Crystallized Vitamin D Analogs "MET-1" and "MET-2"

Published date: March 14, 2017

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 vitamin D analogs, (20R) and (20S)-2-methylene-19-nor-22-dimethyl-1a,25-dihydroxyvitamin D_3, also known MET-1 and MET-2, which bind to the vitamin D receptor with slightly less affinity than the native hormone. MET-1 and MET-2 show little to no activity on intestinal calcium transport and bone calcium mobilization as compared to vitamin Din vivo, making them less likely to cause hypercalcemia. However, they must be purified before they can be used as pharmaceuticals. UW–Madison researchers now have developed a method using either diethyl ether or a mixture of 2-propanol and hexane to crystallize MET-1 and MET-2. This efficient process removes most of the contaminants from the synthetic forms, resulting in a highly pure product.

Application area

Production of highly pure MET-1 and MET-2 for use as pharmaceuticals

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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