

09/19/00
J06892 U.S. PTO

PROVISIONAL APPLICATION FOR PATENT

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.53(b)(2)

Docket Number AM100144 Type a plus sign (+) inside this box

J06892 U.S. PTO
160/233776
09/19/00

INVENTOR(s) / APPLICANT(s)

LAST NAME	FIRST NAME	MIDDLE INITIAL	RESIDENCE (CITY, AND EITHER STATE OR FOREIGN COUNTRY)
Zhu	Tianmin		5 Whitman Place, Monroe, New York, 10950
Fawzi	Mahdi		1 Dukes Court, Morristown, New Jersey, 07960

TITLE OF THE INVENTION (280 characters max)

WATER SOLUBLE RAPAMYCIN ESTERS

CORRESPONDENCE ADDRESS

Egon E. Berg
American Home Products Corporation
Patent Law Department-2B
One Campus Drive
Parsippany

STATE NJ ZIP CODE 07054 COUNTRY USA

ENCLOSED APPLICATION PARTS (check all that apply)

Specification Number of Pages 30 Small entity Statement

Drawing(s) Number of Sheets Other (specify) Certificate of Mailing

METHOD OF PAYMENT (check one)

A check or money order is enclosed to cover the Provisional filing fees

The Commissioner is hereby authorized to charge

filing fees and credit deposit account Number: 01-1425

PROVISIONAL	
FILING FEE (\$)	\$150.00

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

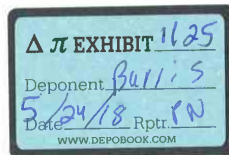
No.
 Yes, the name of the U.S. Government agency and the Government contract number are: _____

Respectfully submitted,

SIGNATURE Arnold S. Mirowsky DATE September 19, 2000
TYPED OR PRINTED NAME ARNOLD S. MILOWSKY REG. NO. 35,288

TELEPHONE (610) 902-2635

Additional inventors are being named on separately numbered sheets attached hereto.



Breckenridge Exhibit 1092
Zhu '973 Patent
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wherein

R¹ and R² are each, independently, hydrogen or -CO(CR³R⁴)_b(CR⁵R⁶)_dCR⁷R⁸R⁹ ;

5 R³ and R⁴ are each, independently, hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-7 carbon atoms, alkynyl of 2-7 carbon atoms, trifluoromethyl, or -F;

R⁵ and R⁶ are each, independently, hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-7 carbon atoms, alkynyl of 2-7 carbon atoms, -(CR³R⁴)_fOR¹⁰, -CF₃, -F, or -CO₂R¹¹;

10 R⁷ is hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-7 carbon atoms, alkynyl of 2-7 carbon atoms, -(CR³R⁴)_fOR¹⁰, -CF₃, -F, or -CO₂R¹¹;

R⁸ and R⁹ are each, independently, hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-7 carbon atoms, alkynyl of 2-7 carbon atoms, -(CR³R⁴)_fOR¹⁰, -CF₃, -F, or -CO₂R¹¹;

R¹⁰ is hydrogen or -COCH₂-S-CH₂CH₂-(O-CH₂-CH₂)_n-OCH₃;

15 b = 0-6;

d = 0-6;

f = 0-6;

n = 5-450;

with the proviso that R¹ and R² are both not hydrogen and further provided that either

20 R¹ or R² contains at least one -(CR³R⁴)_fOR¹⁰ group in which R¹⁰ is -COCH₂-S-CH₂CH₂-(O-CH₂-CH₂)_n-OCH₃, or a pharmaceutically acceptable salt thereof, and a pharmaceutical carrier.

25 17. A compound which is rapamycin 42-ester with 3-hydroxy-2-(2-iodo-acetoxymethyl)-2-methyl-propionic acid.

18. A compound which is rapamycin 42-ester with 3-(2-Iodo-acetoxy)-2-(2-iodo-acetoxymethyl)-2-methyl-propionic acid.