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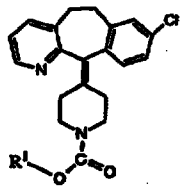
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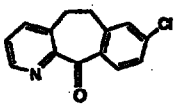
(54) Title: PROCESS FOR PREPARING TRICYCLIC COMPOUNDS HAVING ANTIHISTAMINIC ACTIVITY

(57) Abstract

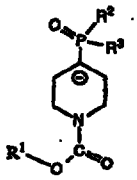
Disclosed is a process for preparing a compound having formula (I) wherein R^1 is selected from the group consisting of: alkyl, alkenyl, alkynyl, aryl, aralkyl, cycloalkyl, and cycloalkyalkyl, R^1 being optionally substituted by substituents selected from halo, –OH, alkyl, alkoxy, or $–CF_3$, said process comprising the following steps: (a) reacting a ketone having formula (A) with a carbanion having formula (B) wherein R^1 is defined above, and R^2 and R^3 are independently selected from the group consisting of $–OR^A$ and $–R^A$; wherein R^A is alkyl, phenyl, substituted phenyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, or substituted cycloalkylalkyl; (b) treating the reaction mixture from step (a) with a protonating agent to form a β–hydroxy intermediate having formula (C) wherein R^1, R^2 and R^3 are as defined above; and (c) thermally decomposing the β–hydroxy intermediate to form the compound of formula (I). The compounds made by this process have antihistaminic activity, e.g., loratadine. Also disclosed is a process for preparing descarboethoxyloratadine, by carrying out the process above, and converting the product to descarboethoxyloratadine. Also disclosed are novel intermediates having formula (II) and (III) wherein R^1, R^2 and R^3 are as defined above.



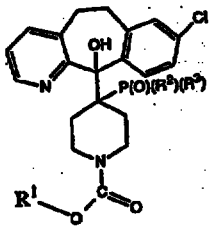
(I)



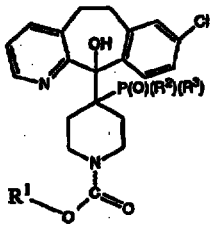
(A)



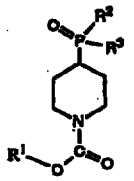
(B)



(C)



(II)



(III)