Patent Claims

~~1. Process for preparing 1-[6-(morpholin-4-yl)pyrimidin-4-yl]-4-(1H-1,2,3-triazol-1-yl)-1H-~~ pyrazol-5-ol (I - enol form) or 2-[6-(morpholin-4-yl)pyrimidin-4-yl]-4-(1H-1,2,3-triazol-1-yl)-1,2-dihydro-3H-pyrazol-3-one (I - keto form), characterized in that

a) in the first step, 1,2,3-triazole (III) is reacted with methyl bromoacetate (IV-Me-Br) or ethyl bromoacetate (IV-Et-Br) in the presence of ethyldiisopropylamine as base in a solvent in the temperature range from 20 to 80°C to form compounds of the formulae (V-Me) and (VI-Me) or compounds of the formulae (V-Et) and (VI-Et),

b) in the second step, compounds of the formulae (V-Me) and (VI-Me) or compounds of the formulae (V-Et) and (VI-Et), which are present in a ratio of at least 6:1 to one another, are reacted with dimethylformamide dimethyl acetal (XIX-Me) or dimethylformamide diethyl acetal (XIX-Et) in an inert solvent and are subsequently crystallized by cooling the solution or by distilling off the solvent and adding a second solvent to form methyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Me) or ethyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Et),

and

c) in the third step, methyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Me) or ethyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Et) is reacted with 4-(6-hydrazinopyrimidin-4-yl)morpholine (XI) in the presence of trifluoroacetic acid in an inert solvent and the compound of the formula (I) is subsequently isolated.

2. Process for preparing 1-[6-(morpholin-4-yl)pyrimidin-4-yl]-4-(1H-1,2,3-triazol-1-yl)-1H-pyrazol-5-ol (I - enol form) or 2-[6-(morpholin-4-yl)pyrimidin-4-yl]-4-(1H-1,2,3-triazol-1-yl)-1,2-dihydro-3H-pyrazol-3-one (I - keto form), characterized in that methyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Me) or ethyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Et) is reacted with 4-(6-hydrazinopyrimidin-4-yl)morpholine (XI) in the presence of trifluoroacetic acid in an inert solvent with subsequent addition of a base and the compound of the formula (I) is subsequently isolated.

3. Process according to Claim 2, characterized in that the reaction is carried out using ~~triethylamine as base.~~

~~4. Process according to Claim 2 or 3, characterized in that the reaction mixture is maintained~~ at a temperature of from 20 to 90°C after addition of the base.

5. Process according to any of Claims 1 to 4, characterized in that the purification of the resulting crude produce of the compound of the formula (I) is carried out using water and an acid at a pH of from 4 to 5.5.

6. Process according to any of Claims 1 to 5, characterized in that the compound of the formula (I) obtained in this way is, in a subsequent step, reacted with sodium hydroxide or aqueous sodium hydroxide solution or sodium methoxide or sodium ethoxide or a sodium salt to form sodium 1-[6-(morpholin-4-yl)pyrimidin-4-yl]-4-(1H-1,2,3-triazol-1-yl)-1H-pyrazol-5-olate (II).

7. Process according to any of Claims 1 to 5, wherein 4-(6-hydrazinopyrimidin-4-yl)morpholine (XI) is prepared by, in the first stage, firstly reacting 4,6-dichloropyrimidine (VIII) with hydrazine hydrate (XII hydrate) in a solvent, optionally in the presence of an auxiliary base, and heating the resulting reaction mixture without isolation of the resulting 4-chloro-6-hydrazinopyrimidine (XV) in a second stage after addition of morpholine (IX) and a further auxiliary base and subsequently isolating the compound of the formula (XI) after crystallization.

8. Process for preparing 4-(6-hydrazinopyrimidin-4-yl)morpholine (XI), characterized in that in the first stage, 4,6-dichloropyrimidine (VIII) is firstly reacted with hydrazine hydrate (XII hydrate) in a solvent, optionally in the presence of an auxiliary base, and the resulting reaction mixture is, without isolation of the 4-chloro-6-hydrazinopyrimidine (XV) formed, heated in a second stage after addition of morpholine (IX) and a further auxiliary base and the compound of the formula (XI) is subsequently isolated after crystallization.

9. Process according to Claim 7 or 8, characterized in that the reaction is carried out using triethylamine or ethyldiisopropylamine as auxiliary base.

10. Process according to any of Claims 7 to 9, characterized in that the reaction is carried out using sodium hydrogencarbonate, potassium hydrogencarbonate, sodium hydroxide or potassium hydroxide as further auxiliary base.

11. Process according to any of Claims 1 to 5, wherein methyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Me) or ethyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Et) is prepared by reacting compounds of the formulae (V-Me) and (VI-Me) or compounds of the formulae (V-Et) and (VI-Et), which are present in a ratio ~~of at least 6:1 to one another, with dimethylformamide dimethyl acetal (XIX-Me) or~~

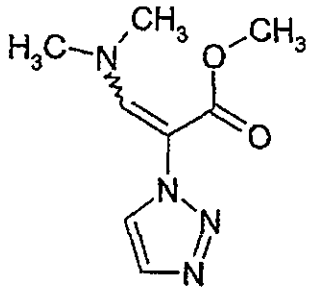
~~dimethylformamide diethyl acetal (XIX-Et) in an inert solvent and subsequently~~ crystallizing the product by cooling the solution or by distilling off the solvent and adding a second solvent.

12. Process for preparing methyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Me) or ethyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate (VII-Et), characterized in that compounds of the formulae (V-Me) and (VI-Me) or compounds of the formulae (V-Et) and (VI-Et), which are present in a ratio of at least 6:1 to one another, are reacted with dimethylformamide dimethyl acetal (XIX-Me) or dimethylformamide diethyl acetal (XIX-Et) in an inert solvent and the product is subsequently crystallized by cooling the solution or by distilling off the solvent and adding a second solvent.

13. Process according to Claim 11 or 12, wherein compounds of the formulae (V-Me) and (VI-Me) or compounds of the formulae (V-Et) and (VI-Et) are prepared by reacting 1,2,3-triazole (III) with methyl bromoacetate (IV-Me-Br) or ethyl bromoacetate (IV-Et-Br) in the presence of ethyldiisopropylamine as base in a solvent in the temperature range from 20 to 80°C.

14. Process for preparing the compounds of the formulae (V-Me) and (VI-Me) or the compounds of the formulae (V-Et) and (VI-Et), characterized in that 1,2,3-triazole (III) is reacted with methyl bromoacetate (IV-Me-Br) or ethyl bromoacetate (IV-Et-Br) in the presence of ethyldiisopropylamine as base in a solvent in the temperature range from 20 to 80°C.

~~15.~~ Methyl (2E/Z)-3-(dimethylamino)-2-(1H-1,2,3-triazol-1-yl)acrylate having the formula



(VII-Me).