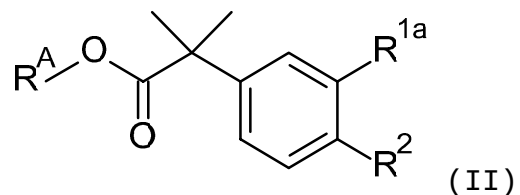
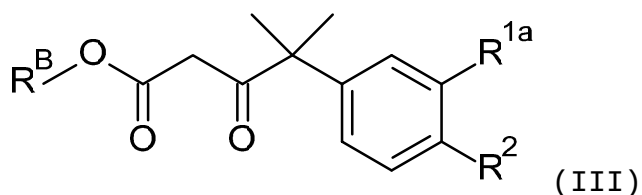


wherein R^{1a} represents a leaving group, or an optionally substituted 6-membered saturated cyclic amino group; and R^2 represents a C_1 - C_6 alkyl group, in the presence of an acid to produce a compound represented by Formula II:



wherein R^{1a} and R^2 are as defined above, and R^A represents a C_1 - C_6 alkyl group;

(2) Step 1b: a step of reacting the compound represented by Formula II with a base and $AcOR^B$ to produce a compound represented by Formula III:



wherein R^{1a} , and R^2 , ~~and~~ R^A are as defined above, and R^B represents a C_1 - C_6 alkyl group;

(3) Step 2a: a step of reacting the compound represented by Formula III with a compound represented by Formula IV and a base:

wherein X represents a leaving group; R^{1a} represents a leaving group, or an optionally substituted 6-membered saturated cyclic amino group; R^1 represents an optionally substituted 6-membered saturated cyclic amino group; R^2 represents a C_1 - C_6 alkyl group; and R^A and R^B represents respectively a C_1 - C_6 alkyl group.

[0011]

Steps 1a and 1b

The steps are a process step of conversion of a carboxylic acid (I) to a β -ketoester (III). In the steps, the carboxylic acid (I) which is a starting compound can be converted to an activated carboxylic acid (II), such as an acid chloride, an activated ester, and an alkyl ester, in a solvent in the reaction temperature range of 0°C to near the boiling point of the solvent in the presence of an activating agent. Then the activated carboxylic acid (II) is condensed with an enolate of AcOR^B in the reaction temperature range of -20°C to near the solvent boiling point to yield the β -ketoester (III).

As a conversion reaction (Step 1a) from the carboxylic acid (I) to the acid chloride (II, $A = \text{Cl}$), a method of converting a carboxylic acid (I) to the corresponding acid chloride (II, $A = \text{Cl}$) using thionyl chloride, oxalyl chloride, phosphorus oxychloride, or the like as an activating agent can be used. In this case, the usable amount of an activating agent may be 1 equivalent to 10 equivalents based on the substrate. As