Title (en)

STABLE SALTS OF (+)-(1S,2R)-2- N-(2-HYDROXYLAMINO-2-OXOETHYL)-N-METHYL-AMINO CARBONYL CYCLOHEXANE-1-CARBOXYLIC ACID, PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Title (de)

Stabile Salze von (+)-(IS,2R)-2-¬¬N-(2-Hydroxylamino-2-oxoäthyl)N-methylamino carbonyl cyklohexan-1-carbonsäure

Title (fr)

SELS STABLES DE L'ACIDE (+)-(1S,2R)-2- N-(2-HYDROXYLAMINO-2-OXOETHYL)-N-METHYL-AMINO CARBONYL CYCLOHEXANE-1-CARBOXYLIQUE, PROCEDE DE PREPARATION ET COMPOSITIONS PHARMACEUTIQUES LES CONTENANT

Publication

EP 0575572 A1 19931229 (EN)

Application

EP 92924726 A 19921212

Priority

IT MI913448 A 19911223

Abstract (en)

[origin: WO9313056A1] The novel salts of (+)-(1S,2R)-2-[[N-(2-hydroxylamino-2-oxoethyl)-N-methyl-amino]carbonyl]cyclohexane-1-carboxylic acid with metals and organic bases, represented by general formula (I) wherein R and R' if taken together represent a bivalent cation selected from calcium, ethylene diamine and other pharmaceutically acceptable cations or organic bases, or if R' = H<+>, R represents sodium, potassium, an imidazole group, lysine, choline, diethanolamine, arginine, or histidine, possess ACE-inhibitory activity and are therefore useful as active ingredients of antihypertensive drugs. The process for their preparation preferably entails the reaction of the starting acid, protected with a benzyl group, with the suitable hydrate, carbonate or organic base in hydrogenation conditions in the presence of a hydrogenation catalyst.

Abstract (fr)

Nouveaux sels de l'acide (+)-(1S,2R)-2-[[N-(2-hydroxylamino-2-oxoéhyl)-N-méthyl-amino]carbonyl]cyclohexane-1-carboxylique, présentant des bases organiques et métalliques, et représentés par la formule générale (I), dans laquelle R et R', lorsqu'ils sont réunis, représentent un cation bivalent choisi entre le calcium, la diamine d'éthylène et d'autres bases organiques ou cations pharmaceutiquement acceptables, ou, si R'=H+, R représente sodium, potassium, un groupe imidazole, lysine, choline, diéthanolamine, arginine ou hiotidine. Ces sels présentent une activité d'inhibition par rapport à l'enzyme de conversion d'angiotensine (ACE), et sont ainsi utiles comme principes actifs de médicaments anti-hypertensifs. Le procédé de préparation implique de préférence la mise en réaction de l'acide de départ, protégé par un groupe benzyle, avec l'hydrate, le carbonate ou la base organique appropriés, dans des conditions d'hydrogénation en présence d'un catalyseur d'hydrogénation.

IPC 1-7

C07C 259/06; A61K 31/16

IPC 8 full level

A61K 31/195 (2006.01); **A61P 9/12** (2006.01); **C07C 229/26** (2006.01); **A61K 31/16** (2006.01); **C07C 229/38** (2006.01); **C07C 229/42** (2006.01); **C07C 229/44** (2006.01); **C07C 259/06** (2006.01); **C07D 521/00** (2006.01)

CPC (source: EP)

A61P 9/12 (2018.01); **C07C 229/26** (2013.01); **C07C 259/06** (2013.01); **C07D 231/12** (2013.01); **C07D 233/56** (2013.01); **C07D 249/08** (2013.01); C07C 2601/14 (2017.05)

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FR2817241A1; WO0244045A1

Designated contracting state (EPC)

AT BE CH DE DK ES FR GB GR IE IT LI LU NL PT SE

DOCDB simple family (publication)

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DOCDB simple family (application)

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