

Title (en)

SYNTHESIS OF INTERMEDIATES USEFUL IN PREPARING TRICYCLIC COMPOUNDS

Title (de)

SYNTHESE VON ZWISCHENPRODUKTEN VERWENDBAR FÜR DIE HERSTELLUNG VON TRICYCLISCHEN VERBINDUNGEN

Title (fr)

SYNTHESE D'INTERMEDIAIRES UTILES A LA PREPARATION DE COMPOSES TRICYCLIQUES

Publication

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Application

**EP 99972525 A 19991118**

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Abstract (en)

[origin: WO0030589A2] Disclosed is a process for preparing a compound having formula (I): wherein R, R<1>, R<2>, R<3>, and R<4> are independently selected from the group consisting of H, Br, C1, F, alkyl, or alkoxy, by (A) reacting a compound having formula (II), wherein R<A>, R<B>, R<C>, R<D>, and R<E> are independently selected from the group consisting of H, halo, alkyl, or alkoxy, and R<5> is aryl or heteroaryl, with a dehydrating agent to produce an imine having formula (IIa); and (B) hydrolyzing the imine produced in step (A) to produce the compound having formula (I). Also disclosed are novel intermediates having a formula (IIb), wherein R<A>, R<B>, R<C>, R<D>, and R<E> are independently selected from the group consisting of H, halo, alkyl, or alkoxy, and R<5> is aryl or heteroaryl. Also disclosed is a process for preparing a compound having formula (III), comprising: reacting a compound having formula (IV) with NH2R<5> in the presence of a palladium catalyst, carbon monoxide, a base, and an ether selected from the group consisting of: CH3OCH2CH2OCH3; CH3OCH2CH2OCH2CH2OCH3; and CH3OCH2CH2OCH2CH2OCH2CH2OCH3, wherein X is H, Br, C1, or F, and R<5> is aryl or heteroaryl. The compounds made by these processes are useful intermediates for preparing compounds that are antihistamines or inhibitors of farnesyl protein transferase.

[origin: WO0030589A2] A process for preparing a compound having formula (I) by (A) reacting a compound having formula (II), wherein R<5> is aryl or heteroaryl, with a dehydrating agent to produce an imine having formula (IIa); and (B) hydrolyzing the imine produced in step (A) to produce the compound having formula (I). The imines and a process for preparing a compound having formula (III) are disclosed.

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