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### Patent Search

Invention Title	"AMINOQUINOLINE BASED HYBRIDS AND USES THEREOF"
Publication Number	34/2015
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Publication Type	INA
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Priority Country	
Priority Date	
Field Of Invention	(FI03)CHEMICAL
Classification (IPC)	C07C
Inventor	

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Applicant

Name	Address	Country	Nationality
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#### Abstract:

The invention relates to aminoquinoline based hybrids and uses thereof, comprising of 4-aminoquinoline, 8-aminoquinoline, mefloquine, amidoquine & all combinations thereof, with general formula (I) as herein described: (Formula Removed) Formula (I) Wherein R is independently absent or selected from the group of substituted or unsubstituted, linear, branched, or cyclic alkyl, alkenyl, or alkynyl; halogen, substituted or unsubstituted alkoxy, nitro, cyano, carbonyl, hydroxyl, phenoxy, thio, and substituted or unsubstituted aryl or hetero-aryl; R1-R7 are independently absent or selected from the group of substituted or unsubstituted, linear, branched, or cyclic alkyl, alkenyl, or alkynyl; halogen, substituted or unsubstituted alkoxy, nitro, cyano, carbonyl, hydroxyl, phenoxy, thio, and substituted or unsubstituted aryl or hetero-aryl; the six membered ring with X, Y, Z be all CH or combination of CH, X/Y/Z or any other combination where X, Y, Z being N, O, S or any other biologically relevant atoms of fused heteroaromatic system such as pyrimidine, pyrazine, triazine and all other heteroaromatics with various substitution patterns and n = 0-16, the (CH<sub>2</sub>)<sub>n</sub> being a linker or spacer, and it can be aromatic, hetero-aromatic or cyclic systems.



**Complete Specification****FIELD OF INVENTION**

This invention relates to aminoquinoline based hybrids, pharmaceutical composition containing the same, and methods of using thereof.

**BACKGROUND OF THE INVENTION**

Malaria affects over 40% of world's population, causing deaths of 1-3 million people every year [Haynes, R. K. Acc. Chem. Res. 1997, 30, 73; Meunier, B. Acc. Chem. Res. 2002, 35, 167; O'Neill, P. M. J. Med. Chem. 2004, 47, 2945; Jain, R. Med. Res. Rev. 2007, 27, 65; Vennerstrom, J. L. Med. Res. Rev. 2004, 24, 425; Schlitzer, M. Angew. Chem. Int. Ed. Engl. 2003, 42, 5274; Vennerstrom, J. L. Nature 2004, 430, 900; White, N. J. Science 2008, 320, 330]. The incidence of malaria has become a matter of concern because of many Plasmodium falciparum strains have developed resistance to most widely used drugs [Greenwood, B. Nature 2002, 415, 670].

The 4-aminoquinoline class of therapeutics remains a frontline drug of choice for combating malaria even after several decades of drug development efforts [Gomez-Barrio, A. Eur. J. Med. Chem. 2009, 44, 3091].

WO Pub. No. 2005009969 on "AMINOQUINOLINE DERIVATIVES AND THEIR USE AS ADENOSINE A3 LIGANDS" discloses compounds of the general formula (I), and their salts, solvates, isomers (tautomers, desmotrops, optically active isomers) as well as the salts and solvates; are strong adenosine A3 receptor ligands preferably antagonists.

WO Pub. No. 2010089341 on "NOVEL VANCOMYCIN-AMINOQUINOLINE HYBRID MOLECULES THEIR PREPARATION AND THEIR APPLICATION IN THERAPEUTICS" relates to novel vancomycin-aminoquinoline hybrid molecules designated "vancomyquines\*", preparation thereof and application thereof in therapeutics. The present invention notably relates to novel hybrid molecules in which vancomycin is bound covalently to substituted 4-aminoquinolines. The present invention describes the preparation of these hybrid molecules designated "vancomyquines\*" corresponding to formula (I) as well as their therapeutic use as an antibacterial agent.

