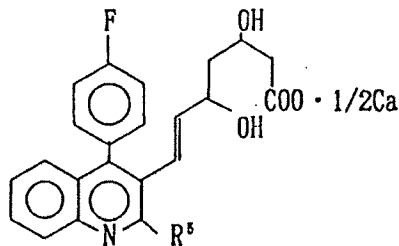


of the cyclopropyl (c-Pr) substituent. These are described as follows:

Data was obtained with regard to the following compound having the formula:



TEST METHOD

| <u>Compound</u> | <u>R⁵</u> | <u>Test A Evaluation</u> | <u>Test B Evaluation</u> |
|----------------------------|----------------------|------------------------------|------------------------------|
| Compound of this Invention | cyclopropyl (c-Pr) | 4.4×10^{-9} | 35.0×10^{-9} |
| Reference Compound | isopropyl (i-Pr) | 23.0×10^{-9} | 105×10^{-9} |

Test A: Inhibition of cholesterol biosynthesis from acetate in vitro

This test was carried out as described on pages 28-29 of the specification. The above numerical values indicate IC₅₀ (molar concentration).

Test B: Inhibition of cholesterol
biosynthesis in culture cells

This test was carried out as described on pages 29 to 30 of the specification. The above numerical values indicate IC₅₀ (molar concentration).

4. Based on the data reported above, it can be determined that the compound of this invention exhibits unobvious superiority, and in particular, sharply enhanced inhibition of cholesterol biosynthesis, in vitro, and culture cell, when compared with the referenced compound of the prior art, and compounds having an identical structure save for the selection of cyclopropyl, as opposed to isopropyl substituent, for R⁵. This enhanced activity could not be predicted on the basis of structure, or information available to those of ordinary skill in the art as of the effective filing date of this application.

All statements made herein of my own knowledge are true, and all statements made on information and belief are believed true. 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 the above-captioned patent application and any patent issuing thereon.

FURTHER, I SAYETH NOT.

May 25, 1992

Date

Masaki Kitahara

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