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Declaration under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

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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: A PROCESS FOR THE PREPARATION OF SUBSTANTIALLY PURE 4-AMINO-1-ISOBUTYL-1H-IMIDAZO[4,5-C]-QUINOLINE (IMIQUIMOD)

(57) Abstract: A process for preparation of Imiquimod comprising oxidation of 1-Isobutyl-1H-imidazo-[4,5-c]-quinoline (II) afforded 1-Isobutyl-1H-imidazo-[4,5-c]-quinoline-5-N-oxide(III) which is isolated in pure form as its hydrochloride salt (IV) followed by conversion to 4-chloro derivative(V) and conversion to corresponding 4-iodo derivative (VI) which is a novel intermediate. This novel intermediate is converted to imiquimod (VIII) and purified via its organic salt. The invention also relates to crystalline polymorphic forms of Imiquimod Maleate, Fumarate and Oxalate.

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INTERNATIONAL SEARCH REPORT

International application No
PCT/IN2005/000433

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	MINAKAWA, NORIAKI ET AL: "New Base Pairing Motifs. The Synthesis and Thermal Stability of Oligodeoxynucleotides Containing Imidazopyridopyrimidine Nucleosides with the Ability to Form Four Hydrogen Bonds" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY , 125(33), 9970-9982 CODEN: JACSAT; ISSN: 0002-7863, 2003, XP002347291 -& Supporting Information: SI-Scheme 4 -----	1-27,52
Y	WO 03/097641 A (NOVARTIS AG; NOVARTIS PHARMA GMBH; GARCIA-ECHEVERRIA, CARLOS; CAPRARO,) 27 November 2003 (2003-11-27) page 4, last paragraph, pages 10-11, page 26, claim 1; example 73 -----	28-51, 53-58
Y,P	WO 2005/033049 A (TARO PHARMACEUTICALS U.S.A., INC; GUTMAN, DANIELLA; BAIDOSSI, WAEL; CH) 14 April 2005 (2005-04-14) pages 19-20; examples 4-6 -----	28-51, 53-58

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IN2005/000433

Box II Observations where certain claims were found unsearchable (Continuation of item 2 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:

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:

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 III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

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

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-27,52

Process and product.

2. claims: 28-30,53, 54

Imiquimod maleate.

3. claims: 31-41,55,56

Imiquimod fumarate.

4. claims: 42-51,57,58

Imiquimod oxalate.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No PCT/IN2005/000433

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