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Bosch et al.

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(54) **NANOPARTICULATE COMPOSITIONS COMPRISING AMORPHOUS CYCLOSPORINE AND METHODS OF MAKING AND USING SUCH COMPOSITIONS**

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(52) **U.S. Cl.** **424/489**; 424/501; 424/502; 514/11

(58) **Field of Search** 424/489, 501, 424/502; 514/11, 937

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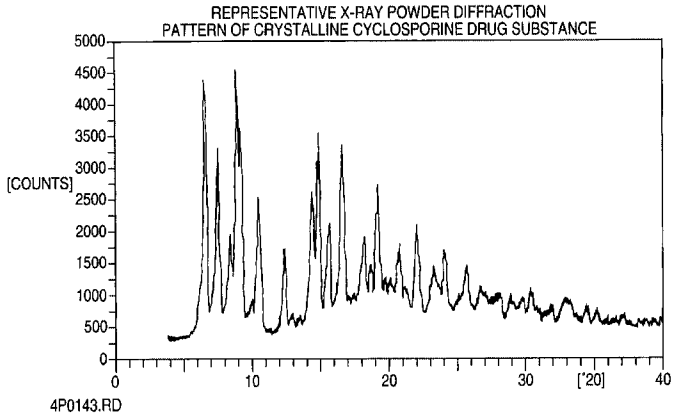
(57) **ABSTRACT**

Nanoparticulate amorphous cyclosporine formulations, and nanoparticulate cyclosporine formulations comprising a mixture of amorphous and crystalline cyclosporine, having effective average particle sizes of less than about 2000 nm are described. The compositions exhibit increased bioavailability and increased consistency of bioavailability as compared to prior macro-sized cyclosporine and formulations. Methods of making and using the compositions are also described.

59 Claims, 3 Drawing Sheets



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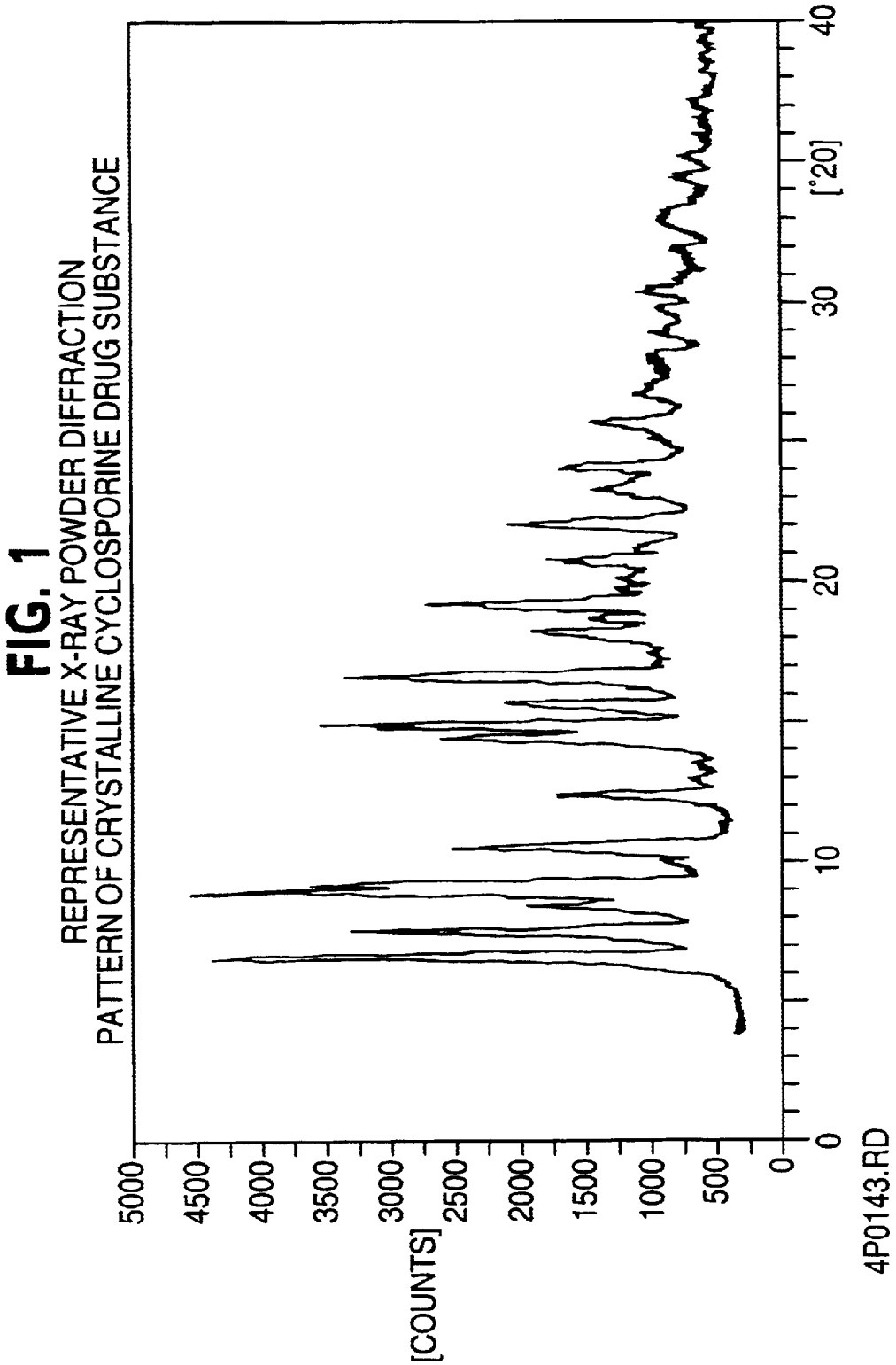


