US008071810B2

(12) **United States Patent**
Schnatbaum et al.

(10) **Patent No.: US 8,071,810 B2**
(45) **Date of Patent: Dec. 6, 2011**

(54) **C5A RECEPTOR ANTAGONISTS**

(75) Inventors: **Karsten Schnatbaum**, Berlin (DE); **Dirk Scharn**, Berlin (DE); **Elsa Locardi**, Berlin (DE); **Thomas Polakowski**, Berlin (DE); **Uwe Richter**, Berlin (DE); **Ulrich Reineke**, Berlin (DE); **Gerd Hummel**, Berlin (DE)

(73) Assignee: **Jerini AG**, Berlin (DE)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 852 days.

(21) Appl. No.: **11/915,892**

(22) PCT Filed: **May 30, 2006**

(86) PCT No.: **PCT/EP2006/005141**

§ 371 (c)(1),
(2), (4) Date: **Nov. 29, 2007**

(87) PCT Pub. No.: **WO2006/128670**

PCT Pub. Date: **Dec. 7, 2006**

(65) **Prior Publication Data**

US 2008/0220003 A1 Sep. 11, 2008

(30) **Foreign Application Priority Data**

May 30, 2005 (EP) 05011620

(51) **Int. Cl.**
C07C 275/00 (2006.01)
C07C 273/00 (2006.01)

(52) **U.S. Cl.** **564/50**; 564/47

(58) **Field of Classification Search** 564/50, 564/47

See application file for complete search history.

(56) **References Cited**

U.S. PATENT DOCUMENTS

7,105,567 B2 * 9/2006 Ishibuchi et al. 514/466

FOREIGN PATENT DOCUMENTS

EP 1 308 438 A 5/2003
EP 1308438 A1 * 5/2003
EP 1 318 140 A 6/2003
WO WO 03/082826 A 10/2003

OTHER PUBLICATIONS

Finch et al., "Low-Molecular-Weight Peptidic and Cyclic Antagonists of the Receptor for the Complement Factor C5a", J. Med. Chem., 1999, 42, 1965-1974.

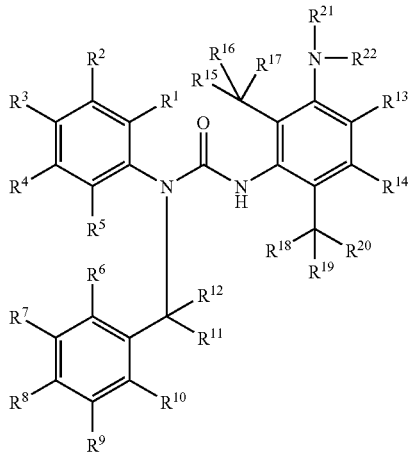
* cited by examiner

Primary Examiner — Nizal Chandrakumar
(74) *Attorney, Agent, or Firm* — Morse, Barnes-Brown & Pendleton, P.C.; Lisa M. Treannie, Esq.

(57) **ABSTRACT**

The present invention is related to a compound, preferably a C5a receptor antagonist, having the following structure, R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11, R12, R13, R14, R15, R16, R17, R18, R19, R20, R21 and R22 are individually and independently selected from the group comprising H, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, alkoxyl, substituted alkoxyl, aryloxy, substituted aryloxy, arylalkyloxy, substituted arylalkyloxy, acyloxy, substituted acyloxy, halogen, hydroxyl, nitro, cyano, acyl, substituted acyl, mercapto, alkylthio, substituted alkylthio, amino, substituted amino, alkylamino, substituted alkylamino, bisalkyl amino, substituted bisalkyl amino, cyclic amino, substituted cyclic amino, carbamoyl (—$CONH_2$), substituted carbamoyl, carboxyl, carbamate, alkoxycarbonyl, substituted alkoxycarbonyl, acylamino, substituted acylamino, sulfamoyl (—SO_2NH_2), substituted sulfamoyl, haloalkyl, haloalkyloxy, —C(O)H, trialkylsilyl and azido.

(IV)



18 Claims, 6 Drawing Sheets