

Title (en)

CONFORMATIONALLY CONSTRAINED REVERSE-TURN LIBRARY AND METHODS RELATING THERETO

Title (de)

KONFORMATIONSBESCHRÄNKTE BIBLIOTHEK MIT UMGEKEHRTER DIEHUNG UND DAZU BEZIEHENDE VERFAHREN

Title (fr)

BIBLIOTHEQUE DE SUBSTANCES MIMETIQUES DE RETOURNEMENT ASTREINTES A UNE CONFORMATION ET PROCEDES ASSOCIES

Publication

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Application

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Abstract (en)

[origin: WO9622304A1] Libraries of conformationally constrained-reverse turn mimetics, and methods of constructing the same are disclosed. The reverse-turn mimetics include beta-turn, gamma-turn and beta-bulge mimetics which mimic the biologically active conformation of a linear peptide. In a preferred embodiment, a template library is constructed based on the amino acid sequence of the linear peptide, and which contains a plurality of beta-turn, gamma-turn and beta-bulge mimetics of varying ring sizes. The template library is then screened in a suitable assay to identify a biologically active template library member. An optimized library is then constructed based on structure of the biologically active template member, and which includes substitutions to the amino acid sequence thereof. The optimized library is similarly screened to identify a biologically active reverse-turn mimetic. Methods relating to identifying library members which interact with biological targets of interest, and the use of such members as diagnostic, prophylactic and/or therapeutic agents are also disclosed.

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