



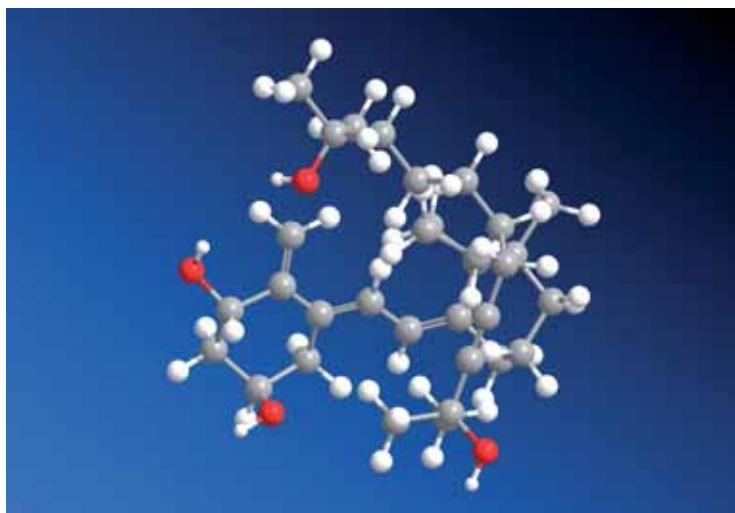
Gemini Vitamin D3 analogues, Synthetic Procedure and Applications

Description:

A novel synthetic methodology for the preparation of Gemini Vitamin D3 analogues has been developed in this invention. These compounds are currently applied in the treatment of diverse diseases related with the lack of vitamin D. The efficient procedure involves a key chemical transformation (a sigmatropic rearrangement) that provides great versatility and selectivity in the synthesis of this type of compounds. Previous synthetic methods rely on the non-selective generation of the double side chain precursor and the subsequent separation of isomers. Besides, they often require the use of expensive and time-consuming chromatography techniques such as HPLC.

Innovative aspects and advantages:

Current methodologies for the preparation of Gemini Vitamin D3 analogues lack flexibility and efficiency in the incorporation of molecular diversity. Additionally, the described strategies so far require frequently the use of expensive techniques for purification and separation of mixtures. Our synthetic procedure uses a key sigmatropic rearrangement, providing a versatile method to introduce novel side-chains to the Vitamine D scaffold giving access to a variety of analogues with potentially interesting biological properties.



Commercial applications and Potential users:

Novel Gemini Vitamin D analogues can be of interest to the pharmaceutical industry as drug candidates for the treatment of diseases related with vitamin D deficiency.

State of art:

The synthesis has been performed at lab scale.

Publications:

- S. Tsuyako; O. Ryoko; H. Talin; O. James; U. Milan; M. Hubert; M. Stanislaw; J. Pawel; B. Riem; K. H Phillip
Journal of Steroid Biochemistry and Molecular Biology 2008, 112, 151.

- S. J. Young; L. H. Jin; K. Amanda; P. Shiby; W. Chung-Xiou; M. Hubert; U. Milan; Z. Xi; C. Allan H; C. Li
Molecular Pharmacology 2011, 79, 360.

Inventors:

Yagamare Fall Diop, Generosa Gómez Pacios, Manuel Pérez Vázquez, Zoila Gándara Barreiro, Xenxo Pérez García, Gonzalo Pazos Aguete, Guido Kurz.

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Contacts:

Yagamare Fall Diop
Organic Synthesis Research Group
Phone: +34 986 812 320
yagamare@uvigo.es

