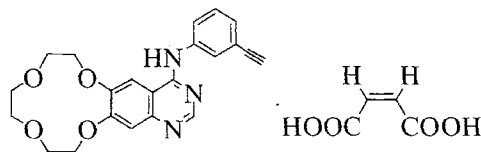


WHAT IS CLAIMED IS:

1. A polymorph form of the compound of Formula I



Formula I,

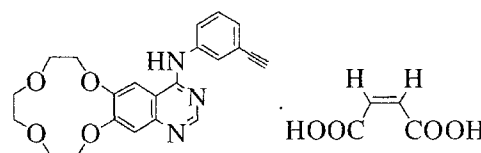
5 wherein the polymorph form is of Form I and its X-ray powder diffraction pattern has characteristic peaks at diffraction angles 2θ of approximately 6.1° , 8.1° , 15.4° , 18.5° , 20.3° and $24.2^\circ \pm 0.2^\circ$.

2. The polymorph form of Claim 1, wherein its X-ray powder diffraction pattern has characteristic peaks at diffraction angles 2θ of approximately 6.1° , 8.1° , 13.4° , 15.4° , 16.3° ,
10 18.5° , 20.3° and $24.2^\circ \pm 0.2^\circ$.

3. The polymorph form of either Claim 1 or Claim 2, wherein the X-ray powder diffraction pattern is as shown in Figure 1.

4. The polymorph form of any one of Claims 1 to 3, wherein the melting point is $173-176^\circ\text{C}$.

- 15 5. A polymorph form of the compound of Formula I



Formula I,

wherein the polymorph form is of Form II and its X-ray powder diffraction pattern has characteristic peaks at diffraction angles 2θ of approximately 7.5° , 19.0° and $31.2^\circ \pm 0.2^\circ$.

- 20 6. The polymorph form of Claim 5, wherein its X-ray powder diffraction pattern has characteristic peaks at diffraction angles 2θ of approximately 7.5° , 15.0° , 19.0° , 23.8° and $31.2^\circ \pm 0.2^\circ$.

7. The polymorph form of either Claim 5 or Claim 6, wherein its X-ray powder diffraction pattern has characteristic peaks at diffraction angles 2θ of approximately 7.5° , 13.8° ,
25 15.0° , 15.5° , 19.0° , 22.5° , 23.8° and $31.2^\circ \pm 0.2^\circ$.

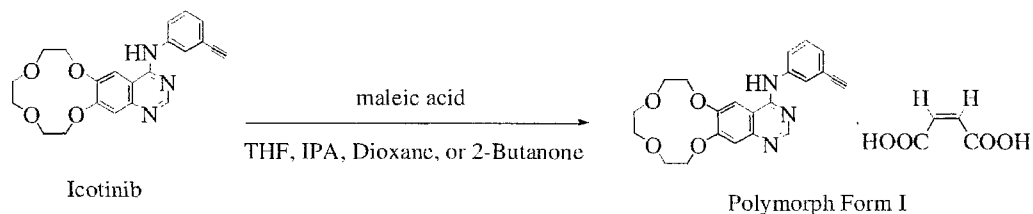
8. The polymorph form of any one of Claims 5 to 7, wherein the X-ray powder

diffraction pattern is as shown in Figure 2.

9. The polymorph form of any one of Claims 5 to 8, wherein the melting point is 182-184°C.

10. A process of preparing a polymorph form of any one of Claims 1 to 9, comprising:

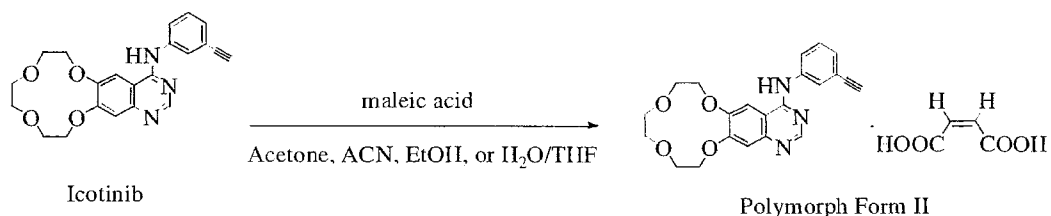
5 a)



reacting Icotinib with maleic acid in a reaction media of tetrahydrofuran (THF), isopropanol (IPA), dioxane, or 2-butanone at the room temperature, with a molar ratio between maleic acid and Icotinib ranging from 1:1 to 2:1, to produce the polymorph form of any one of Claims 1 to 4;

