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[54] PROCESS FOR N5-FORMYLATING TETRAHYDROPTERIDINES

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[58] Field of Search 544/261, 258, 259, 260, 544/279, 257; 548/336

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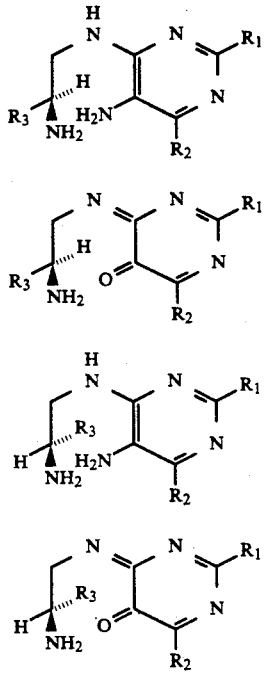
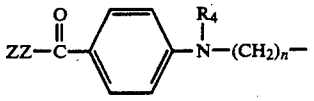
[57] ABSTRACT

Intermediates and a process for the synthesis of 6-monosubstituted tetrahydropteridine C6-stereoisomers, including (6S)-tetrahydrofolic acid. The intermediates are shown in their two enantiomeric forms as follows:



wherein R_1 and R_2 are the same or different and represent hydrogen, methyl, hydroxy, amino, alkyl or dialkylamino, alkoxy, benzyloxy, or benzylthio; R_3 represents an alkene, alkyne, cycloalkyl, benzyl, alkyl (substituted with hydroxy, acetoxy, benzyloxy, alkoxy, alkylthio, amino, carboxy, oxo, or phosphate), a protected aldehyde, or



wherein n=1 or 2, R_4 is hydrogen, formyl, methyl, or propargyl, and ZZ represents an amino acid or amino acid polymer. Also, a process for tetrahydropteridine N5-formylation for the preparation of, for example, N5-formyl-(6S)-tetrahydrofolic acid.

4 Claims, No Drawings