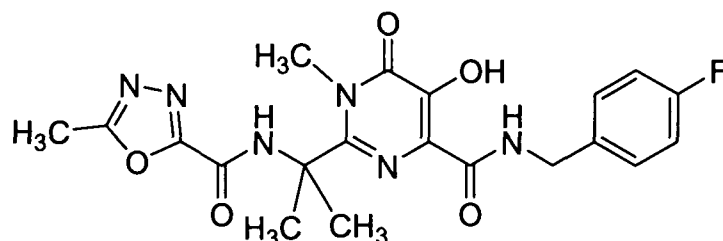


0000058352

WE CLAIM:

1. An anhydrous crystalline monopotassium salt of Compound A, which is characterized by an X-ray powder diffraction pattern obtained using copper K_{α} which comprises 2^{θ} values in degrees of 5.9, 20.0 and 20.6, wherein Compound A is of formula:



2. The anhydrous crystalline monopotassium salt of compound A as claimed in claim 1, which is further characterized by a differential scanning calorimetry curve, obtained at a heating rate of 10 C/min in a closed cup under nitrogen, exhibiting a single endotherm with a peak temperature of about 279°C.
3. The anhydrous crystalline monopotassium salt of Compound A as claimed in claim 1, which is characterized by an X-ray powder diffraction pattern obtained using copper K_{α} radiation which comprises 2θ values in degrees of 5.9, 12.5, 20.0, 20.6 and 25.6.
4. A pharmaceutical composition comprising an effective amount of a monopotassium salt of Compound A as claimed in any one of the preceding claims and a pharmaceutically acceptable carrier.
5. The pharmaceutical composition as and when prepared by using the compound as claimed in any of the preceding claims is useful for preparing medicament for treating or prophylaxis of HIV infection, delaying the onset of AIDS, or treating or prophylaxis of AIDS in a subject in need thereof
6. A process for preparing a crystalline potassium salt of Compound A as claimed in claim 1 which comprises:

- (A1-1) mixing an aqueous solution of a potassium base with a mixture comprising Compound A, water and an alcohol to form a basic solution of Compound A and optionally filtering the solution; and (A1-2) seeding the solution formed in Step A1-1) and optionally diluting the seeded solution the alcohol; or
- (B1-1) seeding a mixture comprising Compound A and an organic solvent selected from the group consisting of a halogenated alkane, a dialkyl ether, dialkoxyalkane, a cyclic ether or diether, a trialkylamine, a tertiary amide, an N-alkylpyrrolidone, a dialkyl sulfoxide and an alkanenitrile; and (B1-2) adding an aqueous solution of a potassium base to the seeded mixture of Step B1-1; and
- (C1) ageing the seeded solution resulting from Step A1-2 from Step B1-2, to provide the crystalline potassium salt of Compound A.
7. The process as claimed in claim 6 wherein the process comprises:
- (A1-1) mixing an aqueous solution of KOH with a mixture comprising Compound A, water and ethanol to form a basic solution of Compound A and optionally filtering the solution;
- (A1-2) seeding the solution formed in Step A1-1 and diluting the seeded solution with ethanol to obtain a diluted, seeded solution; and
- (C1) ageing the diluted, seeded solution of Step A1-2, to provide the crystalline K salt of Compound A.
8. The process as claimed in claim 7, wherein:
- Step A1-1 is conducted at a temperature in a range of 20 to 30°C;
- Step A1-2 is conducted at a temperature in a range of 20 to 30°C;
- Step C1 is conducted at a temperature in a range of 0 to 20°C; in Step A1-1, the basic solution has a volume to volume ratio of ethanol to water in a range of from 70:30 to 30:70;
- in Step A1-2, the diluted, seeded solution has a volume to volume ratio of ethanol to water of at least 80:20;
- seed crystals are employed in an amount in a range of 1 to 5 wt.% based upon the total weight of Compound A; and

KOH is employed in an amount in a range of 0.9 to 1.1 equivalents per equivalent of Compound A.

