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Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))
- with information concerning refusal to authorize the rectification of an obvious mistake under Rule 91.1 (Rule 91.3(d))

(88) Date of publication of the international search report:
15 July 2010

(54) Title: CXCR5 RECEPTOR COMPOUNDS

(57) Abstract: The invention relates generally to compounds which are allosteric modulators (e.g., negative and positive allosteric modulators, allosteric agonists, and ago-allosteric modulators) of the G protein coupled receptor CXCR5. The CXCR5 receptor compounds are derived from the intracellular loops and domains of the CXCR5 receptor. The invention also relates to the use of these CXCR5 receptor compounds and pharmaceutical compositions comprising the CXCR5 receptor compounds in the treatment of diseases and conditions associated with CXCR5 receptor modulation such as autoimmune diseases including lupus, HIV and rheumatoid arthritis, Primary Sjogren's Syndrome, chronic lymphocytic leukemia, Burkitt Lymphoma, colon and breast cancer tumor metastasis, Multiple Sclerosis and compromised immune function.



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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 09/05976

A. CLASSIFICATION OF SUBJECT MATTER

IPC(8) - A61K 38/04 (2010.01)

USPC - 530/327; 530/328

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- 530/327; 530/328

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

USPC- 514/15; 514/14 (text search)

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

PubWEST (EPAB, JPAB, PGPB, USPT); Google Scholar: CXCR5, chemokine, pepducin, GPCR, cell penetrating peptides, lipid conjugated peptides

GenCore 6.3: SEQ ID NOs: 1,18

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 2008/0214451 A1 (KULIOPULOS et al.) 4 September 2008 (04.09.2008) para [0008]-[0009], [0080]	1, 3-6, 8-11, 14, 24-26, 29-37
Y	US 7,105,488 B1 (TARASOVA et al.) 12 September 2006 (12.09.2006) SEQ ID NO: 140	1, 3-6, 24-26, 29-37
Y	US 2008/0234183 A1 (HALLBRINK et al.) 25 September 2008 (25.09.2008) SEQ ID NO: 12909	8-11, 14, 26

☐ 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

4 May 2010 (04.05.2010)

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 09/05976

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.: 38-41
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:
Group I+: claims 1-41, drawn to a compound represented by Formula TLP, and a method of using said compound. The first invention encompasses a compound wherein P is a peptide of SEQ ID NO:1. Due to the number of sequences in this application, other inventions of Group I+ will be defined as necessary depending on Applicant's ultimate payment of additional fees. The additional sequences will be searched if applicant pays for each additional sequence or shows that the sequences share a special technical feature, i.e. a common structure or feature that defines a contribution over the prior art. Note that each additional sequence to be searched must be specified by the Applicant in the response to this invitation and must either (1) have an additional invention fee paid or (2) have a showing that the sequences share a common structure or feature that defines a contribution over the prior art. The exact claims searched will depend on the specifically elected SEQ ID NO(s): and binding moieties.
[NOTE: Claims 2, 7, 12-13, 15-23, 27-28 were excluded from Groups I and II, because they are drawn to a non-elected subject matter.]
Claims 38-41 were excluded from Groups I-II because they are not drafted in accordance with the second and third sentences of Rule 6.4 (a). These claims are improper multiple dependent claims.]
-----continued on separate 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 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.:
Claims 1, 3-6, 8-11, 24-26, 29-37, restricted to SEQ ID NOS: 1 and 18

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.

***** Supplemental Box *****

Box III (Lack of Unity of Invention):

The inventions listed as Group I+ do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons:

The inventions of Group I+ share the technical feature of a compound of Formula TLP that represent a conjugate of a lipophilic tether moiety to a therapeutic peptide. However, this shared technical feature is obvious over prior art because WO 2008/042686 A2 to Lu et al. (10 April 2008) discloses a conjugate comprising a lipophilic tether moiety attached to a peptide via the N-terminus of said peptide (Fig 2A, HHCO), and further because US 7,105,488 B1 to Tarasova, et al (12 Sep 2006) discloses G Protein-Coupled Receptor Antagonists, including a polypeptide comprising amino acid sequence identical to the claimed SEQ ID NO:1 (Tarasova, et al, amino acids 28-40 of SEQ ID NO 140, which a 42-amino-acid-long peptide). As said compound was obvious at the time of the invention and the claimed SEQ ID NO: 1 was also known in the art, there is no special technical feature that would otherwise unify the groups.

Group I+ therefore lacks unity under PCT Rule 13 because they do not share a same or corresponding special technical feature.