

METHOD FOR PRODUCING OPTICALLY ACTIVE α -SUBSTITUTED PROLINE

ABSTRACT

The present invention aims to provide an industrial
5 method practically suitable for producing optically active α -
substituted prolines from an acyclic ketone compound by a small
number of steps under mild conditions. The present invention
relates to a production method of an optically active α -
substituted proline (4) and/or an optically active α -
10 substituted prolinamide (5), including (a) reacting an acyclic
ketone compound (1) with at least one selected from ammonia, an
ammonium salt, primary amine and a salt of primary amine, and a
cyanating agent to give a cyclic nitrogen-containing compound
(2), (b) hydrating the cyclic nitrogen-containing compound (2)
15 to give an α -substituted prolinamide (3), and (c) resolving the
 α -substituted prolinamide (3) by one or more of (d) enzymatical
hydrolysis, (e) resolution by diastereomeric salt formation,
and (f) separation by column chromatography.

