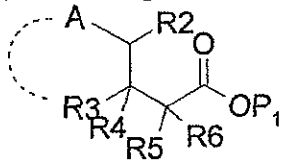
【CLAIMS】

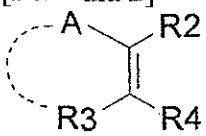
【CLAIM 1】

A method for preparing a compound of Formula 1 wherein water or acid or a mixture thereof is added to a reaction mixture for preparing the compound of Formula 1 through Michael-addition reaction between a compound of Formula 2 and a compound of Formula 3 in the presence of copper powder:

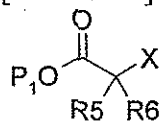
[Formula 1]



[Formula 2]



[Formula 3]



in which

A is R1-C(=O)-, nitrile, substituted or unsubstituted C_1-C_{10} alkylsulfonyl, or substituted or unsubstituted C_6-C_{10} arylsulfonyl, where R1 is selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_{10} alkyl; substituted or unsubstituted C_3-C_{10} cycloalkyl; substituted or unsubstituted C_6-C_{10} aryl; substituted or unsubstituted 5-membered to 10-membered heteroaryl; and substituted or unsubstituted C_1-C_5 alkoxy; or when A is bonded to R3, A and R3 together with the carbon atoms to which they are attached form saturated or unsaturated C_6-C_{10} cycloalkyl substituted with oxo (=O) group,

R2, R3 and R4 are independently selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_{10} alkyl; substituted or unsubstituted C_3-C_{10} cycloalkyl; substituted or unsubstituted C_6-C_{10} aryl; substituted or unsubstituted 5-membered to 10-membered heteroaryl; substituted or unsubstituted C_1-C_5 alkoxy; nitrile; and substituted or unsubstituted C_1-C_{10} alkylsulfonyl,

R5 and R6 are independently selected from the group consisting of hydrogen; halogen (i.e., F, Cl, Br, or I); and substituted or unsubstituted C_1-C_4 alkyl,

P_1 is selected from the group consisting of benzyl, methyl, ethyl, i-propyl and t-butyl, and

X is halogen.

【CLAIM 2】

The method according to claim 1, wherein

A is R1-C(=O)-, nitrile, substituted or unsubstituted C_1-C_{10} alkylsulfonyl, or substituted or unsubstituted C_6-C_{10} arylsulfonyl, where R1 is selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_5 alkyl; substituted or unsubstituted C_3-C_6 cycloalkyl; substituted or unsubstituted C_6-C_8 aryl; substituted or unsubstituted 5-membered to 8-membered heteroaryl; and substituted or unsubstituted C_1-C_5 alkoxy; or when A is bonded to R3, A and R3 together with the carbon atoms to which they are attached form saturated or unsaturated C_6-C_{10} cycloalkyl substituted with oxo (=O) group, and

R2, R3 and R4 are independently selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_5 alkyl; substituted or unsubstituted C_3-C_6 cycloalkyl; substituted or unsubstituted C_6-C_8 aryl; substituted or unsubstituted 5-membered to 8-membered heteroaryl; substituted or unsubstituted C_1-C_5 alkoxy; nitrile; and substituted or unsubstituted C_1-C_{10} alkylsulfonyl.

【CLAIM 3】

The method according to claim 1, wherein the copper powder is used in an amount of 1.0 to 6.0 equivalents with respect to 1 mole of the compound of Formula 2.

【CLAIM 4】

The method according to claim 1, wherein the acid is inorganic acid selected from hydrochloric acid, sulfuric acid, nitric acid and phosphoric acid; organic acid selected from

formic acid, acetic acid and tartaric acid; or a mixture thereof.

【CLAIM 5】

The method according to claim 1, wherein the water or acid is used in an amount of 0.1 to 6 equivalents with respect to 1 mole of the compound of Formula 2.

【CLAIM 6】

The method according to any of claims 1 to 5, wherein amine compound is further added to the reaction mixture during the reaction of the compound of Formula 2 and the compound of Formula 3.

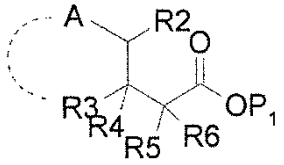
【CLAIM 7】

The method according to claim 6, wherein tetramethylethylenediamine is used in an amount of 0.1 to 6 equivalents with respect to 1 mole of the compound of Formula 2.