9. A process for preparing a crystalline potassium salt of Compound A claimed in claim 1, which comprises:

(A2) adding

- i. a feed solution comprising Compound A and (a) a first solvent selected from the group consisting of a dialkyl ketone, a dialkyl ether, dialkoxy alkane, a cyclic ether or diether, a trialkylamine, a tertiary-amide, an N-alkylpyrrolidone, a dialkylsulfoxide, and an alkanenitrile and (b) a second solvent selected from the group consisting of an alcohol, an alkane, an alkyl ester of an alkylcarboxylic acid, and an aromatic hydrocarbon, and
- ii. a feed mixture comprising a potassium alkoxide base and the second solvent,

to a crystallizer containing seed crystals slurried in a solvent mixture comprising of the first solvent and a the second solvent to obtain a crystallization mixture; wherein the feed solution and the feed mixture are added to the crystallizer concurrently; and

- (B2) ageing the crystallization mixture obtained in Step A2, to provide the crystalline potassium salt of Compound A.

10. The process as claimed in claim 9, wherein the process comprises:

(A2) adding a feed solution comprising Compound A, an acetonitrile, and ethanol and a feed mixture which is a solution comprising potassium ethoxide and ethanol to a crystallizer containing seed crystals slurried in a solvent mixture comprising of acetonitrile and ethanol to obtain a crystallization mixture; wherein the solutions are added to the crystallizer concurrently; and

(B2) ageing the crystallization mixture obtained in Step A2, to provide the crystalline potassium salt of Compound A.

11. The process as claimed in claim 10, wherein in Step A2 potassium ethoxide is employed in an amount in a range of 0.9 to 1.1 equivalents per equivalent of Compound A;

Step A2 is conducted at a temperature in a range of 20 to 30°C;

Step B2 is initially conducted at a temperature in a range of 30 to 40°C, and then from 20 to 30°C until completion;

seed crystals are employed in an amount in a range of 10 to 25 wt.% and

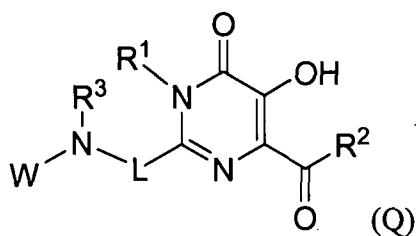
the crystallization mixture in Step A2 has a final solvent volume ratio of acetonitrile to ethanol in a range of from 80:20 to 20:80.

12. A process for preparing a crystalline potassium salt of Compound A, as claimed in claim 1 which comprises:

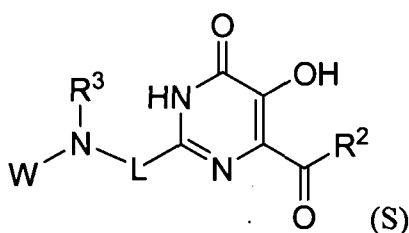
(A3) adding (i) a solution comprising Compound A and a water-dissolving organic solvent selected from the group consisting of a dialkyl ketone, a dialkyl ether dialkoxy alkane, a cyclic ether or diether, a trialkylamine, a tertiary amide, and N-alkylpyrrolidone, a dialkylsulfoxide, and an alkanenitrile, and (ii) a second solution comprising KOH and water, to a crystallizer containing seed crystals slurried in a mixture comprising of the organic solvent and water; wherein the first and second solutions are added to the crystallizer concurrently; and

(B3) ageing the crystallization mixture obtained in Step A, to provide the crystalline potassium salt of Compound A.

13. A process for preparing a compound of Formula Q:



Which comprises reacting an alkyl halide of formula R¹X with a compound of Formula S:



in a polar aprotic solvent and in the presence of a base selected from a magnesium base and a calcium base; wherein

R¹ is C1-6 alkyl;

R² is O-C1-6 alkyl or N(RA)RB, wherein RA and RB are each independently H or C1-6 alkyl;

R³ is H or C1-6 alkyl;

L is C1-6 alkylene;

W is an amine-protective group; and

X is halogen

Dated this 6th day of February 2015

Mrs. L. Balasubrahmanyam
Of Corporate Law Group
Agent for the Applicant