

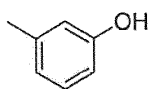
Claims

[Claim 1]

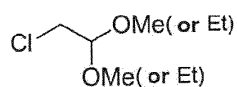
A method for preparing tolimidone, comprising:

- (i) reacting the compound of formula 6 and the compound of formula 5 in the presence of a tetra-substituted ammonium salt catalyst to prepare the compound of formula 4;
- (ii) conducting Vilsmeier reaction for the prepared compound of formula 4 to prepare the compound of formula 3;
- (iii) refluxing with agitation the prepared compound of formula 3 together with urea and an alkoxide base to prepare the salt compound of formula 2; and
- (iv) desalting the prepared salt compound of formula 2 to obtain tolimidone of formula 1 and recrystallizing the obtained tolimidone with an alcohol that corresponds to the alkoxide base:

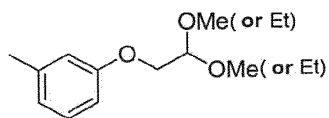
[Formula 6]



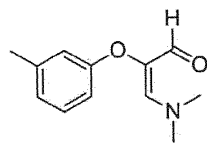
[Formula 5]



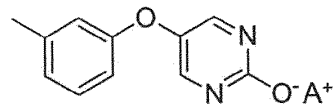
[Formula 4]



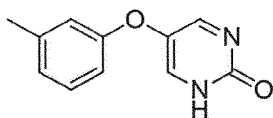
[Formula 3]



[Formula 2]



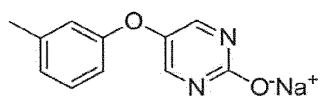
[Formula 1]



wherein A⁺ is a cation of the alkoxide base.

- [Claim 2] The method according to claim 1, wherein the tetra-substituted ammonium salt is tetra-alkyl ammonium salt.
- [Claim 3] The method according to claim 2, wherein the tetra-alkyl ammonium salt is tetra-alkyl ammonium halide salt.
- [Claim 4] The method according to claim 3, wherein the tetra-alkyl ammonium halide salt is tetrabutyl ammonium bromide.
- [Claim 5] The method according to claim 1, wherein the tetra-substituted ammonium salt catalyst reaction of said step (i) is conducted in a hydrocarbon solvent in the presence of a hydroxide base.
- [Claim 6] The method according to claim 5, wherein the hydrocarbon solvent is an aromatic hydrocarbon solvent.
- [Claim 7] The method according to claim 6, wherein the aromatic hydrocarbon solvent is toluene.
- [Claim 8] The method according to claim 5, wherein the hydroxide base is potassium hydroxide.
- [Claim 9] The method according to claim 1, wherein the tetra-substituted ammonium salt catalyst reaction of said step (i) is conducted at a temperature of 100 to 120°C.
- [Claim 10] The method according to claim 1, wherein the alkoxide base is sodium ethoxide.
- [Claim 11] The method according to claim 10, wherein the salt compound of formula 2 is the sodium salt compound of formula 7:

[Formula 7]



- [Claim 12] The method according to claim 1, wherein the alcohol that corresponds to the alkoxide base is ethanol.
- [Claim 13] The method according to claim 1, wherein the particle size distribution d(0.5) of the prepared tolimidone is 5-30 μm.
- [Claim 14] A pharmaceutical composition comprising tolimidone prepared by the method according to any one of claims 1 to 13, and a pharmaceutically acceptable carrier.