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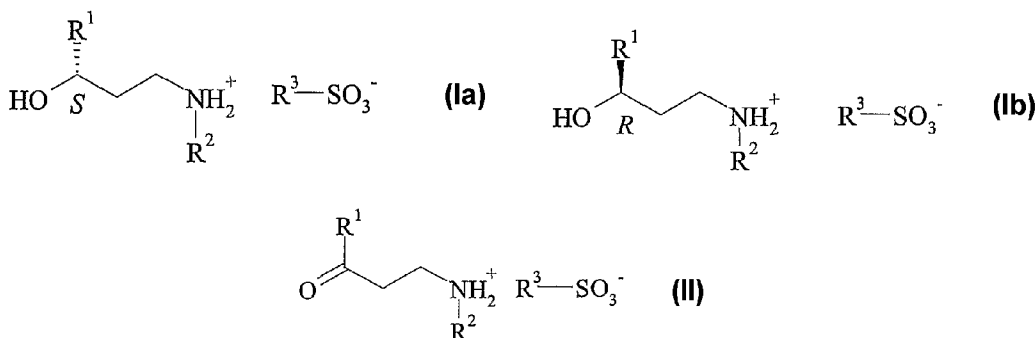
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(54) Title: PROCESS FOR THE PREPARATION OF ENANTIOMERICALLY PURE 1-SUBSTITUTED-3-AMINOALCOHOLS



(57) Abstract: Provided is a process for the preparation of *N*-monosubstituted β -aminoalcohol sulfonates of formula (Ia), (Ib) wherein R¹ is C₆₋₂₀ aryl or C₄₋₁₂ heteroaryl, each optionally being substituted with one or more halogen atoms and/or one or more C₁₋₄ alkyl or C₁₋₄ alkoxy groups, R² is C₁₋₄-alkyl or C₆₋₂₀ aryl, each aryl optionally being substituted with one or more halogen atoms and/or one or more C₁₋₄-alkyl or C₁₋₄-alkoxy groups, and wherein R³ is selected from the group consisting of C₁₋₁₈ alkyl, C₆₋₂₀ cycloalkyl, C₆₋₂₀ aryl and C₇₋₂₀ aralkyl residues, comprising the steps of a) reacting a methyl ketone, a primary amine, formaldehyde and a sulfonic acid, at a pressure above 1.5 bar, optionally in an organic solvent, said organic solvent optionally containing water, to afford *N*-monosubstituted β -aminoketone sulfonates of formula (II), wherein R¹, R² and R³ are as defined above, and b) asymmetrically hydrogenating said sulfonates in the presence of a base and a catalyst, comprising a transition metal and a diphosphine ligand, in a polar solvent, optionally in the presence of water.

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