Crystallized Vitamin D Analog 2-Methylene-18,19-Dinor-1Alpha-Hydroxy-Homopregnacalciferol

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Technology description

The hormonally active form of vitamin D, known as calcitriol or 1,25 dihydroxyvitamin D_3, has shown promise for treating diseases ranging from osteoporosis to cancer to psoriasis. However, the hormone mobilizes calcium from bones and increases intestinal absorption of dietary calcium. Effective therapeutic concentrations can lead to hypercalcemia; a condition characterized by elevated blood calcium levels, alterations in mental status, muscle weakness and calcification of soft tissues and organs such as the heart and kidneys. Therefore, a need exists for non-calcemic compounds that provide desirable therapeutic effects without causing dose-limiting hypercalcemia.

UW–Madison researchers previously developed a vitamin D analog, 2-methylene-18,19-dinor-1α-hydroxy-homopregnacalciferol. This compound exhibits relatively high binding to vitamin D receptors, very low intestinal calcium transport activity, and very low ability to mobilize calcium from bone. It also displays relatively high cell differentiation activity, making it potentially useful as an anti-cancer agent or a therapeutic agent for treating skin conditions. However, it must be purified before it can be used as a pharmaceutical. UW–Madison researchers now have developed a method using precipitation with hexane from ethyl acetate. This efficient process removes most of the contaminants, resulting in a highly pure product. Crystals acceptable for X-ray experiment also can be obtained using hexane from benzene via diffusion exchange.
The Wisconsin Alumni Research Foundation (WARF) is seeking commercial partners interested in developing the vitamin D analog known as 2-methylene-18,19-dinor-1α -hydroxy-homopregnacalciferol for pharmaceutical use.

Application area

Production of highly pure 2-methylene-18,19-dinor-1α-hydroxy-homopregnacalciferol for use as a pharmaceutical

Advantages

Crystallization process occurs easily and efficiently.
Solvent mixture is easy to remove.

Precipitated crystals are large enough to be recovered via filtration or other means.

Institution

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