(63) Related by Continuation (CON) or Continuation-in-Part (CIP) to Earlier Application US 60/095,623 (CON) Filed on 7 August 1998 (07.08.98)			
(71) Applicant (for all designated States except US): EN-DORECHERCHE, INC. [CA/CA]; 2989 de la Promenade, Ste-Foy, Québec G1W 2J5 (CA).			
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		Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>	
		(88) Date of publication of the international search report: 30 March 2000 (30.03.00)	

(54) Title: INHIBITORS OF TYPE 3 3 α -HYDROSTEROID DEHYDROGENASE

X, INHIBITION TARGET

(57) Abstract

Novel methods of treating and/or inhibiting development of prostatic cancer, benign prostatic hyperplasia, prostatitis, acne, seborrhea, hirsutism or androgenic alopecia utilize inhibitors of type 3 3 α -hydroxysteroid dehydrogenase alone or in combination with other active pharmaceuticals such as inhibitors of type 5 17 β -hydroxysteroid dehydrogenase. Novel inhibitors and pharmaceutical products are also disclosed.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/CA 99/00724

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/00 A61K31/565 A61K31/566 A61K31/567 A61K31/585
 A61K45/06 A61P35/00 A61P17/10 A61P17/08 A61P17/14
 A61P5/26

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)

IPC 7 A61K

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 practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 99 46279 A (ENDORECHERCHE INC) 16 September 1999 (1999-09-16) abstract; example 30; table 4 claims 19-25, 32, 36, 58-63, 71, 93-98, 106, 114-204 ---	1-19, 22-25, 27-79
X	US 3 562 260 A (RUGGIERI PIETRO DE ET AL) 9 February 1971 (1971-02-09) column 1, line 15 -column 2, line 12; claims; examples 8-16 --- -/--	1-3, 9, 11-13, 16-19, 21-25, 27-79



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

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"P" document published prior to the international filing date but later than the priority date claimed

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"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

25 January 2000

Date of mailing of the international search report

02/02/2000

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Authorized officer

Hoff, P

INTERNATIONAL SEARCH REPORT

Int .tional Application No

PCT/CA 99/00724

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 117 117 A (LIEHR JOACHIM GEORG) 29 August 1984 (1984-08-29) the whole document ---	16, 18, 19, 22, 24, 25
X	WO 95 04535 A (CHILDRENS MEDICAL CENTER) 16 February 1995 (1995-02-16) abstract page 6, line 21 -page 7, line 2; example 4; table 1 claims 1, 14, 15 ---	16, 18, 19, 22, 24, 25
X	PAGE, PHILIP C. BULMAN ET AL: "Efficient regioselective A-ring functionalization of estrogens" TETRAHEDRON (1990), 46(6), 2059-68 , XP000867284 the whole document ---	22, 24, 25
X	US 4 340 602 A (BROOKS SAMUEL C) 20 July 1982 (1982-07-20) the whole document ---	16, 22
X	US 4 568 673 A (BROOKS SAMUEL C ET AL) 4 February 1986 (1986-02-04) the whole document ---	16, 22
A	DUFORT, ISABELLE ET AL: "Molecular cloning of human type 3 3.alpha.-hydroxysteroid dehydrogenase that differs from 20.alpha.-hydroxysteroid dehydrogenase by seven amino acids" BIOCHEM. BIOPHYS. RES. COMMUN. (1996), 228(2), 474-479 , XP000867346 the whole document ---	14, 15
A	LUU-THE V ET AL: "CHARACTERISTICS OF HUMAN TYPES 1, 2 AND 3 17BETA-HYDROXYSTEROID DEHYDROGENASE ACTIVITIES: OXIDATION/REDUCTION AND INHIBITION" JOURNAL OF STEROID BIOCHEMISTRY AND MOLECULAR BIOLOGY, GB, ELSEVIER SCIENCE LTD., OXFORD, vol. 55, no. 5/06, page 581-587 XP000196678 ISSN: 0960-0760 abstract; figure 5 -----	1-19, 22-25, 27-79

INTERNATIONAL SEARCH REPORT

International application No.

PCT/CA 99/00724

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Although claim(s) 1-13, 27-79
is(are) directed to a method of treatment of the human/animal
body, the search has been carried out and based on the alleged
effects of the compound/composition.
2. ☒ Claims 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:
SEE FURTHER INFORMATION PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations 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 payment
of any additional fee.
3. ☐ 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.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-2,27-79 relate to compounds defined by reference to their pharmacological properties, namely "type 3 3alpha-hydroxysteroid dehydrogenase inhibitor", "types 5/3 17beta-hydroxysteroid dehydrogenase inhibitor", "LHRH agonist/antagonist", "antiandrogen", "5alpha-reductase inhibitor", "antiestrogen", "aromatase inhibitor".

The claims cover all compounds having this characteristic or property, whereas the application provides support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT for only a very limited number of such compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Independent of the above reasoning, the claims also lack clarity (Article 6 PCT). An attempt is made to define the compounds by their pharmacological profiles. Again, this lack of clarity in the present case is such as to render a meaningful search over the whole of the claimed scope impossible.

Furthermore, claims 1-13 relate to the treatment of a disease which actually is not well defined. The use of the definition "inhibiting conversion of 4-androstene-3,17-dione/ 5alpha-androstane-3,17-dione to testosterone/dihydrotestosterone" in the present context is considered to lead to a lack of clarity within the meaning of Article 6 PCT. The lack of clarity is such as to render a meaningful complete search impossible.

Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to the compounds structurally identified in claims 3-13,16-26, to the diseases explicitly mentioned in claims 27,50,64,72 and to the general idea underlying the present invention.

Claims searched completely: 3-13,16-26

Claims searched incompletely: 1,2,14,15,27-79

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/CA 99/00724

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