8.2 Second Polymorph of R-Tiacumicin Experimental Data

A second polymorph of Compound of Formula I is also characterized by Differential Scanning Calorimetry (DSC) and powder X-Ray Diffraction (XRD).

The DSC plot of polymorph B shows an endothermic curve at 158° C. The XRD diagram shows peaks comprising at the values of the diffraction angles 2θ of 7.6°, 15.4° and 18.8°. Polymorph B has a melting point in the range of 153-156° C. measured by Melting Point apparatus, MEL-TEMP 1001.

It is believed that crystalline polymorphic forms of Compounds of Formula I other than the above-discussed A and B exist and are disclosed herein. These crystalline polymorphic forms, including A and B, and the amorphous form or mixtures thereof contain varying amounts of Compound of Formula I and in certain cases mixtures of tiacumicins can be advantageously used in the production of medicinal preparations having antibiotic activity.

X-ray powder diffraction of the crystals is shown in FIG. **3** with peaks at angles 2θ of 7.5°, 15.7°, and 18.9°±0.04 indicating the presence of Polymorph B.

The DSC plot of Polymorph B shows an endothermic curve starting at about at 150° C. and peak at 158° C.

Table 4 is a summary of the various data that was isolated for illustrative crystallization lots.

Formula I

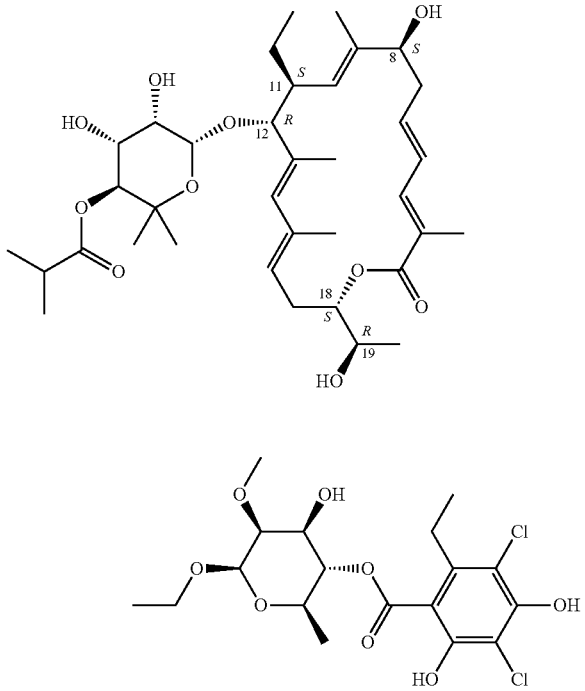

TABLE 4

Data Summarizing Various Lots

No.	Compound of Formula I Content (%)	Mp (° C.)	DSC (° C.) Peak	XRD (2 theta)	Crystallization Solvent
1	76.3	155-158		7.7, 15.0, 18.8,	MeOH/Water
2	85.3	159-164	180	7.8, 14.9, 18.8,	MeOH/Water
3	85.4	163-165		7.6, 15.4	Iso-propanol (IPA)
4	85.4	164-168		7.9, 15.0, 18.8	Acetonitrile
5	85.4	153-156		7.5, 15.7, 18.9	EtOAc
6	90	165-168		7.5, 15.2, 15.7, 18.6	MeOH/Isopropanol
7	97.2	160-163	177	7.4, 15.4, 18.7	IPA
8	94.0	166-169	177	7.6, 15.1, 18.6	MeOH/Water
9	97.2	167-173	187	7.8, 14.8, 18.8	MeOH/Water
10	96.7		160	7.5, 15.4, 18.8	EtOAc
11	98.3	163-164	178	7.7, 15.0, 18.8	MeOH/IPA

The present invention is not to be limited in scope by the specific embodiments disclosed in the examples which are intended as illustrations of a few aspects of the invention and any embodiments which are functionally equivalent are within the scope of this invention. Indeed, various modifications of the invention in addition to those shown and described herein will become apparent to those skilled in the art and are intended to fall within the appended claims.

A number of references have been cited, the entire disclosures of which are incorporated herein by reference.

What is claimed is:

1. A pharmaceutical composition comprising a therapeutically effective amount of a polymorphic form of a compound of Formula I:

wherein the polymorphic form of a compound of Formula I is characterized by a powder x-ray diffraction pattern wherein said x-ray diffraction pattern comprises peaks at diffraction angles 2θ of 7.7°, 15.0°, and 18.8°±0.2 as said peaks are set forth in FIG. **1**.

2. The pharmaceutical composition of claim **1**, wherein the therapeutically effective amount of a polymorphic form of a compound of Formula I comprises about 0.001 mg to about 1000 mg.

3. The pharmaceutical composition of claim **1**, wherein the therapeutically effective amount of a polymorphic form of a compound of Formula I comprises about 0.01 mg to about 500 mg.