The success of this antimalarial pharmacophore is based on its excellent clinical efficacy, ease of administration, low toxicity and cheap synthesis [Gomez-Barrio, A. Eur. J. Med. Chem. 2009, 44, 3091; Carden Jr., G. A. J. Am. Med. Assoc. 1946, 130, 1069].

US App. No. 20050099815 on "4-AMINOQUINOLINE COMPOUNDS" is concerned with compounds of the general Formula I: 1 and pharmaceutically acceptable salts thereof, which are useful as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists. As such, compounds of the present invention are useful for the treatment or prevention of obesity or eating disorders associated with excessive food intake and complications thereof, osteoarthritis, certain cancers, AIDS wasting, cachexia, frailty (particularly in elderly), mental disorders stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Compounds of formula I may therefore be used in the treatment of these conditions, and in the manufacture of a medicament useful in treating these conditions. Pharmaceutical formulations comprising one of the compounds of formula (I) as an active ingredient are disclosed, as are processes for preparing these compounds.

US Pat. No. 7122421 on "ANTIMALARIAL COMPOUNDS" relates to pharmaceutical compounds for use in the treatment or prophylaxis of malaria having the

**Detail**

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US 20150023930A1

(19) **United States**(12) **Patent Application Publication****Rawat et al.**(10) **Pub. No.: US 2015/0023930 A1**(43) **Pub. Date: Jan. 22, 2015**(54) **AMINOQUINOLINE DERIVATIVES AND  
USES THEREOF****Publication Classification**(71) Applicant: **THE MCLEAN HOSPITAL  
CORPORATION**, Belmont, MA (US)(51) **Int. Cl.****C07D 401/12** (2006.01)**C12N 5/0793** (2006.01)**A61K 31/5355** (2006.01)**A61K 45/06** (2006.01)**A61K 31/506** (2006.01)**C07D 401/14** (2006.01)(72) Inventors: **Diwan S. Rawat**, Delhi (IN); **Sunny Manohar**, Delhi (IN); **Ummadisetty Chinna Rajesh**, Delhi (IN); **Deepak Kumar**, Delhi (IN); **Anuj Thakur**, Delhi (IN); **Mohit Tripathi**, Delhi (IN); **Panyala Linga Reddy**, Delhi (IN); **Shamseer Kulangara Kandi**, Delhi (IN); **Satyapavan Vardhineni**, Delhi (IN); **Kwang-Soo Kim**, Lexington, MA (US); **Chun-Hyung Kim**, Lexington, MA (US)(52) **U.S. Cl.**CPC ..... **C07D 401/12** (2013.01); **A61K 31/506** (2013.01); **C07D 401/14** (2013.01); **A61K 31/5355** (2013.01); **A61K 45/06** (2013.01); **C12N 5/0619** (2013.01); **C12N 2506/02** (2013.01)USPC ..... **424/93.7**; 544/328; 514/256; 435/377; 435/366; 544/331; 514/275; 544/324; 544/122; 514/235.8; 544/295; 514/252.18(73) Assignee: **The McLean Hospital Corporation**, Belmont, MA (US)(21) Appl. No.: **14/382,727**

(57)

**ABSTRACT**(22) PCT Filed: **Feb. 28, 2013**(86) PCT No.: **PCT/US2013/028329**

§ 371 (c)(1),

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Mar. 7, 2012 (IN) ..... 661/DEL/2012

Described herein are aminoquinoline and aminoacridine based hybrids, pharmaceutical compositions and medications that include such aminoquinoline and aminoacridine based hybrids, and methods of using such compounds for diagnosing and/or treating infections, neurodegenerative diseases or disorders, inflammation, inflammation associated diseases and disorders, and/or diseases or disorders that are treatable with dopamine agonists such as the restless leg syndrome.



