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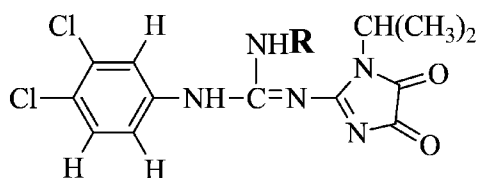
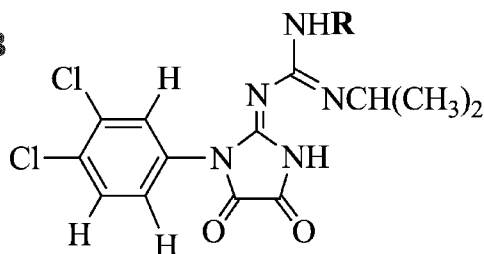
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[Continued on next page]

(54) Title: GUANIDYLMIDAZOLE AND GUANIDYLMIDAZOLINE DERIVATIVES AS ANTIMALARIAL AGENTS, SYNTHESIS OF AND METHODS OF USE THEREOF

A**B****FIG. 1**

(57) Abstract: The present invention relates to new guanidylimidazole derivatives and guanidylimidazoline derivatives, methods of making these compounds, compositions containing the same, and methods of using the same to prevent, treat, or inhibit malaria in a subject.



SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 12/35105

A. CLASSIFICATION OF SUBJECT MATTER

IPC(8) - A01N 43/50, 43/52; A61K 31/415 (2012.01)

USPC - 514/385-388

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)

USPC: 514/385-388

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
USPC: 514/393; 514/395-396; 514/398 (see search words below)

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

WEST: PGPB, USPT, USOC, EPAB, JPAB

Google: Scholar/patents: GUANIDYLIMIDAZOLE antimalarial imidazole guanidin\$ antimalaria chloroquine dichlorophenyl guanidine
malaria agent kit plasmodium parasite synthesis HgO thiourea aminoimidazole

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 2005/0148645 A1 (LIN et al.) 07 July 2005 (07.07.2005) para [0015]-[0017], [0020], [0023], [0030]-[0031], [0103], [0159], [0168], [0182], [0219]; Tables 2, 5; pg 8, Scheme 3; claim 33	1-11, 20-28
Y	JAIN et al. Antimalarial Activities of Ring-Substituted Bioimidazoles. Bioorganic and Medicinal Chemistry, 2002, Vol 12, pp 1701-1704; pg 1702, col 1, para 4 to col 2, para 3, Fig 2; pg 1703, col 1, para 1 to col 2, para 3, Tables 1-3	1-11, 20-28
Y	SUH et al. Novel Potent Antagonists of Transient Receptor Potential Channel, Vanilloid Subfamily Member 1: Structure-Activity Relationship of 1,3-Diarylalkyl Thioureas Possessing New Vanilloid Equivalents. Journal of Medicinal Chemistry, 2005, Vol 48, pp 5823-5836. pg 5824, Scheme 1; pg 5827, Scheme 10; pg 5834, col 2, para 4	27-28

☐ Further documents are listed in the continuation of Box C.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"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)

"O" document referring to an oral disclosure, use, exhibition or other means

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

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"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

14 July 2012 (14.07.2012)

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 12/35105

Box No. 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.: 12-19
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. 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:

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 additional fees, this Authority did not invite payment of additional fees.
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 and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.