Title (en)

OPTICALLY ACTIVE INTERMEDIATES FOR THE PREPARATION OF OPTICALLY ACTIVE SUBSTITUTED OXIMES, HYDRAZONES AND OLEFINS USEFUL AS NEUROKININ ANTAGONISTS

Title (de)

OPTISCH AKTIVE ZWISCHENPRODUKTE ZUR HERSTELLUNG VON SUBSTITUIERTEN OPTISCH-AKTIVEN OXIMEN, HYDRAZONEN UND OLEFINEN ALS NEUROKININ-ANTAGONISTEN

Title (fr)

INTERMEDIAIRES OPTIQUEMENT ACTIFS DESTINES A LA PREPARATION D'OXIMES SUBSTITUES OPTIQUEMENT ACTIFS, D'HYDRAZONES ET D'OLEFINES UTILES COMME ANTAGONISTES DE LA NEUROKININE

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Application

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Abstract (en)

[origin: WO9921823A1] Intermediates having formulas (A) and (B) wherein B<I> is -CH2OH or -CH2OR<P>, and R<P> is an alcohol protecting group; a is 1, 2, or 3; T<I> is -OH or (C); Q<I> is phenyl, naphthyl or heteroaryl having 1-3 substituents; R<a> and R<c> are the same, and are H, or are selected from alkyl, cycloalkyl and aryl groups, the groups being optionally substituted with one or more substituents selected from alkyl, cycloalkyl, aryl, or -OH; or R<a> and R<c> together with the C-N-C chain to which they are bound, form a 5-7 membered ring; R<b> and R<d> are the same, and are H, or are selected from alkyl, cycloalkyl and aryl groups, the groups being optionally substituted with one or more substituents selected from alkyl, cycloalkyl, aryl, or -OH; and D is a directing group capable of directing lithiation alpha to a nitrogen atom of a nitrogen compound having D as a substituent bound to the nitrogen atom when the nitrogen compound is reacted with s-butyl lithium, are disclosed. The intermediates have an enantiomeric excess of the R enantiomer over the corresponding S enantiomer of greater than 85 %, preferably, greater than 95 %, and are useful for preparing optically active substituted oximes, hydrazones and olefins that are useful as neurokinin antagonists.

IPC 1-7

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