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(71) Applicant: SCHERING CORPORATION [US/US];  
Patent Department - K-6-1 1990, 2000 Galloping Hill  
Road, Kenilworth, NJ 07033-0530 (US).

(72) Inventors: ASLANIAN, Robert, G.; 144 Philip Drive,  
Rockaway, NJ 07866 (US). ROSENBLUM, Stuart, B.; 16  
Steven Terrace, West Orange, NJ 07052 (US). MUTAHI,  
Mwangi, Wa; 45 Snyder Road, Fords, NJ 08863 (US).  
SHIH, Neng-Yang; 1 Maple Drive, North Caldwell, NJ  
07006 (US). PIWINSKI, John, J.; 6 Saddle Ridge Drive,  
Clinton Township, NJ 08833 (US).

(74) Agent: KALYANARAMAN, Palaiyur, S.; Schering Cor-  
poration, Patent Department - K-6-1 1990, 2000 Galloping  
Hill Road, Kenilworth, NJ 07033-0530 (US).

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(54) Title: SUBSTITUTED IMIDAZOLES AS DUAL HISTAMINE H<sub>1</sub> AND H<sub>3</sub> AGONISTS OR ANTAGONISTS

(57) Abstract: The present invention discloses novel substituted imidazole compounds which have either or dual histamine-H<sub>1</sub> and H<sub>3</sub> receptor antagonist activity as well as methods for preparing such compounds. In another embodiment, the invention discloses pharmaceutical compositions comprising such imidazoles as well as methods of using them to treat allergy, inflammatory and CNS-related diseases and others.

**INTERNATIONAL SEARCH REPORT**

International Application No  
PCT/US 01/29064

**A. CLASSIFICATION OF SUBJECT MATTER**

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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)  
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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)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data, BIOSIS

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96 29315 A (SCHUNACK WALTER G ;HUELS ANNETTE (DE); BASSEM SADEK (DE); PURAND K) 26 September 1996 (1996-09-26) cited in the application claim 1; examples 2-4,71-73,102,114 ---	1-16
X	SASSE ET AL.: "(Partial)Agonist/antagonist properties of novel diarylalkyl carbamates on histamine H3 receptors" BIOORG.MED.CHEM., vol. 8, 5 May 2000 (2000-05-05), pages 1139-1149, XP002196518 cited in the application tables 1-3 --- -/--	1-16

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

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European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Steendijk, M

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 93 14070 A (BIOPROJET SOC CIV ;INST NAT SANTE RECH MED (FR)) 22 July 1993 (1993-07-22) example 9 ---	1-16
X	STARK H ET AL: "ACYLATED AND ALKYLATED HISTAMINE DERIVATIVES AS NEW HISTAMINE H3-RECEPTOR ANTAGONISTS" EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, FR, vol. 29, no. 9, 1994, pages 695-700, XP001061874 ISSN: 0223-5234 compound 10 table I ---	1-16
X	STARK ET AL.: "New potent H3-receptor antagonists of the amide type" EUR.J.PHARM.SCI., vol. 3, 1995, pages 95-104, XP002196519 cited in the application see compound 8 page 97 ---	1-16
X	STARK H ET AL: "DEVELOPMENT OF FUB 181, A SELECTIVE HISTAMINE H3-RECEPTOR ANTAGONIST OF HIGH ORAL IN VIVO POTENCY WITH 4-(W-(ARYLALKYLOXY)ALKYL)-1H-IMIDA ZOLE STRUCTURE" ARCHIV DER PHARMAZIE, VCH VERLAGSGESELLSCHAFT MBH, WEINHEIM, DE, vol. 331, no. 6, 1998, pages 211-218, XP000983500 ISSN: 0365-6233 cited in the application see compound 10e tables 1,2 ---	1-16
X	STARK H ET AL: "Developments of Histamine H3-receptor Antagonists" DRUGS OF THE FUTURE, BARCELONA, ES, vol. 21, no. 5, 1996, pages 507-520, XP002084872 ISSN: 0377-8282 cited in the application compounds IXg-i page 514-515 --- -/--	1-16

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International Application No

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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X	<p>HULS A ET AL: "Diphenylmethyl ethers: synthesis and histamine H3-receptor antagonist in vitro and in vivo activity" BIOORGANIC &amp; MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 6, no. 16, 20 August 1996 (1996-08-20), pages 2013-2018, XP004135646 ISSN: 0960-894X cited in the application tables 1,2</p>	1-16
X	<p>SCHUNACK W ET AL: "Benzhydryl ethers possessing combined histamine H3/H1-receptor antagonist activity." EUROPEAN JOURNAL OF PHARMACEUTICAL SCIENCES, vol. 4, no. SUPPL., 1996, page S117 XP002196520 Third European Congress of Pharmaceutical Sciences; Edinburgh, Scotland, UK; September 15-17, 1996 ISSN: 0928-0987 the whole document</p>	1-16
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A	<p>US 5 869 479 A (HEY JOHN A ET AL) 9 February 1999 (1999-02-09) cited in the application column 3</p>	

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Information on patent family members

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