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METHOD OF REDUCING CHOLESTEROL LEVEL OF THE BLOOD

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This invention relates to a method and compositions suitable for reducing the cholesterol content of the blood.

The novel method of this invention comprises the oral administration to persons having an abnormally high blood cholesterol level of from 50 to 300 mg. per day of 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid. The normal cholesterol level of the blood is somewhat higher than 150 mg. percent which is usually taken as a non-atherogenic level. The required daily amount of the 4-(3,5-diiodo-4-hydroxyphenoxy) - 3,5 - diiodobenzoic acid can be administered as a single dose or in divided doses. Although 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5 - diiodobenzoic acid can be administered as such to hypercholesterolemic patients, this invention provides in addition novel compositions suitable for purposes of administration. These novel compositions which can be employed in the novel treatment method comprise 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid mixed with a pharmaceutical extending medium. The resulting mixture can be formulated as tablets or capsules when a solid pharmaceutical extending medium is used or in suspension or solution when a liquid pharmaceutical extending medium is used. However, I prefer to employ 4-(3,5-diiodo-4-hydroxphenoxy)-3,5 - diiodobenzoic acid plus a solid pharmaceutical extending medium in my novel compositions.

In addition to the free acid, suitable non-toxic cationic salts of 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid such as the sodium salt can be employed in my novel treatment method and pharmaceutical compositions provided herewith. These salts are particularly useful in the formulation of solutions.

The following procedure will serve to illustrate my novel process and compositions: Tablets are prepared by thoroughly mixing 217 pounds of 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid with 336 pounds of starch, 336 pounds of lactose, 18 pounds of talc and 9 pounds of magnesium stearate and compressing the mixture into scored tablets such that each tablet contains 100 mg. of active drug. One to two of these tablets are administered each day by the oral route to hypercholesterolemic persons. The blood cholesterol level in these persons is decreased by this procedure from a high in the neighborhood of 300–315 mg. percent prior to treatment to a low in the range of 250–265 mg. percent after from one to three months of treatment. Higher initial blood cholesterol levels will of course show greater decreases. Other pharmaceutical forms containing 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid plus a solid pharmaceutical extending medium can also be used in place of the tablets specified above. For example, capsules can be prepared containing 100 mg. of drug each and enough starch to fill the capsule.

My novel process has the particular advantage of being adaptable also to the treatment of myxedematous patients. This type of patient in general requires a somewhat higher dose level of 4 - (3,5 - diiodo - 4 - hydroxyphenoxy)-3,5-diiodobenzoic acid. For example, 150 mg. of the 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid are needed for an average myxedematous patient as compared with 100 mg. per day for other patients.

The administration of 4 - (3,5 - diiodo - 4 - hydroxyphenoxy)-3,5-diiodobenzoic acid can be carried on concurrently with other forms of therapy for hypercholesterolemia, such as elimination of fat in the diet, administration of sitosterol, and the like.

I claim:

1. The method for the reduction of the cholesterol level of the blood of hypercholesterolemic individuals which comprises the administration by the oral route to such individuals of 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5 - diiodobenzoic acid.

2. The method according to claim 1 wherein from about 50 to about 300 mg. per day of 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid are administered.

3. The method for the reduction of the cholesterol level of the blood of hypercholesterolemic patients which comprises the administration to such individuals of from about 50 to about 300 mg. per day of 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid by the oral route, said 4-(3,5-diiodo-4-hydroxyphenoxy)-3,5-diiodobenzoic acid being incorporated in a solid pharmaceutical dosage form.

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