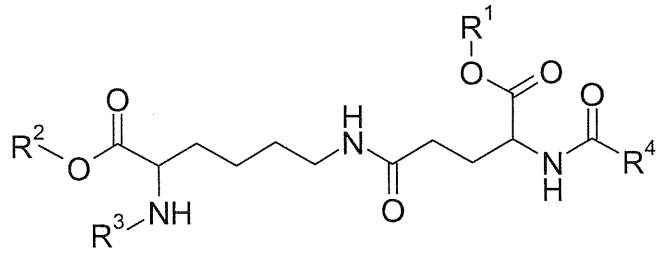
Object of the ~~present invention~~embodiments disclosed herein is to provide novel peptide intermediates which carry a Glu-fatty alkyl side chain and which can readily be inserted in the SPPS.

It was found that the compounds of the present ~~invention~~ disclosure of the formula



I

wherein,

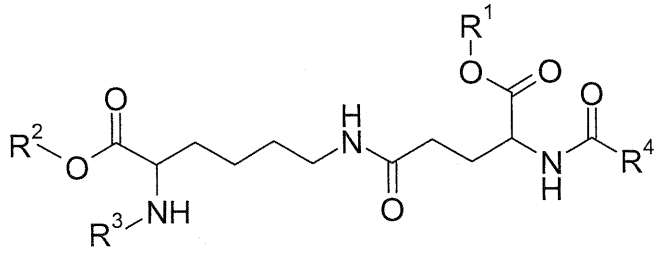
R^1 and R^2 are the same or different and denote hydrogen or an ester protecting group,

R^3 is hydrogen or an amino protecting group and

R^4 is C_{12-20}-alkyl,

and its enantiomers, diastereomers and salts have the potential to very well serve this purpose.

In a first aspect, there is provided compounds of the formula



I

wherein,

R^1 and R^2 are the same or different and denote hydrogen or C_{1-4}-alkyl, optionally substituted with phenyl, C_{2-4}-alkenyl, piperidinyl or dimethylaminoboranyl,

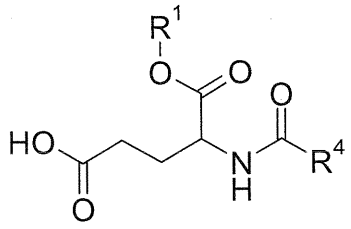
R^3 is hydrogen or an amino protecting group and

R^4 is C_{12-20}-alkyl,

and its enantiomers, diastereomers and salts.

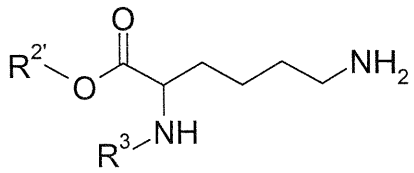
In a second aspect, there is provided a process for the preparation of compounds of formula I, wherein R^2 is hydrogen, comprising

a) coupling the glutamic acid derivative of formula



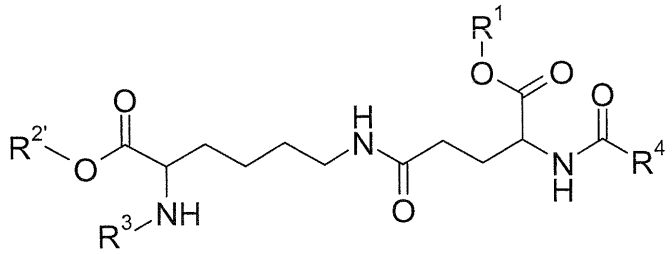
II

wherein R^1 and R^4 are as defined herein, or a salt thereof with a lysine derivative of formula



III

wherein $R^{2'}$ is an ester protecting group and R^3 is as defined herein, or a salt thereof to form a compound of the formula



Ic

wherein R^1, $R^{2'}$, R^3 and R^4 are as defined herein and

b) removing the ester protecting group $R^{2'}$.

In a third aspect, there is provided use of the compounds of formula I in the solid phase peptide synthesis of peptides which comprise a Glu-fatty alkyl side chain building block attached to a Lys-part of the peptide chain.

The term "C_{12-20} alkyl" used for substituent R^4 refers to a branched or straight-chain monovalent saturated aliphatic hydrocarbon radical of twelve to twenty carbon atoms, particularly to a straight-chain monovalent saturated aliphatic hydrocarbon radical. The term can be exemplified by the radicals dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl and eicosanyl.

In a particular embodiment R^4 refers to C_{14-16} alkyl, even more particularly to C_{15} alkyl.

More particularly R^4 is tetradecyl, pentadecyl or hexadecyl, but particularly pentadecyl.

The term "amino protecting group" used for substituent R^3 refers to common substituents conventionally used to hinder the reactivity of the amino group. Suitable amino protecting groups are described in "Fmoc Solid Phase Peptide Synthesis – A Practical Approach" W.C.Chan & P.D. White, Oxford University Press, 2000, reprinted 2004, printed digitally.

Particularly R^3 is Fmoc (9H-fluoren-9-ylmethoxycarbonyl).