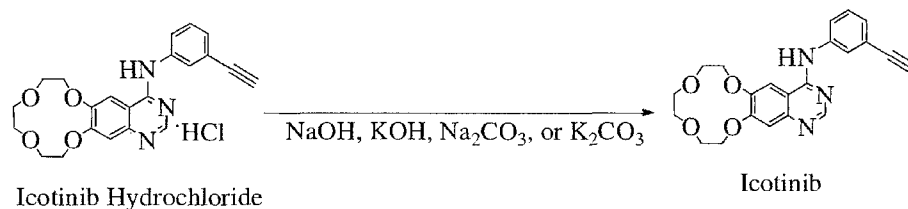
10 or

b)



15 reacting Icotinib with maleic acid in a reaction media of acetone, acetonitrile, ethanol, or water (H₂O) and THF (ratio 1:19, v/v) at the room temperature, with a molar ratio between maleic acid and Icotinib ranging from 1:1 to 2:1, to produce the polymorph form of any one of Claims 5 to 9.

11. The process of Claim 10, further comprising the step of reacting Icotinib hydrochloride with sodium hydroxide, potassium hydroxide, sodium carbonate or potassium carbonate in a reaction media of ethanol and H₂O, IPA and H₂O, methanol and H₂O or THF and H₂O at the temperature of 40-80°C to produce Icotinib, before the step of reacting Icotinib with maleic acid,



20

12. A pharmaceutical composition comprising a therapeutically effective amount of the

polymorph form of any one of Claims 1 to 9 and a pharmaceutically acceptable excipient, adjuvant or carrier.

13. The pharmaceutical composition of Claim 12, wherein the polymorph form of any one of Claims 1 to 9 has a purity of $\geq 85\text{wt}\%$.

5 14. The pharmaceutical composition of Claim 12, wherein the polymorph form of any one of Claims 1 to 9 has a purity of $\geq 99\text{wt}\%$.

15. The pharmaceutical composition of any one of Claims 12 to 14, further comprising a second therapeutically active ingredient.

16. The pharmaceutical composition of any one of Claims 12 to 15, wherein the
10 pharmaceutical composition is suitable for oral administration.

17. The pharmaceutical composition according to any one of Claims 12 to 16, wherein the pharmaceutical composition is in the form of tablet or capsule.

18. The pharmaceutical composition of any one of Claims 12 to 17, wherein the composition comprises 0.01wt% to 99wt% of the polymorph form of any one of Claims 1 to 9.

15 19. The pharmaceutical composition of Claim 18, wherein the composition comprises 10wt% to 50wt% of the polymorph form of any one of Claims 1 to 9.

20. Use of a polymorph form of any one of Claims 1 to 9 or a pharmaceutical composition of any one of Claims 12 to 19 in the manufacture of a medicament for the prevention or treatment in mammals of a disease related to EGFR dysfunction, wherein the disease is an
20 excessive non-malignant disease, pancreatitis, kidney disease, cancer, angiogenesis or vascular disease, or mammalian embryo cell transplantation.

21. The use of Claim 20, wherein the excessive non-malignant disease is benign skin hyperplasia or benign prostatic hyperplasia.

22. The use of either Claim 20 or Claim 21, wherein the excessive non-malignant disease,
25 pancreatitis, kidney disease, cancer, angiogenesis or vascular occurrence-related illness is selected from: tumor angiogenesis, chronic inflammatory disease such as rheumatoid arthritis, atherosclerosis, skin diseases such as psoriasis, and scleroderma, diabetes-induced skin diseases, diabetic retinopathy, premature retinopathy, hemangionma, glioma, Kaposiinternal tumor, ovarian cancer, breast cancer, lung cancer, pancreatic cancer, lymphoma, prostate, colon and
30 skin tumors and their complications.