

Title (en)

ACTIVE SOLID SUPPORT AND METHOD FOR SURFACE IMMOBILIZATION OF COMBINATORIAL COMPOUNDS OR LIBRARIES

Title (de)

AKTIVE FESTE TRÄGER UND VERFAHREN ZUR OBERFLÄCHENIMMOBILISIERUNG VON KOMBINATORISCHEN VERBINDUNGEN ODER SUBSTANZBIBLIOTHEKEN

Title (fr)

NOUVEAU SUPPORT SOLIDE ACTIF ET PROCEDE D'IMMOBILISATION DE SURFACE DE COMPOSES OU DE BIBLIOTHEQUES COMBINATOIRES

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Application

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Abstract (en)

[origin: WO03083477A2] The subject of the invention is a method for production of an active solid support suitable for anchoring drug molecules through covalent bonds, using the following methods a.) a solid support prepared in advance, preferably by pre-treatment in the presence of strong bases or acids, then reacted with a polyamine-functionalized alkoxy-silane derivative, preferably 3-[2-(2-aminoethylamino)ethylamino]propyl trimethoxy-silane, followed by washing and drying, b1) the solid support obtained in the procedure described above in Section a.) will be reacted with (I) where Z: = bond or a -CO or -CNR 1 group and group 121 is an alkyl, aralkyl or aryl group; B: = bond or a $\text{--}(\text{CH}_2)_n\text{--}$ or $\text{--}(\text{CH}_2)_n\text{O--}(\text{CH}_2)_n$ or $\text{O--}(\text{CH}_2)_n\text{--}$ or $\text{--NH}(\text{CH}_2)_n\text{--}$ group; A: = a branched or unbranched alkyl or substituted aryl or aralkyl group containing 1-5 carbon atoms; D: = a halogen or -O-A group and n = 0, 1, 2, ... integer - with a bi-functional reagent, preferably reacted with acryloyl/chloride or 1,4-butanediol diacrylate in the presence of an organic or inorganic scavenger or b2) the support prepared using the method described in part (a) is reacted with a bi-functional reagent, preferably 1,4-butanediol diglycidyl-ether or epichlorohydrin, in the presence of an organic or inorganic scavenger containing terminal epoxy groups and an amino-group reactive functional subgroup. The invention also includes the active solid support produced using the several methods described above, as well as application of the active solid support described above for production of chemical microarrays and combinatorial chemical microarrays. The invention further comprises a method for immobilization of what have been termed organic molecules of low molecular weight, having a size less than 1500 Da, preferably between 250 and 750 Da. This is achieved by anchoring an unmodified drug or drug candidate molecule to the active solid support described above by adding the appropriate functional groups of the drug or drug candidate molecule, preferably executed using a micron-sized robotized binding technique.

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