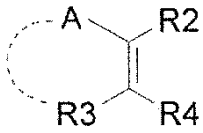
Claims

1. A method for preparing a compound of Formula 1 wherein water or acid or a mixture thereof is added to a reaction mixture for preparing the compound of Formula 1 through Michael-addition reaction between a compound of Formula 2 and a compound of Formula 3 in the presence of copper powder:

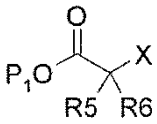
[Formula 1]



[Formula 2]



[Formula 3]



in which

A is R1-C(=O)-, nitrile, substituted or unsubstituted C_1-C_{10} alkylsulfonyl, or substituted or unsubstituted C_6-C_{10} arylsulfonyl, where R1 is selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_{10} alkyl; substituted or unsubstituted C_3-C_{10} cycloalkyl; substituted or unsubstituted C_6-C_{10} aryl; substituted or unsubstituted 5-membered to 10-membered heteroaryl; and substituted or unsubstituted C_1-C_5 alkoxy; or when A is bonded to R3, A and R3 together with the carbon atoms to which they are attached form saturated or unsaturated C_6-C_{10} cycloalkyl substituted with oxo (=O) group,

R2, R3 and R4 are independently selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_{10} alkyl; substituted or unsubstituted C_3-C_{10} cycloalkyl; substituted or unsubstituted C_6-C_{10} aryl; substituted or unsubstituted 5-membered to 10-membered heteroaryl; substituted or unsubstituted C_1-C_5 alkoxy; nitrile; and substituted or unsubstituted C_1-C_{10} alkylsulfonyl,

R5 and R6 are independently selected from the group consisting of hydrogen; halogen (i.e., F, Cl, Br, or I); and substituted or unsubstituted C_1-C_4 alkyl,

P_1 is selected from the group consisting of benzyl, methyl, ethyl, i-propyl and t-butyl, and

X is halogen,

wherein, when A and R1 to R6 are substituted groups, they are substituted with one or more substituents selected from the group consisting of chloro, iodo, bromo, methyl, ethyl, n-propyl, isopropyl, butyl, methoxy, ethoxy, propoxy, butoxy, and acetyl.

2. The method according to claim 1, wherein

A is R1-C(=O)-, nitrile, substituted or unsubstituted C_1-C_{10} alkylsulfonyl, or substituted or unsubstituted C_6-C_{10} arylsulfonyl, where R1 is selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_5 alkyl; substituted or unsubstituted C_3-C_6 cycloalkyl; substituted or unsubstituted C_6-C_8 aryl; substituted or unsubstituted 5-membered to 8-membered heteroaryl; and substituted or unsubstituted C_1-C_5 alkoxy; or when A is bonded to R3, A and R3 together with the carbon atoms to which they are attached form saturated or unsaturated C_6-C_{10} cycloalkyl substituted with oxo (=O) group,

R2, R3 and R4 are independently selected from the group consisting of hydrogen; substituted or unsubstituted C_1-C_5 alkyl; substituted or unsubstituted C_3-C_6 cycloalkyl; substituted or unsubstituted C_6-C_8 aryl; substituted or unsubstituted 5-membered to 8-membered heteroaryl; substituted or unsubstituted C_1-C_5 alkoxy; nitrile; and substituted or unsubstituted C_1-C_{10} alkylsulfonyl, and

when A and R1 to R4 are substituted groups, they are substituted with one or more substituents selected from the group consisting of chloro, iodo, bromo, methyl, ethyl, n-propyl, isopropyl, butyl, methoxy, ethoxy, propoxy, butoxy, and acetyl.

3. The method according to claim 1, wherein the copper powder is used in an amount of 1.0 to 6.0 equivalents with respect to 1 mole of the compound of Formula 2.

4. The method according to claim 1, wherein the acid is inorganic acid selected from hydrochloric acid, sulfuric acid, nitric acid and phosphoric acid; organic acid selected from formic acid, acetic acid and tartaric acid; or a mixture thereof.

5. The method according to claim 1, wherein the water or acid is used in an amount of 0.1 to 6 equivalents with respect to 1 mole of the compound of Formula 2.

6. The method according to any of claims 1 to 5, wherein amine compound is further added to the reaction mixture during the reaction of the compound of Formula 2 and the compound of Formula 3.

7. The method according to claim 6, wherein tetramethylethylenediamine is used in an amount of 0.1 to 6 equivalents with respect to 1 mole of the compound of Formula 2.