

Title (en)

NOVEL [F-18]-LABELLED L-GLUTAMIC ACID- AND L-GLUTAMINE DERIVATIVES (I), USE THEREOF AND METHOD FOR THEIR PRODUCTION

Title (de)

NEUE [F-18]-MARKIERTE L-GLUTAMINSÄURE- UND L-GLUTAMINDERIVATE (I), IHRE VERWENDUNG SOWIE VERFAHREN ZU IHRER HERSTELLUNG

Title (fr)

NOUVEAUX DÉRIVÉS (I) D'ACIDE L-GLUTAMIQUE ET DE L-GLUTAMINE MARQUÉS AU [F-18], LEUR UTILISATION ET LEUR PROCÉDÉ DE PRODUCTION

Publication

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Application

EP 09749581 A 20090514

Priority

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- EP 09749581 A 20090514

Abstract (en)

[origin: EP2123621A1] Amide compounds (I) are new. Amide compounds of formula (I) are new. A : OH, 1-5C-alkoxy, hydroxy 1-5C-alkoxy, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, N(1-5C-alkyl) 2, NH 2, N(H)-L, O-L or O-Z; G : OH, O-Z, O-1-5C-alkyl, O-2-5C-alkenyl, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, O-2-5C-alkynyl, or triphenylmethoxy; R 1>, R 2> : H, 1> 8>F-2-5C-alkoxy, 1> 8>F-1-5C-alkyl, 1> 8>F-2-5C-alkenyl, 1> 8>F-2-5C-alkynyl, OH, 1-5C-alkyl or 1-5C-alkoxy; L : 1-5C-alkyl, 2-5C-alkenyl, 1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl or 2-5C-alkynyl; Z : a metal cation equivalent; and n : 0-3. Provided that one of the substituents R 1>or R 2>contains 1> 8>F isotope and the other substitution is free of 1> 8>F isotope and R 1>is not H. Independent claims are included for: (1) a carbonyl compound of formula (II); (2) the preparation of (I); (3) the preparation of (II) comprising reacting a carbonyl compound of formula (III) with F-18 fluoride; (4) the carbonyl compound (III); (5) use of a pyrrolidine compound of formula (IV) for the preparation of (I) or (II); (6) a kit comprising (III) or (IV); and (7) a pharmaceutical composition comprising (I)-(IV) and a suitable carrier. A 1> : OH, 1-5C-alkoxy, hydroxy 1-5C-alkoxy, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, N(1-5C-alkyl) 2, NH 2, N(H)-L 1>, O-L 1>; G 1> : OH, O-Z 1>, O-1-5C-alkyl, O-2-5C-alkenyl, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, O-2-5C-alkynyl, or triphenylmethoxy; Q : N(H)-tert-butoxycarbonyl, N(H)-benzyloxycarbonyl, -N=C(X 1>)(X 2>) (all preferred), N(H)-allyloxycarbonyl, N(H)-ethoxycarbonyl, N(H)-methoxycarbonyl, N(H)-propoxycarbonyl, N(H)-2,2,2-trichloroethoxycarbonyl, N(H)-1,1-dimethylpropinyl, N(H)-1-methyl-1-phenyl-ethoxycarbonyl, N(H)-1-methyl-1-(4-biphenyl)-ethoxycarbonyl, N(H)-cyclobutylcarbonyl, N(H)-cyclobutylcarbonyl, N(H)-1-methylcyclobutylcarbonyl, N(H)-vinylcarbonyl, N(H)-allylcarbonyl, N(H)-adamantylcarbonyl, N(H)-diphenylmethylcarbonyl, N(H)-cinnamylcarbonyl, N(H)-formyl, N(H)-benzoyl, N(H)-trityl, N(H)-p-methoxyphenyl-diphenylmethyl, N(H)-di-(p-methoxyphenyl)-phenylmethyl, or N-(tert.butyloxycarbonyl) 2; L 1>, L 2> : L; X 1>, X 2> : aryl, aralkyl or heteroaryl (all optionally substituted) or 1-5C-alkyl; Z 1>, Z 2> : a metal cation equivalent; A 2> : 1-5C-alkoxy, hydroxy 1-5C-alkoxy, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, N(1-5C-alkyl) 2, NH 2, N(H)-U 1>, N(H)-L 2>or O-L 2>; G 2> : O-Z 2>, O-1-5C-alkyl, O-2-5C-alkenyl, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, O-2-5C-alkynyl, or triphenylmethoxy; R 3>, R 4> : H, E-2-5C-alkoxy, E-1-5C-alkyl, E-2-5C-alkenyl, E-2-5C-alkynyl, OH, 1-5C-alkyl, or 1-5C-alkoxy; E : Cl, Br, methanesulfonyloxy, trifluoromethanesulfonyloxy, nonafluorobutyloxy, tosyloxy or I; Q 1>, Q 3> : Q; G 3> : O-1-5C-alkyl, O-2-5C-alkenyl, O-1-5C-alkyl-(O-1-4C-alkyl) n-O-1-4C-alkyl, O-2-5C-alkynyl, or triphenylmethoxy; R 5>, R 6> : H, OH, 1-5C-alkyl, 1-5C-alkoxy or R 7>-E 1>; E 1> : E; and R 7> : 2-5C-alkoxy, 1-5C-alkyl, 2-5C-alkenyl or 2-5C-alkynyl. Provided that: one of the substituent R 3>or R 4>contains E and the other substituent is free of E and R 3>is not H; and one of the substituents of R 5>or R 6>contains E 1>, and the other substituent is free of E 1>and R 5>is not H. [Image] [Image].

IPC 8 full level

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CPC (source: EP US)

A61K 49/0433 (2013.01 - US); **A61K 51/0402** (2013.01 - EP US); **A61P 35/00** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07B 59/001** (2013.01 - EP US); **C07C 227/16** (2013.01 - US); **C07C 229/24** (2013.01 - EP US); **C07C 231/12** (2013.01 - US); **C07C 237/06** (2013.01 - EP US); **C07C 269/06** (2013.01 - US); **C07C 271/22** (2013.01 - EP US); **C07C 309/66** (2013.01 - US); **C07D 207/16** (2013.01 - EP US); **C07D 207/28** (2013.01 - EP US)

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