

METHOD FOR PRODUCING 3-SUBSTITUTED-4-FLUOROPYRROLIDINE DERIVATIVE

Abstract

An inexpensive and industrially advantageous method for producing optically active syn-3-(N-substituted-aminomethyl)-4-fluoropyrrolidine which may be an intermediate for producing pharmaceuticals is provided. The present invention relates a method for producing a syn-1-protected-4-fluoro-3-(N-substituted-N-nitrobenzenesulfonyl)pyrrolidine derivative or its enantiomer, or their salts comprising the process of fluorinating a compound represented by the general formula (6) (in the formula, PG¹ represents a protecting group for an amino group, R¹ represents a C1 to C6 alkyl group which may be substituted or a C3 to C8 cycloalkyl group which may be substituted, and Ns represents a 2-nitrobenzenesulfonyl group or a 4-nitrobenzenesulfonyl group) or its enantiomer using a nucleophilic fluorinating agent and an organic base having an amidine or guanidine structure.

[Chem. 1]

