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- (54) Production method for biarylalanine
- (57) There is provided a method for producing a biarylalanine compound of formula (4):

$$R^{1}$$
 R^{2}
 $COOR^{3}$
 R^{2}
 $COOR^{3}$

wherein R^1 represents an amino protective group, and R^2 represents an amino protective group or a hydrogen atom, R^3 is a carboxy protective group, or

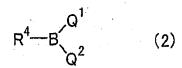
said amino protective and carboxy protective groups together form an amino acid protective group, and R⁴ is a substituted or unsubstituted aryl or heteroaryl group, which comprises reacting an aromatic amino acid of formula (1):

$$\begin{array}{c|c}
X \\
R^1 \\
N \\
COOR^3 \\
R^2
\end{array}$$
(1)

wherein

X is a halogen atom or a trifluoromethanesulfonyloxy group, and

R¹, R² and R³ are the same as defined above, with an organic boron compound of formula (2):



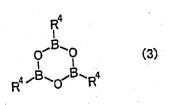
wherein R⁴ has the same meaning as defined above, and

 Q^1 and Q^2 are the same or different and independently represent a hydroxy group or an alkoxy group having 1 to 4 carbon atoms, or

 Q^1 and Q^2 together form an alkylenedioxy or 1,2-phenylenedioxy group optionally substituted by an alkyl group having 1 to 4 carbon atoms,

or

a boroxine ring compound of formula (3):



wherein R⁴ has the same meaning as defined above, or a mixture thereof, in the presence of a nickel catalyst and a base.