Title (en)

SYNTHESIS OF CONFORMATIONALLY RESTRICTED AMINO ACIDS, PEPTIDES AND PEPTIDOMIMETICS BY CATALYTIC RING CLOSING METATHESIS

Title (de)

SYNTHESE VON KONFORMATORISCH EINGESCHRÄNKTEN AMINOSÄUREN, PEPTIDEN UND PEPTIDOMIMETISCHEN VERBINDUNGEN DURCH KATALYTISCHEN RINGSCHLUSS-METATHESE

Title (fr)

SYNTHESE D'ACIDES AMINES, DE PEPTIDES ET DE PEPTIDOMIMETIQUES A LIMITATION CONFORMATIONNELLE PAR METATHESE CATALYTIQUE AVEC FERMETURE DU CYCLE

Publication

EP 0880357 A4 19990512 (EN)

Application

EP 96919234 A 19960607

Priority

- US 9609591 W 19960607
- US 1017096 P 19960117

Abstract (en)

[origin: WO9726002A1] A method for synthesizing conformationally restricted amino acids, peptides, and peptidomimetics by ring closing metathesis. The method includes the steps of synthesizing a peptide precursor containing first and second unsaturated C-C bonds and contacting the peptide precursor with an RCM catalyst to yield a conformationally restricted peptide. Suitable peptide precursors may contain two or more unsaturated C-C bonds. These bonds may be olefinic bonds and may be contained in first and second alkenyl groups which may be allyl groups. The RCM catalyst may be a Ruthenium or Osmium carbene complex catalyst and more specifically, a Ruthenium or Osmium carbene complex catalyst that includes a Ruthenium or Osmium metal center that is in a +2 oxidation state, has an electron count of 16, and is pentacoordinated. The method may be carried out using solid-phase-peptide-synthesis techniques. In this embodiment, the precursor, which is anchored to a solid support, is contacted with an RCM catalyst and the product is then cleaved from the solid support to yield a conformationally restricted peptide.

IPC 1-7

A61K 38/12

IPC 8 full level

C07K 1/04 (2006.01); **C07K 1/113** (2006.01); **C07K 7/64** (2006.01)

CPC (source: EP)

C07K 1/04 (2013.01); **C07K 1/113** (2013.01); **C07K 7/64** (2013.01)

Citation (search report)

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- See references of WO 9726002A1

Designated contracting state (EPC)

AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

DOCDB simple family (publication)

WO 9726002 A1 19970724; AU 6162996 A 19970811; EP 0880357 A1 19981202; EP 0880357 A4 19990512

DOCDB simple family (application)

US 9609591 W 19960607; AU 6162996 A 19960607; EP 96919234 A 19960607