

Bifunctional thiosquaramide catalyzed asymmetric reduction of dihydro- β -carbolines and enantioselective synthesis of (-)-coerule-scine and (-)-horsfiline by oxidative rearrangement

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Abstract

Tetrahydro- β -carboline (THBC) is a tricyclic ring system that can be found in a large number of bioactive alkaloids. Herein, we report a simple and efficient method for the synthesis of enantiopure THBCs through a chiral thiosquaramide (11b) catalyzed imine reduction of dihydro- β -carbolines (17a-f). The in situ generated Pd-H employed as hydride source in the reaction of differently substituted chiral THBCs (18a-f) afforded high selectivities (R isomers, up to 96% ee) and good isolated yields (up to 88%). Moreover, the chiral thiosquaramide used also afforded exceptional catalyst activity in the syntheses of (-)-coerule-scine (5) and (-)-horsfiline (6) with excellent enantioselectivities up to 98% and 93% ee, respectively, via an enantioselective oxidative rearrangement approach.

Indexed keywords

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Catalyst activity

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