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C07D 413/14 (2006.01) A61K 31/5377 (2006.01)  
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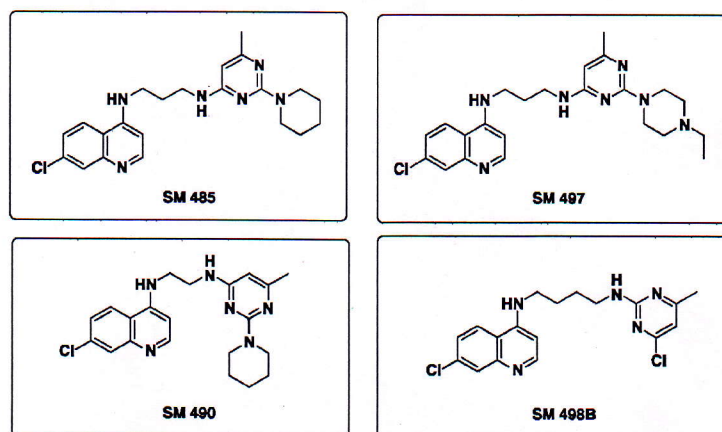
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[Continued on next page]

(54) Title: AMINOQUINOLINE DERIVATIVES AND USES THEREOF



**FIG. 2**

(57) Abstract: Described herein are aminoquinoline and aminoacridine based hybrids, pharmaceutical compositions and medicaments that include such aminoquinoline and aminoacridine based hybrids, and methods of using such compounds for diagnosing and/or treating infections, neurodegenerative diseases or disorders, inflammation, inflammation associated diseases and disorders, and/or diseases or disorders that are treatable with dopamine agonists such as the restless leg syndrome.



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(19) **United States**(12) **Patent Application Publication****Rawat et al.**(10) **Pub. No.: US 2015/0152056 A1**(43) **Pub. Date: Jun. 4, 2015**(54) **CURCUMIN ANALOGS AND METHODS OF MAKING AND USING THEREOF***C07D 213/89* (2006.01)*C07C 49/753* (2006.01)(71) Applicants: **Georgia State University Research Foundation, Inc.**, Atlanta, GA (US);  
**University of Delhi**, Delhi (IN)(52) **U.S. Cl.**CPC ..... *C07D 213/68* (2013.01); *C07D 213/89*  
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*49/753* (2013.01); *C07D 295/116* (2013.01);  
*C07D 233/61* (2013.01); *C07C 225/18*  
(2013.01); *C07C 2101/08* (2013.01)(72) Inventors: **Diwan S. Rawat**, Delhi (IN); **Binghe Wang**, Marietta, GA (US); **Nitin Kumar**, Delhi (IN); **Sunny Manohar**, Delhi (IN); **Xiaochuan Yang**, Towson, MD (US); **Guojing Sun**, Atlanta, GA (US); **Nanting Ni**, Ventura, CA (US)

(57)

**ABSTRACT**

Compounds having Formula I or II, and methods of making and using thereof, are described herein:

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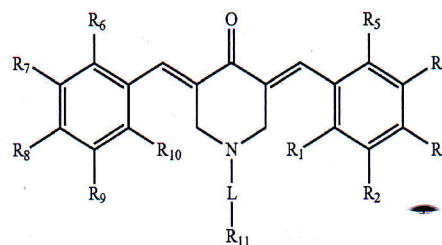
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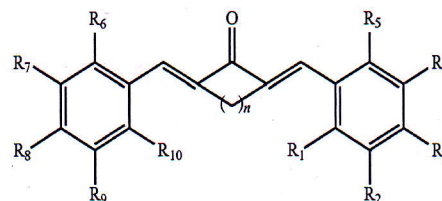
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Formula I



Formula II





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C07D 213/68 (2006.01) A61P 35/00 (2006.01)

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(71) Applicants: GEORGIA STATE UNIVERSITY RESEARCH FOUNDATION, INC. [US/US]; 30 Courtland Street Ne, Suite 217, Atlanta, GA 30303 (US). UNIVERSITY OF DELHI [IN/IN]; Delhi 110007 (IN).

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(74) Agents: PABST, Patrea, L. et al.; Pabst Patent Group LLP, 1545 Peachtree Street, N.E., Suite 320, Atlanta, GA 30309 (US).

(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, BN, 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, 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, PA, 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.

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