Title (en)

TETRAPARTATE PRODRUGS

Title (de)

TETRAPARTAT-PRODRUGS

Title (fr)

PROMEDICAMENTS TETRAPARTATES

Publication

EP 1343494 A4 20050803 (EN)

Application

EP 01987164 A 20011130

Priority

- US 0145127 W 20011130
- US 72851200 A 20001201
- US 75899301 A 20010112

Abstract (en)

[origin: WO0243663A2] A compound of Formula I, providing a tetrapartate prodrugs is provided, wherein L1 is a bifunctional linking moiety; D is a moiety that is a leaving group, or a residue of a compound to be delivered into a cell; Z is covalently linked to [D]y, wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof; Y1, Y2, Y3 and Y4 are each independently O, S, or NR12; R11 is a mono- or divalent polymer residue; R1, R4, R9, R10 and R12 are independently selected from the group consisting of hydrogen, C1-6 alkyls, C3-12 branched alkyls, C3-8 cycloalkyls, C1-6 substituted alkyls, C3-8 substituted cycloalkyls, aryls, substituted aryls, aralkyls, C1-6 heteroalkyls and substituted C1-6 heteroalkyls; R2, R3, R5 and R6 are independently selected from the group consisting of hydrogen, C1-6 alkyls, C1-6 alkoxy, phenoxy, C1-8 heteroalkyls, C1-8 heteroalkoxy, substituted C1-6 alkyls, C3-8 cycloalkyls, C3-8 substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro- and cyano-, carboxy-, C1-6 carboxyalkyls and C1-6 alkylcarbonyls; Ar is a moiety which when included in Formula (I) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group; (m), (r), (s), (t), and (u) are independently zero or one; (p) is zero or a positive integer; and (y) is 1 or 2; together with methods of preparing and using these new tetrapartate prodrugs.

IPC 1-7

A61K 31/21; A61K 31/33; A61K 31/765; A61K 38/02

IPC 8 full level

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CPC (source: EP)

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Citation (search report)

- No further relevant documents disclosed
- See references of WO 0243663A2

Citation (examination)

- US 2001031873 A1 20011018 - GREENWALD RICHARD B [US], et al
- SENTER P.D. ET AL: "POLY(ETHYLENE GLYCOL)-DOXURUBICIN CONJUGATES CONTAINING-LACTAMASE-SENSITIVE LINKERS", BIOCONJUGATE CHEMISTRY, vol. 6, no. 4, 1 July 1995 (1995-07-01), ACS, WASHINGTON, DC, US, pages 389 - 394, XP000517227
- PECHAR ET AL: "Poly(ethylene glycol) multiblock copolymer as a carrier of anti-cancer drug doxorubicin.", BIOCONJUGATE CHEMISTRY, vol. 11, 15 February 2000 (2000-02-15), pages 131 - 139, XP002961562
- GREENWALD ET AL: "Poly(ethylene glycol) conjugates drugs and prodrugs: a comprehensive review", CRITICAL REVIEWS IN THERAPEUTIC DRUG CARRIER SYSTEMS, vol. 17, 2000, pages 101 - 161
- CONOVER ET AL: "Camptothecin delivery systems: the utility of amino acid spacers for the conjugation of camptothecin with polyethylene glycol to create prodrugs.", ANTI-CANCER DRUG DESIGN, vol. 14, 1999, pages 499 - 506
- BAURAIN ET AL: "Amino acid and dipeptide derivatives of daunorubicin. 2. Cellular pharmacology and antitumor activity on L1210 leukemic cells in vitro and in vivo.", J. MED. CHEM., vol. 23, 1980, pages 1171 - 1174
- BALIMANE ET AL: "Diret evidence for peptide transporter (PepT1)-Mediated Uptake of a nonpeptide prodrug, valacyclovir.", BIOCHEMICAL AND BIOPHYSICAL RESEARCH COMMUNICATIONS, vol. 250, 1998, pages 246 - 251
- HAN ET AL: "Cellular uptake mechanism of amino acid ester prodrugs in caco-2/hPEPT1 cells overexpressing a humna peptide transporter.", PHARMACEUTICAL RESEARCH, vol. 15, 1998, pages 1382 - 1386

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