FIG. 1

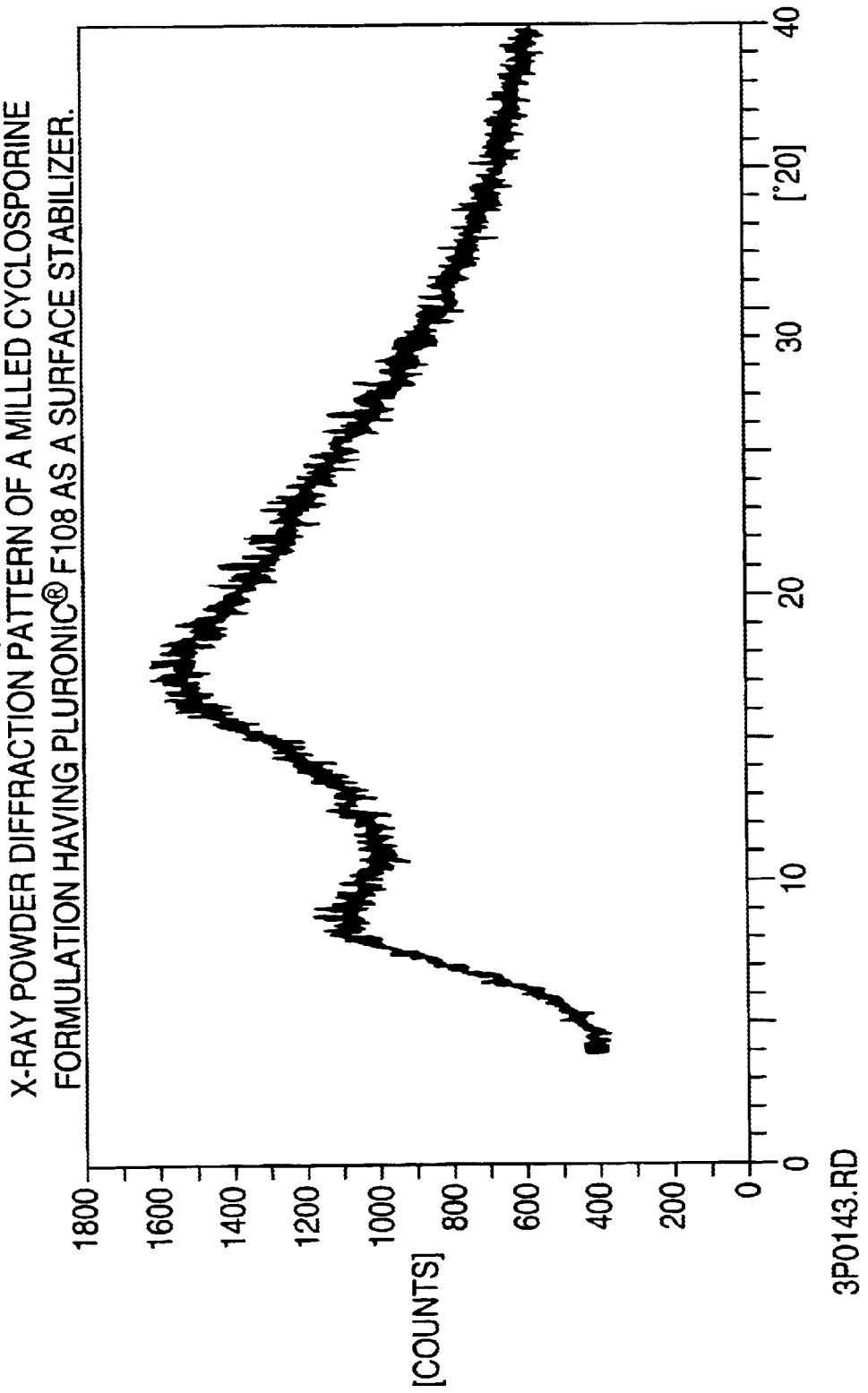
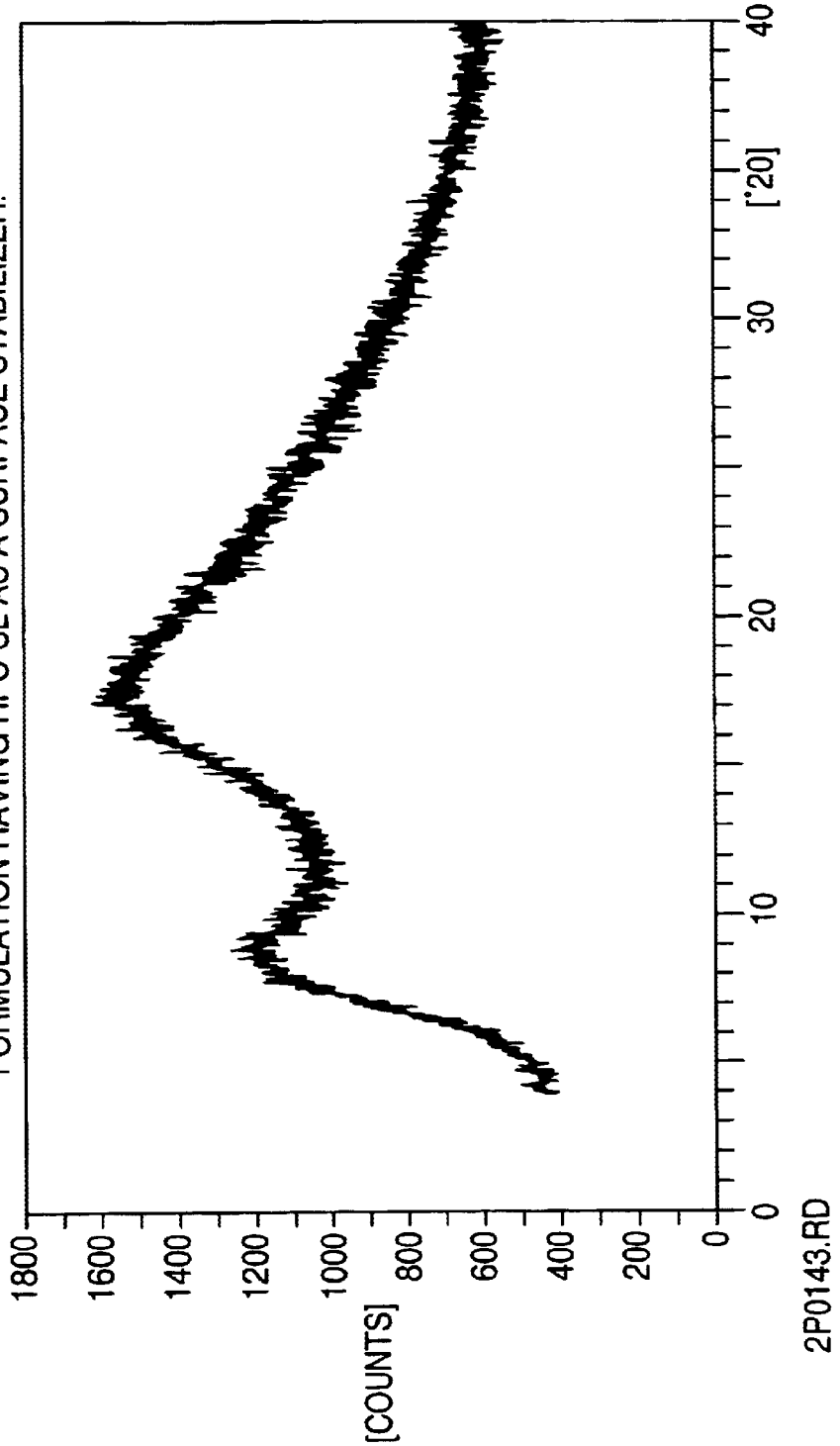


FIG. 2
X-RAY POWDER DIFFRACTION PATTERN OF A MILLED CYCLOSPORINE FORMULATION HAVING PLURONIC® F108 AS A SURFACE STABILIZER.

FIG. 3

X-RAY POWDER DIFFRACTION PATTERN OF A MILLED CYCLOSPORINE FORMULATION HAVING HPC-SL AS A SURFACE STABILIZER.



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