

[54] NOVEL, TRANSIENT PRO-DRUG FORMS OF L-DOPA TO TREAT PARKINSON'S DISEASE

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Related U.S. Application Data

[60] Division of Ser. No. 569,009, April 17, 1975, Pat. No. 3,998,799, which is a continuation-in-part of Ser. No. 412,419, Nov. 2, 1973, Pat. No. 3,891,696.

[51] Int. Cl.² A61K 31/22; A61K 31/24; A61K 31/195

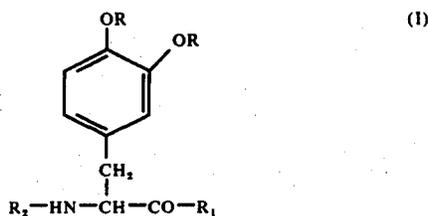
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[58] Field of Search 424/319, 263, 311, 264, 424/309

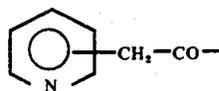
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[57] ABSTRACT

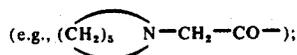
There is provided, novel, transient pro-drug forms of L-DOPA (3,4-dihydroxy-L-phenylalanine), having the formula:



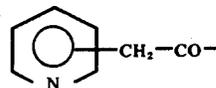
wherein R represents a hydrogen atom, an acyl group, a



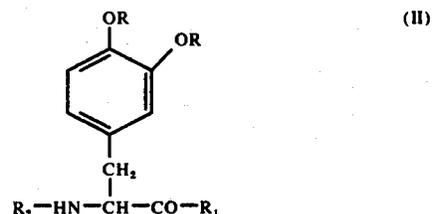
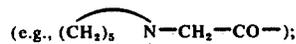
group, a -CO-pyridyl group, and a -CO-R₃ group, wherein R₃ represents the residue of any N,N-C₁-C₂ dialkylamino acid or a C₄-C₆ cycloalkylamino acid



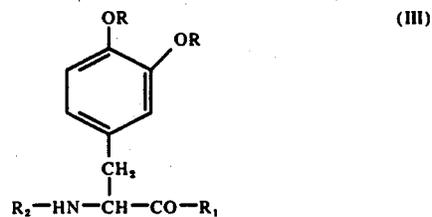
wherein R₁ represents a member selected from the group consisting of a hydroxyl group and a -OM group, wherein M is an alkali metal (Na, K, etc.) or an ammonium ion; and wherein R₂ represents a member selected from the group consisting of a



group, a -CO-pyridyl group, and a -CO-R₃ group, wherein R₃ represents the residue of any N,N-(C₁-C₂)-dialkylamino acid or a C₄-C₆-cycloalkylamino acid



wherein R represents an acyl group; wherein R₂ represents a hydrogen atom; and wherein R₁ represents a -NHCH(R₄)COOR₅ group, wherein R₄ represents the residue of any naturally occurring amino acid, and wherein R₅ represents a member selected from the group consisting of a hydrogen atom, a C₁-C₃ alkyl group (e.g., methyl, ethyl, propyl, butyl, pentyl), and a C₁-C₃ alkylaryl group (e.g., -CH₂-C₆H₅, -CH₂-CH₂-C₆H₅, etc.), and the HX salts thereof, wherein X is a conventional pharmaceutically acceptable acid addition salt anion (e.g., chloride, bromide, perchlorate, methanesulfonate, succinate, etc.);



wherein R represents an acyl group; wherein R₁ represents a member selected from the group consisting of a hydroxyl group, a -OCH₃ group, a -OC₂H₅ group, a -OC₃H₇ group, a -OC₄H₉ group, and a -OCH₂-C₆H₅ group; and wherein R₂ represents an NH₂CH(R₆)CO- group, wherein R₆ represents the residue of any naturally occurring amino acid, and the HX salts thereof, wherein X is defined as above;

(IV)