United States Patent [19]

[11] Patent Number: 5,011,844 [45] Date of Patent: Apr. 30, 1991

I

Fehr

- [54] SUBSTITUTED 4-AZATRICYCLO(22.3.1.0^{4,9})OCTACOS-18-ENE DERIVATIVES, THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM
- [75] Inventor: Theodor Fehr, Dornach, Switzerland
- [73] Assignee: Sandoz Ltd., Basel, Switzerland
- [21] Appl. No.: 399,673
- [22] Filed: Aug. 25, 1989

[30] Foreign Application Priority Data

 Aug. 26, 1988
 [GB]
 United Kingdom
 8820348

 May 31, 1989
 [GB]
 United Kingdom
 8912432

- [51] Int. Cl.⁵ A61K 31/395; C07D 498/16;
- 540/456; 435/898; 435/886; 435/118
- [58] Field of Search 540/456; 514/63, 183, 514/291, 411; 435/598, 886, 118

[56] References Cited

U.S. PATENT DOCUMENTS

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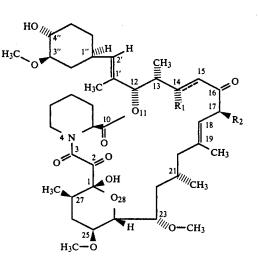
OTHER PUBLICATIONS

Jones et al., "J. Am. Chem. Soc." (1989), vol. III, pp. 1157-1159.

Primary Examiner—Robert T. Bond Attorney, Agent, or Firm—Gerald D. Sharkin; Robert S. Honor; Thomas O. McGovern

[57] ABSTRACT

The compounds of formula I



wherein

either

- R₁ is hydroxy,
- R_2 is allyl or n-propyl and
- there is a single bond between the carbon atoms numbered 14 and 15
- or
 - R_1 is missing,
 - \mathbf{R}_2 is ally and
 - there is a double bond between the carbon atoms numbered 14 and 15,

have interesting immunosuppressant and anti-inflammatory properties.

They are obtained by fermentation or synthesis, e.g. by hydrogenation or dehydration.

9 Claims, 4 Drawing Sheets

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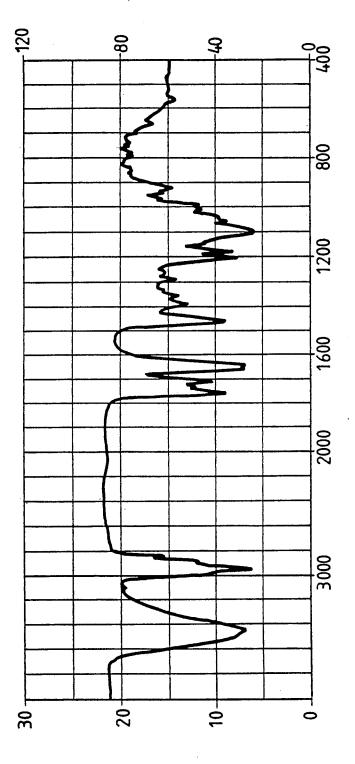


FIG. 1

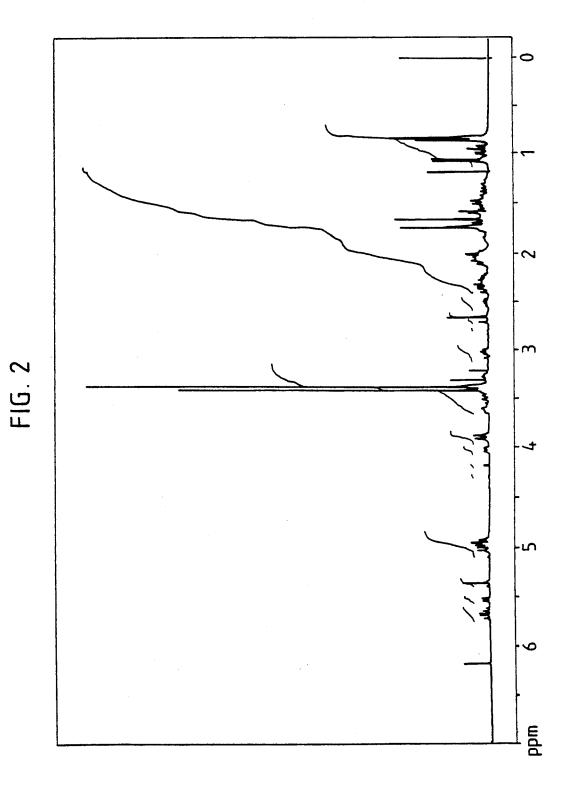
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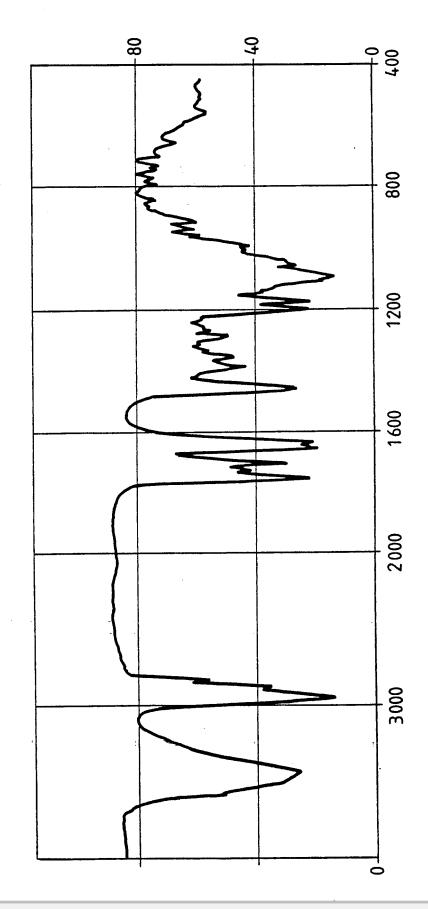
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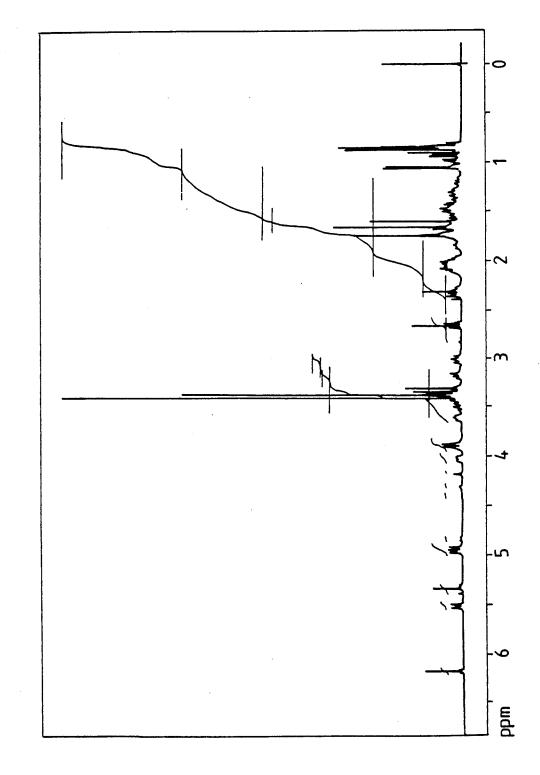


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