IN THE UNITED STATES PATENT AND TRADEMARK OFFICE
BEFORE THE BOARD OF PATENT APPEALS AND INTERFERENCES

WATTANASIN	:	
	:	INTERFERENCE 102,648
V.	:	EXAMINER-IN-CHIEF:
	:	MICHAEL SOFOCLEOUS
PICARD ET AL	:	
	:	
V.	:	
	:	
FUJIKAWA ET AL	:	

DECLARATION--PATENTABLY DISTINCT
SUBJECT MATTER

HONORABLE COMMISSIONER OF PATENTS AND TRADEMARKS
WASHINGTON, DC 20231
BOX INTERFERENCE

SIR:

I, MASAKI KITAHARA, do hereby declare and state that:

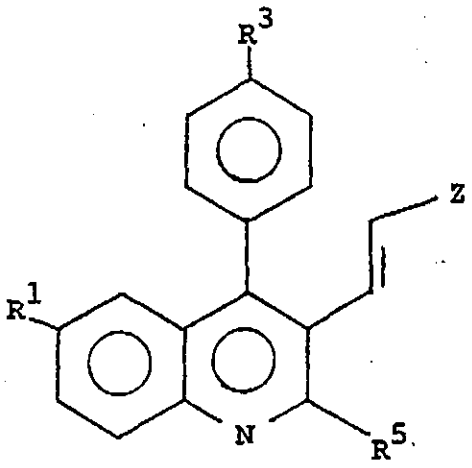
1. I am a citizen and resident of Japan, and a named co-inventor in U.S. Patent Application 07/233,752, involved in the above-captioned patent Interference.

2. To demonstrate the unpredicted improvement in inhibition of cholesterol biosynthesis obtained when making specific election

NCI Exhibit 2019

for the substituents of the subject matter of the Count of the above Interference, the tests described below were conducted by me, or under my direct supervision.

3. Tests were conducted to determine the impact of specific substituents on compounds of the following formula:



wherein R^1 = H

R^3 = F

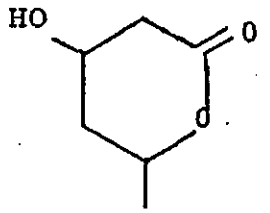
R^5 = cyclopropyl (c-Pr) and Z is selected from the group consisting of

$-CH(OH)-CH_2-CH(OH)-CH_2-COOH$ (carboxylic acid),

$-CH(OH)-CH_2-CH(OH)-CH_2-COONa$ (sodium salt),

$-CH(OH)-CH_2-CH(OH)-CH_2COO\frac{1}{2}Ca$ (calcium salt),

$-CH(OH)-CH_2-CH(OH)-CH_2COOR$, wherein R is C_{1-3} alkyl and



(lactone)

In compounds of the above formula, where R^5 is cyclopropyl, unpredictably enhanced inhibition of cholesterol biosynthesis, as tested both in vitro and in vivo (culture cell) is obtained. This unexpected improvement is maintained even when contrasted with identical compounds save for the identity of R^5, wherein R^5 is isopropyl or n-propyl. This is true even if the identity of R^5 is of larger size, such as a C_6 substituent.

4. In the test described above, inhibition of cholesterol

biosynthesis was determined according to two tests, A and B, as set forth in the specification of U.S. Patent Application 07/233,752, involved in the above-captioned Interference. These tests are set forth and identified as tests A and B on pages 28-30 of the specification. The results of the tests are set forth in the Tables attached to this Declaration. In the tables presented, the IC_{50} values are given, thus indicating higher activity in compounds giving lower IC_{50} values.

5. The superior activity of compounds bearing a R^5 cyclopropyl substituent could not, on the basis of my personal knowledge and experience, be predicted on the basis of chemical structure alone. There is nothing in the art that would lead one of skill, having the approximate level of a graduate chemist with several years of experience in the field, to conclude, on the basis of structural comparison alone, that the cyclopropyl substituent at R^5 would confer superior activity in the inhibition of cholesterol biosynthesis.

I hereby declare that all statements made herein of my own knowledge are true, and all statements made on information and belief are believed true. Further, I am aware that willful false

statements and the like are punishable by fine, imprisonment or both, 18 U.S.C. §1001, and that such willful false statements may jeopardize the validity of U.S. Patent Application 07/233,752, any patent issued thereon, as well the rights of the party Fujikawa et al in the above-captioned Interference.

DATE: June 1, 1992

Masaki Kitahara

MASAKI KITAHARA

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