

Title (en)
A SOLID-PHASE TECHNOLOGY FOR THE PREPARATION OF COMBINATORIAL LIBRARIES THROUGH AMIDE-BOND ANCHORING

Title (de)
FESTPHASENTECHNOLOGIE ZUR HERSTELLUNG VON KOMBINATORISCHEN BIBLIOTHEKEN DURCH AMIDBINDUNGKNÜPFUNG

Title (fr)
TECHNOLOGIE EN PHASE SOLIDE POUR L'ELABORATION DE BIBLIOTHEQUES COMBINATOIRES PAR VIA ANCRAGE PAR LIAISON AMIDE

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Abstract (en)
[origin: WO9926902A1] The present invention provides the means to suppress epimerisation of the C alpha terminal amino acid of a protected peptide sequence during coupling by using the protection moiety shown in (1) which is referred to as a "precursor linker". This moiety has a number of features; the functional group R and the 2-hydroxyl function lie in a para position relative to each other while the ether residue lies in a para position relative to the aldehyde residue. R1 is an electron donating alkyl group. The R group is a moiety that may readily be interconverted between electron-withdrawing and electron donating. This is based on the safety catch principle. The principle, that a stable bond is smoothly converted to a labile one at a convenient point during a synthesis, has been applied in peptide chemistry for the development of linkers and protecting groups. One approach has been to exploit the facile reductive conversion of a sulfoxide to sulphide. This approach when applied to the precursor linker (1) provides the functional protection moieties which are referred to as "linker compounds".

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