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Date of Application: January 26, 1988  
Application Number: Patent Application No. 15585/1988  
Applicant: Nissan Chemical Industries Ltd.

October 7, 1988

Fumitake Yoshida  
Director-General, Patent Office

(Internal priority claimed under Patent Law  
Article 42-2-1)  
(Filing Date of the earlier application  
August 20, 1987)  
(Application Number of the earlier application  
207224/1987)

International Patent Classification  
C07D 215/00

PETITION FOR PATENT APPLICATION

January 26, 1988

To: Director-General, Patent Office: Kunio Ogawa

1. Title of the Invention:

QUINOLINE TYPE MEVALONOLACTONES

2. Number of Inventions stated in Claims:

1

3. Inventor(s):

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5. List of Attached Documents:

(1) Specification 1 copy  
(2) Duplicate of Petition 1 copy

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- 1 -

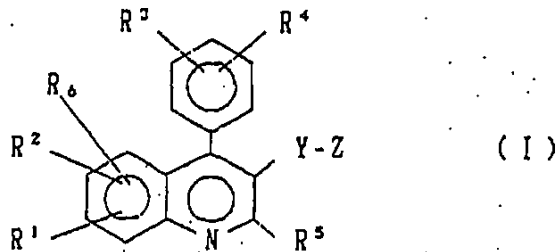
SPECIFICATION

1. TITLE OF THE INVENTION:

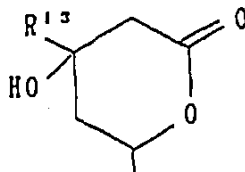
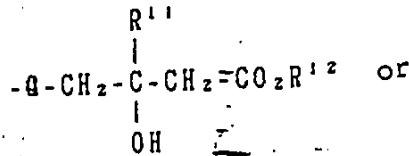
QUINOLINE TYPE MEVALONOLACTONES

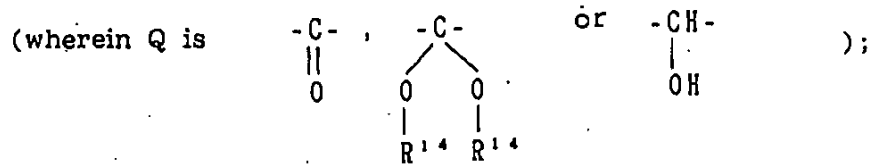
2. SCOPE OF THE CLAIM:

1. A compound of the formula:




wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^6$  are independently hydrogen,  $C_{1-4}$  alkyl,  $C_{1-3}$  alkoxy, n-butoxy, i-butoxy, sec-butoxy,  $R^7R^8N-$  (wherein  $R^7$  and  $R^8$  are independently hydrogen or a lower alkyl), trifluoromethyl, fluoro, chloro, bromo, phenyl, phenoxy or benzyloxy; or when located at the ortho position to each other,  $R^1$  and  $R^2$ , or  $R^3$  and  $R^4$  together form  $-CH=CH-CH=CH-$ ; Y is  $-CH_2-$ ,  $-CH_2CH_2-$ ,  $-CH=CH-$ ,  $-CH_2-CH=CH-$  or  $-CH=CH-CH_2-$ ; and Z is





$R^{11}$  is hydrogen or  $C_{1-3}$  alkyl;  $R^{12}$  is hydrogen,  $R^{15}$  (wherein  $R^{15}$  is physiologically hydrolyzable alkyl) or M (wherein M is  $NH_4$ , a metal capable of forming a salt which is pharmaceutically acceptable, or an amine·H);  $R^{13}$  is hydrogen or  $C_{1-3}$  alkyl, two  $R^{14}$  are the same primary or secondary  $C_{1-6}$  alkyl; or two  $R^{14}$  together form  $-(CH_2)_2-$ , or  $-(CH_2)_3-$  and  $R^5$  is hydrogen,  $C_{1-6}$  alkyl,  $C_{3-6}$

cycloalkyl, phenyl,  (wherein  $R^7$  is  $C_{1-3}$  alkyl,  $C_{1-3}$  alkoxy, fluoro, chloro, bromo or trifluoromethyl), phenyl- $(CH_2)_m-$  (wherein m is 1, 2 or 3), or phenyl- $(CH_2)_nCH(CH_3)$  (wherein m is 1 or 2).

3. DETAILED DESCRIPTION OF THE INVENTION:

[Industrial Field of Utilization]

The present invention relates to novel mevalonolactones having a quinoline ring, processes for their production, pharmaceutical compositions containing them and their pharmaceutical uses particularly as hypolipoproteinemic and anti-atherosclerotic agents, and intermediates useful for their production and processes for the production of such intermediates.

[Prior Art and its Problem]

Some fermentation metabolic products such as compactine, CS-514, Mevinolin or semi-synthetic

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