

Crystallized Vitamin D Analog "SAG-2"

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Technology description

The hormonally active form of vitamin D, known as calcitriol or 1,25 dihydroxyvitamin D₃, 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, (20R,22R)-2-methylene-19-nor-22-methyl-1a,25-dihydroxyvitamin D₃, also known as SAG-2, which binds the vitamin D receptor with slightly less affinity than the native hormone. SAG-2 shows little to no activity on intestinal calcium transport and bone calcium mobilization as compared to vitamin D *in vivo*, making it less likely to cause hypercalcemia. However, it must be purified before it can be used as a pharmaceutical. UW–Madison researchers now have developed a method using a mixture of 2-propanol and hexane to crystallize SAG-2. Also, certain diol precursors formed during synthesis may be obtained in crystalline form using ethyl acetate as the solvent. This efficient process removes most of the contaminants from the synthetic SAG-2, resulting in a highly pure product.

The Wisconsin Alumni Research Foundation (WARF) is seeking commercial partners interested in developing a vitamin D analog known as SAG-2 and its related intermediates for pharmaceutical use.

Application area

Production of highly pure SAG-2 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.

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