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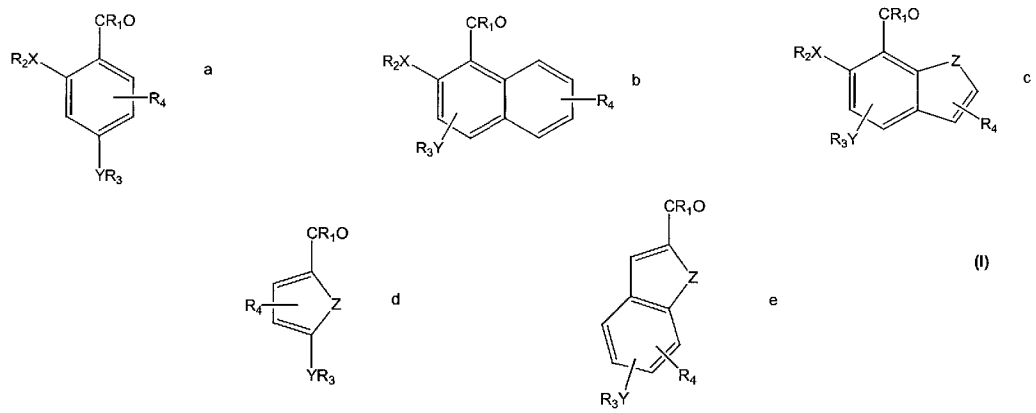
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[Continued on next page]

(54) Title: CHARGE-BALANCED CHEMOSELECTIVE LINKERS



(57) Abstract: Compounds according to general formulae (Ia to Ie) wherein: X = O or S; Y is O, S or CH_2, CHR, CRR, where R is C_{1-7} alkyl; Z is O or S; R_1 is H or C_{1-7} alkyl; R_2 is H or C_{1-7} alkyl; R_4 is H or C_{1-7} alkyl at any vacant position on the aromatic ring; R_3 is C_{1-7} alkyl-L_1-R_5-L_2- R_6-COOH, C_{3-10} cycloalkyl-L_1-R_5-L_2- R_6-COOH or Ar-C_{0-7} alkyl-L_1-R_5-L_2- R_6-COOH; each of L_1 and L_2 is absent or a suitable linker such as an amide CONH; or an ether -O-, or a thioether -S- or a sulphone -SO_2-; R_5 is C_{1-7} alkyl, C_{3-10} cycloalkyl or Ar-C_{0-7} alkyl each of which is substituted with either NR_8R_9, where the nitrogen atom is capable of being protonated in solution to give $N^+HR_8R_9$; or a quaternary nitrogen atom $N^+R_8R_9R_{10}$, such that R_5 contains a positive charge; each of R_8, R_9 and R_{10} is independently C_{1-7} alkyl, C_{3-10} cycloalkyl or Ar-C_{0-7} alkyl, or any two or more of R_8, R_9 and R_{10} together form an alicyclic or arylalicyclic ring system; R_6 is C_{1-7} alkyl, C_{3-10} cycloalkyl or Ar-C_{0-7} alkyl; and their salts, hydrates, solvates, complexes or prodrugs are of use as linkers for conjugating an epitope to a carrier protein.

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