V. 8. STEREOSELECTIVE SYNTHESIS, PT. E pdf

1: Thieme E-Books & E-Journals - Synfacts / Issue

Abstract. Alkylation of the lithium enolate of (2S,3S,5S,6S)-dimethoxy-2,3-dimethyl-1,4-dioxane-5,6-dithiocarboxylate using 4-benzyloxybenzyl bromide stereoselectively gave two new stereogenic centres with the carboxylate groups in a cis relationship.

Description Provisional Appln No. It is disclosed and claimed in U. Over the past decade, this ratio has been improved to The best ratio achieved with a catalyst other than Ra-Ni was 1. A multidimensional screening method was employed to determine the optimal parameters for obtaining the desired stereoselectivity and yield. The process as recited above wherein the additives are selected from: The process as recited above wherein one of the additives is an organic acid such as acetic acid, propionic acid, trifluoroacetic acid, citric acid, lactic acid, ascorbic acid, pyroglutamic acid, diphenylacetic acid, tartaric acid, indoleacetic acid, nicotinic acid, nipecotic acid, and picolinic acid. The process as recited above wherein one of the additives is a Lewis acid such as lanthanum III triflate and titanium IV chloride. The process as recited above wherein one of the additives is an amino acid derivative such as: The process as recited above wherein one of the additives is a carbohydrate such as: The process as recited above wherein two additives are used. The process as recited above wherein the first additive is an organic acid. The process as recited above wherein the catalyst is Ra-Ni. The process as recited above wherein the second additive is a salt. The process as recited above wherein the second additive is a salt selected from: The process as recited above wherein the two additives are: The process as recited above wherein about 2 psia to about psia of hydrogen is used. The process as recited above wherein about 2 psia to about 54 psia of hydrogen is used. General Multidimensional Screening Method The method used was a broad, rapid, two- and three-dimensional screening of heterogeneous catalysts with various additives, either singly or in combination with a second additive. Experiments were performed in a hydrogenation reactor in which up to 18 reactions in vials are stirred in a single vessel under one atm of hydrogen. Reaction set-up was speeded by slurrying the common reagents for a set of reactions and distributing by autopipet. Yield and diastereomer ratio were determined by HPLC. To the suspension was then added 2-oxophenylbutyrate 1. From the rapidly stirring suspension, 1. The vials, equipped with magnetic stir bars and needle-pierced septum caps, were placed in a glass pressure vessel. The first set of reactions was a screen of catalysts in ethanol without additives Table 1. In these and subsequent experiments, overall yield was primarily limited by chemoselectivity toward reductive amination vs. Additives were chosen from a number of classes, both chiral and achiral, including amino acid derivatives, carbohydrates, salts, organic acids, and Lewis acids. RSS ratio and substantially improved chemoselectivity. Selected examples are shown in Table 2. Ra-Ni, acetic acid, and KF. KF gave a In optimizing this lead, the ratio of AcOH to KF turned out to be important, but if varied together the quantity of both additives could be reduced while maintaining selectivity. The optimized conditions use 1. Ala-Pro at ambient temperature and 14 psia hydrogen. The product is isolated as enalapril maleate by selective crystallization of the SSS diastereomer with maleic acid. The increased diastereoselectivity With the exception of exchanging CsF for KF, a change in catalyst or either additive removes any benefit. This leads to the conclusion that only experiments that simultaneously vary more than one factor would have discovered these reaction conditions. A more traditional method of optimizing one variable at a time would only find combinations in which each change alone provides a benefit. The result of this multidimensional screening effort was a process improvement which involves the addition of two inexpensive reagents and which significantly improves reaction selectivity and yield. In the hydrogenation reactor, KF 1. The Raney nickel 5. The reactor is inerted by evacuating and refilling with nitrogen three times. The reactor is evacuated again and filled with hydrogen to 14 psia. A mixture of hydrogen and nitrogen can be used to attain a higher total pressure with 1 atm hydrogen. The time from charging ketoester to beginning hydrogenation should be minimized to limit the dimerization of ketoester to hydroxyfuranone, a reaction which is accelerated by KF. The catalyst is removed by filtration rinsing with ethanol. The yield of the RSS isomer is 0. The process as recited in claim 2 wherein the additives are selected from: The process as recited in claim 3 wherein one of the additives is a salt selected from: The process as

recited in claim 3 wherein one of the additives is an organic acid selected from: The process as recited in claim 3 wherein two additives are used. The process as recited in claim 6 wherein the catalyst is Ra-Ni. The process as recited in claim 7 wherein the second additive is a salt. The process as recited in claim 8 wherein the second additive is a salt selected from: The process as recited in claim 9 wherein the two additives are: The process as recited in claim 10 wherein about 2 psia to about psia of hydrogen is used. The process as recited in claim 12 wherein about 2 psia to about 54 psia of hydrogen is used. The process as recited in claim 15 wherein the second additive is a salt. The process as recited in claim 16 wherein the second additive is a salt selected from: The process as recited in claim 17 wherein the two additives are: The process as recited in claim 18 wherein about 2 psia to about psia of hydrogen is used. The process as recited in claim 20 wherein about 2 psia to about 54 psia of hydrogen is used.

2: USA - Stereoselective process for enalapril - Google Patents

A synthetic route was chosen that would set the conserved (1R) stereogenic center of the two diastereomers that induce lymphopenia while allowing for late-stage induction of the benzylic stereocenter through either chirality transfer or reagent control (see Scheme 1).

3: A NOVEL STEREOSELECTIVE SYNTHESIS OF BENZIMIDAZOLE SULFOXIDES - Patent - Europe PM

A formal total synthesis of the mold metabolite (+)-hirsutene is described from the cyclopentanoid allylsilane 3 where the key step utilizes an epoxy-allylsilane ring closure.

4: Thieme E-Books & E-Journals

Deprotonation of the PT-sulfone 23 with KHMDS, followed by addition of the aldehyde 20, gave rise to the desired coupling product (E) along with (Z) in 27% combined yield at a diastereomeric ratio (Table 1, entry 1).

5: STEREOSELECTIVE SYNTHESIS OF A 4,4-DISUBSTITUTED CYCLOHEXANEPROPANOIC ACID - P

Stereoselective synthesis of alkenes and alkenyl sulfides from alkenyl halides using palladium and ruthenium catalysts.

6: Stereoselective synthesis of the C79â€“C97 fragment of symbiodinolide

Abstract: A strategy is described for the stereoselective synthesis of substituted (E)-, (Z)-, and a-disubstituted butadienes from termi- nal alkynes by the copper-mediated coupling of geometrically-de-.

7: Protectin D1 - Wikipedia

the synthesis of ethyl trans-cinnamate, 6 (Scheme II), a pleas- ant smelling ester used in perfumery and flavoring, by the reaction of benzaldehyde, 4, with the stable ylid (carbethoxy-.

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